

BIBLIOGRAPHY

1. De Somer, P., Billiau, A. & De Clercq, E.
Inhibition of antibody production in rats and mice by intravenous injection of interferon-inducing amounts of Sindbis virus or E.coli.
Arch. Ges. Virusforsch., 20: 205-214 (1967).
2. De Somer, P., Billiau, A., De Clercq, E. & Schonne, E.
Rubella virus interference and interferon production.
Ant. Van Leeuwenhoek, 33: 237-245 (1967).
3. De Somer, P., De Clercq, E. & Billiau, A.
Influence of whole-body irradiation, cortisol treatment and adrenalectomy on interferon induction in vivo in rats.
Proc. 2nd Intern. Symp. on Medical and Applied Virology,
Eds. Sanders & Lennette. Green Publ., pp. 230-243 (1967).
4. De Somer, P., De Clercq, E., Billiau, A. & Schonne, E.
Urinary excretion of interferon in rabbits.
First Intern. Conf. on Vaccines against Viral and Rickettsial Diseases of Man, P.A.H.O., pp. 650-652 (1967).
5. De Somer, P., Billiau, A. & De Clercq, E.
Influence of corticoid administration or stimulation and of N-methylacetamide on interferon production in vivo in the rat.
The Interferons, Ed. G. Rita, Academic Press, pp. 65-81 (1968).
6. De Clercq, E.
Antivirale chemotherapie.
Tijdschr. Geneesk., 9: 496-505 (1968).
7. De Somer, P., De Clercq, E., Billiau, A., Schonne, E. & Claesen, M.
Antiviral activity of polyacrylic and polymethacrylic acids.
I. Mode of action in vitro.
J. Virol., 2: 878-885 (1968).
8. De Somer, P., De Clercq, E., Billiau, A., Schonne, E. & Claesen, M.
Antiviral activity of polyacrylic and polymethacrylic acids.
II. Mode of action in vivo.
J. Virol., 2: 886-893 (1968).
9. De Clercq, E. & De Somer, P.
Effect of interferon, polyacrylic acid and polymethacrylic acid on tail lesions in mice infected with vaccinia virus.
Appl. Microbiol., 16: 1314-1319 (1968).
10. De Clercq, E. & De Somer, P.
Protective effect of interferon and polyacrylic acid in newborn mice infected with a lethal dose of vesicular stomatitis virus.
Life Sci., 7: 925-933 (1968).
11. De Clercq, E. & De Somer, P.
Interferon induction by nucleic acid-treated Newcastle disease virus.
Life Sci., 7: 1319-1325 (1968).

12. Ormai, S. & De Clercq, E.
Polymethacrylic acid : effects on lymphocyte output of the thoracic duct in rats.
Science, 163: 471-472 (1969).
13. De Clercq, E., De Somer, P. & Schonne, E.
Concentration of interferon by nucleic acid precipitation.
Virology, 37: 283-285 (1969).
14. De Clercq, E.
Nieuwe perspectieven in de inductie en aktie van interferon.
Tijdschr. Geneesk., 9: 437-451 (1969).
15. De Clercq, E. & Merigan, T.C.
Requirement of a stable secondary structure for the antiviral activity of polynucleotides.
Nature, 222: 1148-1152 (1969).
16. De Clercq, E., Eckstein, F. & Merigan, T.C.
Interferon induction increased through chemical modification of a synthetic polyribonucleotide.
Science, 165: 1137-1139 (1969).
17. De Clercq, E. & Merigan, T.C.
Local and systemic protection by synthetic polyanionic interferon inducers in mice against intranasal vesicular stomatitis virus.
J. Gen. Virol., 5: 359-368 (1969).
18. De Clercq, E. & Merigan, T.C.
An active interferon inducer obtained from Hemophilus influenzae type b.
J. Immunol., 103: 899-906 (1969).
19. De Clercq, E. & De Somer, P.
Prolonged antiviral protection by interferon inducers.
Proc. Soc. Exp. Biol. Med., 132: 699-703 (1969).
20. Claes, P., Billiau, A., De Clercq, E., Desmyter, J., Schonne, E., Vanderhaeghe, H. & De Somer, P.
Polyacetal carboxylic acids : a new group of antiviral polyanions.
J. Virol., 5: 313-320 (1970).
21. De Clercq, E., Wells, R.D. & Merigan, T.C.
Increase in antiviral activity of polynucleotides by thermal activation.
Nature, 226: 364-366 (1970).
22. De Clercq, E. & Merigan, T.C.
Current concepts of interferon and interferon induction.
Annu. Rev. Med., 21: 17-46 (1970).
23. De Clercq, E., Eckstein, F., Sternbach, H. & Merigan, T.C.
Interferon induction by and ribonuclease sensitivity of thiophosphate substituted polyribonucleotides.
Antimicrob. Agents Chemother.-1969, pp. 187-191 (1970).
24. De Clercq, E.
(Poly rI).(poly rC) en double-stranded RNA's : toekomst in de antivirale and antitumorale chemotherapie.
Tijdschr. Geneesk., 11: 544-559 (1970).

25. De Clercq, E. & Merigan, T.C.
Induction of interferon by nonviral agents.
Arch. Internal Med., 126: 94-108 (1970).
26. Merigan, T.C., De Clercq, E. & Bausek, G.H.
Nonviral interferon inducers.
J. Gen. Physiol., 56: 57-75 (1970).
27. De Clercq, E., Nuwer, M.R. & Merigan, T.C.
Potentiating effect of Freund's adjuvant on interferon production by endotoxin or poly rI.poly rC.
Infect. Immun., 2: 69-76 (1970).
28. De Somer, P., De Clercq, E., Cocito, C. & Billiau, A.
The interferon inducer from *Brucella*.
Ann. N.Y. Acad. Sci., 173: 274-281 (1970).
29. De Clercq, E., Eckstein, F. & Merigan, T.C.
Structural requirements for synthetic polyanions to act as interferon inducers.
Ann. N.Y. Acad. Sci., 173: 444-461 (1970).
30. Merigan, T.C., De Clercq, E., Finkelstein, M.S., Clever, L., Walker, S. & Waddell, D.J.
Clinical studies employing interferon inducers in man and animals.
Ann. N.Y. Acad. Sci., 173: 746-759 (1970).
31. De Clercq, E., Nuwer, M.R. & Merigan, T.C.
The role of interferon in the protective effect of a synthetic double-stranded polyribonucleotide against intranasal vesicular stomatitis virus challenge in mice.
J. Clin. Invest., 49: 1565-1577 (1970).
32. De Clercq, E., Eckstein, F., Sternbach, H. & Merigan, T.C.
The antiviral activity of thiophosphate-substituted polyribonucleotides in vitro and in vivo.
Virology, 42: 421-428 (1970).
33. Merigan, T.C., De Clercq, E. & Bausek, G.H.
In vitro studies with polyanionic interferon inducers.
US-Japan Cooperative Seminar on Interferon, Eds. Y. Nagano & H.B. Levy, Igaku Shoin Ltd., Tokyo, pp. 127-137 (1970).
34. Vandeputte, M., De Clercq, E., Billiau, A., Claesen, M. & De Somer, P.
The effect of polyacrylic acid on polyoma virus.
US-Japan Cooperative Seminar on Interferon, Eds. Y. Nagano & H.B. Levy, Igaku Shoin Ltd., Tokyo, pp. 206-212 (1970).
35. Schonke, E., Billiau, A., De Clercq, E. & De Somer, P.
Aggregation and molecular weight of rabbit interferon (NDV-RK13).
Colloques de l'Institut National de la Santé et de la Recherche Médicale, L'Interféron, no. 6: 195-200 (1970).
36. Merigan, T.C., De Clercq, E. & Bausek, G.
Non-viral inducers of interferon and interference with non-viruses.
Colloques de l'Institut National de la Santé et de Recherche Médicale, L'Interféron, no. 6: 343-352 (1970).

37. Merigan, T.C. & De Clercq, E.
A useful in vitro interferon inducer assay.
International Symposium on Standardization of Interferon and Interferon Inducers, London 1969.
Symp. Series Immunobiol. Standard., 14: 189-194 (1970).
38. De Clercq, E. & Merigan, T.C.
Stimulation or inhibition of interferon production depending on time of cycloheximide administration.
Virology, 42: 799-802 (1970).
39. De Clercq, E. & Merigan, T.C.
Bis-DEAE-fluorenone : mechanism of antiviral protection and interferon production in the mouse.
J. Infect. Dis., 123: 190-199 (1971).
40. De Clercq, E. & Merigan, T.C.
Thermal activation of the antiviral activity of synthetic polyribonucleotides : influence of DEAE-dextran in various cell cultures.
J. Gen. Virol., 10: 125-130 (1971).
41. De Clercq, E., Wells, R.D., Grant, R.C. & Merigan, T.C.
Thermal activation of the antiviral activity of synthetic double-stranded polyribonucleotides.
J. Mol. Biol., 56: 83-100 (1971).
42. Chester, T.J., De Clercq, E. & Merigan, T.C.
Effect of separate and combined injections of poly rI.poly rC and endotoxin on reticuloendothelial activity, interferon and antibody production in the mouse.
Infect. Immun., 3: 516-520 (1971).
43. De Clercq, E. & Merigan, T.C.
Moloney sarcoma virus-induced tumors in mice : inhibition or stimulation by (poly rI).(poly rC).
Proc. Soc. Exp. Biol. Med., 137: 590-594 (1971).
44. De Clercq, E. & De Somer, P.
Antiviral activity of polyribocytidylic acid in cells primed with polyriboinosinic acid.
Science, 173: 260-262 (1971).
45. Nuwer, M.R., De Clercq, E. & Merigan, T.C.
Interferon clearance rate decreased after repeated injections.
J. Gen. Virol., 12: 191-194 (1971).
46. De Clercq, E. & De Somer, P.
Comparative study of the efficacy of different forms of interferon therapy in the treatment of mice challenged intranasally with vesicular stomatitis virus (VSV).
Proc. Soc. Exp. Biol. Med., 138: 301-307 (1971).
47. Merigan, T.C., De Clercq, E., Eckstein, F. & Wells, R.D.
Molecular requirements for synthetic RNA to act in interferon stimulation.
Miles Symposium on "Biological Effects of Polynucleotides", New York, N.Y., June 3-5, 1970, Eds. R.F. Beers & W. Braun. Springer-Verlag, New York, pp. 67-78 (1971).

48. Merigan, T.C. & De Clercq, E.
Chemically defined non-antiviral interferon inducers : structural requirements and mechanisms.
Symposium on "Drugs and Cell Regulation", Roswell Park Memorial Institute, Buffalo, New York, September 23-25, 1970, Ed. E. Mihich.
Academic Press, New York and London, pp. 295-303 (1971).
49. De Clercq, E.
RNA dependent DNA polymerase.
Tijdschr. Geneesk., 19: 942-951 (1971).
50. De Clercq, E.
Mechanism of the antiviral activity of synthetic polyanions.
Thesis (submitted in partial fulfillment of the requirements for the degree of "Geaggregeerde voor het Hoger Onderwijs in de Geneeskunde"), Leuven, 1971.
51. De Clercq, E. & De Somer, P.
Role of interferon in the protective effect of the double-stranded polyribonucleotide against murine tumors induced by Moloney sarcoma virus.
J. Nat. Cancer Inst., 47: 1345-1355 (1971).
52. De Clercq, E., Wells, R.D. & Merigan, T.C.
Studies on the antiviral activity and cell-interaction of synthetic double-stranded polyribo- and polydeoxyribonucleotides.
Virology, 47: 405-415 (1972).
53. De Clercq, E.
Toekomstmogelijkheden van interferon en interferoninductoren in de menselijke geneeskunde.
Tijdschr. Geneesk., 4: 307-318 (1972).
54. De Clercq, E.
Carcinogenesis by RNA tumor viruses.
Medikon, 1: 109-127 (1972).
55. Chester, T.J., De Clercq, E., Nuwer, M.R. & Merigan, T.C.
In vivo release of previously cleared interferon by cycloheximide.
Infect. Immun., 5: 383-388 (1972).
56. De Clercq, E.
Nucleic acids as interferon inducers.
Symposium on Virus-Cell Interactions and Viral Antimetabolites of the 7th FEBS Meeting, Varna, Bulgaria, September 20-25, 1971.
Ed. D. Shugar. Academic Press, London and New York, pp. 65-86 (1972).
57. De Clercq, E. & De Somer, P.
Mechanism of the antiviral activity resulting from sequential administration of complementary homopolyribonucleotides to cell cultures.
J. Virol., 9: 721-731 (1972).
58. Stewart II, W.E., De Clercq, E., Billiau, A., Desmyter, J. & De Somer, P.
Increased susceptibility of cells treated with interferon to the toxicity of polyriboinosinic-polyribocytidylic acid.
Proc. Nat. Acad. Sci. USA, 69: 1851-1854 (1972).
59. De Clercq, E., Stewart II, W.E. & De Somer, P.
Interferon production linked to toxicity of polyriboinosinic acid-polyribocytidylic acid.
Infect. Immun., 6: 344-347 (1972).

60. De Clercq, E., Zmudzka, B. & Shugar, D.
Antiviral activity of polynucleotides : role of the 2'-hydroxyl and a pyrimidine 5-methyl.
FEBS Letters, 24: 137-140 (1972).
61. De Clercq, E.
Hyporeactivity to interferon production by double-stranded RNA associated with hyporeactivity to antiviral protection and hyporeactivity to toxicity.
Proc. Soc. Exp. Biol. Med., 141: 340-345 (1972).
62. De Clercq, E. & Stewart II, W.E.
Utilization of poly(rI).poly(rC) for controlling virus diseases and tumors still in abeyance.
Medikon, 1: 331-337 (1972).
63. De Clercq, E. & De Somer, P.
Production of interferon in rabbit cell cultures by mouse L cell-bound poly(rI).poly(rC).
J. Gen. Virol., 16: 435-439 (1972).
64. Stewart II, W.E., De Clercq, E. & De Somer, P.
Recovery of cell-bound interferon.
J. Virol., 10: 707-712 (1972).
65. De Clercq, E. & De Somer, P.
Effect of chlorite-oxidized oxyamylose on Moloney sarcoma virus-induced tumor formation in mice.
Eur. J. Cancer, 8: 535-540 (1972).
66. Stewart II, W.E., De Clercq, E. & De Somer, P.
Cellular alteration by interferon : a virus-free system for assaying interferon.
J. Virol., 10: 896-901 (1972).
67. De Clercq, E.
Laboratorium diagnosis van virus aandoeningen.
Medikon, 2: 22-27 (1973).
68. Stewart II, W.E., De Clercq, E. & De Somer, P.
Specificity of interferon-induced enhancement of toxicity for double-stranded ribonucleic acids.
J. Gen. Virol., 18: 237-246 (1973).
69. De Clercq, E., Stewart II, W.E. & De Somer, P.
Increased toxicity of double-stranded ribonucleic acid in virus-infected animals.
Infect. Immun., 7: 167-172 (1973).
70. De Clercq, E. & De Somer, P.
Relationship between cell-interaction and antiviral activity of polyriboinosinic acid-polyribocytidylic acid in different cell cultures.
J. Gen. Virol., 19: 113-123 (1973).
71. Black, D.R., Eckstein, F., De Clercq, E. & Merigan, T.C.
Studies on the toxicity and antiviral activity of various polynucleotides.
Antimicrob. Agents Chemother., 3: 198-206 (1973).
72. De Clercq, E. & Stewart II, W.E.
The breadth of interferon action.
"Selective Inhibitors of Viral Functions", Ed. W.A. Carter.
The Chemical Rubber Company Press, Cleveland, Ohio, pp. 81-106 (1973).
73. De Clercq, E.
Non-polynucleotide inducers of interferon.

"Selective Inhibitors of Viral Functions", Ed. W.A. Carter.
The Chemical Rubber Company Press, Cleveland, Ohio, pp. 177-198 (1973).

74. De Clercq, E. & Stewart II, W.E.
Het natuurlijke verloop van een akute virus infectie.
Tijdschr. Geneesk., 10: 452-461 (1973).
75. Stewart II, W.E. & De Clercq, E.
Non-antiviral effects of interferon.
Medikon, 2: 279-284 (1973).
76. De Clercq, E., Stewart II, W.E. & De Somer, P.
Poly(rI) more important than poly(rC) in the interferon induction process by poly(rI).poly(rC).
Virology, 54: 278-282 (1973).
77. De Clercq, E.
Antitumor activity of silica gel PF-254 eluate.
Cancer Res., 33: 2173-2180 (1973).
78. De Clercq, E. & Janik, B.
Antiviral activity of polynucleotides : poly 2'-fluoro-2'-deoxyuridylic acid.
Biochim. Biophys. Acta, 324: 50-56 (1973).
79. De Clercq, E., Stewart II, W.E. & De Somer, P.
Studies on the mechanism of the priming effect of interferon on interferon production by cell cultures exposed to poly(rI).poly(rC).
Infect. Immun., 8: 309-316 (1973).
80. De Clercq, E. & De Somer, P.
Protection of rabbits against local vaccinia virus infection by Brucella abortus and polyacrylic acid in the absence of systemic interferon production.
Infect. Immun., 8: 669-673 (1973).
81. De Clercq, E. & Claes, P.J.
A more sensitive assay system for the detection of RNA-dependent DNA polymerase in oncogenic RNA viruses.
Biochim. Biophys. Acta, 331: 328-332 (1973).
82. Stewart II, W.E., De Clercq, E., De Somer, P., Berg, K., Ogburn, C.A. & Paucker, K.
Antiviral and non-antiviral activity of highly purified interferon.
Nature, New Biol., 246: 141-143 (1973).
83. De Clercq, E.
Synthetic interferon inducers.
Fortschritte der chemischen Forschung, Topics in Current Chemistry, Ed. F. Boschke.
Springer-Verlag, Berlin, Heidelberg, New York, 52: 173-208 (1974).
84. De Clercq, E., Torrence, P.F. & Witkop, B.
Interferon induction by synthetic polynucleotides: importance of purine N-7 and strand-wise rearrangement.
Proc. Nat. Acad. Sci. USA, 71: 182-186 (1974).

85. De Clercq, E. & De Somer, P.
Interferon production in rabbit kidney cell cultures exposed to poly(I).poly(C) adsorbed to rabbit red blood cells.
J. Gen. Virol., 22: 271-275 (1974).
86. De Clercq, E. & Stewart II, W.E.
Regression of autochthonous Moloney sarcoma virus-induced tumors in mice treated with polyriboinosinic acid.polyribocytidylic acid.
J. Nat. Cancer Inst., 52: 591-594 (1974).
87. Stewart II, W.E. & De Clercq, E.
Relationship of cytotoxicity and interferon-inducing activity of poly I.poly C to the molecular weights of the homopolymers.
J. Gen. Virol., 23: 83-89 (1974).
88. De Clercq, E.
Chemotherapie van virale infecties.
Medikon, 3: 26-32 (1974).
89. De Clercq, E., Rottman, F.M. & Shugar, D.
Antiviral activity of polynucleotides : poly 2'-O-ethyladenylic acid and poly 2'-O-ethyluridylic acid.
FEBS Letters, 42: 331-334 (1974).
90. De Clercq, E. & Stewart II, W.E.
Integrity of cell-bound poly(I).poly(C).
J. Gen. Virol., 24: 201-209 (1974).
91. Stewart II, W.E., De Clercq, E. & De Somer, P.
Stabilisation of interferons by defensive reversible denaturation.
Nature, 249: 460-461 (1974).
92. Stewart II, W.E., De Somer, P. & De Clercq, E.
Protective effects of anionic detergents on interferons : reversible denaturation.
Biochim. Biophys. Acta, 359: 364-368 (1974).
93. Stewart II, W.E., De Somer, P. & De Clercq, E.
Renaturation of inactivated interferons : requirement for reduction of a major component; lack of requirement for reduction of a minor component.
J. Gen. Virol., 24: 567-570 (1974).
94. Stewart II, W.E., De Somer, P. & De Clercq, E.
Renaturation of inactivated interferons by "defensive reversible denaturation".
Prepar. Biochem., 4: 383-393 (1974).
95. Torrence, P.F., De Clercq, E., Waters, J.A. & Witkop, B.
A potent interferon inducer derived from poly(7-deazainosinic acid).
Biochemistry, 13: 4400-4408 (1974).
96. De Clercq, E., Torrence, P.F., Witkop, B., Stewart II, W.E. & De Somer, P.
Interferon induction : tool for establishing interactions among homopolyribonucleotides.
Science, 186: 835-837 (1974).
97. Carter, W.A. & De Clercq, E.
Viral infection and host defense (Many aspects of viral infection and recovery can be explained by the modulatory role of double-stranded RNA).
Science, 186: 1172-1178 (1974).

98. De Clercq, E., Billiau, A., Hobbs, J., Torrence, P.F. & Witkop, B.
Inhibition of oncornavirus functions by 2'-azido polynucleotides.
Proc. Nat. Acad. Sci. USA, 72: 284-288 (1975).
99. De Clercq, E.
Virale oorsprong van kanker : status praesens.
Tijdschr. Geneesk., 31: 46-59 (1975).
100. De Clercq, E., Hattori, M. & Ikehara, M.
Antiviral activity of polynucleotides : copolymers of inosinic acid and N2-dimethyl-guanilyc acid or 2-methylthioinosinic acid.
Nucleic Acids Research, 2: 121-129 (1975).
101. De Clercq, E., Torrence, P.F., Witkop, B. & De Somer, P.
Interferon induction by synthetic polynucleotides : competition between inactive and active polymers.
"Effects of Interferon on Cells, Viruses and the Immune System", Proceedings of a Meeting held at the Gulbenkian Institute of Science, Oeiras, Portugal, September 19-21, 1973. Ed.: A. Geraldès.
Academic Press, New York and London, pp. 215-236 (1975).
102. De Clercq, E., Darzynkiewicz, E. & Shugar, D.
Antiviral activity of O'-alkylated derivatives of cytosine arabinoside.
Biochem. Pharmacol., 24: 523-527 (1975).
103. De Clercq, E.
Tumor induction by Moloney murine sarcoma virus in athymic nude mice.
J. Nat. Cancer Inst., 54: 473-477 (1975).
104. De Clercq, E., Edy, V.G., De Vlieger, H., Eeckels, R. & Desmyter, J.
Intrathecal administration of interferon in neonatal herpes.
J. Pediatrics, 86: 736-739 (1975).
105. Torrence, P.F., De Clercq, E., Waters, J.A. & Witkop, B.
Failure of duplexes based on polylaurusin [poly(L), "polyformycin B"] to induce interferon.
Biochem. Biophys. Res. Commun., 62: 658-664 (1975).
106. De Clercq, E., Torrence, P.F., De Somer, P. & Witkop, B.
Biological, biochemical and physicochemical evidence for the existence of the poly-adenylic.polyuridylic.polyinosinic acid triplex.
J. Biol. Chem. 250: 2521-2531 (1975).
107. De Clercq, E. & De Somer, P.
Are cytotoxicity and interferon-inducing activity of poly(I).poly(C) invariably linked in interferon-treated L cells ?
J. Gen. Virol., 27: 35-44 (1975).
108. De Clercq, E. & Shugar, D.
Antiviral activity of 5-ethyl pyrimidine deoxynucleosides.
Biochem. Pharmacol., 24: 1073-1078 (1975).
109. Bachner, L., De Clercq, E. & Thang, M.N.
Sephadex-bound poly(I).poly(C) : interaction with cells and interferon production.
Biochem. Biophys. Res. Commun., 63: 476-483 (1975).

110. Billiau, A., Edy, V.G., De Clercq, E., Heremans, H. & De Somer, P.
Influence of interferon on the synthesis of virus particles in oncornavirus carrier cell lines.
III. Survey of effects on A-, B- and C-type oncornaviruses.
Int. J. Cancer, 15: 947-953 (1975).
111. De Clercq, E. & Shugar, D.
Antiviral activity of 5-ethyl pyrimidine nucleosides.
Proceedings of the VI International Congress of Infectious and Parasitic Diseases,
Warsaw, Poland, September 23-27, 1974.
Preprints Vol. III "Chemotherapy of Viral Diseases, pp. 16-18 (1974).
112. De Clercq, E., Edy, V.G. & Cassiman, J.J.
Non-antiviral activities of interferon are not controlled by chromosome 21.
Nature, 256: 132-134 (1975).
113. De Clercq, E., Luczak, M., Reepmeyer, J.C., Kirk, K.L. & Cohen, L.A.
Fluoroimidazoles as antiviral agents and inhibitors of polynucleotide biosynthesis.
Life Sci., 17: 187-194 (1975).
114. De Clercq, E., Stewart II, W.E. & De Somer, P.
In vitro assay for determination of toxicity to antiviral activity ratios of double-stranded
RNAs.
Proceedings of the First Intersectional Congress of the International Association of
Microbiological Societies, Tokyo, Japan, September 1-7, 1974. Ed.: T. Hasegawa.
Science Council of Japan, Vol. 4, pp. 57-61 (1975).
115. Giziewicz, J., De Clercq, E., Luczak, M. & Shugar, D.
Antiviral and antimetabolic activities of formycin and its N1-, N2-, 2'-O- and 3'-O-methy-
lated derivatives.
Biochem. Pharmacol., 24: 1813-1817 (1975).
116. De Clercq, E., Torrence, P.F., Hobbs, J., Janik, B., De Somer, P. & Witkop, B.
Anti-complement activity of polynucleotides.
Biochem. Biophys. Res. Commun., 67: 255-263 (1975).
117. De Clercq, E., Torrence, P.F., Waters, J.A. & Witkop, B.
Antiviral activity of 5-thiocyanatopyrimidine nucleosides.
Biochem. Pharmacol., 24: 2171-2175 (1975).
118. De Clercq, E., Billiau, A., Torrence, P.F., Waters, J.A. & Witkop, B.
Antiviral and antimetabolic activities of poly(7-deazaadenylic acid) and poly(7-
deazainosinic acid).
Biochem. Pharmacol., 24: 2233-2238 (1975).
119. De Clercq, E., Billiau, A., Hattori, M. & Ikehara, M.
Inhibition of oncornavirus functions by poly(2-methylthioinosinic acid).
Nucleic Acids Research, 2: 2305-2313 (1975).
120. De Clercq, E.
Encephalitis induced upon intranasal challenge of mice with herpes simplex virus :
experimental model to assess the clinical efficacy of interferon administered exogenously.
Proceedings Symposium on "Clinical Use of Interferon" of the Yugoslav Academy of
Sciences and Arts, Zagreb, Yugoslavia, October 1-2, 1977. pp. 129-139 (1975).
121. De Clercq, E., Torrence, P.F. & Witkop, B.
Polynucleotide displacement reactions. Detection by interferon induction.
Biochemistry, 15: 717-724 (1976).
122. Torrence, P.F., De Clercq, E. & Witkop, B.

- Triple-helical polynucleotides. Mixed triplexes of the poly(U).poly(A).poly(U) class. *Biochemistry*, 15: 724-734 (1976).
123. De Clercq, E., Luczak, M., Shugar, D., Torrence, P.F., Waters, J.A. & Witkop, B. Effect of cytosine arabinoside, iododeoxyuridine, ethyldeoxyuridine, thiocyanatodeoxyuridine and ribavirin on tail lesion formation in mice infected with vaccinia virus. *Proc. Soc. Exp. Biol. Med.*, 151: 487-490 (1976).
 124. De Clercq, E., Torrence, P.F., Fukui, T. & Ikehara, M. Role of purine N-3 in the biologic activities of poly(A) and poly(I). *Nucleic Acids Research*, 3: 1591-1601 (1976).
 125. De Clercq, E. & Luczak, M. Intranasal challenge of mice with herpes simplex virus : experimental model for evaluating the efficacy of antiviral drugs. *J. Infect. Dis.*, 133 (supplement) : A226-A236 (1976).
 126. De Clercq, E., Janik, B. & Sommer, R.G. Biological, biochemical and physicochemical evidence for the existence of the polyadenylate.polyuridylylate.poly 2'-fluoro-2'-deoxyuridylylate triple-stranded complex. *Chem.-Biol. Interactions*, 14: 113-125 (1976).
 127. De Clercq, E. Genen transfer en ... therapie. *Tijdschr. Geneesk.*, 18: 1063-1073 (1976).
 128. De Clercq, E., Edy, V.G. & Cassiman, J.J. Chromosome 21 does not code for an interferon receptor. *Nature*, 264: 249-251 (1976).
 129. Edy, V.G., Braude, I.A., De Clercq, E., Billiau, A. & De Somer, P. Purification of interferon by adsorption chromatography on controlled pore glass. *J. Gen. Virol.*, 33: 517-521 (1976).
 130. De Clercq, E., Edy, V.G., Torrence, P.F., Waters, J.A. & Witkop, B. Antiviral activity of poly(7-deazainosinic acid)-derived complexes in vitro and in vivo. *Mol. Pharmacol.*, 12: 1045-1051 (1976).
 131. De Clercq, E. & Luczak, M. Antiviral activity of carbopol, a cross-linked polycarboxylate. *Arch. Virol.*, 52: 151-158 (1976).
 132. Torrence, P.F., De Clercq, E. & Witkop, B. The interaction of polyxanthylic acid with polyadenylic acid. *Biochim. Biophys. Acta*, 475: 1-6 (1977).
 133. Szmigielski, S., Luczak, M., Janiak, M., Kobus, M., Laskowska, B., De Clercq, E. & De Somer, P. In vitro and in vivo inhibition of virus multiplication by microwave hyperthermia. *Arch. Virol.*, 53: 71-77 (1977).
 134. De Clercq, E., Descamps, J., Krajewska, E. & Shugar, D. Antiviral activity of O'-methylated derivatives of adenine arabinoside. *Biochem. Pharmacol.*, 26: 794-797 (1977).

135. Torrence, P.F. & De Clercq, E.
Polyadenylic.polyxanthylic.polyuridylic acid triple helix.
Biochemistry, 16: 1039-1043 (1977).
136. De Clercq, E.
Polynucleotides as inducers of interferon.
Proceedings of the First Cleveland Symposium on Macromolecules.
"Structure and Properties of Biopolymers", ed. A.G. Walton.
Elsevier Scientific Publishing Company, Amsterdam, pp. 217-243 (1977).
137. Thang, M.N., Bachner, L., De Clercq, E. & Stollar, B.D.
A continuous high-molecular weight base-paired structure is not an absolute requirement for a potential polynucleotide inducer of interferon.
FEBS Letters, 76: 159-165 (1977).
138. De Clercq, E.
Effect of mouse interferon and polyriboinosinic acid.polyribo-cytidylic acid on L cell tumor growth in nude mice.
Cancer Res., 37: 1502-1506 (1977).
139. De Clercq, E.
Structuur en vermenigvuldiging van virussen.
In : "Aanwinsten in de Inwendige Geneeskunde", ed. J. Vandenbroucke.
European Press, Gent, Vol. 4, pp. 93-115 (1977).
140. Torrence, P.F., Bhooshan, B., Descamps, J. & De Clercq, E.
Improved synthesis and in vitro antiviral activities of 5-cyanouridine and 5-cyano-2'-deoxyuridine.
J. Med. Chem., 20: 974-976 (1977).
141. De Clercq, E., Janik, B. & Sommer, R.G.
Effects of ionenes on interferon induction by (In)(C)n.
Antimicrob. Agents Chemother., 11: 756-759 (1977).
142. De Clercq, E., Krajewska, E., Descamps, J. & Torrence, P.F.
Anti-herpes activity of deoxythymidine analogs : specific dependence on virus-induced deoxythymidine-deoxycytidine kinase activity.
Mol. Pharmacol., 13: 980-984 (1977).
143. Krajewska, E., De Clercq, E. & Shugar, D.
Virus-induced nucleoside kinase activities in primary rabbit kidney cells.
Proceedings of the International Conference on Translation of Synthetic and Natural Polynucleotides, Blazjewko near Poznan, Poland, May 10-12, 1977.
In "Translation of Natural and Synthetic Polynucleotides", ed. A.B. Legocki, University of Agriculture (Poznan), pp. 105-107 (1977).
144. De Clercq, E., Huang, G.-F., Torrence, P.F., Fukui, T., Kakiuchi, N. & Ikehara, M.
Biologic activities of poly(2-azaadenylic acid) and poly(2-azainosinic acid).
Nucleic Acids Research, 4: 3643-3653 (1977).
145. Dudycz, L., Shugar, D., De Clercq, E. & Descamps, J.
Synthesis and determination of antiviral activity of 2'(3')-O-methyl derivatives of ribavirin [1-β-D-ribofuranosyl-1,2,4-triazole-3-carboxamide].
J. Med. Chem., 20: 1354-1356 (1977).
146. De Clercq, E. & Torrence, P.F.
Comparative study of various double-stranded RNAs as inducers of human interferon.
J. Gen. Virol., 37: 619-623 (1977).

147. Torrence, P.F. & De Clercq, E.
Inducers and induction of interferon.
Pharmacology and Therapeutics, Part A, Vol. 2: 1-88 (1977).
148. De Clercq, E.
Interferon induction by polynucleotides : structure-function relationship.
Texas Reports on Biology and Medicine, 35: 29-38 (1977).
149. De Clercq, E.
Novel polynucleotide inducers of human interferon.
Proceedings Symposium on the "Preparation, Standardization and Clinical Use of Interferon" of the Yugoslav Academy of Sciences and Arts, Zagreb, Yugoslavia, June 8-9, 1977, pp. 65-81 (1977).
150. De Clercq, E., Billiau, A., Ottenheijm, H.C.J. & Herscheid, J.D.M.
Antireverse transcriptase activity of gliotoxin analogs.
Biochem. Pharmacol., 27: 635-639 (1978).
151. Stollar, B.D., De Clercq, E., Drocourt, J.-L. & Thang, M.N.
Immunochemical measurement of conformational heterogeneity of poly(inosinic acid).
Eur. J. Biochem., 82: 339-346 (1978).
152. Torrence, P.F., Spencer, J.W., Bobst, A.M., Descamps, J. & De Clercq, E.
5-O-Alkylated derivatives of 5-hydroxy-2'-deoxyuridine as potential antiviral agents.
Anti-herpes activity of 5-propynyloxy-2'-deoxyuridine.
J. Med. Chem., 21: 228-231 (1978).
153. Content, J., Lebleu, B. & De Clercq, E.
Differential effects of various double-stranded RNAs on protein synthesis in rabbit reticulocyte lysates.
Biochemistry, 17: 88-94 (1978).
154. Janik, B., De Clercq, E. & Sommer, R.G.
Interferon inducing activity of (A)n.(U)n complexes of varying chain length.
Biochim. Biophys. Acta, 517: 269-273 (1978).
155. Lerner, A.M., Reed, S.E., De Clercq, E., Werner, G.H. & Eggers, H.J.
Chemotherapy of viral diseases.
In "Current Chemotherapy" (Proceedings of the Tenth International Congress of Chemotherapy, Zürich, Switzerland, 18-23 September, 1977), W. Siegenthaler & R. Lüthy (eds.). American Society for Microbiology, Washington, D.C., pp. 22-26 (1978).
156. De Clercq, E., Descamps, J., Torrence, P.F., Krajewska, E. & Shugar, D.
Antiviral activity of novel deoxyuridine derivatives.
In "Current Chemotherapy" (Proceedings of the Tenth International Congress of Chemotherapy, Zürich, Switzerland, 18-23 September, 1977), W. Siegenthaler & R. Lüthy (eds.). American Society for Microbiology, Washington, D.C., pp. 352-354 (1978).
157. Descamps, J. & De Clercq, E.
Broad-spectrum antiviral activity of pyrazofurin (pyrazomycin).
In "Current Chemotherapy" (Proceedings of the Tenth International Congress of Chemotherapy, Zürich, Switzerland, 18-23 September, 1977), W. Siegenthaler & R. Lüthy (eds.). American Society for Microbiology, Washington, D.C., pp. 354-357 (1978).

158. De Clercq, E., Descamps, J. & Shugar, D.
5-Propyl-2'-deoxyuridine : a specific anti-herpes agent.
Antimicrob. Agents Chemother., 13: 545-547 (1978).
159. De Clercq, E., Descamps, J., De Somer, P. & Holý, A.
(S)-9-(2,3-Dihydroxypropyl)adenine : an aliphatic nucleoside analog with broad spectrum antiviral activity.
Science, 200: 563-565 (1978).
160. De Clercq, E.
Increased resistance of trisomic-21 cells to virus replication: role of interferon.
Virology, 86: 276-280 (1978).
161. De Clercq, E., Descamps, J., Huang, G.-F. & Torrence, P.F.
5-Nitro-2'-deoxyuridine (and 5-nitro-2'-deoxyuridine-5'-monophosphate) : antiviral activity and in vivo inhibition of thymidylate synthetase.
Mol. Pharmacol., 14: 422-430 (1978).
162. De Clercq, E., Stollar, B.D. & Thang, M.N.
Interferon inducing activity of polyinosinic acid.
J. Gen. Virol., 40: 203-212 (1978).
163. Lebleu, B., Hubert, E., Content, J., De Wit, L., Braude, I.A. & De Clercq, E.
Translation of mouse interferon mRNA in Xenopus laevis oocytes and in rabbit reticulo-
cyte lysates.
Biochem. Biophys. Res. Commun., 82: 665-673 (1978).
164. De Clercq, E., Billiau, A., Edy, V.G., Kirk, K.L. & Cohen, L.A.
Antimetabolic activities of 2-fluoro-L-histidine.
Biochem. Biophys. Res. Commun., 82: 840-846 (1978).
165. Ottenheijm, H.C.J., Herscheid, J.D.M., Tijhuis, M.W., Oosterbaan, M. & De Clercq, E.
Gliotoxin analogues as inhibitors of reverse transcriptase. I. Effect of lipophilicity.
J. Med. Chem., 21: 796-799 (1978).
166. Ottenheijm, H.C.J., Herscheid, J.D.M., Tijhuis, M.W., Nivard, R.J.E., De Clercq, E. & Prick, P.A.J.
Gliotoxin analogues as inhibitors of reverse transcriptase.
II. Resolution and X-ray crystal structure determination.
J. Med. Chem., 21: 799-804 (1978).
167. De Clercq, E., Fukui, T., Kakiuchi, N. & Ikehara, M.
Interferon inducing activity of a 2'-modified double-stranded complex, poly(2'-azido-2'-
deoxyinosinic acid).poly(cytidylic acid).
J. Pharm. Dyn., 1: 62-65 (1978).
168. Krajewska, E., De Clercq, E. & Shugar, D.
Nucleoside-catabolizing enzyme activities in primary rabbit kidney cells and human skin
fibroblasts.
Biochem. Pharmacol., 27: 1421-1426 (1978).
169. De Clercq, E. & Torrence, P.F.
Nucleoside analogs with selective antiviral activity.
J. Carbohydrates.Nucleosides.Nucleotides, 5: 187-224 (1978).

170. De Clercq, E., Torrence, P.F., Stollar, B.D., Hobbs, J., Fukui, T., Kakiuchi, N. & Ikehara, M.
Interferon induction by a 2'-modified double-helical RNA, poly-(2'-azido-2'-deoxyinosinic acid).polycytidylic acid.
Eur. J. Biochem., 88: 341-349 (1978).
171. Walker, R.T., Barr, P.J., De Clercq, E., Descamps, J., Jones, A.S. & Serafinowski, P.
The synthesis and properties of some antiviral nucleosides.
Proceedings of the Fourth Symposium on the Chemistry of Nucleic Acid Components, held at Bechyne, Czechoslovakia, September 3-10, 1978.
Nucleic Acids Res., special publication, no. 4, s103-s106 (1978).
172. Mertes, M.P., Chang, C.T.-C., De Clercq, E., Huang, G.-F. & Torrence, P.F.
5-Nitro-2'-deoxyuridine 5'-monophosphate is a potent irreversible inhibitor of Lactobacillus caesi thymidylate synthetase.
Biochem. Biophys. Res. Commun., 84: 1054-1059 (1978).
173. De Clercq, E., Georgiades, J.A., Edy, V.G. & Sobis, H.
Effect of human and mouse interferon, and of polyriboinosinic acid.polyribocytidylic acid, on the growth of human fibrosarcoma and melanoma tumors in nude mice.
Eur. J. Cancer, 14: 1273-1282 (1978).
174. Szmigielski, S., Bielec, M., Janiak, M., Kobus, M., Luczak, M. & De Clercq, E.
Inhibition of tumor growth in mice by microwave hyperthermia, poly(I).poly(C) and mouse interferon.
IEEE (Institute of Electrical and Electronics Engineers) Transactions on Microwave Theory and Techniques, Vol. MTT-26: 520-522 (1978).
175. De Clercq, E.
Inhibition of oncornavirus activities by polynucleotide analogues.
In "Antiviral Mechanisms in the Control of Neoplasia" (ed. P. Chandra).
NATO Advanced Study Institute Series; Series A - Life Sciences, Plenum Press, New York, Vol. 20, pp. 539-551 (1979).
176. De Clercq, E.
Interferon induction by synthetic polynucleotides : recent developments.
In "Antiviral Mechanisms in the Control of Neoplasia" (ed. P. Chandra).
NATO Advanced Study Institute Series; Series A - Life Sciences, Plenum Press, New York, Vol. 20, pp. 641-661 (1979).
177. De Clercq, E., Descamps, J., Barr, P.J., Jones, A.S., Serafinowski, P., Walker, R.T., Huang, G.F., Torrence, P.F., Schmidt, C.L., Mertes, M.P., Kulikowski, T. & Shugar, D.
Comparative study of the potency and selectivity of anti-herpes compounds.
In "Antimetabolites in Biochemistry, Biology and Medicine", (eds. J. Skoda & P. Langen).
Pergamon Press, Oxford and New York, pp. 275-285 (1979).
178. Torrence, P.F., Huang, G.-F., Edwards, M., Bhooshan, B., Descamps, J. & De Clercq, E.
5-Substituted uracil arabinonucleosides as potential antiviral agents.
J. Med. Chem., 22: 316-319 (1979).
179. De Clercq, E.
Degradation of poly(inosinic acid).poly(cytidylic acid) [(I)n.(C)n] by human plasma.
Eur. J. Biochem., 93: 165-172 (1979).
180. De Clercq, E.
Anti-herpes chemotherapie.
Tijdschr. Geneesk., 35: 555-564 (1979).

181. De Clercq, E. & Holý, A.
Antiviral activity of aliphatic nucleoside analogues : structure-function relationship.
J. Med. Chem., 22: 510-513 (1979).
182. Kulikowski, T., Zawadzki, Z., Shugar, D., Descamps, J. & De Clercq, E.
Synthesis and antiviral activities of arabinofuranosyl-5-ethylpyrimidine nucleosides.
Selective anti-herpes activity of 1-(β -D-arabinofuranosyl)-5-ethyluracil.
J. Med. Chem., 22: 647-653 (1979).
183. Torrence, P.F., De Clercq, E., Descamps, J., Huang, G.-F. & Witkop, B.
Synthesis and antiviral activities of new 5-substituted pyrimidine nucleoside analogs.
In "Frontiers in Bioorganic Chemistry and Molecular Biology", Chapter IV (eds. Yu.A. Ovchinnikov & M.N. Kolosov).
Elsevier/North-Holland Biomedical Press (Amsterdam), pp. 59-85 (1979).
184. De Clercq, E.
5-Substituted 2'-deoxyuridines which selectively inhibit herpes simplex virus replication.
"Anti-Herpesvirus Chemotherapy : Experimental and Clinical Aspects" (ed. K.K. Gauri).
S. Karger AG (Basel).
Adv. Ophthalmol., 38: 204-213 (1979).
185. De Clercq, E.
Concluding Remarks.
"Anti-Herpesvirus Chemotherapy : Experimental and Clinical Aspects" (ed. K.K. Gauri).
S. Karger AG (Basel).
Adv. Ophthalmol., 38: 297-300 (1979).
186. De Clercq, E.
New trends in antiviral chemotherapy.
Arch. Intern. Physiol. Biochim., 87: 353-395 (1979).
187. De Clercq, E., Fukui, T., Kakiuchi, N., Ikehara, M., Hattori, M. & Pfeleiderer, W.
Influence of various 2- and 2'-substituted polyadenylic acids on Murine leukemia virus reverse transcriptase.
Cancer Letters, 7: 27-37 (1979).
188. De Clercq, E.
Antivirale Chemotherapie.
In "Medische Virologie" (tweede, herziene druk) (red. J.B. Wilterdink).
Bohn, Scheltema & Holkema, Utrecht, pp. 241-265 (1979).
189. De Clercq, E., Descamps, J., De Somer, P., Barr, P.J., Jones, A.S. & Walker, R.T.
E-5-(2-Bromovinyl)-2'-deoxyuridine : a potent and selective antiherpes agent.
Proc. Nat. Acad. Sci. USA, 76: 2947-2951 (1979).
190. Billiau, A., De Somer, P., Edy, V.G., De Clercq, E. & Heremans, H.
Human fibroblast interferon for clinical trials : pharmacokinetics and tolerability in experimental animals and humans.
Antimicrob. Agents Chemother., 16: 56-63 (1979).
191. De Clercq, E., Descamps, J., De Somer, P., Barr, P.J., Jones, A.S. & Walker, R.T.
Pharmacokinetics of E-5-(2-bromovinyl)-2'-deoxyuridine in mice.
Antimicrob. Agents Chemother., 16: 234-236 (1979).
192. Braude, I.A. & De Clercq, E.
Purification of mouse interferon by concert chromatography.
J. Chromatogr., 172: 207-219 (1979).

193. Braude, I. & De Clercq, E.
Mechanism of interaction of sodium dodecyl sulfate with mouse interferon.
J. Biol. Chem., 254: 7758-7764 (1979).
194. Braude, I.A., Edy, V.G. & De Clercq, E.
Mechanism of binding of mouse interferon to controlled pore glass.
Biochim. Biophys. Acta, 580: 15-23 (1979).
195. De Clercq, E., Descamps, J., Schmidt, C.L. & Mertes, M.P.
Antiviral activity of 5-methylthiomethyl-2'-deoxyuridine and other 5-substituted 2'-deoxyuridines.
Biochem. Pharmacol., 28: 3249-3254 (1979).
196. De Clercq, E.
Suramin : a potent inhibitor of the reverse transcriptase of RNA tumor viruses.
Cancer Letters, 8: 9-22 (1979).
197. Descamps, J., De Clercq, E., Barr, P.J., Jones, A.S., Walker, R.T., Torrence, P.F. & Shugar, D.
Relative potencies of different anti-herpes agents in the topical treatment of cutaneous herpes simplex virus infection of athymic nude mice.
Antimicrob. Agents Chemother., 16: 680-682 (1979).
198. De Clercq, E., Huang, G.-F., Bhooshan, B., Ledley, G. & Torrence, P.F.
Interferon induction by mismatched analogues of polyinosinic acid.polycytidylic acid [(I_n.U)_n.(C)_n].
Nucleic Acids Res., 7: 2003-2014 (1979).
199. Maudgal, P.C., De Clercq, E., Descamps, J. & Missotten, L.
Comparative evaluation of BVDU [(E)-5-(2-bromovinyl)-2'-deoxyuridine] and IDU (5-iodo-2'-deoxyuridine) in the treatment of experimental herpes simplex keratitis in rabbits.
Bull. Soc. Belge Ophtal., 186: 109-118 (1979).
200. Maudgal, P.C., De Clercq, E., Descamps, J., Missotten, L., De Somer, P., Busson, R., Vanderhaeghe, H., Verhelst, G., Walker, R.T. & Jones, A.S.
(E)-5-(2-Bromovinyl)-2'-deoxyuridine in the treatment of experimental herpes simplex keratitis.
Antimicrob. Agents Chemother., 17: 8-12 (1980).
201. De Clercq, E. & De Somer, P.
Local Shwartzman phenomenon in athymic nude mice.
Proc. Soc. Exp. Biol. Med., 164: 75-81 (1980).
202. De Clercq, E., Descamps, J., Verhelst, G., Walker, R.T., Jones, A.S., Torrence, P.F. & Shugar, D.
Comparative efficacy of different antiherpes drugs against different strains of herpes simplex virus.
J. Infect. Dis., 141: 563-574 (1980).
203. De Clercq, E., Stollar, B.D., Hobbs, J., Fukui, T., Kakiuchi, N. & Ikehara, M.
Interferon induction by two 2'-modified double-stranded RNAs : poly(2'-fluoro-2'-deoxyinosinic acid).poly(cytidylic acid) and poly(2'-chloro-2'-deoxyinosinic acid).poly(cytidylic acid).
Eur. J. Biochem., 107: 279-288 (1980).
204. Volckaert-Vervliet, G., De Clercq, E. & Billiau, A.
Interaction of polyriboinosinic acid.polyribocytidylic acid with human lymphoblastoid cells.
Biochem. Biophys. Res. Commun., 92: 833-838 (1980).

205. De Clercq, E.
Interferon inducers.
In "Virus Chemotherapy", F.E. Hahn (ed.), S. Karger AG (Basel).
Antibiotics Chemother., 27: 251-287 (1980).
206. De Clercq, E., Descamps, J., Verhelst, G., Jones, A.S. & Walker, R.T.
Antiviral activity of 5-(2-halogenovinyl)-2'-deoxyuridines.
In "Current Chemotherapy and Infectious Disease" (Proceedings of the Eleventh International Congress of Chemotherapy-Nineteenth Interscience Conference on Antimicrobial Agents and Chemotherapy, Boston, Massachusetts, USA, 1-5 October, 1979), J.D. Nelson & C. Grassi (eds.).
American Society for Microbiology, Washington, D.C., pp. 1372-1374 (1980).
207. Schmidt, C.L., Chang, C.T.-C., De Clercq, E., Descamps, J. & Mertes, M.P.
Synthesis of 5-[(methylthio)methyl]-2'-deoxyuridine, the corresponding sulfoxide and sulfone, and their 5'-phosphates : antiviral effects and thymidylate synthetase inhibition.
J. Med. Chem., 23: 252-256 (1980).
208. Anné, J., De Clercq, E., Eyssen, H. & Dann, O.
Antifungal and antibacterial activity of diarylamidine derivatives.
Antimicrob. Agents Chemother., 18: 231-239 (1980).
209. De Clercq, E. & Dann, O.
Diaryl amidine derivatives as oncornaviral DNA polymerase inhibitors.
J. Med. Chem., 23: 787-795 (1980).
210. Park, J.S., Chang, C.T.-C., Schmidt, C.L., Golander, Y., De Clercq, E., Descamps, J. & Mertes, M.P.
Oxime and dithiolane derivatives of 5-formyl-2'-deoxyuridine and their 5'-phosphates : antiviral effects and thymidylate synthetase inhibition.
J. Med. Chem., 23: 661-665 (1980).
211. De Clercq, E.
a) Nieuwe perspectieven in de chemotherapie van herpesvirus infecties.
Ars Medici (Internationaal Tijdschrift voor Praktische Therapie), 9: 337-352 (1980).
b) Nouvelles perspectives dans la chimiothérapie des infections à virus herpétiques.
Ars Medici (Revue Internationale de Thérapie Pratique), 35: 649-664 (1980).
212. De Clercq, E., Balzarini, J., Descamps, J. & Eckstein, F.
Antiviral, antimetabolic and antineoplastic activities of 2'- or 3'-amino- or azido-substituted deoxyribonucleosides.
Biochem. Pharmacol., 29: 1849-1851 (1980).
213. De Clercq, E.
Antivirale Chemotherapie.
Belgian Medical Year Book 1980 : 236-243 (1980).
214. De Clercq, E.
Bromovinyldeoxyuridine.
Drugs of the Future, 5: 334-336 (1980).
215. Content, J., Johnston, M.I., De Wit, L., De Maeyer-Guignard, J. & De Clercq, E.
Kinetics and distribution of interferon mRNA in interferon-primed and unprimed mouse L-929 cells.
Biochem. Biophys. Res. Commun., 96: 415-424 (1980).

216. De Clercq, E., Kulikowski, T. & Shugar, D.
The 5'-monophosphates of 5-propyl- and 5-ethyl-2'-deoxyuridine do not inhibit the replication of deoxythymidine kinase deficient (TK⁻) mutants of herpes simplex virus.
Biochem. Pharmacol., 29: 2883-2885 (1980).
217. De Clercq, E.
Antiviral and antitumor activities of 5-substituted 2'-deoxyuridines.
Methods and Findings in Experimental and Clinical Pharmacology, 2: 253-267 (1980).
218. Walker, R.T., Jones, A.S., De Clercq, E., Descamps, J., Allaudeen, H.S. & Kozarich, J.W.
The synthesis and properties of some 5-substituted uracil derivatives.
Nucleic Acids Res., Symposium Series, no. 8: s95-s102 (1980).
219. Derynck, R., Content, J., De Clercq, E., Volckaert, G., Tavernier, J., Devos, R. & Fiers, W.
Isolation and structure of a human fibroblast interferon gene.
Nature, 285: 542-547 (1980).
220. Derynck, R., Remaut, E., Saman, E., Stanssens, P., De Clercq, E., Content, J. & Fiers, W.
Expression of the human fibroblast interferon gene in *Escherichia coli*.
Nature, 287: 193-197 (1980).
221. De Clercq, E., Descamps, J., De Somer, P., Samyn, C. & Smets, G.
Alopecia in laboratory animals induced by a polyampholyte, polyethylene alanine.
Experientia, 36: 1107-1108 (1980).
222. De Clercq, E., Degreef, H., Wildiers, J., De Jonge, G., Drochmans, A., Descamps, J. & De Somer, P.
Oral (E)-5-(2-bromovinyl)-2'-deoxyuridine in severe herpes zoster infections.
Brit. Med. J., 281: 1178 (1980).
223. Braude, I.A., De Clercq, E., Zhang, Z.-X., Edy, V.G. & De Somer, P.
Neutralization of interferon activity in homologous and heterologous cells with homologous and heterologous antibody.
Proc. Soc. Exp. Biol. Med., 165: 161-166 (1980).
224. Holý, A. & De Clercq, E.
Preparation, spectral properties and biological activities of 5-bromo-6-methyl-2'-deoxyuridine and 5-iodo-6-methyl-2'-deoxyuridine.
Collect. Czech. Chem. Commun., 45: 2364-2370 (1980).
225. De Clercq, E., Descamps, J., Maudgal, P.C., Missotten, L., Leyten, R., Verhelst, G., Jones, A.S., Walker, R.T., Busson, R., Vanderhaeghe, H. & De Somer, P.
Selective anti-herpes activity of 5-(2-halogenovinyl)-2'-deoxyuridines and 2'-deoxycytidines.
In "Developments in Antiviral Therapy", L.H. Collier & J. Oxford (eds.). Academic Press, Inc., London, pp. 21-42 (1980).
226. Johnston, M.I., Zoon, K.C., Friedman, R.M., De Clercq, E. & Torrence, P.F.
Oligo(2'-5')adenylate synthetase activity in human lymphoblastoid cells.
Biochem. Biophys. Res. Commun., 97: 375-383 (1980).
227. De Clercq, E., Balzarini, J., Chang, C.T.-C., Bigge, C.F., Kalaritis, P. & Mertes, M.P.
5(E)-(3-Azidostyryl)-2'-deoxyuridine 5'-phosphate is a photoactivated inhibitor of thymidylate synthetase.
Biochem. Biophys. Res. Commun., 97: 1068-1075 (1980).

228. Maudgal, P.C., Missotten, L., De Clercq, E. & Descamps, J.
Varicella zoster virus in the human corneal endothelium : a case report.
Bull. Soc. Belge Ophtal., 190: 71-86 (1980).
229. De Clercq, E., Balzarini, J., Descamps, J., Bigge, C.F., Chang, C.T.-C., Kalaritis, P. & Mertes, M.P.
Antiviral, antitumor, and thymidylate synthetase inhibition studies of 5-substituted styryl derivatives of 2'-deoxyuridine and their 5'-phosphates.
Biochem. Pharmacol., 30: 495-502 (1981).
230. De Clercq, E., Heremans, H., Descamps, J., Verhelst, G., De Ley, M. & Billiau, A.
Effects of E-5-(2-bromovinyl)-2'-deoxyuridine and other selective anti-herpes compounds on the induction of retrovirus particles in mouse BALB/3T3 cells.
Mol. Pharmacol., 19: 122-129 (1981).
231. De Clercq, E., Balzarini, J., Torrence, P.F., Mertes, M.P., Schmidt, C.L., Shugar, D., Barr, P.J., Jones, A.S., Verhelst, G. & Walker, R.T.
Thymidylate synthetase as target enzyme for the inhibitory activity of 5-substituted 2'-deoxyuridines on mouse leukemia L-1210 cell growth.
Mol. Pharmacol., 19: 321-330 (1981).
232. De Clercq, E.
Interferon.
Belgian Medical Year Book 1981 : 194-199 (1981).
233. De Clercq, E.
Genetische manipulatie en interferon.
Onze Alma Mater (Driemaandelijks Tijdschrift van Vlaamse Leergangen te Leuven), 35: 19-49 (1981).
234. De Clercq, E. & Descamps, J.
On the mechanism of anti-herpes action of E-5-(2-bromovinyl)-2'-deoxyuridine.
In "Herpetic Eye Diseases" (Proceedings of the International Symposium of the German Ophthalmologic Society on Herpetic Eye Diseases, held in Freiburg im Breisgau, 12-14 April 1980), R. Sundmacher (ed.).
J.F. Bergmann-Verlag (München), pp. 329-338 (1981).
235. Maudgal, P.C., De Clercq, E., Descamps, J. & Missotten, L.
Efficacy of E-5-(2-bromovinyl)-2'-deoxyuridine in the topical treatment of herpetic keratitis in rabbits and man.
In "Herpetic Eye Diseases" (Proceedings of the International Symposium of the German Ophthalmologic Society on Herpetic Eye Diseases, held in Freiburg im Breisgau, 12-14 April 1980), R. Sundmacher (ed.).
J.F. Bergmann-Verlag (München), pp. 339-341 (1981).
236. Maudgal, P.C., Missotten, L., De Clercq, E., Descamps, J. & De Meuter, E.
Efficacy of (E)-5-(2-bromovinyl)-2'-deoxyuridine in the topical treatment of herpes simplex keratitis.
Albrecht von Graefes Arch. Klin. Ophthalmol., 216: 261-268 (1981).
237. Vandenbussche, P., Divizia, M., Verhaegen-Lewalle, M., Fuse, A., Kuwata, T., De Clercq, E. & Content, J.
Enzymatic activities induced by interferon in human fibroblast cell lines differing in their sensitivity to the anticellular activity of interferon.
Virology, 111: 11-22 (1981).
238. Huang, G.-F., Okada, M., De Clercq, E. & Torrence, P.F.
Synthesis and antiviral activity of 5-[(cyanomethylene)oxy]-2'-deoxyuridine.
J. Med. Chem., 24: 390-393 (1981).

239. Jones, A.S., Rahim, S.G., Walker, R.T. & De Clercq, E.
Synthesis and antiviral properties of (Z)-5-(2-bromovinyl)-2'-deoxyuridine.
J. Med. Chem., 24: 759-760 (1981).
240. De Clercq, E.
Interferon Anno 1980.
In "Antiviral Chemotherapy : Design of Inhibitors of Viral Functions" (Proceedings of the Second International Symposium on Antiviral Chemotherapy, Hamburg, 27-29 August, 1980), K.K. Gauri (ed.).
Academic Press, Inc. (New York), pp. 279-298 (1981).
241. Allaudeen, H.S., Kozarich, J.W., Bertino, J.R. & De Clercq, E.
A biochemical mechanism for selective inhibition of herpesvirus replication by (E)-5-(2-bromovinyl)-2'-deoxyuridine.
In "Antiviral Chemotherapy : Design of Inhibitors of Viral Functions" (Proceedings of the Second International Symposium on Antiviral Chemotherapy, Hamburg, 27-29 August, 1980), K.K. Gauri (ed.).
Academic Press, Inc. (New York), pp. 89-98 (1981).
242. De Clercq, E., Zhang, Z.-X., Descamps, J. & Huygen, K.
E-5-(2-Bromovinyl)-2'-deoxyuridine vs. interferon in the systemic treatment of herpes simplex virus infection of athymic nude mice.
J. Infect. Dis., 143: 846-852 (1981).
243. Allaudeen, H.S., Kozarich, J.W., Bertino, J.R. & De Clercq, E.
On the mechanism of selective inhibition of herpesvirus replication by (E)-5-(2-bromovinyl)-2'-deoxyuridine.
Proc. Nat. Acad. Sci. USA, 78: 2698-2702 (1981).
244. De Clercq, E., Leyten, R., Sobis, H., Matousek, J., Holý, A. & De Somer, P.
Inhibitory effect of a broad-spectrum antiviral agent, (S)-9-(2,3-dihydroxypropyl)-adenine, on spermatogenesis in mice.
Toxicol. Appl. Pharmacol., 59: 441-451 (1981).
245. Descamps, J. & De Clercq, E.
Specific phosphorylation of E-5-(2-iodovinyl)-2'-deoxyuridine by herpes simplex virus-infected cells.
J. Biol. Chem., 256: 5973-5976 (1981).
246. De Clercq, E.
Therapeutic potentials of BVDU [E-5-(2-bromovinyl)-2'-deoxyuridine] as an antiherpes drug.
Chemioterapia Antimicrobica, 4: 70-75 (1981).
247. Chandra, P., Demirhan, I. & De Clercq, E.
A study of antitemplate inhibition of mammalian, bacterial and viral DNA polymerases by 2- and 2'-substituted derivatives of polyadenylic acid.
Cancer Letters, 12: 181-193 (1981).
248. Cheng, Y.-C., Dutschman, G., De Clercq, E., Jones, A.S., Rahim, S.G., Verhelst, G. & Walker, R.T.
Differential affinities of 5-(2-halogenovinyl)-2'-deoxyuridines for deoxythymidine kinases of various origins.
Mol. Pharmacol., 20: 230-233 (1981).

249. Huygen, K., Zhang, Z.-X., De Clercq, E. & Palfliet, K.
Anticellular and antiviral effects of semi-purified murine gamma-interferon.
In "The Biology of the Interferon System", E. De Maeyer, G. Galasso & H. Schellekens (eds.). Elsevier/North-Holland Biomedical Press, Amsterdam, pp. 307-311 (1981).
250. De Clercq, E., Zhang, Z.-X. & Huygen, K.
Antiviral potentials of interferon as opposed to other antiviral agents.
In "The Biology of the Interferon System", E. De Maeyer, G. Galasso & H. Schellekens (eds.). Elsevier/North-Holland Biomedical Press, Amsterdam, pp. 375-380 (1981).
251. Walker, R.T., Jones, A.S., Rahim, S.G., Serafinowski, P. & De Clercq, E.
The synthesis and properties of some 5-substituted uracil derivatives.
Nucleic Acids Res., Symposium Series no. 9: 21-24 (1981).
252. Busson, R., Colla, L., Vanderhaeghe, H. & De Clercq, E.
Synthesis and antiviral activity of some sugar-modified derivatives of (E)-5-(2-bromovinyl)-2'-deoxyuridine.
Nucleic Acids Res., Symposium Series no. 9: 49-52 (1981).
253. Kulikowski, T., Zawadzki, Z., Shugar, D. & De Clercq, E.
Pyrimidine arabinofuranosyl nucleosides with 5-substituted long-branched and unsaturated chains : synthesis and antiherpes properties.
Nucleic Acids Res., Symposium Series no. 9: 103-106 (1981).
254. Cassiman, J.J., De Clercq, E., Jones, A.S., Walker, R.T. & Van den Berghe, H.
Sister chromatid exchange induced by anti-herpes drugs.
Brit. Med. J., 283: 817-818 (1981).
255. De Clercq, E.
Antivirale werking van nucleoside analoga.
Tijdschr. Geneesk., 37: 1045-1057 (1981).
256. Field, H.J. & De Clercq, E.
Effects of oral treatment with acyclovir and bromovinyldeoxyuridine on the establishment and maintenance of latent herpes simplex virus infection in mice.
J. Gen. Virol., 56: 259-265 (1981).
257. De Clercq, E.
Interferon induction by polynucleotides, modified polynucleotides and polycarboxylates.
In "Methods in Enzymology", S.P. Colowick & N.O. Kaplan (eds.). Volume 78, "Interferons", S. Pestka (ed.).
Academic Press, Inc., (New York), Part A, pp. 227-236 (1981).
258. Torrence, P.F. & De Clercq, E.
Interferon inducers : general survey and classification.
In "Methods in Enzymology", S.P. Colowick & N.O. Kaplan (eds.). Volume 78, "Interferons", S. Pestka (ed.).
Academic Press, Inc., (New York), Part A, pp. 291-299 (1981).
259. Content, J., De Wit, L., Johnston, M.I. & De Clercq, E.
Procedures for the measurement of interferon mRNA distribution in induced mouse cells.
In "Methods in Enzymology", S.P. Colowick & N.O. Kaplan (eds.). Volume 78, "Interferons", S. Pestka (ed.).
Academic Press, Inc., (New York), Part B, pp. 125-131 (1981).
260. De Clercq, E.
Nucleoside analogues as antiviral agents.
Acta Microbiol. Acad. Sci. Hung., 28: 289-306 (1981).

261. De Clercq, E., Verhelst, G., Descamps, J. & Bergstrom, D.E.
Differential inhibition of herpes simplex viruses, type 1 (HSV-1) and type 2 (HSV-2), by (E)-5-(2-X-vinyl)-2'-deoxyuridines.
Acta Microbiol. Acad. Sci. Hung., 28: 307-312 (1981).
262. Baglioni, C., Minks, M.A. & De Clercq, E.
Structural requirements of polynucleotides for the activation of (2'-5')A_n polymerase and protein kinase.
Nucleic Acids Res., 9: 4939-4950 (1981).
263. Bobst, A.M., Langemeier, P.W., Torrence, P.F. & De Clercq, E.
Interferon induction by poly(inosinic acid).poly(cytidylic acid) segmented by spin-labels.
Biochemistry, 20: 4798-4803 (1981).
264. Hettinger, M.E., Pavan-Langston, D., Park, N.-H., Albert, D.M., De Clercq, E. & Lin, T.-S.
Ac₂IDU, BVDU, and thymine arabinoside therapy in experimental herpes keratitis.
Arch. Ophthalmol., 99: 1618-1621 (1981).
265. Maudgal, P.C., Dralands, L., Lamberts, L., De Clercq, E., Descamps, J. & Missotten, L.
Preliminary results of oral BVDU treatment of herpes zoster ophthalmicus.
Bull. Soc. Belge Ophthalmol., 193: 49-56 (1981).
266. Derynck, R., Devos, R., Remaut, E., Saman, E., Stanssens, P., Tavernier, J., Volckaert, G., Content, J., De Clercq, E. & Fiers, W.
Isolation and characterization of a human fibroblast interferon gene and its expression in *Escherichia coli*.
Reviews of Infectious Diseases, 3: 1186-1195 (1981).
267. De Clercq, E., Descamps, J., Ogata, M. & Shigeta, S.
In vitro susceptibility of varicella-zoster virus to E-5(-2-bromovinyl)-2'-deoxyuridine and related compounds.
Antimicrob. Agents Chemother., 21: 33-38 (1982).
268. Wigdahl, B.L., Isom, H.C., De Clercq, E. & Rapp, F.
Activation of herpes simplex virus (HSV) type 1 genome by temperature-sensitive mutants of HSV type 2.
Virology, 116: 468-479 (1982).
269. De Clercq, E.
Effects of interferon on human tumor cell growth in nude mice.
In "The Nude Mouse in Experimental and Clinical Research", Vol. 2, J. Fogh & B.C. Giovanella (eds.). Academic Press, Inc., New York, pp. 439-449 (1982).
270. Allaudeen, H.S., Chen, M.S., Lee, J.J., De Clercq, E. & Prusoff, W.H.
Incorporation of E-5-(2-halovinyl)-2'-deoxyuridines into deoxyribonucleic acids of herpes simplex virus type 1-infected cells.
J. Biol. Chem., 257: 603-606 (1982).
271. De Clercq, E., Balzarini, J., Descamps, J., Huang, G.-F., Torrence, P.F., Bergstrom, D.E., Jones, A.S., Serafinowski, P., Verhelst, G. & Walker, R.T.
Antiviral, antimetabolic, and cytotoxic activities of 5-substituted 2'-deoxycytidines.
Mol. Pharmacol., 21: 217-223 (1982).
272. Kakiuchi, N., Marck, C., Rousseau, N., Leng, M., De Clercq, E. & Guschlbauer, W.
Polynucleotide helix geometry and stability : spectroscopic, antigenic and interferon-inducing properties of deoxyribose-, ribose-, or 2'-deoxy-2'-fluororibose-containing duplexes of poly(inosinic acid).poly(cytidylic acid).
J. Biol. Chem., 257: 1924-1928 (1982).

273. Balzarini, J., De Clercq, E., Torrence, P.F., Mertes, M.P., Park, J.S., Schmidt, C.L., Shugar, D., Barr, P.J., Jones, A.S., Verhelst, G. & Walker, R.T.
Role of thymidine kinase in the inhibitory activity of 5-substituted 2'-deoxyuridines on the growth of human and murine tumor cell lines.
Biochem. Pharmacol., 31: 1089-1095 (1982).
274. Maudgal, P.C., De Clercq, E., Descamps, J., Missotten, L. & Wijnhoven, J.
Experimental stromal herpes simplex keratitis. Influence of treatment with topical bromovinyldeoxyuridine and trifluridine.
Arch. Ophthalmol., 100: 653-656 (1982).
275. De Clercq, E. & Zhang, Z.-X.
Differential effects of (E)-5-(2-bromovinyl)-2'-deoxyuridine on infections with herpes simplex virus type 1 and type 2 in hairless mice.
J. Infect. Dis., 145: 130 (1982).
276. Verhaegen-Lewalle, M., Kuwata, T., Zhang, Z.-X., De Clercq, E., Cantell, K. & Content, J.
2-5A Synthetase activity induced by interferon α , β and γ in human cell lines differing in their sensitivity to the anticellular and antiviral activities of these interferons.
Virology, 117: 425-434 (1982).
277. Holý, A., Votruba, I. & De Clercq, E.
Synthesis and antiviral activity of stereoisomeric eritadenines.
Collect. Czech. Chem. Commun., 47: 1392-1407 (1982).
278. De Clercq, E.
Comparative efficacy of antiherpes drugs in different cell lines.
Antimicrob. Agents Chemother., 21: 661-663 (1982).
279. Content, J., De Wit, L., Piérard, D., Derynck, R., De Clercq, E. & Fiers, W.
Secretory proteins induced in human fibroblasts under conditions used for the production of interferon β .
Proc. Nat. Acad. Sci. USA, 79: 2768-2772 (1982).
280. De Clercq, E., Zhang, Z.-X. & Huygen, K.
Synergism in the antitumor effects of type I and type II interferon in mice inoculated with leukemia L1210 cells.
Cancer Letters, 15: 223-228 (1982).
281. Maudgal, P.C., De Kimpe, N., De Clercq, E., Descamps, J., Missotten, L. & Geysen, A.
Influence of (E)-5-(2-bromovinyl)-2'-deoxyuridine on corneal epithelium healing.
Graefe's Arch. Clin. Exp. Ophthalmol., 218: 275-281 (1982).
282. Park, N.-H., Pavan-Langston, D., Boisjoly, H.M. & De Clercq, E.
Chemotherapeutic efficacy of E-5-(2-bromovinyl)-2'-deoxyuridine for orofacial infection with herpes simplex virus type 1 in mice.
J. Infect. Dis., 145: 909-913 (1982).
283. Park, N.-H., Pavan-Langston, D. & De Clercq, E.
Effects of acyclovir, bromovinyldeoxyuridine, vidarabine and L-lysine on latent ganglionic herpes simplex virus in vitro.
Am. J. Med., 73: 151-154 (1982).
284. Fukui, T. & De Clercq, E.
Inhibition of murine leukaemia virus reverse transcriptase by 2-halogenated polyadenylic acids.
Biochem. J., 203: 755-760 (1982).

285. De Clercq, E.
Interferon : a molecule for all seasons.
In "Virus Infections : Modern Concepts and Status", L.C. Olson (ed.).
Marcel Dekker, Inc., New York, pp. 87-138 (1982).
286. Maudgal, P.C., Uyttebroeck, W., De Clercq, E. & Missotten, L.
Oral and topical treatment of experimental herpes simplex iritis with bromovinyldeoxyuridine.
Arch. Ophthalmol., 100: 1337-1340 (1982).
287. Wigdahl, B.L., Scheck, A.C., De Clercq, E. & Rapp, F.
High efficiency latency and activation of herpes simplex virus in human cells.
Science, 217: 1145-1146 (1982).
288. Zhang, Z.-X., De Clercq, E., Heremans, H., Verhaegen-Lewalle, M. & Content, J.
Antiviral and anticellular activities of human and murine type I and type II interferons in human cells monosomic, disomic, and trisomic for chromosome 21.
Proc. Soc. Exp. Biol. Med., 170: 103-111 (1982).
289. De Clercq, E. & Torrence, P.F.
Structure-activity relationships for interferon induction and inhibition of protein synthesis by polynucleotides.
Texas Reports on Biology and Medicine, 41: 76-83 (1982).
290. De Clercq, E., Whitley, R.J., Helgstrand, E., Keeney, R.E., Crumpacker, C., Young, C.W., Kern, E.R. & Galasso, G.J.
Antiherpes chemotherapy.
In "Current Chemotherapy and Immunotherapy" (Proceedings of the Twelfth International Congress of Chemotherapy, Florence, Italy, 19-24 July 1981), P. Periti & G.G. Grassi (eds.).
American Society for Microbiology, Washington, D.C., pp. 1053-1059 (1982).
291. De Clercq, E., Busson, R., Colla, L., Descamps, J., Balzarini, J. & Vanderhaeghe, H.
Antiviral activity of sugar-modified derivatives of (E)-5-(2-bromovinyl)-2'-deoxyuridine.
In "Current Chemotherapy and Immunotherapy" (Proceedings of the Twelfth International Congress of Chemotherapy, Florence, Italy, 19-24 July 1981), P. Periti & G.G. Grassi (eds.).
American Society for Microbiology, Washington, D.C., pp. 1062-1064 (1982).
292. Marmer, D.J., Steele, R.W. & De Clercq, E.
Comparative in vitro immunotoxicology of (E)-5-(2-bromovinyl)-2'-deoxyuridine and other antiviral agents.
In "Current Chemotherapy and Immunotherapy" (Proceedings of the Twelfth International Congress of Chemotherapy, Florence, Italy, 19-24 July 1981), P. Periti & G.G. Grassi (eds.).
American Society for Microbiology, Washington, D.C., pp. 1065-1066 (1982).
293. Balzarini, J. & De Clercq, E.
Role of thymidine kinase in the inhibitory activity of 5-substituted 2'-deoxyuridines on the growth of murine leukemia cell lines.
In "Current Chemotherapy and Immunotherapy" (Proceedings of the Twelfth International Congress of Chemotherapy, Florence, Italy, 19-24 July 1981), P. Periti & G.G. Grassi (eds.).
American Society for Microbiology, Washington, D.C., pp. 1316-1319 (1982).

294. De Clercq, E., Zhang, Z.-X. & Sim, I.S.
Treatment of experimental herpes simplex virus encephalitis with (E)-5-(2-bromovinyl)-2'-deoxyuridine.
Antimicrob. Agents Chemother., 22: 421-425 (1982).
295. Shigeta, S., Yokota, T., Takami, Z., Konno, K., Iwabuchi, T., Baba, M., Ogata, M., Suzuki, H., Nagao, S. & De Clercq, E.
Comparative efficacy of different antiherpes drugs against different strains of varicella-zoster virus (in Japanese).
Jap. Med. J., 30: 23-28 (1982).
296. De Clercq, E.
Antiviral activity of pyrimidine nucleoside analogs : a structure-function analysis.
Proceedings of the 4th International Round Table on Nucleosides, Nucleotides and their Biological Applications (held in Antwerp, Belgium, on 4-6 February, 1981), F.C. Alderweireldt & E.L. Esmans (eds.), The University of Antwerp (R.U.C.A.), pp. 25-45 (1982).
297. Balzarini, J. & De Clercq, E.
Structure-function relationship of the antitumor cell activity of pyrimidine and pyridine derivatives.
Proceedings of the 4th International Round Table on Nucleosides, Nucleotides and their Biological Applications (held in Antwerp, Belgium, on 4-6 February, 1981), F.C. Alderweireldt & E.L. Esmans (eds.), The University of Antwerp (R.U.C.A.), pp. 275-291 (1982).
298. Rahim, S.G., Duggan, M.J.H., Walker, R.T., Jones, A.S., Dyer, R.L., Balzarini, J. & De Clercq, E.
Synthesis and biological properties of 2'-deoxy-5-vinyluridine and 2'-deoxy-5-vinylcytidine.
Nucleic Acids Res., 10: 5285-5295 (1982).
299. De Clercq, E.
Specific targets for antiviral drugs.
Biochem. J., 205: 1-13 (1982).
300. Weinmaster, G.A., Misra, V., McGuire, R., Babiuk, L.A. & De Clercq, E.
Bovine herpes virus type-1 (infectious bovine rhinotracheitis virus)-induced thymidine kinase.
Virology, 118: 191-201 (1982).
301. Shigeta, S., Yokota, T., Ogata, M., Abe, K. & De Clercq, E.
Comparative efficacy of antiherpes drugs against different strains of varicella-zoster virus.
In "Herpesvirus : Clinical, Pharmacological and Basic Aspects" (Proceedings of an International Symposium, held in Tokushima City, Japan, on 27-30 July, 1981), H. Shiota, Y.-C. Cheng & W.H. Prusoff (eds.). *Excerpta Medica* (Amsterdam), pp. 94-97 (1982).
302. De Clercq, E., Zhang, Z.-X., Huygen, K. & Leyten, R.
Inhibitory effect of interferon on the growth of spontaneous mammary tumors in mice.
J. Nat. Cancer Inst., 69: 653-657 (1982).
303. Descamps, J., Sehgal, R.K., De Clercq, E. & Allaudeen, H.S.
Inhibitory effect of (E)-5-(2-bromovinyl)-1-β-D-arabinofuranosyluracil on herpes simplex virus replication and DNA synthesis.
J. Virol., 43: 332-336 (1982).

304. Fukui, T., De Clercq, E., Kakiuchi, N. & Ikehara, M.
Template activity of poly-(2'-fluoro-2'-deoxyinosinic acid) for murine leukemia virus reverse transcriptase.
Cancer Letters, 16: 129-135 (1982).
305. Balzarini, J., De Clercq, E., Mertes, M.P., Shugar, D. & Torrence, P.F.
5-Substituted 2'-deoxyuridines : correlation between inhibition of tumor cell growth and inhibition of thymidine kinase and thymidylate synthetase.
Biochem. Pharmacol., 22: 3673-3682 (1982).
306. Content, J., De Wit, L., Derynck, R., De Clercq, E. & Fiers, W.
In vitro cotranslational processing of human pre-interferon β_1 enhances its biological activity.
Virology, 122: 466-470 (1982).
307. De Clercq, E.
Design of nucleoside, oligonucleotide and polynucleotide analogues as antiviral agents.
Nucleic Acids Res., Symposium Series no. 11: 203-206 (1982).
308. Walker, R.T., Balzarini, J., Coe, P.L., De Clercq, E., Harnden, M.R., Jones, A.S., Noble, S.A. & Rahim, S.G.
The synthesis and properties of some 5-substituted pyrimidine derivatives of potential biological interest.
Nucleic Acids Res., Symposium Series no. 11: 215-218 (1982).
309. De Clercq, E.
Selective antiherpes agents.
Trends in Pharmacological Sciences, 3: 492-495 (1982).
310. Colla, L., Busson, R., De Clercq, E. & Vanderhaeghe, H.
Synthesis of aliphatic nucleoside analogues with potential antiviral activity.
Eur. J. Med. Chem., 17: 569-576 (1982).
311. Fiers, W., Degrave, W., Derynck, R., Devos, R., Gheysen, D., Remaut, E., Stanssens, P., Tavernier, J., Content, J. & De Clercq, E.
The human fibroblast interferon gene(s) and their expression in heterologous cells.
In "Primary and Tertiary Structure of Nucleic Acids and Cancer Research", M. Miwa et al. (eds.).
Japan Sci. Soc. Press, Tokyo, pp. 227-236 (1982).
312. Holý, A., Votruba, I. & De Clercq, E.
S-Adenosyl-L-homocysteine hydrolase and antiviral activity : structure-activity relationship in the series of aliphatic nucleoside analogues.
In "Metabolism and Enzymology of Nucleic Acids" (Proceedings of the Fourth International Symposium on Metabolism and Enzymology of Nucleic Acids, Smolenice, Czechoslovakia, 8-11 June, 1981), J. Zelinka & J. Balan (eds.).
Publishing House of the Slovak Academy of Sciences, Bratislava, pp. 111-118 (1982).
313. Balzarini, J. & De Clercq, E.
Role of deoxycytidine kinase in the inhibitory activity of 5-substituted 2'-deoxycytidines and cytosine arabinosides on tumor cell growth.
Mol. Pharmacol., 23: 175-181 (1983).
314. Mancini, W.R., De Clercq, E. & Prusoff, W.H.
The relationship between incorporation of E-5-(2-bromovinyl)-2'-deoxyuridine into herpes simplex virus type 1 DNA with virus infectivity and DNA integrity.
J. Biol. Chem., 258: 792-795 (1983).

315. Mincher, D.J., Shaw, G. & De Clercq, E.
Anthracyclonones. Part 1. A versatile synthesis of the anthracyclonone system using a chiral template derived from a carbohydrate.
J. Chem. Soc. Perkin Trans. I, 613-618 (1983).
316. Votruba, I., Holý, A. & De Clercq, E.
Metabolism of the broad-spectrum antiviral agent, 9-(S)-(2,3-dihydroxypropyl)adenine, in different cell lines.
Acta Virol., 27: 273-276 (1983).
317. Colla, L., De Clercq, E., Busson, R. & Vanderhaeghe, H.
Synthesis and antiviral activity of water-soluble esters of acyclovir [9-(2-hydroxyethoxymethyl)guanine].
J. Med. Chem., 26: 602-604 (1983).
318. Shigeta, S., Yokota, T., Iwabuchi, T., Baba, M., Konno, K., Ogata, M. & De Clercq, E.
Comparative efficacy of antiherpes drugs against various strains of varicella-zoster virus.
J. Infect. Dis., 147: 576-584 (1983).
319. De Clercq, E., Descamps, J., Balzarini, J., Giziwicz, J., Barr, P.J. & Robins, M.J.
Nucleic acid related compounds. 40. Synthesis and biological activities of 5-alkynyluracil nucleosides.
J. Med. Chem., 26: 661-666 (1983).
320. Park, N.-H., Pavan-Langston, D. & De Clercq, E.
Efficacy of (E)-5-(2-bromovinyl)-2'-deoxyuridine in the treatment of experimental herpes simplex virus encephalitis in mice.
Antiviral Res., 3: 7-15 (1983).
321. De Clercq, E. & Montgomery, J.A.
Broad-spectrum antiviral activity of the carbocyclic analog of 3-deazaadenosine.
Antiviral Res., 3: 17-24 (1983).
322. Bobst, A.M., Ozinskas, A.J. & De Clercq, E.
Synthesis and biological activities of C(5)-N-spin-labeled uridines and related derivatives.
Helv. Chim. Acta, 66: 534-541 (1983).
323. Bussereau, F., Chermann, J.-C., De Clercq, E. & Hannoun, C.
Search for compounds with an inhibitory effect on rhabdovirus multiplication in vitro.
Ann. Virol., 134: 127-134 (1983).
324. Huygen, K., Zhang, Z.-X. & De Clercq, E.
Failure of athymic-nude mice sensitized with Bacillus Calmette-Guérin to produce interferon in response to purified protein derivative.
Proc. Soc. Exp. Biol. Med., 172: 260-264 (1983).
325. Cassiman, J.J., De Clercq, E. & Van den Berghe, H.
Induction of sister chromatid exchange by 5-substituted 2'-deoxyuridines.
Mutation Res., 117: 317-327 (1983).
326. De Clercq, E., Descamps, J., Balzarini, J., Fukui, T. & Allaudeen, H.S.
Antiviral activity of the 3'-amino derivative of (E)-5-(2-bromovinyl)-2'-deoxyuridine.
Biochem. J., 211: 439-445 (1983).
327. Wang, X., Lescott, T., De Clercq, E. & Kelly, D.C.
Baculovirus replication : inhibition of Trichoplusia ni multiple nuclear polyhedrosis virus by (E)-5-(2-bromovinyl)-2'-deoxyuridine.
J. Gen. Virol., 64: 1221-1227 (1983).

328. Babiuk, L.A., Acres, S.D., Misra, V., Stockdale, P.H.G. & De Clercq, E.
Susceptibility of bovid herpesvirus 1 to antiviral drugs : in vitro versus in vivo efficacy of (E)-5-(2-bromovinyl)-2'-deoxyuridine.
Antimicrob. Agents Chemother., 23: 715-720 (1983).
329. De Clercq, E.
Antiviral activity of 5-substituted pyrimidine nucleoside analogues.
Pure and Appl. Chem., 55: 623-636 (1983).
330. De Clercq, E.
Selective antiherpes drugs.
In "Medical Virology, II" (Proceedings of the International Symposium on Medical Virology, Anaheim, California, USA, December 2-4, 1982), L.M. de la Maza & E.M. Paterson (eds.).
Elsevier Science Publishing Co., New York, pp. 307-346 (1983).
331. De Clercq, E.
The chemotherapy of herpesvirus infections with reference to bromovinyldeoxyuridine and other antiviral compounds.
In "Problems of Antiviral Therapy" (Proceedings of the Fifth Beecham Colloquium on Antiviral Therapy, London, England, September 15-17, 1982), Sir Charles H. Stuart-Harris & J. Oxford (eds.).
Academic Press, Inc., London, pp. 295-315 (1983).
332. De Clercq, E.
Antivirale chemotherapie.
In "Medische Virologie" (derde, herziene druk), red. J.B. Wilterdink.
Bohn, Scheltema & Holkema, Utrecht, pp. 265-285 (1983).
333. Balzarini, J., De Clercq, E. & Dann, O.
Inhibitory activity of diarylamidine derivatives on murine leukemia L1210 cell growth.
Investigational New Drugs, 1: 103-115 (1983).
334. Buble, G., Crumpacker, C., De Clercq, E. & Schnipper, L.
Effects of (E)-5-(2-bromovinyl)-2'-deoxyuridine on the proliferation of herpes simplex virus type 1-transformed and thymidine kinase-deficient mouse cells.
Virology, 129: 490-492 (1983).
335. De Clercq, E.
A review of the new antiherpes agents.
Proceedings of the Thirteenth International Congress of Chemotherapy, (held in Vienna, Austria, on 28 August-2 September, 1983), K.H. Spitzzy & K. Karrer (eds.).
Verlag H. Egermann, Vienna, SS 4.7/2; part 39, pp. 1-5 (1983).
336. De Clercq, E. & Balzarini, J.
5-Ethyl-2'-deoxyuridine derivatives potentiate the cytotoxicity of cytosine arabinoside analogs for murine leukemia L1210 cells.
Proceedings of the Thirteenth International Congress of Chemotherapy, (held in Vienna, Austria, on 28 August-2 September, 1983), K.H. Spitzzy & K. Karrer (eds.).
Verlag H. Egermann, Vienna, PS 12.4.11; part 286, pp. 4-7 (1983).
337. Balzarini, J. & De Clercq, E.
Inhibitory effects of 5-substituted 2'-deoxyuridines on murine MO cells transformation by Moloney murine sarcoma virus.
Proceedings of the Thirteenth International Congress of Chemotherapy, (held in Vienna, Austria, on 28 August-2 September, 1983), K.H. Spitzzy & K. Karrer (eds.).
Verlag H. Egermann, Vienna, PS 12.4.11; part 286, pp. 24-28 (1983).
338. Ono, K., Nakane, H., Colla, L. & De Clercq, E.

- Inhibition of terminal deoxynucleotidyltransferase by (E)-5-(2-bromovinyl)-2'-deoxyuridine 5'-triphosphate.
Nucleic Acids Res., Symposium Series, no. 12: 123-126 (1983).
339. Boisjoly, H.M., Park, N.-H., Pavan-Langston, D. & De Clercq, E.
Herpes simplex acyclovir resistant mutant in experimental keratouveitis.
Arch. Ophthalmol., 101: 1782-1786 (1983).
340. Wittek, A.E., Cohen, P.S., Arvin, A.M., Smith, S.D., Koropchak, C.M. & De Clercq, E.
Effects of (E)-5-(2-bromovinyl)-2'-deoxyuridine on proliferation of human fibroblasts, peripheral blood mononuclear cells, and granulocyte monocyte progenitor cells *in vitro*.
Antimicrob. Agents Chemother., 24: 803-806 (1983).
341. Torrence, P.F., Imai, J., Lesiak, K., Warrinnier, J., Balzarini, J. & De Clercq, E.
Structure-activity relationships for a potentiation of the antimitogenic activity of 2-5A core derived from 2-5A, a mediator of interferon action.
J. Med. Chem., 26: 1674-1678 (1983).
342. Desgranges, C., Razaka, G., Rabaud, M., Bricaud, H., Balzarini, J. & De Clercq, E.
Phosphorolysis of (E)-5-(2-bromovinyl)-2'-deoxyuridine (BVDU) and other 5-substituted 2'-deoxyuridines by purified human thymidine phosphorylase and intact blood platelets.
Biochem. Pharmacol., 32: 3583-3590 (1983).
343. De Clercq, E., Hermann, D. & Guschlbauer, W.
Interferon induction by platinum (II)-poly(I).poly(C) complexes.
Biochim. Biophys. Acta, 741: 358-363 (1983).
344. Kaufman, H.E., Varnell, E.D., Centifanto-Fitzgerald, Y.M., De Clercq, E. & Kissling, G.E.
Oral antiviral drugs in experimental herpes simplex keratitis.
Antimicrob. Agents Chemother., 24: 888-891 (1983).
345. Torrence, P.F. & De Clercq, E.
Interferon induction by nucleic acids : structure-activity relationships.
In "Handbook of Experimental Pharmacology", G.V.R. Born, A.E. Farah, H. Herken & A.D. Welch (eds.).
Volume 71, "Interferons and their Applications", P.E. Came & W.A. Carter (eds.), Springer-Verlag, Berlin, Heidelberg and New York, pp. 233-258 (1984).
346. Maudgal, P.C., De Clercq, E., Descamps, J. & Missotten, L.
Topical treatment of experimental herpes simplex keratouveitis with 2'-O-glycylacyclovir. A water-soluble ester of acyclovir.
Arch. Ophthalmol., 102: 140-142 (1984).
347. De Clercq, E.
Pyrimidine nucleoside analogues as antiviral agents.
In "Targets for the Design of Antiviral Agents", E. De Clercq & R.T. Walker (eds.).
NATO Advanced Study Institutes Series, Series A : Life Sciences. Vol. 73, Plenum Press, New York and London, pp. 203-230 (1984).
348. Torrence, P.F., Imai, J., Lesiak, K., Jamouille, J.-C., Sawai, H., Warrinnier, J., Balzarini, J. & De Clercq, E.
Strategies in the design of oligonucleotides as potential antiviral agents.
In "Targets for the Design of Antiviral Agents", E. De Clercq & R.T. Walker (eds.).
NATO Advanced Study Institutes Series, Series A : Life Sciences. Vol. 73, Plenum Press, New York and London, pp. 259-285 (1984).

349. De Clercq, E. & Torrence, P.F.
Poly(G),poly(C) as an inducer of interferon.
In "Physiology and Pathology of Interferon System", L. Borecky & V. Lackovic (eds).
Volume 20 "Contributions to Oncology", S. Eckhardt, J.H. Holzner & G.A. Nagel (eds).
S. Karger AG, Basel, pp. 375-386 (1984).
350. Pavan-Langston, D., Park, N.-H. & De Clercq, E.
In vitro effect of (E)-5-(2-bromovinyl)-2'-deoxyuridine, 5'-amino-5-iodo-2',5'-dideoxyuridine and 2-deoxy-D-glucose on latent ganglionic herpes simplex virus infection.
Antiviral Res., 4: 53-61 (1984).
351. Balzarini, J. & De Clercq, E.
Strategies for the measurement of the inhibitory effects of thymidine analogs on the activity of thymidylate synthase in intact murine leukemia L1210 cells.
Biochim. Biophys. Acta, 785: 36-45 (1984).
352. De Clercq, E.
BVDU [(E)-5-(2-bromovinyl)-2'-deoxyuridine].
In "Antiviral Drugs and Interferon : The Molecular Basis of their Activity", Y. Becker (ed.).
Martinus Nijhoff Publishers, Boston and The Hague, pp. 89-104 (1984).
353. Torrence, P.F. & De Clercq, E.
Polynucleotide inducers of interferon : studies with poly(G). poly(C).
In "Antiviral Drugs and Interferon : The Molecular Basis of their Activity", Y. Becker (ed.).
Martinus Nijhoff Publishers, Boston and The Hague, pp. 317-333 (1984).
354. Zhang, Z.-X., Liu, Y.-X., Chen, H.-S., Allaudeen, H.S. & De Clercq, E.
Effect of (E)-5-(2-bromovinyl)-2'-deoxyuridine on several parameters of Epstein-Barr virus infection.
J. Gen. Virol., 65: 37-46 (1984).
355. Wigdahl, B., Scheck, A.C., Ziegler, R.J., De Clercq, E. & Rapp, F.
Analysis of the herpes simplex virus genome during in vitro latency in human diploid fibroblasts and rat sensory neurons.
J. Virol., 49: 205-213 (1984).
356. Balzarini, J., De Clercq, E., Ayusawa, D. & Seno, T.
Thymidylate synthetase-deficient mouse FM3A mammary carcinoma cell line as a tool for studying the thymidine salvage pathway and the incorporation of thymidine analogues into host cell DNA.
Biochem. J., 217: 245-252 (1984).
357. De Clercq, E.
Bromovinyldeoxyuridine (BVDU) : current status in antiviral therapy.
In "Control of Viral Diseases", (Proceedings of the IVth International Conference on Comparative Virology, Banff, Alberta, Canada, 17-22 October, 1982), E. Kurstak (ed.).
Marcel Dekker Inc., New York and Basel, pp. 443-458 (1984).
358. Bergstrom, D.E., Ruth, J.L., Reddy, P.A. & De Clercq, E.
Synthesis of (E)-5-(3,3,3-trifluoro-1-propenyl)-2'-deoxyuridine and related analogues : potent and unusually selective antiviral activity of (E)-5-(3,3,3-trifluoro-1-propenyl)-2'-deoxyuridine against herpes simplex virus type 1.
J. Med. Chem., 27: 279-284 (1984).

359. Bergstrom, D.E., Brattesani, A.J., Ogawa, M.K., Reddy, P.A., Schweickert, M.J., Balzarini, J. & De Clercq, E.
Antiviral activity of C-5 substituted tubercidin analogues.
J. Med. Chem., 27: 285-292 (1984).
360. Hunston, R.M., Jones, A.S., McGuigan, C., Walker, R.T., Balzarini, J. & De Clercq, E.
Synthesis and biological properties of some cyclic phosphotriesters derived from 2'-deoxy-5-fluorouridine.
J. Med. Chem., 27: 440-444 (1984).
361. Zou, F.C., Dutschman, G.E., De Clercq, E. & Cheng, Y.-C.
Differential binding affinities of sugar-modified derivatives of (E)-5-(2-bromovinyl)-2'-deoxyuridine for herpes simplex virus-induced and human cellular deoxythymidine kinases.
Biochem. Pharmacol., 33: 1797-1800 (1984).
362. Balzarini, J., De Clercq, E., Kiefer, G., Keppeler, K. & Buchele, A.
Antitumor cell and antimetabolic effects of 5-ethyl-2'-deoxyuridine and 5'-substituted 5-ethyl-2'-deoxyuridine derivatives.
Investigational New Drugs, 2: 35-47 (1984).
363. Wildiers, J. & De Clercq, E.
Oral (E)-5-(2-bromovinyl)-2'-deoxyuridine treatment of severe herpes zoster in cancer patients.
Eur. J. Cancer Clin. Oncol., 4: 471-476 (1984).
364. Percy, D.H., Creighton, M.O., Hatch, L.A. & De Clercq, E.
Experimental herpetic keratitis in rabbit corneal organ cultures.
Brit. J. Exp. Pathol., 65: 41-49 (1984).
365. De Clercq, E.
Biochemical aspects of the selective antiherpes activity of nucleoside analogues.
Biochem. Pharmacol., 33: 2159-2169 (1984).
366. De Clercq, E.
The antiviral spectrum of (E)-5-(2-bromovinyl)-2'-deoxyuridine.
J. Antimicrob. Chemother., 14 (Suppl. A) : 85-95 (1984).
367. Jones, A.S., McGuigan, C., Walker, R.T., Balzarini, J. & De Clercq, E.
Synthesis, properties and biological activity of some nucleoside cyclic phosphoramidates.
J. Chem. Soc. Perkin Trans. I, 1471-1474 (1984).
368. Schneller, S.W., Thompson, R.D., Cory, J.G., Olsson, R.A., De Clercq, E., Kim, I.-K. & Chiang, P.K.
Biological activity and a modified synthesis of 8-amino-3-(β -D-ribofuranosyl)-1,2,4-triazolo[4,3-a]pyrazine, an isomer of formycin.
J. Med. Chem., 27: 924-928 (1984).
369. Desgranges, C., Razaka, G., Drouillet, F., Bricaud, H., Herdewijn, P. & De Clercq, E.
Regeneration of an antiviral drug (E)-5-(2-bromovinyl)-2'-deoxyuridine (BVDU) *in vivo*.
Nucleic Acids Res., 12: 2081-2090 (1984).
370. De Clercq, E., Bergstrom, D.E., Holý, A. & Montgomery, J.A.
Broad-spectrum antiviral activity of adenosine analogues.
Antiviral Res., 4: 119-133 (1984).

371. Hantz, O., Allaudeen, H.S., Ooka, T., De Clercq, E. & Trepo, C.
Inhibition of human and woodchuck hepatitis virus DNA polymerase by the triphosphates of acyclovir, 1-(2'-deoxy-2'-fluoro- β -D-arabinofuranosyl)-5-iodocytosine and E-5-(2-bromovinyl)-2'-deoxyuridine.
Antiviral Res., 4: 187-199 (1984).
372. Baba, M., Ito, M., Shigeta, S. & De Clercq, E.
Synergistic antiviral effects of antiherpes compounds and human leukocyte interferon on varicella-zoster virus *in vitro*.
Antimicrob. Agents Chemother., 25: 515-517 (1984).
373. Siegel, S.A., Otto, M.J., De Clercq, E. & Prusoff, W.H.
The effect of (E)-5-(2-bromovinyl)-2'-deoxyuridine on synthesis of herpes simplex virus type 1-specific polypeptides.
Antimicrob. Agents Chemother., 25: 566-570 (1984).
374. De Clercq, E.
Topical treatment of cutaneous herpes simplex virus infection in hairless mice with (E)-5-(2-bromovinyl)-2'-deoxyuridine and related compounds.
Antimicrob. Agents Chemother., 26: 155-159 (1984).
375. De Clercq, E.
Antiherpes drugs : promises and pitfalls.
Eur. J. Clin. Microbiol., 3: 96-107 (1984).
376. Balzarini, J., De Clercq, E., Ayusawa, D. & Seno, T.
Thymidylate synthetase-positive and -negative murine mammary FM3A carcinoma cells as a useful system for detecting thymidylate synthetase inhibitors.
FEBS Letters, 173: 227-232 (1984).
377. Keppeler, K., Kiefer, G. & De Clercq, E.
Prodrugs of 5-ethyl-2'-deoxyuridine. I. Syntheses and antiviral activity of some 5'-O-(acyl)-derivatives.
Arch. Pharm., 317: 867-873 (1984).
378. Baba, M., Shigeta, S. & De Clercq, E.
Influence of various experimental conditions on the inhibitory effects of E-5-(2-bromovinyl)-2'-deoxyuridine on varicella-zoster virus replication in cell culture.
Tohoku J. Exp. Med., 143: 441-449 (1984).
379. Maudgal, P.C., De Clercq, E. & Missotten, L.
Efficacy of bromovinyldeoxyguanidine in the treatment of herpes simplex virus and varicella-zoster virus eye infections.
Antiviral Res., 4: 281-291 (1984).
380. Torrence, P.F. & De Clercq, E.
Preparation and biological properties of a highly active poly(G).poly(C) inducer of interferon.
Antiviral Res., 4: 339-350 (1984).
381. Yokota, T., Konno, K., Shigeta, S. & De Clercq, E.
Comparative inhibition of DNA polymerases from varicella-zoster virus (TK⁺ and TK⁻) strains by (E)-5-(2-bromovinyl)-2'-deoxyuridine 5'-triphosphate.
Mol. Pharmacol., 26: 376-380 (1984).
382. De Clercq, E.
Moderne Anti-HerpesMittel.
Schwerpunkt Medizin, 7: 28-37 (1984).

383. Walker, R.T., Hunston, R.N., McGuigan, C., Jones, A.S., Balzarini, J. & De Clercq, E.
Attempts to introduce biologically-active organic phosphates into living cells.
In "Plenary Lectures of the Symposium on the Chemistry of Heterocyclic Compounds (VIIIth) and of Nucleic Acid Components (VIth) (held in Prague, Czechoslovakia, 2-8 September 1984), J. Beranek & E. Piskala (eds.).
Institute of Macromolecular Chemistry, Czechoslovak Academy of Sciences, Prague, Czechoslovakia, pp. 23-34 (1984).
384. Robins, M.J., Hatfield, P.W., Balzarini, J. & De Clercq, E.
Nucleic acid related compounds. 47. Synthesis and biological activities of pyrimidine and purine "acyclic" nucleoside analogues.
J. Med. Chem., 27: 1486-1492 (1984).
385. Ayisi, N.K., De Clercq, E., Well, R.A., Hughes, H. & Sacks, S.L.
Metabolic fate of (E)-5-(2-bromovinyl)-2'-deoxyuridine in herpes simplex virus- and mock-infected cells.
Antimicrob. Agents Chemother., 26: 762-765 (1984).
386. Kulikowski, T., Zawadzki, Z., De Clercq, E. & Shugar, D.
5-Substituted arabinofuranosyluracil nucleosides : synthesis and antiviral properties.
Acta Biochim. Polon., 31: 341-356 (1984).
387. De Clercq, E. & Walker, R.T.
Synthesis and antiviral properties of 5-vinylpyrimidine nucleoside analogs.
Pharmacology and Therapeutics, 26: 1-44 (1984).
388. Schneller, S.W., Luo, J.-K., Hosmane, R.S., De Clercq, E., Stoeckler, J.D., Agarwal, K.C., Parks, R.E.Jr. & Saunders, P.P.
Synthesis and biological evaluation of 6-amino-IH-pyrrolo[3,2-c]pyridin-4(5H)-one (3,7-dideazaguanine).
J. Med. Chem., 27: 1737-1739 (1984).
389. Wigdahl, B., Scheck, A.C., Smith, C.A., De Clercq, E. & Rapp, F.
Herpes simplex virus latency and reactivation : design and analysis of *in vitro* constructs.
In "Herpesvirus" UCLA Symposia on Molecular and Cellular Biology, New Series, Vol. 21, F. Rapp (ed.).
Alan R. Liss, Inc., New York, pp. 121-144 (1984).
390. De Clercq, E.
Therapeutic potentials of bromovinyldeoxyuridine (BVDU) in the treatment of herpesvirus infections. I. Fundamental aspects.
In "Herpesvirus" UCLA Symposia on Molecular and Cellular Biology, New Series, Vol. 21, F. Rapp (ed.).
Alan R. Liss, Inc., New York, pp. 573-585 (1984).
391. De Clercq, E.
Therapeutic potentials of bromovinyldeoxyuridine (BVDU) in the treatment of herpesvirus infections. II. Clinical aspects.
In "Herpesvirus" UCLA Symposia on Molecular and Cellular Biology, New Series, Vol. 21, F. Rapp (ed.).
Alan R. Liss, Inc., New York, pp. 587-599 (1984).
392. De Clercq, E., Content, J., Defilippi, P., Balzarini, J., Warrinnier, J., Sawai, H., Imai, J., Lesiak, K., Jamouille, J.-C. & Torrence, P.F.
Modes of action of interferon and analogues of 2-5A, a mediator of interferon action.
In "IUPHAR 9th International Congress of Pharmacology London 1984" (Proceedings of the IXth International Congress of Pharmacology, held in London on 29 July-3 August, 1984), Sir William Patton, J. Mitchell & P. Turner (eds.).
The Macmillan Press Ltd., London, pp. 307-317 (1984).

393. De Clercq, E., Balzarini, J., Bernaerts, R., Herdewijn, P. & Verbruggen, A.
Selective antiherpetic activity of carbocyclic analogues of (E)-5-(2-halogenovinyl)-2'-deoxyuridines : dependence on specific phosphorylation by viral thymidine kinase.
Biochem. Biophys. Res. Commun., 126: 397-403 (1985).
394. Benoit, Y., Laureys, G., Delbeke, M.-J. & De Clercq, E.
Oral BVDU treatment of varicella and zoster in children with cancer.
Eur. J. Pediatr., 143: 198-202 (1985).
395. Maudgal, P.C., Verbruggen, A.M., De Clercq, E., Busson, R., Bernaerts, R., De Roo, M., Ameye, C. & Missotten, L.
Ocular penetration of [¹²⁵I]IVDU, a radiolabeled analogue of bromovinyldeoxyuridine.
Invest. Ophthalmol. Visual Science, 26: 45-49 (1985).
396. Balzarini, J., De Clercq, E., Ayusawa, D. & Seno, T.
Incorporation of 5-substituted pyrimidine nucleoside analogues into DNA of a thymidylate synthetase-deficient murine FM3A carcinoma cell line.
Methods and Findings in Experimental and Clinical Pharmacology, 7: 19-28 (1985).
397. Desgranges, C., Razaka, G., Bricaud, H. & De Clercq, E.
Inhibition of the degradation of thymine and 5-substituted uracil analogues by (E)-5-(2-bromovinyl)uracil *in vivo*.
Biochem. Pharmacol., 34: 403-404 (1985).
398. Desgranges, C., Razaka, G., Bricaud, H. & De Clercq, E.
Inhibition and reversal of the degradation of the antiviral drug (E)-5-(2-bromovinyl)-2'-deoxyuridine *in vivo*.
Biochem. Pharmacol., 34: 405-406 (1985).
399. Holý, A., Votruba, I. & De Clercq, E.
Structure-activity studies on open-chain analogues of nucleosides : inhibition of S-adenosyl-L-homocysteine hydrolase and antiviral activity. 1. Neutral open-chain analogues.
Collect. Czech. Chem. Commun., 50: 245-261 (1985).
400. Holý, A., Votruba, I. & De Clercq, E.
Structure-activity studies on open-chain analogues of nucleosides : inhibition of S-adenosyl-L-homocysteine hydrolase and antiviral activity. 2. Acid open-chain analogues.
Collect. Czech. Chem. Commun., 50: 262-279 (1985).
401. De Clercq, E.
Antiherpesvirus agents and the immune system.
Proceedings of the International Symposium on Antimicrobial Agents and Immunity, Heppenheim (W.-Germany), May 23-27, 1984.
Zentralblatt für Bakt., Suppl. 13: 39-57 (1985).
402. De Clercq, E., Desgranges, C., Herdewijn, P., Sim, I.S. & Walker, R.T.
Bromovinyluracil nucleoside analogues as antiherpes agents.
Proceedings of the "VIIIth International Symposium on Medicinal Chemistry", Uppsala, Sweden, 27-31 August 1984, Vol. 1, R. Dahlbom & J.L.G. Nilsson (eds.), Swedish Pharmaceutical Press, Stockholm, pp. 198-210 (1985).

403. De Clercq, E., Benoit, Y., Laureys, G. & Delbeke, M.J.
Clinical potentials of bromovinyldeoxyuridine (BVDU) : in particular for oral treatment of varicella-zoster virus infections in children with cancer.
Proceedings of the International Symposium on "Herpes Viruses and Virus Chemotherapy, Pharmacological and Clinical Approaches", Oiso, Japan, 10-13 September 1984, R. Kono & A. Nakajima (eds.).
Elsevier Science Publishers B.V. (Biomedical Division), Amsterdam, The Netherlands, pp. 49-52 (1985).
404. Shigeta, S., Kawaguchi, H., Konno, K., Yokota, T. & De Clercq, E.
Susceptibility of several clinical isolates of varicella-zoster virus towards antiherpes drugs.
Proceedings of the International Symposium on "Herpes Viruses and Virus Chemotherapy, Pharmacological and Clinical Approaches", Oiso, Japan, 10-13 September 1984, R. Kono & A. Nakajima (eds.).
Elsevier Science Publishers B.V. (Biomedical Division), Amsterdam, The Netherlands, pp. 81-84 (1985).
405. Maudgal, P.C., De Clercq, E. & Missotten, L.
Treatment of ocular herpes by new antiviral agents : in particular acyclovir (ACV) and bromovinyldeoxyuridine (BVDU).
Proceedings of the International Symposium on "Herpes Viruses and Virus Chemotherapy, Pharmacological and Clinical Approaches", Oiso, Japan, 10-13 September 1984, R. Kono & A. Nakajima (eds.).
Elsevier Science Publishers B.V. (Biomedical Division), Amsterdam, The Netherlands, pp. 95-98 (1985).
406. Yokota, T., Konno, K., Shigeta, S. & De Clercq, E.
Incorporation of (E)-5-(2-bromovinyl)-2'-deoxyuridine into deoxyribonucleic acid of varicella-zoster virus (TK⁺- and TK⁻- strains)-infected cells.
Proceedings of the International Symposium on "Herpes Viruses and Virus Chemotherapy, Pharmacological and Clinical Approaches", Oiso, Japan, 10-13 September 1984, R. Kono & A. Nakajima (eds.).
Elsevier Science Publishers B.V. (Biomedical Division), Amsterdam, The Netherlands, pp. 237-238 (1985).
407. De Clercq, E.
Antiviral agents and immunity.
Clin. Immunol. Newsletter, 6: 103-107 (1985).
408. De Clercq, E. & Holý, A.
Alkyl esters of 3-adenin-9-yl-2-hydroxypropanoic acid : a new class of broad-spectrum antiviral agents.
J. Med. Chem., 28: 282-287 (1985).
409. Herdewijn, P., De Clercq, E., Balzarini, J. & Vanderhaeghe, H.
Synthesis and antiviral activity of the carbocyclic analogues of (E)-5-(2-halogenovinyl)-2'-deoxyuridines and (E)-5-(2-halogenovinyl)-2'-deoxycytidines.
J. Med. Chem., 28: 550-555 (1985).
410. De Clercq, E.
Inhibitors of reverse transcriptase and retrovirus replication.
Arzneimittel-Forschung (Drug Research), 35: 1007-1008 (1985).

411. De Clercq, E.
Targets for the antiviral and antitumor activities of nucleoside, nucleotide and oligonucleotide analogues.
Proceedings of the 6th International Round Table on Nucleosides, Nucleotides and their Biological Applications (held in La Grande Motte, France, on 9-12 October 1984).
Nucleosides & Nucleotides, 4: 3-11 (1985).
412. De Clercq, E.
New antiviral drugs for the treatment of herpesvirus infections.
Proceedings of the International Symposium on "Herpetic Eye Diseases", Katholieke Universiteit Leuven, Belgium, 17-19 May, 1984, P.C. Maudgal & L. Missotten (eds.).
Documenta Ophthalmologica, Vol. 44, Dr. W. Junk Publishers, Dordrecht, The Netherlands, pp. 169-176 (1985).
413. Maudgal, P.C., Dieltiens, M., De Clercq, E. & Missotten, L.
Topical bromovinyldeoxyuridine treatment of herpes simplex keratitis.
Proceedings of the International Symposium on "Herpetic Eye Diseases", Katholieke Universiteit Leuven, Belgium, 17-19 May, 1984, P.C. Maudgal & L. Missotten (eds.).
Documenta Ophthalmologica, Vol. 44, Dr. W. Junk Publishers, Dordrecht, The Netherlands, pp. 247-255 (1985).
414. Verbruggen, A.M., De Clercq, E., Maudgal, P.C., Ameye, C., Busson, R., Bernaerts, R., De Roo, M. & Missotten, L.
Permeability of the cornea to [¹²⁵I]IVDU, an analogue of bromovinyldeoxyuridine.
Proceedings of the International Symposium on "Herpetic Eye Diseases", Katholieke Universiteit Leuven, Belgium, 17-19 May, 1984, P.C. Maudgal & L. Missotten (eds.).
Documenta Ophthalmologica, Vol. 44, Dr. W. Junk Publishers, Dordrecht, The Netherlands, pp. 257-260 (1985).
415. Maudgal, P.C., Dieltiens, M., De Clercq, E. & Missotten, L.
Oral bromovinyldeoxyuridine treatment of herpes zoster ophthalmicus.
Proceedings of the International Symposium on "Herpetic Eye Diseases", Katholieke Universiteit Leuven, Belgium, 17-19 May, 1984, P.C. Maudgal & L. Missotten (eds.).
Documenta Ophthalmologica, Vol. 44, Dr. W. Junk Publishers, Dordrecht, The Netherlands, pp. 403-407 (1985).
416. Balzarini, J., De Clercq, E., Herdewijn, P. & Robins, M.J.
Role of thymidine kinase and thymidylate synthetase in the cytostatic, antimetabolic and antitumor effects of the carbocyclic analogue of 5-nitro-2'-deoxyuridine. A comparison with 5-nitro-2'-deoxyuridine.
Mol. Pharmacol., 27: 578-583 (1985).
417. Vincent, P., Beaucourt, J.-P., Pichat, L., Balzarini, J. & De Clercq, E.
Synthèses, activités biologiques et étude conformationnelle d'alcynyl-5 désoxy-2' uridines.
Nucleosides & Nucleotides, 4: 429-445 (1985).
418. Vincent, P., Beaucourt, J.-P., Pichat, L., Balzarini, J. & De Clercq, E.
Synthèses et activités biologiques de nouvelles (E)-alcènyl-5 désoxy-2' uridines.
Nucleosides & Nucleotides, 4: 447-463 (1985).
419. Belmans, M., Esmans, E., Dommissie, R., Lepoivre, J., Alderweireldt, F., Balzarini, J. & De Clercq, E.
Synthesis and biological evaluation of a series of substituted 2-pyridine C-nucleosides. I. Coupling reaction of organo-metallic pyridine compounds with 2,4:3,5-di-O-benzylidene-aldehydo-D-ribose.
Nucleosides & Nucleotides, 4: 523-538 (1985).

420. Colla, L., Herdewijn, P., De Clercq, E., Balzarini, J. & Vanderhaeghe, H.
Synthesis and biological activity of 3'-azido and 3'-amino substituted nucleoside analogs.
Eur. J. Med. Chem., 20: 295-301 (1985).
421. De Clercq, E.
Antiviral agents.
In "Scientific Basis of Antimicrobial Chemotherapy", Symposium of the Society for General Microbiology, University of Nottingham, England, 16-19 September, 1985, D. Greenwood & F.O'Grady (eds.).
Cambridge University Press, Cambridge, England, pp. 155-184 (1985).
422. Zhang, Z.-X., Dong, W.-P., Chen, H.-S., Xu, Z.-G., Zhang, Z.-H., Liu, S.-M. & De Clercq, E.
Effect of (E)-5-(2-bromovinyl)-2'-deoxyuridine on the growth and viral capsid antigen expression of Epstein-Barr virus-associated tumor (B-95-8) cells transplanted to nude mice.
Proc. Soc. Exp. Biol. Med., 178: 616-622 (1985).
423. Freeman, D.J., Sacks, S.L., De Clercq, E. & Spruance, S.L.
Preclinical assessment of topical treatments for herpes simplex virus infection: 5 % (E)-5-(2-bromovinyl)-2'-deoxyuridine cream.
Antiviral Res., 5: 169-177 (1985).
424. De Clercq, E., Bernaerts, R., Balzarini, J., Herdewijn, P. & Verbruggen, A.
Metabolism of the carbocyclic analogue of (E)-5-(2-iodovinyl)-2'-deoxyuridine in herpes simplex virus-infected cells.
Incorporation of C-IVDU into DNA.
J. Biol. Chem., 260: 10621-10628 (1985).
425. De Clercq, E. & Cools, M.
Antiviral potency of adenosine analogues : correlation with inhibition of S-adenosylhomocysteine hydrolase.
Biochem. Biophys. Res. Commun., 129: 306-311 (1985).
426. Marquardt, H., Westendorf, J., De Clercq, E. & Marquardt, H.
Potent anti-viral 5-(2-bromovinyl)-uracil nucleosides are inactive at inducing gene mutations in *Salmonella typhimurium* and V79 Chinese hamster cells and unscheduled DNA synthesis in primary rat hepatocytes.
Carcinogenesis, 6: 1207-1209 (1985).
427. De Clercq, E.
Antiviral and antimetabolic activities of neplanocins.
Antimicrob. Agents Chemother., 28: 84-89 (1985).
428. De Clercq, E. & Rosenwirth, B.
Selective in vitro and in vivo activities of 5-(2-haloalkyl)pyrimidine nucleoside analogs, particularly 5-(2-chloroethyl)-2'-deoxyuridine, against herpes simplex virus.
Antimicrob. Agents Chemother., 28: 246-251 (1985).
429. Herdewijn, P., Balzarini, J., De Clercq, E. & Vanderhaeghe, H.
Resolution of aristeromycin enantiomers.
J. Med. Chem., 28: 1385-1386 (1985).
430. Maudgal, P.C. & De Clercq, E.
Evaluation of bromovinyldeoxyuridine-related compounds in the treatment of experimental herpes simplex keratitis.
Arch. Ophthalmol., 103: 1393-1397 (1985).

431. Balzarini, J., De Clercq, E., Ayusawa, D. & Seno, T.
Murine mammary FM3A carcinoma cells transformed with the herpes simplex virus type 1 thymidine kinase gene are highly sensitive to the growth-inhibitory properties of (E)-5-(2-bromovinyl)-2'-deoxyuridine and related compounds.
FEBS Letters, 185: 95-100 (1985).
432. De Clercq, E.
Problems and new aspects of antiviral treatment : herpesvirus infections in immunosuppressed patients.
Infektionen bei Tumorpatienten [Infections in Cancer Patients (An International Perspective)], Proceedings of the Symposium on "Prophylaxis and Treatment of Infections in Tumor Patients", München, Federal Republic of Germany, 30 March 1985, U. Jehn (ed.).
W. Zuckschwerdt Verlag, München, Bern, Wien, pp. 91-114 (1985).
433. De Clercq, E.
Recent trends and developments in antiviral chemotherapy.
In "Proceedings of the First International TNO Conference on Antiviral Research", Rotterdam, The Netherlands, 30 April-3 May, 1985, A. Billiau, E. De Clercq & H. Schellekens (eds.).
Elsevier Science Publishers B.V. (Biomedical Division), Amsterdam, The Netherlands, pp. 11-19 (1985).
434. Rosenwirth, B., Griengl, H., Wanek, E. & De Clercq, E.
5-(2-Chloroethyl)-2'-deoxyuridine : a potent and selective inhibitor of herpesviruses in vitro and in vivo.
In "Proceedings of the First International TNO Conference on Antiviral Research", Rotterdam, The Netherlands, 30 April-3 May, 1985, A. Billiau, E. De Clercq & H. Schellekens, (eds.).
Elsevier Science Publishers B.V. (Biomedical Division), Amsterdam, The Netherlands, pp. 21-28 (1985).
435. Shigeta, S., Yokota, T. & De Clercq, E.
Therapy of varicella-zoster virus infection - mechanisms of action of (E)-5-(2-bromovinyl)-2'-deoxyuridine.
In "Proceedings of the First International TNO Conference on Antiviral Research", Rotterdam, The Netherlands, 30 April-3 May, 1985, A. Billiau, E. De Clercq & H. Schellekens (eds.).
Elsevier Science Publishers B.V. (Biomedical Division), Amsterdam, The Netherlands, pp. 35-44 (1985).
436. Ayisi, N., Wall, R., De Clercq, E. & Sacks, S.
Differential metabolism of (E)-5-(2-bromovinyl)-2'-deoxyuridine in wild-type and drug-resistant herpes simplex virus-infected cells.
In "Proceedings of the First International TNO Conference on Antiviral Research", Rotterdam, The Netherlands, 30 April-3 May, 1985, A. Billiau, E. De Clercq & H. Schellekens (eds.).
Elsevier Science Publishers B.V. (Biomedical Division), Amsterdam, The Netherlands, pp. 45-49 (1985).
437. Bernaerts, R., De Clercq, E., Balzarini, J., Herdewijn, P. & Verbruggen, A.
Incorporation of carbocyclic (E)-5-(2-iodovinyl)-2'-deoxyuridine (C-IVDU) into DNA of herpes simplex virus-infected cells.
In "Proceedings of the First International TNO Conference on Antiviral Research", Rotterdam, The Netherlands, 30 April-3 May 1985, A. Billiau, E. De Clercq & H. Schellekens (eds.).
Elsevier Science Publishers B.V. (Biomedical Division), Amsterdam, The Netherlands, pp. 51-56 (1985).

438. Kawana, F., Shigeta, S. & De Clercq, E.
Inhibitory effects of several antiviral compounds on the replication of respiratory syncytial virus in vitro.
In "Proceedings of the First International TNO Conference on Antiviral Research", Rotterdam, The Netherlands, 30 April-3 May 1985, A. Billiau, E. De Clercq & H. Schellekens (eds.).
Elsevier Science Publishers B.V. (Biomedical Division), Amsterdam, The Netherlands, pp. 83-88 (1985).
439. De Clercq, E. & Balzarini, J.
In search of specific inhibitors of retrovirus replication.
In "Proceedings of the First International TNO Conference on Antiviral Research", Rotterdam, The Netherlands, 30 April-3 May 1985, A. Billiau, E. De Clercq & H. Schellekens (eds.).
Elsevier Science Publishers B.V. (Biomedical Division), Amsterdam, The Netherlands, pp. 89-94 (1985).
440. Lin, J.-C., Smith, M.C., Choi, E.I., De Clercq, E., Verbruggen, A. & Pagano, J.S.
Effect of (E)-5-(2-bromovinyl)-2'-deoxyuridine on replication of Epstein-Barr virus in human lymphoblastoid cell lines.
In "Proceedings of the First International TNO Conference on Antiviral Research", Rotterdam, The Netherlands, 30 April-3 May 1985, A. Billiau, E. De Clercq & H. Schellekens (eds.).
Elsevier Science Publishers B.V. (Biomedical Division), Amsterdam, The Netherlands, pp. 121-126 (1985).
441. Balzarini, J., De Clercq, E., Ayusawa, D., Shimizu, K. & Seno, T.
Selective inhibition of the proliferation of herpes simplex virus type 1 thymidine kinase gene-transformed murine mammary FM3A carcinoma cells by (E)-5-(2-bromovinyl)-2'-deoxyuridine and related compounds.
Nucleic Acids Res., Symposium Series, no. 16: 283-286 (1985).
442. Walker, R.T., Slater, M.J., Jones, A.S., Balzarini, J. & De Clercq, E.
The synthesis and biological properties of some 5-substituted 2'-deoxyuridines.
Nucleic Acids Res., Symposium Series, no. 16 : 291-294 (1985).
443. Ayusawa, D., Shimizu, K., Seno, T., Balzarini, J. & De Clercq, E.
Establishment of mutant FM3A murine mammary carcinoma cell lines transformed with the herpes simplex virus type 1 thymidine kinase gene.
Japan. J. Cancer Res. (Gann), 76: 984-988 (1985).
444. De Clercq, E.
Synthetic pyrimidine nucleoside analogues.
In "Approaches to Antiviral Agents", M.R. Harnden (ed.).
The Macmillan Press Ltd., London, pp. 57-99 (1985).
445. Jones, A.S., Walker, R.T., Wyatt, P.G., Balzarini, J. & De Clercq, E.
The chemistry of 2',3'-seconucleosides. Part 1. Synthesis and chemical and biological properties of derivatives of 2',3'-secouridine.
J. Chem. Res. (S), 1985: 336-337 (1985).
446. Jones, A.S., McLean, M.J., Tanaka, H., Walker, R.T., Balzarini, J. & De Clercq, E.
The chemistry of 2',3'-seconucleosides. II. Reactions and biological properties of 2',3'-secopyrimidine ribonucleosides.
Tetrahedron, 41: 5965-5972 (1985).

447. Balzarini, J., De Clercq, E., Verbruggen, A., Ayusawa, D. & Seno, T.
Highly selective cytostatic activity of (E)-5-(2-bromovinyl)-2'-deoxyuridine derivatives for murine mammary carcinoma cells transformed with the herpes simplex virus type 1 thymidine kinase gene.
Mol. Pharmacol., 28: 581-587 (1985).
448. De Clercq, E.
Orofacial herpes simplex virus infections : therapeutic approaches.
J. Head Neck Pathol., 4: 141-145 (1985).
449. Desgranges, C., Razaka, G., Belloc, I., Drouillet, F., De Clercq, E. & Bricaud, H.
Inhibition de la dégradation de la 5-fluorouracile chez le rat.
(Inhibition of the degradation of 5-fluorouracil in the rat).
J. Pharm. Clin. 4 (hors série I): 97-105 (1985).
450. Defilippi, P., Huez, G., Verhaegen-Lewalle, M., De Clercq, E., Torrence, P. & Content, J.
Antiviral activity towards VSV and mengo virus of a chemically stabilized 2-5A analog upon microinjection into HeLa cells.
In "The 2-5A System : Molecular and Clinical Aspects of the Interferon Regulated Pathway" (Proceedings of the Sixth International Symposium of the Research Institute, Hospital for Sick Children, Toronto, Ontario, Canada, 3-5 June, 1985), B.R.G. Williams & R.H. Silverman (eds.).
Alan R. Liss, Inc., New York, pp. 141-146 (1985).
451. Yokota, T., Konno, K., Shigeta, S. & De Clercq, E.
The inhibitory effect of halovinyl deoxyuridine on varicella-zoster virus replication and deoxynucleoside metabolism.
In "Recent Advances in Chemotherapy. Antimicrobial Sections" (Proceedings of the 14th International Congress of Chemotherapy, Kyoto, Japan, 21-28 June, 1985), J. Ishigami (ed.).
University of Tokyo Press, pp. 1969-1970 (1985).
452. Tricot, G., De Clercq, E., Boogaerts, M.A. & Verwilghen, R.
Oral bromovinyldeoxyuridine therapy for herpes simplex and varicella-zoster virus infections in severely immunosuppressed patients : a preliminary clinical trial.
J. Med. Virol., 18: 11-20 (1986).
453. De Clercq, E. & Cassiman, J.J.
Mutagenic potential of anti-herpes agents.
Life Sci., 38: 281-289 (1986).
454. De Clercq, E.
Antivirale geneesmiddelen.
In "Algemene Farmacotherapie" (vijfde druk), red. H. Wesseling & C. Neef.
Samson Stafleu, Alphen aan den Rijn/Brussel, pp. 829-835 (1986).
455. De Clercq, E.
Present trends in the development of antiviral agents.
In "The Antimicrobial Agents Annual 1", P.K. Peterson & J. Verhoef (eds.).
Elsevier Science Publishers, B.V. (Biomedical Division), Amsterdam, The Netherlands, pp. 526-537 (1986).
456. Gosselin, G., Bergogne, M.-C., De Rudder, J., De Clercq, E. & Imbach, J.-L.
Systematic synthesis and biological evaluation of α - and β -D-xylofuranosyl nucleosides of the five naturally occurring bases in nucleic acids and related analogues.
J. Med. Chem., 29: 203-213 (1986).

457. De Clercq, E., Desgranges, C., Herdewijn, P., Sim, I.S., Jones, A.S., McLean, M.J. & Walker, R.T.
Synthesis and antiviral activity of (E)-5-(2-bromovinyl)uracil and (E)-5-(2-bromovinyl)uridine.
J. Med. Chem., 29: 213-217 (1986).
458. Kiefer, G., Keppeler, K. & De Clercq, E.
Prodrugs of 5-ethyl-2'-deoxyuridine. II. Syntheses and antiviral activities of 5'- and 3'-ester derivatives.
Arch. Pharm., 319: 154-160 (1986).
459. Kitaoka, S., Konno, T. & De Clercq, E.
Comparative efficacy of broad-spectrum antiviral agents as inhibitors of rotavirus replication in vitro.
Antiviral Res., 6: 57-65 (1986).
460. Balzarini, J., Mitsuya, H., De Clercq, E. & Broder, S.
Comparative inhibitory effects of suramin and other selected compounds on the infectivity and replication of human T-cell lymphotropic virus (HTLV-III)/lymphadenopathy-associated virus (LAV).
Int. J. Cancer, 37: 451-457 (1986).
461. Desgranges, C., Razaka, G., De Clercq, E., Herdewijn, P., Balzarini, J., Drouillet, F. & Bricaud, H.
Effect of (E)-5-(2-bromovinyl)uracil on the catabolism and antitumor activity of 5-fluorouracil in rats and leukemic mice.
Cancer Res., 46: 1094-1101 (1986).
462. De Clercq, E., Bernaerts, R., Bergstrom, D.E., Robins, M.J., Montgomery, J.A. & Holý, A.
Antirhinovirus activity of purine nucleoside analogues.
Antimicrob. Agents Chemother., 29: 482-487 (1986).
463. Béres, J., Bentrude, W.G., Kalman, A., Parkanyi, L., Balzarini, J. & De Clercq, E.
Synthesis, structure and antitumor and antiviral activities of a series of 5-halouridine cyclic 3',5'-monophosphates.
J. Med. Chem., 29: 488-493 (1986).
464. Béres, J., Bentrude, W.G., Balzarini, J., De Clercq, E. & Ötvös, L.
Synthesis and antitumor and antiviral properties of 5-alkyl-2'-deoxyuridines, 3',5'-cyclic monophosphates and neutral cyclic triesters.
J. Med. Chem., 29: 494-499 (1986).
465. Béres, J., Sagi, G., Bentrude, W.G., Balzarini, J., De Clercq, E. & Ötvös, L.
Synthesis and antitumor and antiviral properties of 5-halo- and 5-(trifluoromethyl)-2'-deoxyuridine 3',5'-cyclic monophosphates and neutral triesters.
J. Med. Chem., 29: 1243-1249 (1986).
466. Verbruggen, A., Julien, C., De Clercq, E. & De Roo, M.
Simple quantitative radioiodination of (E)-5-(2-iodovinyl)-2'-deoxyuridine (IVDU) by exchange labelling.
Int. J. Appl. Radiat. Isotop., 37: 355-357 (1986).
467. De Clercq, E.
Antiviral agents and the immune system.
In "Antimicrobial Agents and Immunity", J. Jeljaszewicz & G. Pulverer (eds.). Academic Press Inc., London, pp. 19-65 (1986).

468. Keppeler, K., Kiefer, G. & De Clercq, E.
Synthesis and antiviral activity of acyclic derivatives of 5-ethyl-2'-deoxyuridine.
Arch. Pharm., 319: 360-365 (1986).
469. De Clercq, E.
Targeted development of new antiviral agents.
Proceedings of the Second International Congress on "Synthetic Oligonucleotides in Molecular Biology", Uppsala, Sweden, 18-24 August 1985.
Chemica Scripta, 26: 41-47 (1986).
470. Pauwels, R., De Clercq, E., Balzarini, J., Sawai, H., Imbach, J.L., Gosselin, G., Huss, S., Reese, C.B., Serafinowska, H., Norman, D.G., Pfliegerer, W., Mikhailov, S.N. & Torrence, P.F.
Biological activity of new 2-5A analogues.
Proceedings of the Second International Congress on "Synthetic Oligonucleotides in Molecular Biology", Uppsala, Sweden, 18-24 August 1985.
Chemica Scripta, 26: 141-145 (1986).
471. De Clercq, E. & Walker, R.T.
Chemotherapeutic agents for herpesvirus infections.
In "Progress in Medicinal Chemistry", Vol. 23, G.P. Ellis & G.B. West (eds.).
Elsevier Science Publishers B.V. (Biomedical Division), Amsterdam, The Netherlands, pp. 187-218 (1986).
472. Ayisi, N.K., Wall, R.A., De Clercq, E. & Sacks, S.L.
High performance liquid chromatographic analysis of (E)-5-(2-bromovinyl)-2'-deoxyuridine and its metabolites in serum, urine and herpes simplex virus type-1 infected cells.
J. Chromatogr., 375: 423-430 (1986).
473. Desgranges, C., De Clercq, E., Razaka, G., Drouillet, F., Belloc, I. & Bricaud, H.
Deoxyribosyl exchange reactions leading to the *in vivo* generation and regeneration of the antiviral agents (E)-5-(2-bromovinyl)-2'-deoxyuridine, 5-ethyl-2'-deoxyuridine and 5-(2-chloroethyl)-2'-deoxyuridine.
Biochem. Pharmacol., 35: 1647-1653 (1986).
474. De Clercq, E., Holý, A., Rosenberg, I., Sakuma, T., Balzarini, J. & Maudgal, P.C.
A novel selective broad-spectrum anti-DNA virus agent.
Nature, 323: 464-467 (1986).
475. De Clercq, E.
Current trends in antiviral chemotherapy.
J. Antimicrob. Chemother., 17: 399-402 (1986).
476. Defilippi, P., Huez, G., Verhaegen-Lewalle, M., De Clercq, E., Imai, J., Torrence, P.F. & Content, J.
Antiviral activity of a chemically stabilized 2-5A analog upon microinjection into HeLa cells.
FEBS Letters, 198: 326-332 (1986).
477. Balzarini, J., Mitsuya, H., De Clercq, E. & Broder, S.
Aurintricarboxylic acid and Evans Blue represent two different classes of anionic compounds which selectively inhibit the cytopathogenicity of human T-cell lymphotropic virus type III/lymphadenopathy-associated virus.
Biochem. Biophys. Res. Commun., 136: 64-71 (1986).

478. Scheck, A.C., Wigdahl, B., De Clercq, E. & Rapp, F.
Prolonged herpes simplex virus latency *in vitro* after treatment of infected cells with acyclovir and human leukocyte interferon.
Antimicrob. Agents Chemother., 29: 589-593 (1986).
479. Balzarini, J., Pauwels, R., Herdewijn, P., De Clercq, E., Cooney, D.A., Kang, G.-J., Dalal, M., Johns, D.G. & Broder, S.
Potent and selective anti-HTLV-III/LAV activity of 2',3'-dideoxycytidine, the 2',3'-unsaturated derivative of 2',3'-dideoxycytidine.
Biochem. Biophys. Res. Commun., 140: 735-742 (1986).
480. Lown, J.W., Krowicki, K., Balzarini, J. & De Clercq, E.
Structure-activity relationship of novel oligopeptide antiviral and antitumor agents related to netropsin and distamycin.
J. Med. Chem., 29: 1210-1214 (1986).
481. De Clercq, E.
Towards a selective chemotherapy of virus infections. Development of bromovinyldeoxyuridine as a highly potent and selective anti-herpetic drug.
Verhandelingen, Koninklijke Academie voor Geneeskunde van België, 48: 261-290 (1986).
482. De Clercq, E.
Potential of bromovinyldeoxyuridine in anticancer chemotherapy.
In "Proceedings of the First International Conference of Anticancer Research", Loutraki, Greece, 26-30 October 1985, J.G. Delinassios (ed.).
Anticancer Res., 6: 549-556 (1986).
483. Belmans, M., Vrijens, Y., Esmans, E., Dommissie, R., Lepoivre, J., Alderweireldt, F., Townsend, L.B., Wotring, L.L., Balzarini, J. & De Clercq, E.
Synthesis and biological evaluation of a series of substituted 2-pyridine-C-nucleosides. Part II.
Nucleosides & Nucleotides, 5: 441-455 (1986).
484. Baba, M., Konno, K., Shigeta, S. & De Clercq, E.
Inhibitory effects of selected antiviral compounds on newly isolated clinical varicella-zoster virus strains.
Tohoku J. Exp. Med., 148: 275-283 (1986).
485. Shigeta, S., Mori, S., Yokota, T., Konno, K. & De Clercq, E.
Characterization of a varicella-zoster virus variant with altered thymidine kinase activity.
Antimicrob. Agents Chemother., 29: 1053-1058 (1986).
486. De Clercq, E.
Antiviral chemotherapy : acquired immune deficiency syndrome.
Proceedings of the IXth International Congress of Infectious and Parasitic Diseases, Munich, F.R.Germany, 20-26 July 1986, Volume 1. Viral Infections, W. Marget, W. Lang & E. Gabler-Sandberger (eds.).
MMV Medizin Verlag, München, Federal Republic of Germany, pp. 211-215 (1986).
487. Schneller, S.W., May, J.L. & De Clercq, E.
The synthesis and antiviral properties of 8-amino-3[(2-hydroxyethoxy)-methyl]-1,2,4-triazo[4,3-a]pyrazine.
Croatica Chemica Acta, 59: 307-311 (1986).
488. De Clercq, E.
Chemotherapeutic approaches to the treatment of the acquired immune deficiency syndrome (AIDS).
J. Med. Chem., 29: 1561-1569 (1986).

489. Maudgal, P.C., De Clercq, E., Bernaerts, R., Dieltiens, M., Breemersch, M. & Van Eeckhoutte, L.
Ocular penetration and efficacy of chloroethyldeoxyuridine against herpetic keratouveitis.
Invest. Ophthalmol. Visual Science, 27: 1453-1458 (1986).
490. Simizu, K., Ren, L., Ayusawa, D., Seno, T., Balzarini, J. & De Clercq, E.
Establishment of mutant murine mammary carcinoma FM3A cell strains transformed with the herpes simplex virus type 2 thymidine kinase gene.
Cell Structure and Function, 11: 295-301 (1986).
491. Nakane, H., Balzarini, J., De Clercq, E. & Ono, K.
Differential inhibitory effects of Evans Blue on various DNA polymerases.
Nucleic Acids Res., Symposium Series, no. 17: 183-186 (1986).
492. De Clercq, E. & Herdewijn, P.
Selektieve antivirale verbindingen.
Pharmaceutisch Weekblad, 121: 916-921 (1986).
493. De Clercq, E. & Robins, M.J.
Xylotubercidin against herpes simplex virus type 2 in mice.
Antimicrob. Agents Chemother., 30: 719-724 (1986).
494. Balzarini, J., De Clercq, E., Verbruggen, A., Crumpacker, C., Ayusawa, D. & Seno, T.
Increased sensitivity of thymidine kinase-deficient (TK⁻) tumor cell lines to the cell growth inhibitory effects of (E)-5-(2-bromovinyl)-2'-deoxyuridine (BVDU) and related compounds.
Anticancer Res., 6: 1077-1084 (1986).
495. Holý, A., Votruba, I., Merta, A., De Clercq, E., Jelinek, R., Slama, K., Benes, K. & Melichar, O.
Biological consequences of S-adenosyl-L-homocysteinase inhibition by acyclic adenosine analogs.
In "Biological Methylation and Drug Design. Experimental and Clinical Role of S-Adenosylmethionine", R.T. Borchardt, C.R. Creveling & P.M. Ueland (eds.).
Humana Press Inc., Clifton, New Jersey, USA, pp. 397-408 (1986).
496. Blough, H.A., Pauwels, R., De Clercq, E., Cogniaux, J., Sprecher-Goldberger, S. & Thiry, L.
Glycosylation inhibitors block the expression of LAV/HTLV-III (HIV) glycoproteins.
Biochem. Biophys. Res. Commun., 141: 33-38 (1986).
497. Ben-Efraim, S., Shoval, S. & De Clercq, E.
Enhancing effect of bromovinyldeoxyuridine on antitumour activity of 5-fluorouracil in mice bearing MOPC-315 plasmacytomas.
Brit. J. Cancer, 54: 847-852 (1986).
498. De Clercq, E.
Antiviral agents in the treatment of herpes virus infections in immunosuppressed patients.
In "Antibiosis and Host Immunity" (Proceedings of the International Symposium on Antimicrobial Agents and Immunity, held in Siena, Italy, 2-4 May 1985). A. Szentivanyi, H. Friedman & G. Gillissen (eds.).
Plenum Publishing Corporation, New York, USA, pp. 123-134 (1987).
499. De Clercq, E.
Suramin in the treatment of AIDS : mechanism of action.
Antiviral Res., 7: 1-10 (1987).

500. Maudgal, P.C., De Clercq, E. & Huyghe, P.
Efficacy of (S)-HPMPA against thymidine kinase-deficient herpes simplex virus-keratitis.
Invest. Ophthalmol. Visual Science, 28: 243-248 (1987).
501. Birnbaum, G.I., De Clercq, E., Hatfield, P.W. & Robins, M.J.
Synthesis and conformational studies of 4-carbamoyl-1[(2-hydroxyethoxy)methyl]-5-(methylamino)imidazole and derivatives as new acyclonucleoside analogues.
Heterocycles, 25: 493-506 (1987).
502. De Clercq, E., Balzarini, J., Madej, D., Hansske, F. & Robins, M.J.
Nucleic acid related compounds. 51. Synthesis and biological properties of sugar-modified analogues of the nucleoside antibiotics tubercidin, toyocamycin, sangivamycin, and formycin.
J. Med. Chem., 30: 481-486 (1987).
503. Al-Razzak, L.A., Schwepler, D., Decedue, C.J., Balzarini, J., De Clercq, E. & Mertes, M.P.
5-Quinone derivatives of 2'-deoxyuridine 5'-phosphate : inhibition and inactivation of thymidylate synthase, antitumor cell, and antiviral studies.
J. Med. Chem., 30: 409-419 (1987).
504. Kit, S., Ichimura, H. & De Clercq, E.
Phosphorylation of nucleoside analogs by equine herpesvirus type 1 pyrimidine deoxyribonucleoside kinase.
Antiviral Res., 7: 53-67 (1987).
505. De Clercq, E.
Antiviral nucleoside analogs.
ISI Atlas of Science, Pharmacology, 1: 20-24 (1987).
506. Gupta, S.V., Tourigny, G., Stuart, A.L., De Clercq, E., Quail, J.W., Ekiel, I., El-Kabbani, O.A.L. & Delbaere, L.T.J.
Relationship between structure and antiviral activity of 5-methoxymethyl-2'-deoxyuridine and 5-methoxymethyl-1-(2'-deoxy- β -D-lyxofuranosyl)uracil.
Antiviral Res., 7: 69-77 (1987).
507. Baba, M., Pauwels, R., Herdewijn, P., De Clercq, E., Desmyter, J. & Vandeputte, M.
Both 2',3'-dideoxythymidine and its 2',3'-unsaturated derivative (2',3'-dideoxythymidene) are potent and selective inhibitors of human immunodeficiency virus replication in vitro.
Biochem. Biophys. Res. Commun., 142: 128-134 (1987).
508. Ito, M., Nakashima, H., Baba, M., Pauwels, R., De Clercq, E., Shigeta, S. & Yamamoto, N.
Inhibitory effect of glycyrrhizin on the in vitro infectivity and cytopathic activity of the human immunodeficiency virus [HIV (HTLV-III/LAV)].
Antiviral Res., 7: 127-137 (1987).
509. Jones, A.S., McClean, M.J., Slater, M.J., Walker, R.T., Balzarini, J. & De Clercq, E.
Synthesis of 5-(1-substituted ethyl)uracil derivatives and some of their chemical and biological properties.
J. Chem. Soc. Perkin Trans. I, 1987: 457-464 (1987).
510. Maudgal, P.C., De Clercq, E. & Huyghe, P.
Experimental thymidine kinase-deficient HSV-1 keratitis : therapeutic attempts.
Current Eye Research, 6: 579-584 (1987).

511. Keppeler, K. & De Clercq, E.
Synthese und antivirale Aktivität von 2,3(1H,4H)-Chinoxalindion-Derivaten.
Arch. Pharm., 320: 271-274 (1987).
512. De Clercq, E.
Antiviral chemotherapy : potential drugs for the treatment of severe virus infections.
In "Update in Intensive Care and Emergency Medicine 1987", J.L. Vincent (ed.).
Springer-Verlag, Berlin, Heidelberg, pp. 77-85 (1987).
513. Gil-Fernandez, C. & De Clercq, E.
Comparative efficacy of broad-spectrum antiviral agents as inhibitors of African swine fever virus replication in vitro.
Antiviral Res., 7: 151-160 (1987).
514. Baba, M., Shigeta, S. & De Clercq, E.
Serum and urine concentrations of oral bromovinyldeoxyuridine in humans as monitored by a bioassay system based on varicella-zoster virus focus inhibition.
J. Med. Virol., 22: 17-23 (1987).
515. Baba, M., Mori, S., Shigeta, S. & De Clercq, E.
Selective inhibitory effect of (S)-9-(3-hydroxy-2-phosphonylmethoxypropyl)adenine and 2'-nor-cyclic GMP on adenovirus replication in vitro.
Antimicrob. Agents Chemother., 31: 337-339 (1987).
516. Baba, M., Konno, K., Shigeta, S. & De Clercq, E.
In vitro activity of (S)-9-(3-hydroxy-2-phosphonylmethoxypropyl)-adenine against newly isolated clinical varicella-zoster virus strains.
Eur. J. Clin. Microbiol., 6: 158-160 (1987).
517. De Clercq, E.
New antiviral agents.
In "Trends in Medicinal Chemistry" (Proceedings of the Ninth International Symposium on Medicinal Chemistry, W.-Berlin, F.R.Germany, 14-18 September 1986). E. Mutschler & E. Winterfeldt (eds.).
VCH Verlagsgesellschaft, Weinheim, Federal Republic of Germany, pp. 487-501 (1987).
518. Joniau, M., De Clercq, E. & De Cuyper, M.
Binding of biscationic fluorochromes to pig brain tubulin.
In "The Cytoskeleton in Cell Differentiation and Development" (Proceedings of the First International Symposium held in Granada, Spain, 21-25 April 1987), R.B. Maccioni & J. Aréchaga (eds.). ICSU Symposium Series vol. 8.
IRL Press, Oxford, England, pp. 89-90 (1987).
519. De Clercq, E.
Antivirale chemotherapie.
In "Medische Virologie" (vierde, herziene druk), red. J.B. Wilterdink.
Bohn, Scheltema & Holkema, Utrecht, pp. 290-311 (1987).
520. Gosselin, G., Bergogne, M.-C., De Rudder, J., De Clercq, E. & Imbach, J.-L.
Systematic synthesis and biological evaluation of α - and β -D-lyxofuranosyl nucleosides of the five naturally occurring nucleic acid bases.
J. Med. Chem., 30: 982-991 (1987).
521. De Clercq, E.
S-Adenosylhomocysteine hydrolase inhibitors as broad-spectrum antiviral agents.
Biochem. Pharmacol., 36: 2567-2575 (1987).

522. Iigo, M., Nakajima, Y., Hoshi, A. & De Clercq, E.
Enhancing effect of bromovinyldeoxyuridine on antitumor activity of 5-fluorouracil and ftorafur against adenocarcinoma 755 in mice.
Eur. J. Cancer Clin. Oncol., 23: 773-777 (1987).
523. Ayisi, N.K., Wall, R.A., Wanklin, R.J., Machida, H., De Clercq, E. & Sacks, S.L.
Comparative metabolism of E-5-(2-bromovinyl)-2'-deoxyuridine and 1- β -D-arabinofuranosyl-E-5-(2-bromovinyl)uracil in herpes simplex virus-infected cells.
Mol. Pharmacol., 31: 422-429 (1987).
524. Osterhaus, A.D.M.E., Groen, J. & De Clercq, E.
Selective inhibitory effects of (S)-9-(3-hydroxy-2-phosphonylmethoxypropyl)adenine and 1-(2'-deoxy-2'-fluoro- β -D-arabinofuranosyl)-5-iodouracil on seal herpesvirus (phocid herpesvirus 1) infection in vitro.
Antiviral Res., 7: 221-226 (1987).
525. Hilfenhaus, J., De Clercq, E., Köhler, R., Geursen, R. & Seiler, F.
Combined antiviral effects of acyclovir or bromovinyldeoxyuridine and human immunoglobulin in herpes simplex virus-infected mice.
Antiviral Res., 7: 227-235 (1987).
526. Golankiewicz, B., Zeidler, J. & De Clercq, E.
Synthesis and biological activity of C-acyclic nucleosides of imidazo[1,5-a]-1,3,5-triazines.
Nucleosides & Nucleotides, 6: 663-678 (1987).
527. Takaku, H., Ito, T., Yoshida, S., Aoki, T. & De Clercq, E.
Synthesis and biological evaluation of some acyclic nucleoside cyclic phosphoramidate derivatives.
Nucleosides & Nucleotides, 6: 793-802 (1987).
528. Yokota, T., Konno, K., Shigeta, S., Verbruggen, A. & De Clercq, E.
Incorporation of (E)-5-(2-iodovinyl)-2'-deoxyuridine into deoxyribonucleic acids of varicella-zoster virus (TK⁺ and TK⁻ strains)-infected cells.
Mol. Pharmacol., 31: 493-499 (1987).
529. Griengl, H., Wanek, E., Schwarz, W., Streicher, W., Rosenwirth, B. & De Clercq, E.
2'-Fluorinated arabinosides of 5-(2-haloalkyl)uracil : synthesis and antiviral activity.
J. Med. Chem., 30: 1199-1204 (1987).
530. Rosenwirth, B., Streicher, W., De Clercq, E., Wanek, E., Schwarz, W. & Griengl, H.
In vitro and in vivo antiviral activity of 2'-fluorinated arabinosides of 5-(2-haloalkyl)uracil.
Antiviral Res., 7 : 271-287 (1987).
531. Pauwels, R., De Clercq, E., Desmyter, J., Balzarini, J., Goubau, P., Herdewijn, P., Vanderhaeghe, H. & Vandeputte, M.
Sensitive and rapid assay on MT-4 cells for detection of antiviral compounds against the AIDS virus.
J. Virol. Methods, 16: 171-185 (1987).
532. Vinckier, F., Boogaerts, M., Declerck, D. & De Clercq, E.
Chronic herpetic infection in an immunocompromised patient.
Report of a case.
J. Oral Maxillofacial Surg., 45: 723-728 (1987).

533. Iigo, M., Yamaizumi, Z., Nishimura, S., Hoshi, A. & De Clercq, E.
The antitumor potency of oral tegafur against adenocarcinoma 755 in mice is markedly enhanced by oral (E)-5-(2-bromovinyl)-2'-deoxyuridine.
Japan. J. Cancer Res. (Gann), 78: 409-413 (1987).
534. Balzarini, J., Kang, G.-J., Dalal, M., Herdewijn, P., De Clercq, E., Broder, S. & Johns, D.G.
The anti-HTLV-III (anti-HIV) activity and cytotoxic activity of 2',3'-didehydro-2',3'-dideoxyribonucleosides : a comparison with their parental 2',3'-dideoxyribonucleosides.
Mol. Pharmacol., 32: 162-167 (1987).
535. Herdewijn, P., Balzarini, J., De Clercq, E., Pauwels, R., Baba, M., Broder, S. & Vanderhaeghe, H.
3'-Substituted 2',3'-dideoxynucleoside analogues as potential anti-HIV (HTLV-III/LAV) agents.
J. Med. Chem., 30: 1270-1278 (1987).
536. Ito, M., Baba, M., Sato, A., Pauwels, R., De Clercq, E. & Shigeta, S.
Inhibitory effect of dextran sulfate and heparin on the replication of human immunodeficiency virus (HIV) in vitro.
Antiviral Res., 7: 361-367 (1987).
537. Kit, S., Ichimura, H. & De Clercq, E.
Differential metabolism of (E)-5-(2-iodovinyl)-2'-deoxyuridine (IVDU) by equine herpesvirus type 1- and herpes simplex virus-infected cells.
Antiviral Res., 8: 41-51 (1987).
538. Balzarini, J., Pauwels, R., Baba, M., Robins, M.J., Zou, R., Herdewijn, P. & De Clercq, E.
The 2',3'-dideoxyriboside of 2,6-diaminopurine selectively inhibits human immunodeficiency virus (HIV) replication in vitro.
Biochem. Biophys. Res. Commun., 145: 269-276 (1987).
539. Balzarini, J., Robins, M.J., Zou, R., Herdewijn, P. & De Clercq, E.
The 2',3'-dideoxyriboside of 2,6-diaminopurine and its 2',3'-didehydro derivative inhibit the deamination of 2',3'-dideoxyadenosine, an inhibitor of human immunodeficiency virus (HIV) replication.
Biochem. Biophys. Res. Commun., 145: 277-283 (1987).
540. De Clercq, E., Béres, J. & Bentrude, W.G.
Potent activity of 5-fluoro-2'-deoxyuridine and related compounds against thymidine kinase-deficient (TK⁻) herpes simplex virus : targeted at thymidylate synthase.
Mol. Pharmacol., 32: 286-292 (1987).
541. Kawana, F., Shigeta, S., Hosoya, M., Suzuki, H. & De Clercq, E.
Inhibitory effects of antiviral compounds on respiratory syncytial virus replication in vitro.
Antimicrob. Agents Chemother., 31: 1225-1230 (1987).
542. Baba, M., Pauwels, R., Balzarini, J., Herdewijn, P. & De Clercq, E.
Selective inhibition of human immunodeficiency virus (HIV) by 3'-azido-2',3'-dideoxyguanosine in vitro.
Biochem. Biophys. Res. Commun., 145: 1080-1086 (1987).
543. Lin, J.-C., De Clercq, E. & Pagano, J.S.
Novel acyclic adenosine analogs inhibit Epstein-Barr virus replication.
Antimicrob. Agents Chemother., 31: 1431-1433 (1987).

544. Gosselin, G., Bergogne, M.-C., Imbach, J.-L., De Rudder, J. & De Clercq, E.
Systematic synthesis and biological evaluation of α - and β -D-xylo- and lyxofuranonucleosides of the five naturally occurring nucleic acid bases.
Proceedings of the "Seventh International Round Table on Nucleosides, Nucleotides and their Biological Applications", Konstanz, Federal Republic of Germany, 29 September-3 October 1986.
Nucleosides & Nucleotides, 6: 65-72 (1987).
545. De Clercq, E.
Targets for the antiviral activity of pyrimidine and purine nucleoside analogues.
Proceedings of the "Seventh International Round Table on Nucleosides, Nucleotides and their Biological Applications", Konstanz, Federal Republic of Germany, 29 September-3 October 1986.
Nucleosides & Nucleotides, 6: 197-207 (1987).
546. Bernaerts, R. & De Clercq, E.
Mechanism of antiviral activity of 5-ethyl-2'-deoxyuridine.
Proceedings of the "Seventh International Round Table on Nucleosides, Nucleotides and their Biological Applications", Konstanz, Federal Republic of Germany, 29 September-3 October 1986.
Nucleosides & Nucleotides, 6: 421-422 (1987).
547. Cools, M., De Clercq, E. & Drach, J.C.
Role of adenosine kinase in the biological (antiviral and anticellular) activities of adenosine analogues.
Proceedings of the "Seventh International Round Table on Nucleosides, Nucleotides and their Biological Applications", Konstanz, Federal Republic of Germany, 29 September-3 October 1986.
Nucleosides & Nucleotides, 6: 423-424 (1987).
548. Herdewijn, P., Charubala, R., Pauwels, R., De Clercq, E. & Pfeleiderer, W.
Synthesis and activity of oligonucleotides containing a biologically active nucleoside at the 2' end.
Proceedings of the "Seventh International Round Table on Nucleosides, Nucleotides and their Biological Applications", Konstanz, Federal Republic of Germany, 29 September-3 October 1986.
Nucleosides & Nucleotides, 6: 441-442 (1987).
549. Herdewijn, P., Charubala, R., Pauwels, R., De Clercq, E. & Pfeleiderer, W.
Synthesis and biological activity of 3'-modified 2'-5'adenylate trimers.
Proceedings of the "Seventh International Round Table on Nucleosides, Nucleotides and their Biological Applications", Konstanz, Federal Republic of Germany, 29 September-3 October 1986.
Nucleosides & Nucleotides, 6: 443-444 (1987).
550. Bobek, M., An, S.-H., De Clercq, E. & Bernacki, R.J.
2'-Fluorinated pyrimidine isonucleosides. Novel nucleoside analogs demonstrate therapeutic activity in mice with tumors.
Nucleic Acids Res., Symposium Series, no. 18: 5-7 (1987).
551. Sagi, J., De Clercq, E., Szemzö, A., Csarnyi, A., Kovacs, T. & Ötvös, L.
Incorporation of the carbocyclic analogue of (E)-5-(2-bromovinyl)-2'-deoxyuridine 5'-triphosphate into a synthetic DNA.
Biochem. Biophys. Res. Commun., 147: 1105-1112 (1987).

552. De Clercq, E.
Recent trends and development in antiviral chemotherapy.
Proceedings of the "CHEMRAWN V Conference on Contributions of Chemistry to Health, The New Frontiers", Heidelberg, F.R.Germany, 22-26 September 1986, H. Machleidt (ed.).
VCH Verlagsgesellschaft, Weinheim, Federal Republic of Germany.
Vol. 2, pp. 121-143 (1987).
553. Bobek, M., Kawai, I. & De Clercq, E.
Synthesis and biological activity of 5-(2,2-difluorovinyl)-2'-deoxyuridine.
J. Med. Chem., 30: 1494-1497 (1987).
554. Balzarini, J., De Clercq, E., Verbruggen, A., Ayusawa, D., Shimizu, K. & Seno, T.
Thymidylate synthetase is the principal target enzyme for the cytostatic activity of (E)-5-(2-bromovinyl)-2'-deoxyuridine against murine mammary carcinoma (FM3A) cells transformed with the herpes simplex virus type 1 or type 2 thymidine kinase gene.
Mol. Pharmacol., 32: 410-416 (1987).
555. Honjo, M., Maruyama, T., Horikawa, M., Balzarini, J. & De Clercq, E.
Synthesis and biological evaluation of phosphonopyrimidine and phosphonopurine ribonucleosides.
Chem. Pharm. Bull., 35: 3227-3234 (1987).
556. Baba, M., Pauwels, R., Balzarini, J., Herdewijn, P., De Clercq, E. & Desmyter, J.
Ribavirin antagonizes inhibitory effects of pyrimidine 2',3'-dideoxynucleosides but enhances inhibitory effects of purine 2',3'-dideoxynucleosides on replication of human immunodeficiency virus in vitro.
Antimicrob. Agents Chemother., 31: 1613-1617 (1987).
557. De Clercq, E.
New selective antiviral agents active against the AIDS virus.
Trends in Pharmacological Sciences (TIPS), 8: 339-345 (1987).
558. Herdewijn, P., Pauwels, R., Baba, M., Balzarini, J. & De Clercq, E.
Synthesis and anti-HIV activity of various 2'- and 3'-substituted 2',3'-dideoxyadenosines : a structure-activity analysis.
J. Med. Chem., 30: 2131-2137 (1987).
559. Herdewijn, P., Pauwels, R., De Clercq, E., Charubala, R. & Pfeleiderer, W.
2'-5'-Oligoadenylates (2-5A) as mediators of interferon action. Synthesis and biological activity of new 2-5A analogues.
In "Frontiers in Microbiology", E. De Clercq (ed).
Martinus Nijhoff Publishers, Dordrecht, The Netherlands, pp. 231-233 (1987).
560. Bernaerts, R., Verbruggen, A. & De Clercq, E.
Mechanisms of antiviral action of 5-substituted 2'-deoxyuridines: (E)-5-(2-iodovinyl)-2'-deoxyuridine (IVDU) as compared to its carbocyclic analogue (C-IVDU).
In "Frontiers in Microbiology", E. De Clercq (ed.).
Martinus Nijhoff Publishers, Dordrecht, The Netherlands, pp. 289-292 (1987).
561. Desgranges, C., Razaka, G. & De Clercq, E.
Therapeutic implications of the unusually long half-life of (E)-5-(2-bromovinyl)uracil (BVUra) in vivo.
In "Frontiers in Microbiology", E. De Clercq (ed.).
Martinus Nijhoff Publishers, Dordrecht, The Netherlands, pp. 293-296 (1987).

562. Cools, M., Drach, J.C. & De Clercq, E.
S-Adenosyl-L-homocysteine hydrolase as target enzyme for antiviral agents : studies with adenosine kinase-deficient rat cells.
In "Frontiers in Microbiology", E. De Clercq (ed.).
Martinus Nijhoff Publishers, Dordrecht, The Netherlands, pp. 297-299 (1987).
563. Sakuma, T., De Clercq, E., Bernaerts, R., Votruba, I. & Holý, A.
Antiviral activity of (S)-9-(3-hydroxy-2-phosphonylmethoxypropyl)adenine [(S)-HPMPA].
In "Frontiers in Microbiology", E. De Clercq (ed.).
Martinus Nijhoff Publishers, Dordrecht, The Netherlands, pp. 300-304 (1987).
564. Baba, M. & De Clercq, E.
Selective inhibitory effects of (S)-9-(3-hydroxy-2-phosphonylmethoxypropyl) adenine and 2'-nor-cGMP on the replication of adenovirus in vitro.
In "Frontiers in Microbiology", E. De Clercq (ed.).
Martinus Nijhoff Publishers, Dordrecht, The Netherlands, pp. 305-307 (1987).
565. Pauwels, R., Herdewijn, P., Balzarini, J., Baba, M., De Clercq, E., Vandeputte, P. & Desmyter, J.
In search of antiviral compounds against the AIDS virus.
In "Frontiers in Microbiology", E. De Clercq (ed.).
Martinus Nijhoff Publishers, Dordrecht, The Netherlands, pp. 308-313 (1987).
566. Balzarini, J. & De Clercq, E.
Differential affinities of pyrimidine nucleoside analogues for deoxythymidine and deoxycytidine kinase determine their incorporation into murine leukemia L1210 cells.
Acta Biochim. Polon., 34: 63-77 (1987).
567. Holý, A., König, J., Vesely, J., Cech, D., Votruba, I. & De Clercq, E.
5'-O-Alkyl-5-fluorouridines : synthesis and biological activity.
Coll. Czech. Chem. Commun., 52: 1589-1608 (1987).
568. Ashwell, M., Jones, A.S., Kumar, A., Sayers, J.R., Walker, R.T., Sakuma, T. & De Clercq, E.
The synthesis and antiviral properties of (E)-5-(2-bromovinyl)-2'-deoxyuridine-related compounds.
Tetrahedron, 43: 4601-4608 (1987).
569. Jelinek, E. & De Clercq, E.
No embryotoxicity of (E)-5-(2-bromovinyl)-2'-deoxyuridine when compared to related nucleoside analogs in chick embryos.
Folia Morphologica, 35: 374-380 (1987).
570. Votruba, I., Bernaerts, R., Sakuma, T., De Clercq, E., Merta, A., Rosenberg, I. & Holý, A.
Intracellular phosphorylation of broad-spectrum anti-DNA virus agent (S)-9-(3-hydroxy-2-phosphonylmethoxypropyl)adenine and inhibition of viral DNA synthesis.
Mol. Pharmacol., 32: 524-529 (1987).
571. De Clercq, E. & Bernaerts, R.
Specific phosphorylation of 5-ethyl-2'-deoxyuridine by herpes simplex virus-infected cells and incorporation into viral DNA.
J. Biol. Chem., 262: 14905-14911 (1987).

572. Balzarini, J., Cooney, D.A., Dalal, M., Kang, G.-J., Cupp, J.E., De Clercq, E., Broder, S. & Johns, D.G.
2',3'-Dideoxycytidine : regulation of its metabolism and anti-retroviral potency by natural pyrimidine nucleosides and by inhibitors of pyrimidine nucleotide synthesis.
Mol. Pharmacol., 32: 798-806 (1987).
573. De Fazio, G., Vicente, M. & De Clercq, E.
Antiviral effects of dihydroxypropyladenine [(RS)-DHPA] and bromovinyldeoxyuridine (BVDU) on plant viruses.
Antiviral Res., 8: 163-169 (1987).
574. De Clercq, E., Sakuma, T., Baba, M., Pauwels, R., Balzarini, J., Rosenberg, I. & Holý, A.
Antiviral activity of phosphonylmethoxyalkyl derivatives of purine and pyrimidines.
Antiviral Res., 8: 261-272 (1987).
575. Gil-Fernandez, C., Garcia-Villalon, D., De Clercq, E., Rosenberg, I. & Holý, A.
Phosphonylmethoxyalkylpurines and pyrimidines as inhibitors of African swine fever virus replication *in vitro*.
Antiviral Res., 8: 273-282 (1987).
576. De Clercq, E.
Perspectives for the chemotherapy of AIDS.
In Proceedings of the First International Workshop on "New Perspectives in Cancer Research", Halkis, Greece, 15-18 October 1987.
Anticancer Res., 7: 1023-1038 (1987).
577. De Clercq E., Sakuma, T., Baba, M., Pauwels, R., Balzarini, J., Maudgal, P.C., Votruba, I., Rosenberg, I. & Holý, A.
Phosphonylmethoxyalkylpurines and -pyrimidines : a new class of broad-spectrum anti-DNA virus agents.
In "Progress in Antimicrobial and Anticancer Chemotherapy" (Proceedings of the Fifteenth International Congress of Chemotherapy, Istanbul, Turkey, 19-24 July 1987), Vol. 1, B. Berkarda & H.-P. Kuemmerle (eds.).
ECOMED Verlagsgesellschaft mbH, Landsberg am Lech, Federal Republic of Germany, pp. 33-35 (1987).
578. Buble, G.J., Balzarini, J., Crumpacker, C.S., De Clercq, E. & Schnipper, L.E.
The effect of (E)-5-(2-bromovinyl)-2'-deoxyuridine on DNA repair and mutagenesis of herpes simplex virus type I.
Virology, 161: 242-244 (1987).
579. De Clercq, E.
Strategies in the development of antiviral agents.
Proceedings of the Tenth International Congress of Pharmacology, Sydney, Australia, 23-28 August 1987.
Excerpta Medical International Congress Series (No. 750), Pharmacology, M.J. Rand & C. Raper (eds.).
Elsevier Science Publishers B.V. (Biomedical Division), Amsterdam, The Netherlands, pp. 631-642 (1987).
580. De Clercq, E.
Virus-drug resistance : thymidine kinase-deficient (TK⁻) mutants of herpes simplex virus. Therapeutic approaches.
Proceedings of the "Third International Symposium of Clinical Microbiology", Spoleto, Italy, 18-20 September 1986.
Annali dell' Istituto Superiore di Sanita, 23: 841-848 (1987).

581. Trousdale, M.D., Robin, J.B., Willey, D.E. & De Clercq, E.
Intentional reactivation of latent ocular herpes infection during BVDU therapy.
Current Eye Research, 6: 1471-1477 (1987).
582. Hirota, K., Kitade, Y., Tomishi, T., Maki, Y. & De Clercq, E.
Nucleosides. Part 6. New chemical modification of the ribosyl moiety in uridines; synthesis of 2,2'-anhydro-1-[5-deoxy-5-(substituted thio)- β -D-arabinofuranosyl]uracil derivatives and their conversion into 3',5'-epithiopyrimidine nucleosides.
J. Chem. Soc. Perkin Trans. I, 2233-2241 (1988).
583. Balzarini, J., Baba, M., Pauwels, R., Herdewijn, P., De Clercq, E., Broder, S. & Johns, D.G.
The *in vitro* and *in vivo* anti-retrovirus activity, and intracellular metabolism of 3'-azido-2',3'-dideoxythymidine and 2',3'-dideoxycytidine are highly dependent on the cell species.
Biochem. Pharmacol., 37: 897-903 (1988).
584. Jones, A.S., Sayers, J.R., Walker, R.T. & De Clercq, E.
Synthesis and antiviral properties of (E)-5-(2-bromovinyl)-2'-deoxycytidine-related compounds.
J. Med. Chem., 31: 268-271 (1988).
585. Snoeck, R. & De Clercq, E.
La chimiothérapie des infections à cytomégalo virus.
Médecine et Maladies Infectieuses, Tome 1988: 79-84 (1988).
586. De Clercq, E.
Nucleoside analogues in the chemotherapy of virus infections : recent developments.
In "Applied Virology Research, Vol. 1. New Vaccines and Chemotherapy", E. Kurstak, R.G. Marusyk, F.A. Murphy & M.H.V. Van Regenmortel (eds.).
Plenum Publishing Corporation, New York, pp. 219-232 (1988).
587. Kimura, T., Nishizawa, T., Yoshimizu, M. & De Clercq, E.
Inhibitory activity of (E)-5-(2-bromovinyl)-2'-deoxyuridine on the salmonid herpesviruses, *Oncorhynchus masou* virus (OMV) and *Herpes virus salmonis*.
Microbiol. Immunol., 32: 57-65 (1988).
588. Maudgal, P.C. & De Clercq, E.
Treatment (bromovinyldeoxyuridine) of herpetic eye infections.
In "Clinical Use of Antiviral Drugs", E. De Clercq (ed.).
Series "Developments in Medical Virology", Y. Becker (ed.).
Martinus Nijhoff Publishing/Kluwer Academic Publishers, Norwell, Massachusetts, USA, pp. 39-48 (1988).
589. Shigeta, S. & De Clercq, E.
Treatment (bromovinyldeoxyuridine) of varicella-zoster virus infections.
In "Clinical Use of Antiviral Drugs", E. De Clercq (ed.).
Series "Developments in Medical Virology", Y. Becker (ed.).
Martinus Nijhoff Publishing/Kluwer Academic Publishers, Norwell, Massachusetts, USA, pp. 145-157 (1988).
590. De Clercq, E.
Molecular targets for selective antiviral chemotherapy.
In "Antiviral Drug Development. A Multidisciplinary Approach", E. De Clercq & R.T. Walker (eds.).
NATO Advanced Study Institutes Series, Series A : Life Sciences, Plenum Press, New York and London, pp. 97-122 (1988).

591. Krowicki, K., Balzarini, J., De Clercq, E., Newman, R.A. & Lown, J.W.
Novel DNA groove binding alkylators : design, synthesis and biological evaluation.
J. Med. Chem., 31: 341-345 (1988).
592. Balzarini, J. & De Clercq, E.
Chemotherapie van AIDS.
Tijdschr. Geneesk., 44: 313-328 (1988).
593. Pauwels, R., Baba, M., Balzarini, J., Herdewijn, P., Desmyter, J., Robins, M.J., Zou, R., Madej, D. & De Clercq, E.
Investigations on the anti-HIV activity of 2',3'-dideoxyadenosine analogues with modifications in either the pentose or purine moiety. Potent and selective anti-HIV activity of 2,6-diaminopurine 2',3'-dideoxyriboside.
Biochem. Pharmacol., 37: 1317-1325 (1988).
594. Iigo, M., Araki, E., Nakajima, Y., Hoshi, A. & De Clercq, E.
Enhancing effect of bromovinyldeoxyuridine on antitumor activity of 5-fluorouracil against adenocarcinoma 755 in mice. Increased therapeutic index and correlation with increased plasma 5-fluorouracil levels.
Biochem. Pharmacol., 37: 1609-1613 (1988).
595. De Clercq, E.
Interactions of antiviral agents with viral DNA synthesis.
Biochem. Pharmacol., 37: 1789-1790 (1988).
596. Balzarini, J., Baba, M., Pauwels, R., Herdewijn, P., Wood, S.G., Robins, M.J. & De Clercq, E.
Potent and selective activity of 3'-azido-2,6-diaminopurine-2',3'-dideoxyriboside, 3'-fluoro-2,6-diaminopurine-2',3'-dideoxyriboside, and 3'-fluoro-2',3'-dideoxyguanosine against human immunodeficiency virus.
Mol. Pharmacol., 33: 243-249 (1988).
597. De Clercq, E.
Antiviral chemotherapy today and tomorrow.
Proceedings of the "Ninth European Conference of Internal Medicine", Bologna, Italy, 6-9 May 1987.
Ann. Méd. Interne, 139: 84-86 (1988).
598. Durbin, R.K., De Clercq, E. & Stollar, V.
SV_{LM21}, a mutant of Sindbis virus able to grow in *Aedes albopictus* cells in the absence of methionine, shows increased sensitivity to S-adenosylhomocysteine hydrolase inhibitors such as Neplanocin A.
Virology, 163: 218-221 (1988).
599. Seela, F., Driller, H., Herdering, W. & De Clercq, E.
Dodecanucleotides containing (*E*)-5-(2-bromovinyl)-2'-deoxyuridine: influence of a bulky major groove substituent on duplex stability and endodeoxyribonuclease EcoRI recognition.
Nucleosides & Nucleotides, 7: 347-363 (1988).
600. Keizer, H.J., Pauwels, R., Landuyt, W., Balzarini, J., Van der Schueren, E. & De Clercq, E.
Combined effects of bromovinyldeoxyuridine and fractionated or continuous administration of 5-fluorouracil in P388 leukemia-bearing mice.
Cancer Letters, 39: 217-223 (1988).

601. Chang, C., Schwepler, D., Decedue, C.J., Balzarini, J., De Clercq, E. & Mertes, M.P. Linear free energy relationship studies of enzyme active site binding: thymidylate synthase. *J. Med. Chem.*, 31: 1141-1147 (1988).
602. Balzarini, J., Herdewijn, P., Pauwels, R., Broder, S. & De Clercq, E. α,β - and β,γ -Methylene 5'-phosphate derivatives of 3'-azido-2',3'-dideoxythymidine-5'-triphosphate: correlation between affinity for reverse transcriptase, susceptibility to hydrolysis by phosphodiesterases, and anti-retrovirus activity. *Biochem. Pharmacol.*, 37: 2395-2403 (1988).
603. De Clercq, E. Antiviral treatment for HIV infection. American Foundation for AIDS Research, AIDS/HIV Experimental Treatment Directory, 2: no. 1, 1-6 (1988) and no 2, 11-16 (1988).
604. De Clercq, E. Recent advances in the search for selective antiviral agents. *In "Advances in Drug Research"*, vol. 17, B. Testa (ed.). Academic Press Inc., London, pp. 1-59 (1988).
605. Boryski, J., Golankiewicz, B. & De Clercq, E. Synthesis and antiviral activity of novel N-substituted derivatives of acyclovir. *J. Med. Chem.*, 31: 1351-1355 (1988).
606. Robins, M.J., Madej, D., Hansske, F., Wilson, J.S., Gosselin, G., Bergogne, M.-C., Imbach, J.-L., Balzarini, J. & De Clercq, E. Nucleic acid related compounds. 53. Synthesis and biological evaluation of 2'-deoxy- β -D-*threo*-pentofuranosyl nucleosides. "Reversion to starting alcohol" in Barton-type reductions of thionocarbonates. *Canad. J. Chem.*, 66: 1258-1262 (1988).
607. Balzarini, J., Baba, M., Pauwels, R., Herdewijn, P. & De Clercq, E. Anti-retrovirus activity of 3'-fluoro- and 3'-azido-substituted pyrimidine 2',3'-dideoxynucleoside analogues. *Biochem. Pharmacol.*, 37: 2847-2856 (1988).
608. Verhoeven, G., Cailleau, J. & De Clercq, E. Stimulatory effects of antiviral adenosine analogs on steroidogenesis in Leydig cells. *J. Steroid Biochem.*, 31: 267-274 (1988).
609. Baba, M., Pauwels, R., Balzarini, J., Arnout, J., Desmyter, J. & De Clercq, E. Mechanism of inhibitory effect of dextran sulfate and heparin on the replication of human immunodeficiency virus *in vitro*. *Proc. Natl. Acad. Sci. USA*, 85: 6132-6136 (1988).
610. Shigeta, S., Konno, K., Yokota, T., Nakamura, K. & De Clercq, E. Comparative activities of several nucleoside analogs against influenza A, B and C viruses *in vitro*. *Antimicrob. Agents Chemother.*, 32: 906-911 (1988).
611. Pauwels, R., Balzarini, J., Baba, M., Snoeck, R., Schols, D., Herdewijn, P., Desmyter, J. & De Clercq, E. Rapid and automated tetrazolium-based colorimetric assay for the detection of anti-HIV compounds. *J. Virol. Methods*, 20: 309-321 (1988).

612. Pauwels, R., Balzarini, J., Schols, D., Baba, M., Desmyter, J., Rosenberg, I., Holý, A. & De Clercq, E.
Phosphonylmethoxyethyl purine derivatives: a new class of anti-human immunodeficiency virus agents.
Antimicrob. Agents Chemother., 32: 1025-1030 (1988).
613. Olofsson, S., Milla, M., Hirschberg, C., De Clercq, E. & Datema, R.
Inhibition of terminal N- and O-glycosylation specific for herpesvirus-infected cells. Mechanism of an inhibitor of sugar nucleotide transport across Golgi membranes.
Virology, 166: 440-450 (1988).
614. Baba, M., Nakajima, M., Schols, D., Pauwels, R., Balzarini, J. & De Clercq, E.
Pentosan polysulfate, a sulfated oligosaccharide, is a potent and selective anti-HIV agent *in vitro*.
Antiviral Res., 9: 335-343 (1988).
615. Nakane, H., Balzarini, J., De Clercq, E. & Ono, K.
Differential inhibition of various deoxyribonucleic acid polymerases by Evans Blue and aurintricarboxylic acid.
Eur. J. Biochem., 177: 91-96 (1988).
616. De Clercq, E.
Chemotherapeutic approach of AIDS.
Verhandelingen, Koninklijke Academie voor Geneeskunde van België, 50: 166-217 (1988).
617. Schols, D., Pauwels, R., Vanlangendonck, F., Balzarini, J. & De Clercq, E.
A highly reliable and sensitive, flow cytometric/fluorometric assay for evaluation of the anti-HIV activity of antiviral compounds in MT-4 cells.
J. Immunol. Methods, 114: 27-32 (1988).
618. Torrence, P.F., Kinjo, J.-e., Lesiak, K., Balzarini, J. & De Clercq, E.
AIDS dementia: synthesis and properties of a derivative of 3'-azido-3'-deoxythymidine (AZT) that may become "locked" in the central nervous system.
FEBS Letters, 234: 135-140 (1988).
619. Arzuza, O., García-Villalón, D., Tabarés, E., Gil-Fernández, C. & De Clercq, E.
Inhibition of African swine fever virus DNA synthesis by (S)-9-(3-hydroxy-2-phosphonylmethoxypropyl)adenine.
Biochem. Biophys. Res. Commun., 154: 27-32 (1988).
620. Ono, K., Nakane, H., Herdewijn, P., Balzarini, J. & De Clercq, E.
Inhibitory effects of various derivatives of azidothymidine triphosphate on reverse transcriptase and DNA polymerases.
Nucleic Acids Res., Symposium Series, no. 20: 5-6 (1988).
621. Maudgal, P.C. & De Clercq, E.
Bromovinyldeoxyuridine (BVDU) treatment of herpetic eye infections.
Proceedings of the Seventh Congress of the European Society of Ophthalmology, Lisbon, Portugal, 16-20 May 1988.
Excerpta Medica International Congress Series (No. 803), Ophthalmology Today, L.N. Ferraz de Oliveira (ed.).
Elsevier Science Publishers B.V. (Biomedical Division), Amsterdam, The Netherlands, pp. 589-591 (1988).
622. Griengl, H., Hayden, W., Penn, G., De Clercq, E. & Rosenwirth, B.
Phosphonoformate and -acetate derivatives of 5-substituted 2'-deoxyuridines: synthesis and antiviral activity.
J. Med. Chem., 31: 1831-1839 (1988).

623. Puech, F., Gosselin, G., Balzarini, J., De Clercq, E. & Imbach, J.-L.
Synthesis and biological evaluation of isomeric dinucleoside monophosphates and monomethylphosphonates of 9- β -D-arabinofuranosyladenine and related analogues.
J. Med. Chem., 31: 1897-1907 (1988).
624. Herdewijn, P., Balzarini, J., Baba, M., Pauwels, R., Van Aerschot, A., Janssen, G. & De Clercq, E.
Synthesis and anti-HIV activity of different sugar-modified pyrimidine and purine nucleosides.
J. Med. Chem., 31: 2040-2048 (1988).
625. Burns, N.J. III, Barnett, B.B., Huffman, J.H., Dawson, M.I., Sidwell, R.W., De Clercq, E. & Kende, M.
A newly developed immunofluorescent assay for determining the Pichinde virus-inhibitory effects of selected nucleoside analogues.
Antiviral Res., 10: 89-98 (1988).
626. Baba, M., Snoeck, R., Pauwels, R. & De Clercq, E.
Sulfated polysaccharides are potent and selective inhibitors of various enveloped viruses, including herpes simplex virus, cytomegalovirus, vesicular stomatitis virus, and human immunodeficiency virus.
Antimicrob. Agents Chemother., 32: 1742-1745 (1988).
627. Baba, M., Schols, D., Pauwels, R., Balzarini, J. & De Clercq, E.
Fuchsin acid selectively inhibits human immunodeficiency virus (HIV) replication *in vitro*.
Biochem. Biophys. Res. Commun., 155: 1404-1411 (1988).
628. Snoeck, R., Sakuma, T., De Clercq, E., Rosenberg, I. & Holý, A.
(*S*)-1-(3-Hydroxy-2-phosphonylmethoxypropyl)cytosine [(*S*)-HPMPC]: a potent and selective inhibitor of human cytomegalovirus replication.
Antimicrob. Agents Chemother., 32: 1839-1844 (1988).
629. Marquardt, H., Westendorf, J., Schaefer, A., Boldt, J., De Clercq, E. & Marquardt, H.
5-Substituted 2'-deoxyuridines: mutagenic and antimutagenic effects.
Arzneimittel-Forschung (Drug Research), 38: 1820-1824 (1988).
630. Holý, A., Rosenberg, I., Dvorakova, H. & De Clercq, E.
Synthesis and evaluation of acyclic nucleoside analogs.
Nucleosides & Nucleotides, 7: 667-670 (1988).
631. Balzarini, J. & De Clercq, E.
Differential mechanism of inhibitory action of (*E*)-5-(2-bromovinyl)-2'-deoxyuridine (BVDU) and related compounds in herpes simplex virus (HSV)-infected cells *versus* HSV thymidine kinase gene-transformed cells.
Mol. Cell. Biol. (Life Sci. Adv.), 7: 1-7 (1988).
632. De Clercq, E.
Perspectives for the chemotherapy of AIDS.
Chemioterapia, 7: 357-364 (1988).
633. Ito, M., Sato, A., Hirabayashi, K., Tanabe, F., Shigeta, S., Baba, M., De Clercq, E., Nakashima, H. & Yamamoto, N.
Mechanism of inhibitory effect of glycyrrhizin on replication of human immunodeficiency virus (HIV).
Antiviral Res., 10: 289-298 (1988).

634. Ameye, C., Sundmacher, R. & De Clercq, E.
Topical BVDU plus low-dosage steroids in the treatment of chronic relapsing zoster keratouveitis. A pilot study.
Graefe's Arch. Clin. Exp. Ophthalmol., 227: 118-122 (1989).
635. Holý, A., De Clercq, E. & Votruba, I.
Phosphonylmethyl ethers of nucleosides and their acyclic analogs.
In "Nucleotide Analogues as Antiviral Agents" (Proceedings of Symposium on Nucleoside Analogues as Antiviral Agents, 196th National Meeting of the ACS (American Chemical Society), Los Angeles, California, USA, 25-30 September 1988), J.C. Martin (ed.).
American Chemical Society, Washington D.C., pp. 51-71 (1989).
636. Baba, M., Pauwels, R., Balzarini, J., Desmyter, J. & De Clercq, E.
Antiviral activity of heparin and dextran sulfate against human immunodeficiency virus (HIV) *in vitro*.
Ann. N.Y. Acad. Sci., 556: 419-421 (1989).
637. Kaul, R., Hempel, B. & De Clercq, E.
Penetration und Wirksamkeit von Edoxudin *in vitro* und *in vivo*.
Arzneimittel-Forschung/Drug Research, 39: 366-368 (1989).
638. Hamoir, G., Sonveaux, E., Iigo, M. & De Clercq, E.
The cyclic dimer of 5-fluoro-2'-deoxyuridylic acid: a potent anticancer agent.
Nucleosides & Nucleotides, 8, 285-295 (1989).
639. De Clercq, E.
Antiviral agents: facts and prospects.
In: "Recent Advances in Infection", Number Three, D.S. Reeves & A.M. Geddes (eds.).
Churchill Livingstone, Edinburgh, London, Melbourne and New York, pp. 45-61 (1989).
640. Béres, J., Bentrude, W.G., Ötvös, L., Balzarini, J. & De Clercq, E.
Synthesis and cytostatic and antiviral activities of 1- β -D-ribofuranosyl-5-alkylcytosine (5-alkylcytidine)cyclic 3',5'-mono-phosphates.
J. Med. Chem., 32: 224-228 (1989).
641. Baraldi, P.G., Guarneri, M., Manfredini, S., Simoni, D., Balzarini, J. & De Clercq, E.
Synthesis and cytostatic activity of geiparvarin analogues.
J. Med. Chem., 32: 284-288 (1989).
642. De Clercq, E.
Therapeutische benadering van virusinfecties: Rol van S-adenosylhomocysteine hydrolase als doelwitenzym.
Tijdschr. Geneesk., 45: 93-98 (1989).
643. Balzarini, J., Naesens, L., Herdewijn, P., Rosenberg, I., Holý, A., Pauwels, R., Baba, M., Johns, D.G. & De Clercq, E.
Marked *in vivo* antiretrovirus activity of 9-(2-phosphonylmethoxyethyl)adenine, a selective anti-human immunodeficiency virus agent.
Proc. Natl. Acad. Sci. USA, 86: 332-336 (1989).
644. De Clercq, E.
Potential drugs for the treatment of AIDS.
J. Antimicrob. Chemother., 23 (Suppl. A): 35-46 (1989).
645. De Clercq, E., Holý, A. & Rosenberg, I.
Efficacy of phosphonylmethoxyalkyl derivatives of adenine in experimental herpes simplex virus and vaccinia virus infections *in vivo*.
Antimicrob. Agents Chemother., 33: 185-191 (1989).

646. Ito, M., Baba, M., Sato, A., Hirabayashi, K., Tanabe, F., Shigeta, S. & De Clercq, E. Tumor necrosis factor enhances replication of human immunodeficiency virus (HIV) *in vitro*. *Biochem. Biophys. Res. Commun.*, 158: 307-312 (1989).
647. Schols, D., Baba, M., Pauwels, R. & De Clercq, E. Flow cytometric method to demonstrate whether anti-HIV-1 agents inhibit virion binding to T4⁺ cells. *J. Acquir. Immun. Defic. Syndr.*, 2: 10-15 (1989).
648. De Clercq, E. Antiviral activity of new nucleoside and nucleotide analogues. *In* "Highlights of Modern Biochemistry (Proceedings of the 14th International Congress of Biochemistry", Prague, Czechoslovakia, 10-15 July 1988), A. Kotyk, J. Skoda, V. Paces & V. Kostka (eds.). VSP International Science Publishers, Zeist, The Netherlands, pp. 1527-1537 (1989).
649. Ito, M., Baba, M., Hirabayashi, K., Matsumoto, T., Suzuki, M., Suzuki, S., Shigeta, S. & De Clercq, E. In vitro activity of mannan sulfate, a novel sulfated polysaccharide, against human immunodeficiency virus type 1 and other enveloped viruses. *Eur. J. Clin. Microbiol. Infect. Dis.*, 8: 171-173 (1989).
650. Balzarini, J., Van Aerschot, A., Herdewijn, P. & De Clercq, E. 5-Chloro-substituted derivatives of 2',3'-didehydro-2',3'-dideoxyuridine, 3'-fluoro-2',3'-dideoxyuridine and 3'-azido-2',3'-dideoxyuridine as anti-HIV agents. *Biochem. Pharmacol.*, 38: 869-874 (1989).
651. Balzarini, J., Cools, M. & De Clercq, E. Estimation of the lipophilicity of anti-HIV nucleoside analogues by determination of the partition coefficient and retention time on a lichrospher 60 RP-8 HPLC column. *Biochem. Biophys. Res. Commun.*, 158: 413-422 (1989).
652. Cools, M. & De Clercq, E. Correlation between the antiviral activity of acyclic and carbocyclic adenosine analogues in murine L929 cells and their inhibitory effect on L929 cell S-adenosylhomocysteine hydrolase. *Biochem. Pharmacol.*, 38: 1061-1067 (1989).
653. Balzarini, J. & De Clercq, E. The antiviral activity of 9-β-D-arabinofuranosyladenine is enhanced by the 2',3'-dideoxyribose, the 2',3'-didehydro-2',3'-dideoxyribose and the 3'-azido-2',3'-dideoxyribose of 2,6-diaminopurine. *Biochem. Biophys. Res. Commun.*, 159: 61-67 (1989).
654. Bobek, M., An, S.-H., Skrincosky, D., De Clercq, E. & Bernacki, R.J. 2'-Fluorinated isonucleosides. 1. Synthesis and biological activity of some methyl 2'-deoxy-2'-fluoro-2'-pyrimidinyl-D-arabinopyranosides. *J. Med. Chem.*, 32: 799-807 (1989).
655. De Clercq, E. Molecular targets of chemotherapeutic agents against the human immunodeficiency virus. *Proceedings of the Bayer AG Centenary Symposium on Perspectives in Antiinfective Therapy*, Washington DC, USA, 31 August-3 September 1988. *In*: "Perspectives in Antiinfective Therapy", G.G. Jackson, H.D. Schlumberger and H.J. Zeiler (eds). *Current Topics in Infectious Diseases*, 2: 255-267 (1989).

656. De Clercq, E.
Activity of sulfated polysaccharides against the human immunodeficiency virus.
Proceedings of the Xth International Symposium on Medicinal Chemistry, Budapest, Hungary, 15-19 August 1988.
In: "Trends in Medicinal Chemistry '88", H. van der Goot, G. Domány, L. Pallos and H. Timmerman (eds.).
Elsevier Science Publishers B.V., Amsterdam, The Netherlands, pp. 729-742 (1989).
657. Belmans, M., Vrijens, I., Esmans, E.L., Dommissie, R.A., Lepoivre, J.A., Alderweireldt, F.C., Townsend, L.B., Wotring, L.L., Balzarini, J. & De Clercq, E.
Synthesis and biological evaluation of a series of substituted pyridine-C-nucleosides. Part V: 3-Chloro-4-(D-ribofuranosyl)-pyridine and 3-(D-ribofuranosyl)-2-pyridone.
Nucleosides & Nucleotides, 8: 307-315 (1989).
658. Debart, F., Perigaud, C., Gosselin, G., Mrani, D., Rayner, B., Le Ber, P., Auclair, C., Balzarini, J., De Clercq, E., Paoletti, C. & Imbach, J.-L.
Synthesis, DNA binding and biological evaluation of synthetic precursors and novel analogues of netropsin.
J. Med. Chem., 32: 1074-1083 (1989).
659. Baba, M., Pauwels, R., Balzarini, J., Schols, D. & De Clercq, E.
Coumermycin A₁ is a potent inhibitor of human immunodeficiency virus (HIV) replication *in vitro*.
Int. J. Exp. Clin. Chemother., 2: 15-20 (1989).
660. Ayisi, N.K., Sacks, S.L., De Clercq, E. & Carton, H.
Pharmacokinetic behavior of bromovinyldeoxyuridine in herpes encephalitis patients.
Int. J. Exp. Clin. Chemother., 2: 27-38 (1989).
661. Schols, D., Baba, M., Pauwels, R., Desmyter, J. & De Clercq, E.
Specific interaction of aurintricarboxylic acid with the human immunodeficiency virus/CD4 cell receptor.
Proc. Natl. Acad. Sci. USA, 86: 3322-3326 (1989).
662. De Clercq, E., Cools, M. & Balzarini, J.
Homocysteine potentiates the antiviral and cytostatic activity of those nucleoside analogues that are targeted at S-adenosylhomocysteine hydrolase.
Biochem. Pharmacol., 38: 1771-1778 (1989).
663. Iigo, M., Nishikata, K.-i., Nakajima, Y., Hoshi, A., Okudaira, N., Odagiri, H. & De Clercq, E.
Enhancing effect of bromovinyldeoxyuridine on antitumor activity of 5'-deoxy-5-fluorouridine against adenocarcinoma 755 in mice. Correlation with pharmacokinetics of plasma 5-fluorouracil levels.
Biochem. Pharmacol., 38: 1885-1889 (1989).
664. Bernaerts, R., Desgranges, C. & De Clercq, E.
(*E*)-5-(2-Bromovinyl)uridine requires phosphorylation by the herpes simplex virus (type 1)-induced thymidine kinase to express its antiviral activity.
Biochem. Pharmacol., 38: 1955-1961 (1989).
665. Baba, M., Schols, D., Nakashima, H., Pauwels, R., Parmentier, G., Meijer, D.K.F. & De Clercq, E.
Selective activity of several cholic acid derivatives against human immunodeficiency virus replication *in vitro*.
J. Acquir. Immun. Defic. Syndr., 2: 264-271 (1989).

666. Balzarini, J. & De Clercq, E.
Inhibitory effects of (*E*)-5-(2-bromovinyl)-2'-deoxyuridine (BVDU) and related compounds on herpes simplex virus (HSV)-infected cells and HSV thymidine kinase gene-transformed cells.
Meth. and Find. Exp. Clin. Pharmacol., 11: 379-389 (1989).
667. Balzarini, J., Herdewijn, P. & De Clercq, E.
Potentiating effect of ribavirin on the anti-retrovirus activity of 3'-azido-2,6-diaminopurine-2',3'-dideoxyriboside *in vitro* and *in vivo*.
Antiviral Res., 11: 161-172 (1989).
668. Balzarini, J., Herdewijn, P. & De Clercq, E.
Differential patterns of intracellular metabolism of 2',3'-didehydro-2',3'-dideoxythymidine and 3'-azido-2',3'-dideoxythymidine, two potent anti-human immunodeficiency virus compounds.
J. Biol. Chem., 264: 6127-6133 (1989).
669. De Clercq, E.
Viral DNA synthesis as target for the antiviral action of nucleoside analogues.
In "Antiviral Drugs, Basic and Therapeutic Aspects" (Proceedings of the International Symposium on "Basic and Therapeutic Aspects of Antiviral Drugs", Copanello (Catanzaro), Italy, 17-19 September 1987), R. Caliò & G. Nisticò (eds.).
Pythagora Press, Rome-Milan, Italy, pp. 47-72 (1989).
670. Balzarini, J., Van Aerschot, A., Pauwels, R., Baba, M., Schols, D., Herdewijn, P. & De Clercq, E.
5-Halogeno-3'-fluoro-2',3'-dideoxyuridines as inhibitors of human immunodeficiency virus (HIV): potent and selective anti-HIV activity of 3'-fluoro-2',3'-dideoxy-5-chlorouridine.
Mol. Pharmacol., 35: 571-577 (1989).
671. Ono, K., Nakane, H., Herdewijn, P., Balzarini, J. & De Clercq, E.
Differential inhibitory effects of several pyrimidine 2',3'-dideoxynucleoside 5'-triphosphates on the activities of reverse transcriptase and various cellular DNA polymerases.
Mol. Pharmacol., 35: 578-583 (1989).
672. Nakashima, H., Yoshida, O., Baba, M., De Clercq, E. & Yamamoto, N.
Anti-HIV activity of dextran sulphate as determined under different experimental conditions.
Antiviral Res., 11: 233-246 (1989).
673. De Clercq, E.
Antimicrobial agents: viral. Overview.
In "Current Opinion in Infectious Diseases" (Section Editor: E. De Clercq). Vol. 2, pp. 383-385 (1989).
674. De Clercq, E.
New promising inhibitors of the human immunodeficiency virus.
In "Current Opinion in Infectious Diseases" (Section Editor: E. De Clercq). Vol. 2, pp. 401-410 (1989).
675. De Clercq, E.
Perspectives for the chemotherapy of HIV infection.
American Foundation for AIDS Research, AIDS/HIV Experimental Treatment Directory, 3: 21-28 (1989).

676. Camarasa, M.-J., Diaz-Ortiz, A., Calvo-Mateo, A., De Las Heras, F.G., Balzarini, J. & De Clercq, E.
Synthesis and antiviral activity of 3'-C-cyano-3'-deoxynucleosides.
J. Med. Chem., 32: 1732-1738 (1989).
677. Van Aerschot, A., Herdewijn, P., Balzarini, J., Pauwels, R. & De Clercq, E.
3'-Fluoro-2',3'-dideoxy-5-chlorouridine: most selective anti-HIV-1 agent among a series of new 2'- and 3'-fluorinated 2',3'-dideoxynucleoside analogues.
J. Med. Chem., 32: 1743-1749 (1989).
678. Robins, M.J., Wood, S.G., Dalley, N.K., Herdewijn, P., Balzarini, J. & De Clercq, E.
Nucleic acid related compounds. 57. Synthesis, X-ray crystal structure, lipophilic partition properties, and antiretroviral activities of anomeric 3'-azido-2',3'-dideoxy-2,6-diaminopurine ribosides.
J. Med. Chem., 32: 1763-1768 (1989).
679. Balzarini, J., Baumgartner, H., Bodenteich, M., De Clercq, E. & Griengl, H.
Synthesis and antiviral activity of the enantiomeric forms of carba-5-iodo-2'-deoxyuridine and carba-(*E*)-5-(2-bromovinyl)-2'-deoxyuridine.
J. Med. Chem., 32: 1861-1865 (1989).
680. De Clercq, E., Cools, M., Balzarini, J., Marquez, V.E., Borcharding, D.R., Borchardt, R.T., Drach, J.C., Kitaoka, S. & Konno, T.
Broad-spectrum antiviral activities of neplanocin A, 3-deazaneplanocin A, and their 5'-nor derivatives.
Antimicrob. Agents Chemother., 33: 1291-1297 (1989).
681. De Clercq, E.
New acquisitions in the development of anti-HIV agents.
Antiviral Res., 12: 1-20 (1989).
682. De Clercq, E., Van Aerschot, A., Herdewijn, P., Baba, M., Pauwels, R. & Balzarini, J.
Anti-HIV-1 activity of 2',3'-dideoxynucleoside analogues: structure-activity relationship. Proceedings of the "Eighth International Round Table on Nucleosides, Nucleotides and their Biological Applications", Orange Beach, Alabama, USA, 2-5 October 1988.
Nucleosides & Nucleotides, 8: 659-671 (1989).
683. Camarasa, M.-J., Díaz-Ortíz, A., Calvo-Mateo, A., De las Heras, F.G., Balzarini, J. & De Clercq, E.
Synthesis and evaluation of antiviral activity of 3'-C-cyano-3'-deoxynucleosides. Proceedings of the "Eighth International Round Table on Nucleosides, Nucleotides and their Biological Applications", Orange Beach, Alabama, USA, 2-5 October 1988.
Nucleosides & Nucleotides, 8: 837-840 (1989).
684. Balzarini, J., Baumgartner, H., Bodenteich, M., De Clercq, E. & Griengl, H.
Synthesis and biological properties of (+)- and (-)-(*E*)-5-(2-bromovinyl)-2'-deoxy-1' α -carbauridine. Proceedings of the "Eighth International Round Table on Nucleosides, Nucleotides and their Biological Applications", Orange Beach, Alabama, USA, 2-5 October 1988.
Nucleosides & Nucleotides, 8: 855-858 (1989).
685. Alderweireldt, F.C., Vrijens, I., Esmans, E.L., Wotring, L.L., Townsend, L.B., Balzarini, J. & De Clercq, E.
Synthesis and biological evaluation of 2-carbamoyl-5-D-ribofuranosylpyridine. Proceedings of the "Eighth International Round Table on Nucleosides, Nucleotides and their Biological Applications", Orange Beach, Alabama, USA, 2-5 October 1988.
Nucleosides & Nucleotides, 8: 891-894 (1989).

686. Pauwels, R., Debyser, Z., Balzarini, J., Baba, M., Desmyter, J., Rayner, B., Morvan, F., Imbach, J.L. & De Clercq, E.
Alpha-oligodeoxynucleotides as inhibitors of HIV reverse transcriptase.
Proceedings of the "Eighth International Round Table on Nucleosides, Nucleotides and their Biological Applications", Orange Beach, Alabama, USA, 2-5 October 1988.
Nucleosides & Nucleotides, 8: 995-1000 (1989).
687. Van Aerschot, A., Balzarini, J., Pauwels, R., Kerremans, L., De Clercq, E. & Herdewijn, P.
Influence of fluorination of the sugar moiety on the anti-HIV-1 activity of 2',3'-dideoxynucleosides.
Proceedings of the "Eighth International Round Table on Nucleosides, Nucleotides and their Biological Applications", Orange Beach, Alabama, USA, 2-5 October 1988.
Nucleosides & Nucleotides, 8: 1121-1122 (1989).
688. Van Aerschot, A., Balzarini, J., De Clercq, E. & Herdewijn, P.
Synthesis of 3'-fluoro-3'-deoxyribonucleosides: anti-HIV-1 and cytostatic properties.
Proceedings of the "Eighth International Round Table on Nucleosides, Nucleotides and their Biological Applications", Orange Beach, Alabama, USA, 2-5 October 1988.
Nucleosides & Nucleotides, 8: 1123-1124 (1989).
689. Van Aerschot, A., Balzarini, J., Pauwels, R., Wigerinck, P., De Clercq, E. & Herdewijn, P.
Sugar- and base-modified 2',3'-dideoxynucleosides as potential anti-AIDS drugs.
Proceedings of the "Eighth International Round Table on Nucleosides, Nucleotides and their Biological Applications", Orange Beach, Alabama, USA, 2-5 October 1988.
Nucleosides & Nucleotides, 8: 1125-1126 (1989).
690. Herdewijn, P., Balzarini, J., Pauwels, R., Janssen, G., Van Aerschot, A. & De Clercq, E.
Synthesis and biological activity of the mono- and diamino analogues of 2'-deoxyadenosine, cordycepin, 9-(3-deoxy- β -D-*threo*-pentofuranosyl)adenine (a structural component of agrocin 84) and 9-(2-deoxy- β -D-*threo*-pentofuranosyl)adenine.
Nucleosides & Nucleotides, 8: 1231-1257 (1989).
691. Smith, M.S., Brian, E.L., De Clercq, E. & Pagano, J.S.
Susceptibility of human immunodeficiency virus type 1 replication *in vitro* to acyclic adenosine analogs and synergy of the analogs with 3'-azido-3'-deoxythymidine.
Antimicrob. Agents Chemother., 33: 1482-1486 (1989).
692. Schols, D., Pauwels, R., Baba, M., Desmyter, J. & De Clercq, E.
Syncytium formation and destruction of bystander CD4⁺ cells cocultured with T cells persistently infected with human immunodeficiency virus as demonstrated by flow cytometry.
J. Gen. Virol., 70: 2397-2408 (1989).
693. Van Bijsterveld, O.P., Meurs, P.J., De Clercq, E. & Maudgal, P.C.
Bromovinyldeoxyuridine and interferon treatment in ulcerative herpetic keratitis: a double masked study.
Brit. J. Ophthalmol., 73: 604-607 (1989).
694. Hosoya, M., Shigeta, S. & De Clercq, E.
Inhibitory effect of selected antiviral compounds on measles (SSPE) virus replication *in vitro*.
Antiviral Research, 12: 87-98 (1989).
695. Lown, J.W., Krowicki, K., Balzarini, J., Newman, R.A. & De Clercq, E.
Novel linked antiviral and antitumor agents related to netropsin and distamycin: synthesis and biological evaluation.
J. Med. Chem., 32: 2368-2375 (1989).

696. Van Aerschot, A., Herdewijn, P., Janssen, G., Cools, M. & De Clercq, E.
Synthesis and antiviral activity evaluation of 3'-fluoro-3'-deoxyribonucleosides: broad-spectrum antiviral activity of 3'-fluoro-3'-deoxyadenosine.
Antiviral Res., 12: 133-150 (1989).
697. De Clercq, E.
Inhibition of the replication of DNA viruses by phosphonylmethoxyalkylpurines and -pyrimidines.
In "Proceedings of the VIth Mediterranean Congress of Chemotherapy", Taormina - Giardini Naxos, Italy, 22-27 May 1988.
J. Chemother., Suppl. 4: 1074-1076 (1989).
698. Hasobe, M., McKee, J.G., Ishii, H., Cools, M., Borchardt, R.T. & De Clercq, E.
Elucidation of the mechanism by which homocysteine potentiates the anti-vaccinia virus effects of the S-adenosylhomocysteine hydrolase inhibitor 9-(*trans*-2',*trans*-3'-dihydroxycyclopent-4'-enyl)-adenine.
Mol. Pharmacol., 36: 490-496 (1989).
699. Bijlenga, G., Joubert, L. & De Clercq, E.
Isolement et caractères *in vitro* et *in vivo* d'un clone de virus sauvage de la maladie d'Aujeszky résistant à la bromovinyl-désoxyuridine.
Ann. Rech. Vét., 20: 259-267 (1989).
700. Gangemi, J.D., Cozens, R.M., De Clercq, E., Balzarini, J. & Hochkeppel, H.-K.
9-(2-Phosphonylmethoxyethyl)adenine in the treatment of murine acquired immunodeficiency disease and opportunistic herpes simplex virus infections.
Antimicrob. Agents Chemother., 33: 1864-1868 (1989).
701. De Clercq, E.
Development of new anti-HIV agents and elucidation of their mechanism of action.
In "AIDS 89-90: News and Views on Research and Control", G. de-Thé (ed.). McGraw-Hill, Paris, pp. 191-197 (1989).
702. Miyasaka, T., Tanaka, H., Baba, M., Hayakawa, H., Walker, R.T., Balzarini, J. & De Clercq, E.
A novel lead for specific anti-HIV-1 agents: 1-[(2-hydroxyethoxy)methyl]-6-(phenylthio)thymine.
J. Med. Chem., 32: 2507-2509 (1989).
703. Mul, Y.M., van Miltenburg, R.T., De Clercq, E. & van der Vliet, P.C.
Mechanism of inhibition of adenovirus DNA replication by the acyclic nucleoside triphosphate analogue (S)-HPMPApp: influence of the adenovirus DNA binding protein.
Nucleic Acids Res., 17: 8917-8929 (1989).
704. Burkhardt, H., Rosenthal, S., Rosenthal, H.-A., Karge, E. & De Clercq, E.
Treatment of bovine leukaemia virus-infected sheep with suramin: an animal model for the development of antiretroviral compounds.
Acta Virol., 33: 305-313 (1989).
705. Balzarini, J., Van Aerschot, A., Herdewijn, P. & De Clercq, E.
2',3'-Didehydro-2',3'-dideoxy-5-chlorocytidine is a selective anti-retrovirus agent.
Biochem. Biophys. Res. Commun., 164: 1190-1197 (1989).
706. Naesens, L., Balzarini, J., Rosenberg, I., Holý, A. & De Clercq, E.
9-(2-Phosphonylmethoxyethyl)-2,6-diaminopurine (PMEDAP): a novel agent with anti-human immunodeficiency virus activity *in vitro* and potent anti-Moloney murine sarcoma virus activity *in vivo*.
Eur. J. Clin. Microb. & Infect. Dis., 8: 1043-1047 (1989).

707. Ward, S.G., Taylor, R.C., Crowe, A.J., Balzarini, J. & De Clercq, E.
Assessment of the *in vitro* broad-spectrum antiviral activity of some selected antitumor organotin complexes.
Applied Organometallic Chemistry, 3: 431-436 (1989).
708. Herdewijn, P., Charubala, R., De Clercq, E. & Pfeleiderer, W.
Nucleotides. Part XXXII. Synthesis of 2'-5' connected oligonucleotides. Prodrugs for antiviral and antitumoral nucleosides.
Helvetica Chimica Acta, 72: 1739-1748 (1989).
709. Schols, D., Snoeck, R., Neyts, J. & De Clercq, E.
Detection of immediate early, early and late antigens of human cytomegalovirus by flow cytometry.
J. Virol. Methods, 26: 247-254 (1989).
710. Nakashima, H., Pauwels, R., Baba, M., Schols, D., Desmyter, J. & De Clercq, E.
Tetrazolium-based plaque assay for HIV-1 and HIV-2, and its use in the evaluation of antiviral compounds.
J. Virol. Methods, 26: 319-330 (1989).
711. Naesens, L., Balzarini, J. & De Clercq, E.
Antiretroviral activity and pharmacokinetics of 9-(2-phosphonylmethoxyethyl)adenine in mice.
In "Recent Advances in Chemotherapy" (Proceedings of the 16th International Congress of Chemotherapy, Jerusalem, Israel, 11-16 June 1989), E. Rubinstein and D. Adam (eds). E. Lewin-Epstein Ltd., Offset Printers, Jerusalem, Israel, pp. 467.1-467.2 (1989).
712. Szinai, I. & De Clercq, E.
Biotransformation of 5-(2-chloroethyl)-2'-deoxyuridine in male NMRI mice.
Drug Metabolism and Disposition, 17: 683-689 (1989).
713. De Clercq, E.
Chemotherapy of viral infections in immunocompromised patients.
Proceedings of the IVth Symposium on Clinical Microbiology, Monte Porzio Catone, Italy, 30 March-1 April 1989.
In "Microbiological, Chemotherapeutical and Immunological Problems in High Risk Patients", E. Garaci, G. Renzini, F. Filadoro, A.L. Goldstein & J. Verhoef (eds.). Serono Symposia Publications, Raven Press, New York, pp. 231-241 (1989).
714. Baba, M., Tanaka, H., De Clercq, E., Pauwels, R., Balzarini, J., Schols, D., Nakashima, H., Perno, C.-F., Walker, R.T. & Miyasaka, T.
Highly specific inhibition of human immunodeficiency virus type 1 by a novel 6-substituted acycloauridine derivative.
Biochem. Biophys. Res. Commun., 165: 1375-1381 (1989).
715. Balzarini, J., Matthes, E., Meeus, P., Johns, D.G. & De Clercq, E.
The antiretroviral and cytostatic activity, and metabolism of 3'-azido-2',3'-dideoxythymidine, 3'-fluoro-2',3'-dideoxythymidine and 2',3'-dideoxycytidine are highly cell type-dependent.
Proceedings of the Sixth International Symposium on Human Purine & Pyrimidine Metabolism, Hakone, Japan, 17-21 July 1988.
In: "Purine and Pyrimidine Metabolism in Man VI", part B, K. Mikanagi, K. Nishioka & W.N. Kelley (eds.). Plenum Publishing Corporation, New York, pp. 407-413 (1989).

716. Ward, S.G., Taylor, R.C., Köpf-Maier, P., Köpf, H., Balzarini, J. & De Clercq, E. Assessment of the *in vitro* broad-spectrum antiviral activity of some selected antitumor metallocene and metallocenium complexes. *Applied Organometallic Chemistry*, 3: 491-497 (1989).
717. Lesiak, K., De Clercq, E. & Torrence, P.F. Adducts of mannose 6-phosphate with 5-iodo-2'-deoxyuridine and 2-5A as potential antiviral agents. *Nucleosides & Nucleotides*, 8: 1387-1398 (1989).
718. Wigerinck, P., Van Aerschot, A., Claes, P., Balzarini, J., De Clercq, E. & Herdewijn, P. 3'-(1,2,3-Triazol-1-yl)-2',3'-dideoxythymidine and 3'-(1,2,3-triazol-1-yl)-2',3'-dideoxyuridine. *J. Heterocyclic Chem.*, 26: 1635-1642 (1989).
- 719.. Herdewijn, P., Van Aerschot, A., Balzarini, J. & De Clercq, E. 2',3'-Dideoxynucleoside analogues as inhibitors of human immunodeficiency virus. In: "New Methods in Drug Research", Vol. 3, A. Makriyannis (ed.). J.R. Prous Science Publishers, Barcelona, pp. 103-122 (1989).
720. Cools, M., Hasobe, M., De Clercq, E. & Borchardt, R.T. Mechanism of the synergistic antiviral and cytostatic activity of (RS)-3-(adenin-9-yl)-2-hydroxypropanoic acid isobutyl ester and D,L-homocysteine. *Biochem. Pharmacol.*, 39: 195-202 (1990).
721. De Clercq, E., Bernaerts, R., Shealy, Y.F. & Montgomery, J.A. Broad-spectrum antiviral activity of carbodine, the carbocyclic analogue of cytidine. *Biochem. Pharmacol.*, 39: 319-325 (1990).
722. Pauwels, R., Andries, K., Desmyter, J., Schols, D., Kukla, M.J., Breslin, H.J., Raeymaeckers, A., Van Gelder, J., Woestenborghs, R., Heykants, J., Schellekens, K., Janssen, M.A.C., De Clercq E. & Janssen, P.A.J. Potent and selective inhibition of HIV-1 replication *in vitro* by a novel series of TIBO derivatives. *Nature*, 343: 470-474 (1990).
723. Hiebl, J., Zbiral, E., Balzarini, J. & De Clercq, E. Synthesis, antiretrovirus effects, and phosphorylation kinetics of 3'-isocyano-3'-deoxythymidine and 3'-isocyano-2',3'-dideoxyuridine. *J. Med. Chem.*, 33: 845-848 (1990).
724. Wigerinck, P., Van Aerschot, A., Janssen, G., Claes, P., Balzarini, J., De Clercq, E. & Herdewijn, P. Synthesis and antiviral activity of 3'-heterocyclic substituted 2',3'-dideoxythymidines. *J. Med. Chem.*, 33: 868-873 (1990).
725. Ito, M., Baba, M., Mori, S., Hirabayashi, K., Sato, A., Shigeta, S. & De Clercq, E. Tumor necrosis factor antagonizes inhibitory effect of azidothymidine on human immunodeficiency virus (HIV) replication *in vitro*. *Biochem. Biophys. Res. Commun.*, 166: 1095-1101 (1990).
726. Baba, M., De Clercq, E., Schols, D., Pauwels, R., Snoeck, R., Van Boeckel, C., Van Dedem, G., Kraaijeveld, N., Hobbelen, P., Ottenheijm, H. & den Hollander, F. Novel sulfated polysaccharides: dissociation of anti-human immunodeficiency virus activity from antithrombin activity. *J. Infect. Dis.*, 161: 208-213 (1990).

727. Aduma, P.J., Gupta, S.V. & De Clercq, E.
Antiherpes virus activity and effect on deoxyribonucleoside triphosphate pools of (*E*)-5-(2-bromovinyl)-2'-deoxycytidine in combination with deaminase inhibitors.
Antiviral Res., 13: 111-126 (1990).
728. Kumar, A., Lewis, M., Shimizu, S.-I., Walker, R.T., Snoeck, R. & De Clercq, E.
E-5-(2-Chlorovinyl)-2'-deoxycytidine: synthesis and antiherpetic activity.
Antiviral Chemistry & Chemotherapy, 1: 35-40 (1990).
729. Witvrouw, M., Baba, M., Balzarini, J., Pauwels, R. & De Clercq, E.
Establishment of a bioassay to determine serum levels of dextran sulfate and pentosan polysulfate, two potent inhibitors of human immunodeficiency virus.
J. Acquir. Immun. Defic. Syndr., 3: 343-347 (1990).
730. Iigo, M., Nishikata, K.-i., Nakajima, Y., Szinai, I., Veres, Z., Szabolcs, A. & De Clercq, E.
Differential effects of 2,2'-anhydro-5-ethyluridine, a uridine phosphorylase inhibitor, on the antitumor activity of 5-fluorouridine and 5-fluoro-2'-deoxyuridine.
Biochem. Pharmacol., 39: 1247-1253 (1990).
731. Baba, M., Schols, D., Pauwels, R., Nakashima, H. & De Clercq, E.
Sulfated polysaccharides as potent inhibitors of HIV-induced syncytium formation: a new strategy towards AIDS chemotherapy.
J. Acquir. Immun. Defic. Syndr., 3: 493-499 (1990).
732. Schols, D., Pauwels, R., Desmyter, J. & De Clercq, E.
Dextran sulfate and other polyanionic anti-HIV compounds specifically interact with the viral gp120 glycoprotein expressed by T-cells persistently infected with HIV-1.
Virology, 175: 556-561 (1990).
733. De Clercq, E.
New developments in anti-AIDS drugs.
Proceedings of the 7th Noordwijkerhout-Camerino Symposium, Noordwijkerhout, The Netherlands, 5-8 September 1989.
In "Trends in Drug Research", V. Claassen (ed.). Elsevier Science Publishers B.V., Amsterdam, pp. 133-152 (1990).
734. Balzarini, J., Sobis, H., Naesens, L., Vandeputte, M. & De Clercq, E.
Inhibitory effects of 9-(2-phosphonylmethoxyethyl)adenine and 3'-azido-2',3'-dideoxythymidine on tumor development in mice inoculated intracerebrally with Moloney murine sarcoma virus.
Int. J. Cancer, 45: 486-489 (1990).
735. Balzarini, J., De Clercq, E., Baumgartner, H., Bodenteich, M. & Griengl, H.
Carbocyclic 5-iodo-2'-deoxyuridine (C-IDU) and carbocyclic (*E*)-5-(2-bromovinyl)-2'-deoxyuridine (C-BVDU) as unique examples of chiral molecules where the two enantiomeric forms are biologically active: interaction of the (+)- and (-)-enantiomers of C-IDU and C-BVDU with the thymidine kinase of herpes simplex virus type 1.
Mol. Pharmacol., 37: 395-401 (1990).
736. Balzarini, J., Bernaerts, R., Verbruggen, A. & De Clercq, E.
Role of the incorporation of (*E*)-5-(2-iodovinyl)-2'-deoxyuridine and its carbocyclic analogue into DNA of herpes simplex virus type 1-infected cells in the antiviral effects of these compounds.
Mol. Pharmacol., 37: 402-407 (1990).
737. De Clercq, E.
New acquisitions in the chemotherapy of viral infections.
Verh. K. Acad. Geneesk. Belg., 52: 69-99 (1990).

738. De Clercq, E.
Targets and strategies for the antiviral chemotherapy of AIDS.
Trends in Pharmacological Sciences (TIPS), 11: 198-205 (1990).
739. Baba, M., Schols, D., De Clercq, E., Pauwels, R., Nagy, M., Györgyi-Edelényi, J., Löw, L. & Görög, S.
Novel sulfated polymers as highly potent and selective inhibitors of human immunodeficiency virus (HIV) replication and giant cell formation.
Antimicrob. Agents Chemother., 34: 134-138 (1990).
740. De Clercq, E.
Perspectives for the chemotherapy of HIV infection: an introduction.
In "Design of Anti-AIDS Drugs" (Pharmacochemistry Library vol. 14), E. De Clercq (ed.). Elsevier Science Publishers B.V., Amsterdam, Oxford, New York and Tokyo, pp. 1-24 (1990).
741. Schols, D. & De Clercq, E.
HIV-CD4 interaction as target for anti-HIV agents.
In "Design of Anti-AIDS Drugs" (Pharmacochemistry Library vol. 14), E. De Clercq (ed.). Elsevier Science Publishers B.V., Amsterdam, Oxford, New York and Tokyo, pp. 63-84 (1990).
742. Baba, M. & De Clercq, E.
Sulfated polymers as inhibitors of HIV replication.
In "Design of Anti-AIDS Drugs" (Pharmacochemistry Library vol. 14), E. De Clercq (ed.). Elsevier Science Publishers B.V., Amsterdam, Oxford, New York and Tokyo, pp. 85-102 (1990).
743. Pauwels, R., Andries, K., Desmyter, J., Kukla, M.J., Heykants, J., De Clercq, E. & Jansen, P.A.J.
Potent and selective inhibition of HIV-1 replication in vitro by a novel series of tetrahydroimidazo-[4,5,1-jk][1,4]-benzodiazepin-2(1H)-one and -thione (TIBO) derivatives.
In "Design of Anti-AIDS Drugs" (Pharmacochemistry Library vol. 14), E. De Clercq (ed.). Elsevier Science Publishers B.V., Amsterdam, Oxford, New York and Tokyo, pp. 103-122 (1990).
744. Herdewijn, P. & De Clercq, E.
Dideoxynucleoside analogues as inhibitors of HIV replication.
In "Design of Anti-AIDS Drugs" (Pharmacochemistry Library vol. 14), E. De Clercq (ed.). Elsevier Science Publishers B.V., Amsterdam, Oxford, New York and Tokyo, pp. 141-174 (1990).
745. Balzarini, J. & De Clercq, E.
Acyclic and carbocyclic nucleoside analogues as inhibitors of HIV replication.
In "Design of Anti-AIDS Drugs" (Pharmacochemistry Library vol. 14), E. De Clercq (ed.). Elsevier Science Publishers B.V., Amsterdam, Oxford, New York and Tokyo, pp. 175-194 (1990).
746. Béres, J., Sági, G., Tömösközi, I., Gruber, L., Baits-Gács, E., Ötvös, L. & De Clercq, E.
Stereospecific synthesis and antiviral properties of different enantiomerically pure carbocyclic 2'-deoxyribonucleoside analogues derived from common chiral pools: (+)-(1R,5S)- and (-)-(1S,5R)-2-oxabicyclo[3.3.0]oct-6-en-3-one.
J. Med. Chem., 33: 1353-1360 (1990).
747. Farrow, S.N., Jones, A.S., Kumar, A., Walker, R.T., Balzarini, J. & De Clercq, E.
Synthesis and biological properties of novel phosphotriesters: a new approach to the introduction of biologically active nucleotides into cells.
J. Med. Chem., 33: 1400-1406 (1990).

748. Midoux, P., Negre, E., Roche, A.-C., Mayer, R., Monsigny, M., Balzarini, J., De Clercq, E., Mayer, E., Ghaffar, A. & Gangemi, J.D.
Drug targeting: anti-HSV-1 activity of mannosylated polymer-bound 9-(2-phosphonyl-methoxyethyl)adenine.
Biochem. Biophys. Res. Commun., 167: 1044-1049 (1990).
749. De Fazio, G., Alba, A.P.C., Vicente, M. & De Clercq, E.
Antiviral activity of S-adenosylhomocysteine hydrolase inhibitors against plant viruses.
Antiviral Res., 13: 219-226 (1990).
750. De Clercq, E.
Perspectives for the chemotherapy of AIDS.
Proceedings of the Fourth International Conference "To Live: Why", Pontificium Consilium de Apostolatu Pro Valetudinis Administris, Vatican City, 13-15 November 1989.
Dolentium Hominum, Vol. 5, No. 13 "Vivre, Pourquoi ? Le SIDA", Vatican City, pp. 107-117 (1990).
751. De Clercq, E.
Selective virus inhibitors.
Microbiologica, 13: 165-178 (1990).
752. Van Aerschot, A., Everaert, D., Balzarini, J., Augustyns, K., Jie, L., Janssen, G., Peeters, O., Blaton, N., De Ranter, C., De Clercq, E. & Herdewijn, P.
Synthesis and anti-HIV evaluation of 2',3'-dideoxyribo-5-chloropyrimidine analogues: reduced toxicity of 5-chlorinated 2',3'-dideoxynucleosides.
J. Med. Chem., 33: 1833-1839 (1990).
753. Egberink, H., Borst, M., Niphuis, H., Balzarini, J., Neu, H., Schellekens, H., De Clercq, E., Horzinek, M. & Koolen, M.
Suppression of feline immunodeficiency virus infection *in vivo* by 9-(2-phosphonomethoxyethyl)adenine.
Proc. Natl. Acad. Sci. USA, 87: 3087-3091 (1990).
754. Yokota, T., Konno, K., Chonan, E., Mochizuki, S., Kojima, K., Shigeta, S. & De Clercq, E.
Comparative activities of several nucleoside analogs against duck hepatitis B virus *in vitro*.
Antimicrob. Agents Chemother., 34: 1326-1330 (1990).
755. De Clercq, E., Bernaerts, R., Merta, A. & Rosenwirth, B.
Mechanism of action of 5-(2-chloroethyl)-2'-deoxyuridine, a selective inhibitor of herpes simplex virus replication.
Mol. Pharmacol., 37: 658-664 (1990).
756. Holý, A., Votruba, I., Merta, A., Cerny, J., Vesely, J., Vlach, J., Sediva, K., Rosenberg, I., Otmar, M., Hrebabecky, H., Travnicek, M., Vonka, V., Snoeck, R. & De Clercq, E.
Acyclic nucleotide analogues: synthesis, antiviral activity and inhibitory effects on some cellular and virus-encoded enzymes *in vitro*.
Antiviral Res., 13: 295-312 (1990).
757. Iigo, M., Miwa, M. & De Clercq, E.
Optimal treatment regimens for 5'-deoxy-5-fluorouridine, with or without (*E*)-5-(2-bromovinyl)-2'-deoxyuridine, against various tumors in mice.
Jpn. J. Cancer Res. (Gann), 81: 431-435 (1990).

758. Puech, F., Gosselin, G., Balzarini, J., Good, S.S., Rideout, J.L., De Clercq, E. & Imbach, J.-L.
Synthesis and biological evaluation of dinucleoside methylphosphonates of 3'-azido-2',3'-dideoxythymidine and 2',3'-dideoxycytidine.
Antiviral Res., 14: 11-24 (1990).
759. De Clercq, E.
Antivirale geneesmiddelen.
In "Algemene Farmacotherapie" (zesde druk), red. H. Wesseling & C. Neef. Bohn Stafleu Van Loghum, Houten/Antwerpen, pp. 794-801 (1990).
760. De Clercq, E.
The state of the art: chemotherapy of HIV infection.
AIDS/HIV Experimental Treatment Directory of the American Foundation for AIDS Research 1990, 4: 5-11 (1990).
761. Witvrouw, M., Baba, M., Balzarini, J., Pauwels, R. & De Clercq, E.
Establishment of a bioassay to determine serum levels of dextran sulfate, a potent inhibitor of human immunodeficiency virus.
In "Animal Models in AIDS" (Proceedings of the International TNO Meeting on Animal Models in AIDS, Maastricht, The Netherlands, 23-26 October 1989), H. Schellekens & M.C. Horzinek (eds.).
Elsevier Science Publishers B.V., Amsterdam, pp. 97-102 (1990).
762. Balzarini, J., Naesens, L., Slachmuylders, J., Niphuis, H., Rosenberg, I., Holý, A., Schellekens, H. & De Clercq, E.
Potent anti-simian immunodeficiency virus (SIV) activity and pharmacokinetics of 9-(2-phosphonylmethoxyethyl)adenine (PMEA) in rhesus monkeys.
In "Animal Models in AIDS" (Proceedings of the International TNO Meeting on Animal Models in AIDS, Maastricht, The Netherlands, 23-26 October 1989), H. Schellekens & M.C. Horzinek (eds.).
Elsevier Science Publishers B.V., Amsterdam, pp. 131-138 (1990).
763. Rosenthal, S., Burkhardt, H., Rosenthal, H.A., Karge, E. & De Clercq, E.
Bovine leukemia virus-infected sheep can serve as a model for the evaluation of antiretroviral compounds - the effect of treatment with suramin.
In "Animal Models in AIDS" (Proceedings of the International TNO Meeting on Animal Models in AIDS, Maastricht, The Netherlands, 23-26 October 1989), H. Schellekens & M.C. Horzinek (eds.).
Elsevier Science Publishers B.V., Amsterdam, pp. 265-273 (1990).
764. De Clercq, E.
Perspectives for the chemotherapy of AIDS.
In "Animal Models in AIDS" (Proceedings of the International TNO Meeting on Animal Models in AIDS, Maastricht, The Netherlands, 23-26 October 1989), H. Schellekens & M.C. Horzinek (eds.).
Elsevier Science Publishers B.V., Amsterdam, pp. 349-365 (1990).
765. Nakashima, H., Balzarini, J., Pauwels, R., Schols, D., Desmyter, J. & De Clercq, E.
Anti-HIV-1 activity of antiviral compounds, as quantitated by a focal immunoassay in CD4⁺ HeLa cells and a plaque assay in MT-4 cells.
J. Virol. Methods, 29: 197-208 (1990).
766. Snoeck, R., Lagneaux, L., Delforge, A., Bron, D., Van der Auwera, P., Stryckmans, P., Balzarini, J. & De Clercq, E.
Inhibitory effects of potent inhibitors of human immunodeficiency virus and cytomegalovirus on the growth of human granulocyte-macrophage progenitor cells *in vitro*.
Eur. J. Clin. Microbiol. Infect. Dis., 9: 615-619 (1990).

767. Szinai, I., Veres, Zs., Ganzler, K., Hegedus-Vajda, J. & De Clercq, E.
In vitro and *in vivo* metabolism of the anti-herpes agent 5-(2-chloroethyl)-2'-deoxyuridine. Proceedings of the Eighth International Bioanalytical Forum, Guildford, United Kingdom, 5-8 September 1989, E. Reid & I.D. Wilson (eds.). Royal Society of Chemistry, Cambridge, pp. 219-220 (1990).
768. Balzarini, J., Naesens, L. & De Clercq, E.
Anti-retrovirus activity of 9-(2-phosphonylmethoxyethyl)adenine (PMEA) *in vivo* increases when it is less frequently administered.
Int. J. Cancer, 46: 337-340 (1990).
769. Schols, D., Pauwels, R., Desmyter, J. & De Clercq, E.
Flow cytometric method to monitor the destruction of CD4⁺ cells following their fusion with HIV-infected cells.
Cytometry, 11: 736-743 (1990).
770. Kumar, A., Coe, P.L., Jones, A.S., Walker, R.T., Balzarini, J. & De Clercq, E.
Synthesis and biological evaluation of some cyclic phosphoramidate nucleoside derivatives.
J. Med. Chem., 33: 2368-2375 (1990).
771. Jie, L., Van Aerschot, A., Balzarini, J., Janssen, G., Busson, R., Hoogmartens, J., De Clercq, E. & Herdewijn, P.
5'-O-Phosphonomethyl-2',3'-dideoxynucleosides: synthesis and anti-HIV activity.
J. Med. Chem., 33: 2481-2487 (1990).
772. Neyts, J., Snoeck, R., Schols, D., Balzarini, J. & De Clercq, E.
Selective inhibition of human cytomegalovirus DNA synthesis by (S)-1-(3-hydroxy-2-phosphonylmethoxypropyl)cytosine [(S)-HPMPC] and 9-(1,3-dihydroxy-2-propoxymethyl)guanine (DHPG).
Virology, 179: 41-50 (1990).
773. Ali, Z., Qureshi, S., Shaw, G. & De Clercq, E.
Anthracyclinones. Part 5. Synthesis of some anthracyclinones and 4-hydroxyanthracyclinones containing a tertiary methyl carbinol function in ring A from D-glucose precursors.
J. Chem. Soc. Perkin I: 2627-2636 (1990).
774. Tanaka, H., Baba, M., Ubasawa, M., Takashima, H., Sekiya, K., Miyasaka, T., Nitta, I., Walker, R.T. & De Clercq, E.
The synthesis of novel 6-substituted acyclouridine derivatives which show specific inhibition of HIV-1.
The VIIIth Symposium on the Chemistry of Nucleic Acid Components, Bechyne Castle, Czechoslovakia, 17-21 September 1990.
Collect. Czech. Chem. Commun., 55: 89-92 (1990).
775. Mikhailopulo, I.A., Poopeiko, N.E., Pricota, T.I., Sivets, G.G., Balzarini, J. & De Clercq, E.
Synthesis and biological properties of 2'-substituted 2',3'-dideoxy-3'-fluoro-D-ribonucleosides.
The VIIIth Symposium on the Chemistry of Nucleic Acid Components, Bechyne Castle, Czechoslovakia, 17-21 September 1990.
Collect. Czech. Chem. Commun., 55: 97-100 (1990).
776. Dvoráková, H., Holý, A., Snoeck, R., Balzarini, J. & De Clercq, E.
Acyclic nucleoside and nucleotide analogues derived from 1-deaza and 3-deazaadenine.
The VIIIth Symposium on the Chemistry of Nucleic Acid Components, Bechyne Castle, Czechoslovakia, 17-21 September 1990.
Collect. Czech. Chem. Commun., 55: 113-116 (1990).

777. Van Aerschot, A., Jie, L., Balzarini, J., De Clercq, E. & Herdewijn, P.
5'-O-Phosphonomethyl-2',3'-dideoxynucleosides: synthesis and anti-HIV activity.
The VIIIth Symposium on the Chemistry of Nucleic Acid Components, Bechyne Castle,
Czechoslovakia, 17-21 September 1990.
Collect. Czech. Chem. Commun., 55: 129-132 (1990).
778. Cools, M. & De Clercq, E.
Influence of *S*-adenosylhomocysteine hydrolase inhibitors on *S*-adenosylhomocysteine
and *S*-adenosylmethionine pool levels in L929 cells.
Biochem. Pharmacol.: 40, 2259-2264 (1990).
779. Andrei, G. & De Clercq, E.
Inhibitory effect of selected antiviral compounds on arenavirus replication *in vitro*.
Antiviral Res., 14: 287-300 (1990).
780. Ono, K., Nakane, H. & De Clercq, E.
Potent inhibitory effects of the 5'-triphosphates of (*E*)-5-(2-bromovinyl)-2'-deoxyuridine
and (*E*)-5-(2-bromovinyl)-1- β -D-arabinofuranosyluracil on DNA polymerase γ .
Eur. J. Biochem., 190: 463-467 (1990).
781. Balzarini, J., Naesens, L., Robins, M.J. & De Clercq, E.
Potentiating effect of ribavirin on the *in vitro* and *in vivo* antiretrovirus activities of 2',3'-
dideoxyinosine and 2',3'-dideoxy-2,6-diaminopurine riboside.
J. Acquir. Immun. Defic. Syndr., 3: 1140-1147 (1990).
782. Menage, M.J., De Clercq, E., Van Lierde, A., Easty, V.S., Darville, J.M., Cook, S.D. &
Easty, D.L.
Antiviral drug sensitivity in ocular herpes simplex virus infection.
Brit. J. Ophthalmol., 74: 532-535 (1990).
783. Molema, G., Jansen, R.W., Pauwels, R., De Clercq, E. & Meijer, D.K.F.
Targeting of antiviral drugs to T₄-lymphocytes. Anti-HIV activity of neoglycoprotein-
AZTMP conjugates *in vitro*.
Biochem. Pharmacol., 40: 2603-2610 (1990).
784. Van Aerschot, A., Everaert, D., Gosselin, G., Peeters, O., Blaton, N., De Ranter, C.,
Imbach, J.-L., Balzarini, J., De Clercq, E. & Herdewijn, P.
2'-Azido-2',3'-dideoxythymidine: synthesis and crystal structure of a 2'-substituted dide-
oxynucleoside.
Antiviral Res., 14: 357-369 (1990).
785. Baba, M., E. De Clercq, S. Iida, H. Tanaka, I. Nitta, M. Ubasawa, H. Takashima, K.
Sekiya, K. Umezu, H. Nakashima, S. Shigeta, R.T. Walker & T. Miyasaka.
Anti-human immunodeficiency virus type 1 activities and pharmacokinetics of novel 6-
substituted acycloauridine derivatives.
Antimicrob. Agents Chemother., 34: 2358-2363 (1990).
786. De Clercq, E. & Andrei, G.M.
Perspectivas en la quimioterapia contra la infección causada por el virus de la inmunode-
ficiencia humana.
Adelantos en Microbiología y Enfermedades Infecciosas, 8: 29-44 (1990).
787. Balzarini, J. & De Clercq, E.
9- β -D-Arabinofuranosyladenine 5'-monophosphate (araAMP) is converted directly to its
antivirally active 5'-triphosphate form by 5-phosphoribosyl-1-pyrophosphate (PRPP)
synthetase.
Biochem. Biophys. Res. Commun., 173: 781-787 (1990).
788. De Clercq, E.

- Therapeutic potential of phosphonylmethoxyalkylpurines and -pyrimidines as antiviral agents.
Proceedings of the 9th International Symposium on Future Trends in Chemotherapy, Palexpo, Geneva, Switzerland, 26-28 March 1990.
Drugs Exptl. Clin. Res., 16: 319-326 (1990).
789. Schols, D., De Clercq, E., Balzarini, J., Baba, M., Witvrouw, M., Hosoya, M., Andrei, G., Snoeck, R., Neyts, J., Pauwels, R., Nagy, M., Györgyi-Edelényi, J., Machovich, R., Horváth, I., Löw, M. & Görög, S.
Sulphated polymers are potent and selective inhibitors of various enveloped viruses, including herpes simplex virus, cytomegalovirus, vesicular stomatitis virus, respiratory syncytial virus, and toga-, arena- and retroviruses.
Antiviral Chem. Chemother., 1: 233-240 (1990).
790. Iigo, M., Nishikata, K.-i., Nakajima, Y., Hoshi, A. & De Clercq, E.
Effect of (E)-5-(2-bromovinyl)-2'-deoxyuridine on life-span and 5-fluorouracil metabolism in mice with hepatic metastases.
Eur. J. Cancer, 26: 1089-1092 (1990).
791. Balzarini, J., Van Aerschot, A., Herdewijn, P. & De Clercq, E.
Potent and selective anti-HIV activity of 5-chloro-substituted derivatives of 3'-azido-2',3'-dideoxycytidine, 3'-fluoro-2',3'-dideoxycytidine, and 2',3'-didehydro-2',3'-dideoxycytidine.
Ann. N.Y. Acad. Sci., 616: 480-482 (1990).
792. Shigeta, S. & De Clercq, E.
Advances in the treatment of herpesvirus (herpes simplex virus and varicella-zoster virus) infections.
Drugs of Today, 26: 29-39 (1990).
793. Balzarini, J., Naesens, L., Slachmuylders, J., Niphuis, H., Rosenberg, I., Holý, A., Schellekens, H. & De Clercq, E.
9-(2-Phosphonylmethoxyethyl)adenine (PMEA) effectively inhibits retrovirus replication *in vitro* and simian immunodeficiency virus infection in rhesus monkeys.
AIDS, 5: 21-28 (1991).
794. Herranz, R., Castro-Pichel, J., García-López, M.T., Pérez, C., Balzarini, J. & De Clercq, E.
Synthesis of penicillamine- and cysteine-containing nucleoamino acids as potential antivirals and aminopeptidase B inhibitors.
J. Chem. Soc. Perkin Trans. I: 43-48 (1991).
795. Cushman, M., Wang, P., Chang, S.H., Wild, C., De Clercq, E., Schols, D., Goldman, M.E. and Bowen, J.A.
Preparation and anti-HIV activities of aurintricarboxylic acid fractions and analogues: direct correlation of antiviral potency with molecular weight.
J. Med. Chem., 34: 329-337 (1991).
796. Cushman, M., Kanamathareddy, S., De Clercq, E., Schols, D., Goldman, M.E. and Bowen, J.A.
Synthesis and anti-HIV activities of low molecular weight aurintricarboxylic acid fragments and related compounds.
J. Med. Chem., 34: 337-342 (1991).

797. Tanaka, H., Baba, M., Hayakawa, H., Sakamaki, T., Miyasaka, T., Ubasawa, M., Takashima, H., Sekiya, K., Nitta, I., Shigeta, S., Walker, R.T., Balzarini, J. & De Clercq, E. A new class of HIV-1-specific 6-substituted acyclouridine derivatives: synthesis and anti-HIV-1 activity of 5- or 6-substituted analogues of 1-[(2-hydroxyethoxy)methyl]-6-(phenylthio)thymine (HEPT). *J. Med. Chem.*, 34: 349-357 (1991).
798. Herranz, R., Castro-Pichel, J., García-López, M.T., Pérez, C., Balzarini, J. & De Clercq, E. Synthesis of 5'-N-(α -amino- β -mercaptoacyl)amino-5'-deoxynucleosides as potential antiviral compounds. *Arch. Pharm.*, 324: 497-500 (1991).
799. De Clercq, E. Basic approaches to anti-retroviral treatment. *J. Acquir. Immun. Defic. Syndr.*, 4: 207-218 (1991).
800. Yokota, T., Mochizuki, S., Konno, K., Mori, S., Shigeta, S. & De Clercq, E. Inhibitory effects of selected antiviral compounds on human hepatitis B virus DNA synthesis. *Antimicrob. Agents Chemother.*, 35: 394-397 (1991).
801. Shigeta, S., Konno, K., Baba, M., Yokota, T. & De Clercq, E. Comparative inhibitory effects of nucleoside analogues on different clinical isolates of human cytomegalovirus *in vitro*. *J. Infect. Dis.*, 163: 270-275 (1991).
802. Debyser, Z., Pauwels, R., Andries, K., Desmyter, J., Kukla, M., Janssen, P.A.J. & De Clercq, E. An antiviral target on reverse transcriptase of human immunodeficiency virus type 1 revealed by tetrahydroimidazo-[4,5,1-jk][1,4]benzodiazepin-2(1*H*)-one and -thione derivatives. *Proc. Natl. Acad. Sci. USA*, 88: 1451-1455 (1991).
803. Balzarini, J., Hao, Z., Herdewijn, P., Johns, D.G. & De Clercq, E. Intracellular metabolism and mechanism of anti-retrovirus action of 9-(2-phosphonyl-methoxyethyl)adenine, a potent anti-human immunodeficiency virus compound. *Proc. Natl. Acad. Sci. USA*, 88: 1499-1503 (1991).
804. Balzarini, J., Schols, D., Neyts, J., Van Damme, E., Peumans, W. & De Clercq, E. O -(1-3)- and O -(1-6)-D-mannose-specific plant lectins are markedly inhibitory to human immunodeficiency virus and cytomegalovirus infections *in vitro*. *Antimicrob. Agents Chemother.*, 35: 410-416 (1991).
805. Rao, K.E., Krowicki, K., Balzarini, J., De Clercq, E., Newman, R.A. & Lown, J.W. Novel linked antiviral and antitumor agents related to netropsin - 2: Synthesis and biological evaluation. *Actual. Chim. Thé.*, 18: 21-42 (1991).
806. De Clercq, E. Strategies in the development of selective antiviral agents. *Actual. Chim. Thé.*, 18: 133-144 (1991).
807. Kukla, M.J., Breslin, H.J., Pauwels, R., Fedde, C.L., Miranda, M., Scott, M.K., Sherrill, R.G., Raeymaekers, A., Van Gelder, J., Andries, K., Janssen, M.A.C., De Clercq, E. & Janssen, P.A.J. Synthesis and anti-HIV-1 activity of 4,5,6,7-tetrahydro-5-methylimidazo[4,5,1-*jk*][1,4]benzodiazepin-2(1*H*)-one (TIBO) derivatives. *J. Med. Chem.*, 34: 746-751 (1991).

808. De Clercq, E., Cools, M., Balzarini, J., Snoeck, R., Andrei, G., Hosoya, M., Shigeta, S., Ueda, T., Minakawa, N. & Matsuda, A.
Antiviral activities of 5-ethynyl-1- β -D-ribofuranosylimidazole-4-carboxamide and related compounds.
Antimicrob. Agents Chemother., 35: 679-684 (1991).
809. De Clercq, E. & Holý, A.
Efficacy of (*S*)-1-(3-hydroxy-2-phosphonylmethoxypropyl)cytosine in various models of herpes simplex virus infection in mice.
Antimicrob. Agents Chemother., 35: 701-706 (1991).
810. Preobrazhenskaya, M.N., Bakina, E.V., Povarov, L.S., Lazhko, E.I., Aleksandrova, L.G., Balzarini, J. & De Clercq, E.
Synthesis and cytostatic properties of daunorubicin derivatives, containing *N*-phenylthiourea or *N*-ethylthiourea moieties in the 3'-position.
J. Antibiotics, 44: 192-199 (1991).
811. Golankiewicz, B., Ostrowski, T., Boryski, J. & De Clercq, E.
Synthesis of acycloxyosine and acyclo-3-methylguanosine, as probes for some chemical and biological properties resulting from the N-3 substitution of guanosine and its analogues.
J. Chem. Soc. Perkin Trans. I: 589-593 (1991).
812. Baba, M., De Clercq, E., Tanaka, H., Ubasawa, M., Takashima, H., Sekiya, K., Nitta, I., Umezumi, K., Nakashima, H., Mori, S., Shigeta, S., Walker, R.T. & Miyasaka, T.
Potent and selective inhibition of human immunodeficiency virus type 1 (HIV-1) by 5-ethyl-6-phenylthiouracil derivatives through their interaction with the HIV-1 reverse transcriptase.
Proc. Natl. Acad. Sci. USA, 88: 2356-2360 (1991).
813. Shiraki, K., Vonka, V., De Clercq, E. & Rapp, F.
Selection of L cell sublines resistant to (*E*)-5-(2-bromovinyl)-2'-deoxyuridine.
Intervirology, 32: 228-233 (1991).
814. Tanaka, H., Baba, M., Ubasawa, M., Takashima, H., Sekiya, K., Nitta, I., Shigeta, S., Walker, R.T., De Clercq, E. & Miyasaka, T.
Synthesis and anti-HIV activity of 2-, 3-, and 4-substituted analogues of 1-[(2-hydroxyethoxy)methyl]-6-(phenylthio)thymine (HEPT).
J. Med. Chem., 34: 1394-1399 (1991).
815. Hiebl, J., Zbiral, E., Balzarini, J. & De Clercq, E.
Synthesis and antiretrovirus properties of 5'-isocyano-5'-deoxythymidine, 5'-isocyano-2',5'-dideoxyuridine, 3'-azido-5'-isocyano-3',5'-dideoxythymidine, and 3'-azido-5'-isocyano-2',3',5'-trideoxyuridine.
J. Med. Chem., 34: 1426-1430 (1991).
816. Tanaka, H., Baba, M., Saito, S., Miyasaka, T., Takashima, H., Sekiya, K., Ubasawa, M., Nitta, I., Walker, R.T., Nakashima, H. & De Clercq, E.
Specific anti-HIV-1 "acyclonucleosides" which cannot be phosphorylated: synthesis of some deoxy analogues of 1-[(2-hydroxyethoxy)methyl]-6-(phenylthio)thymine.
J. Med. Chem., 34: 1508-1511 (1991).
817. De Clercq, E., Murase, J. & Marquez, V.E.
Broad-spectrum antiviral and cytotoxic activity of cyclopentenylcytosine, a carbocyclic nucleoside targeted at CTP synthetase.
Biochem. Pharmacol., 41: 1821-1829 (1991).

818. Schols, D., De Clercq, E., Witvrouw, M., Nakashima, H., Snoeck, R., Pauwels, R., Van Schepdael, A. & Claes, P.
Sulphated cyclodextrins are potent anti-HIV agents acting synergistically with 2',3'-dideoxynucleoside analogues.
Antiviral Chem. Chemother., 2: 45-53 (1991).
819. Maudgal, P.C. & De Clercq, E.
Efficacy of 9-(2-phosphonylmethoxyethyl)adenine in the therapy of TK⁺ and TK⁻ herpes simplex virus experimental keratitis.
Current Eye Res., 10 (Suppl.): 139-142 (1991).
820. Maudgal, P.C. & De Clercq, E.
Bromovinyldeoxyuridine treatment of herpetic keratitis clinically resistant to other antiviral agents.
Current Eye Res., 10 (Suppl.): 193-199 (1991).
821. Debart, F., Gosselin, G., Rayner, B., Le Ber, P., Auclair, C., Balzarini, J., De Clercq, E., Paoletti, C. & Imbach, J.-L.
Synthesis, DNA binding properties and biological evaluation of novel oligo-*meta*-benzamides related to netropsin.
Eur. J. Med. Chem., 26: 261-271 (1991).
822. Snoeck, R. & De Clercq, E.
Drogues anti-VIH en cours de développement.
- *In "SIDA"*, S. Blanche, P.-M. Girard, C. Katlama, G. Pialoux & A.-G. Saimot (eds.). Doin Editeurs, Paris, France, pp. 349-357 (1991).
- Editions Techniques, *Encycl. Méd. Chir.*, Paris France, 25132 C¹⁰, 4 p. (1991).
823. Ito, M., Baba, M., Shigeta, S., De Clercq, E., Walker, R.T., Tanaka, H. & Miyasaka, T.
Synergistic inhibition of human immunodeficiency virus type 1 (HIV-1) replication *in vitro* by 1-[(2-hydroxyethoxy)methyl]-6-phenylthiothymine (HEPT) and recombinant alpha interferon.
Antiviral Res., 15: 323-330 (1991).
824. Herdewijn, P.A.M., Van Aerschot, A., Balzarini, J., De Clercq, E., Everaert, D.H., De Winter, H.L., Peeters, O.M., Blaton, N.M. & De Ranter, C.J.
3'-Fluoro- and 3'-azido-substituted 2',3'-dideoxynucleosides: structure-activity relationship.
Med. Chem. Res., 1: 9-19 (1991).
825. Wigerinck, P., Snoeck, R., Claes, P., De Clercq, E. & Herdewijn, P.
Synthesis and antiviral activity of 5-heteroaryl-substituted-2'-deoxyuridines.
J. Med. Chem., 34: 1767-1772 (1991).
826. Balzarini, J. & De Clercq, E.
5-Phosphoribosyl 1-pyrophosphate synthetase converts the acyclic nucleoside phosphonates 9-(3-hydroxy-2-phosphonylmethoxypropyl)adenine and 9-(2-phosphonylmethoxyethyl)adenine directly to their antivirally active diphosphate derivatives.
J. Biol. Chem., 266: 8686-8689 (1991).
827. de Vries, E., Stam, J.G., Franssen, F.F.J., Nieuwenhuijs, H., Chavalitshe-winkoon, P., De Clercq, E., Overdulve, J.P. & van der Vliet, P.C.
Inhibition of the growth of *Plasmodium falciparum* and *Plasmodium berghei* by the DNA polymerase inhibitor HPMPA.
Molec. Biochem. Parasitol., 47: 43-50 (1991).

828. Olsufyeva, E.N., Backinowsky, L.V., Preobrazhenskaya, M.N., Balzarini, J. & De Clercq, E.
New analogues of anthracycline antibiotics containing 2,3,6-trideoxy-3-amino-3-C-methyl-L-arabino-hexose (*L*-eremosamine).
Bioorganicheskaya Khimia, 17: 548-555 (1991).
Soviet Journal of Bioorganic Chemistry, 17: 316-322 (1991).
829. Balzarini, J., Holý, A., Jindrich, J., Dvorakova, H., Hao, Z., Snoeck, R., Herdewijn, P., Johns, D.G. & De Clercq, E.
9-[(2*RS*)-3-fluoro-2-phosphonylmethoxypropyl] derivatives of purines: a class of highly selective antiretroviral agents *in vitro* and *in vivo*.
Proc. Natl. Acad. Sci. USA, 88: 4961-4965 (1991).
830. Maudgal, P.C. & De Clercq, E.
(*S*)-1-(3-Hydroxy-2-phosphonylmethoxypropyl)cytosine in the therapy of thymidine kinase-positive and -deficient herpes simplex virus experimental keratitis.
Invest. Ophthalmol. Visual Sci., 32: 1816-1820 (1991).
831. Schols, D., Wutzler, P., Klöcking, R., Helbig, B. & De Clercq, E.
Selective inhibitory activity of polyhydroxycarboxylates derived from phenolic compounds against human immunodeficiency virus replication.
J. Acquir. Immun. Defic. Syndr., 4: 677-685 (1991).
832. Cools, M., Balzarini, J. & De Clercq, E.
Mechanism of antiviral and cytotoxic action of (\pm)-6' β -fluoroaristeromycin, a potent inhibitor of *S*-adenosylhomocysteine hydrolase.
Mol. Pharmacol., 39: 718-724 (1991).
833. Baba, M., De Clercq, E., Tanaka, H., Ubasawa, M., Takashima, H., Sekiya, K., Nitta, I., Umezu, K., Walker, R.T., Mori, S., Ito, M., Shigeta, S. & Miyasaka, T.
Highly potent and selective inhibition of human immunodeficiency virus type 1 by a novel series of 6-substituted acyclouridine derivatives.
Mol. Pharmacol., 39: 805-810 (1991).
834. Jansen, R.W., Molema, G., Pauwels, R., Schols, D., De Clercq, E. & Meijer, D.K.F.
Potent *in vitro* anti-human immunodeficiency virus-1 activity of modified human serum albumins.
Mol. Pharmacol., 39: 818-823 (1991).
835. Herdewijn, P., Van Aerschot, A., Balzarini, J. & De Clercq, E.
Synthesis of pyranose nucleosides.
Proceedings of the "Ninth International Round Table on Nucleosides, Nucleotides and their Biological Applications", Uppsala, Sweden, 29 July-3 August 1990.
Nucleosides & Nucleotides, 10: 119-127 (1991).
836. De Clercq, E.
Antiviral activity spectrum of nucleoside and nucleotide analogues.
Proceedings of the "Ninth International Round Table on Nucleosides, Nucleotides and their Biological Applications", Uppsala, Sweden, 29 July-3 August 1990.
Nucleosides & Nucleotides, 10: 167-180 (1991).
837. Tanaka, H., Baba, M., Hayakawa, H., Haraguchi, K., Miyasaka, T., Ubasawa, M., Takashima, H., Sekiya, K., Nitta, I., Walker, R.T. & De Clercq, E.
Lithiation of uracil nucleosides and its application to the synthesis of a new class of anti-HIV-1 acyclonucleosides.
Proceedings of the "Ninth International Round Table on Nucleosides, Nucleotides and their Biological Applications", Uppsala, Sweden, 29 July-3 August 1990.
Nucleosides & Nucleotides, 10: 397-400 (1991).

838. Komiotis, D., Delatre, S., Holt, L., Ollapally, A.P., Balzarini, J., De Clercq, E. & Iigo, M. Biological important nucleosides: a general method for the synthesis of unsaturated ketonucleosides of uracil and its analogs. Proceedings of the "Ninth International Round Table on Nucleosides, Nucleotides and their Biological Applications", Uppsala, Sweden, 29 July-3 August 1990. *Nucleosides & Nucleotides*, 10: 431-455 (1991).
839. Hiebl, J., Zbiral, E., Balzarini, J. & De Clercq, E. 2,3'-Anhydro-[1-(6'-O-benzoyl-2',5'-dideoxy- β -D-glucofuranosyl)thymine]. A versatile starting material for homonucleosides. Proceedings of the "Ninth International Round Table on Nucleosides, Nucleotides and their Biological Applications", Uppsala, Sweden, 29 July-3 August 1990. *Nucleosides & Nucleotides*, 10: 521-522 (1991).
840. Wigerinck, P., Van Aerschot, A., Kerremans, L., Balzarini, J., De Clercq, E., Claes, P. & Herdewijn, P. Synthesis of thymidine analogues with a cyanoimido substituent. Proceedings of the "Ninth International Round Table on Nucleosides, Nucleotides and their Biological Applications", Uppsala, Sweden, 29 July-3 August 1990. *Nucleosides & Nucleotides*, 10: 583-584 (1991).
841. Wigerinck, P., Van Aerschot, A., De Clercq, E. & Herdewijn, P. 5-(Thien-2-yl)-2'-deoxyuridine: a new and potent inhibitor of herpes simplex virus type 1 replication. Proceedings of the "Ninth International Round Table on Nucleosides, Nucleotides and their Biological Applications", Uppsala, Sweden, 29 July-3 August 1990. *Nucleosides & Nucleotides*, 10: 585-586 (1991).
842. Van Aerschot, A., Kerremans, L., Balzarini, J., De Clercq, E. & Herdewijn, P. Synthesis and anti-HIV activity of dideoxycytidine analogues containing a pyranose carbohydrate moiety. Proceedings of the "Ninth International Round Table on Nucleosides, Nucleotides and their Biological Applications", Uppsala, Sweden, 29 July-3 August 1990. *Nucleosides & Nucleotides*, 10: 589-590 (1991).
843. Van Aerschot, A., Balzarini, J., De Clercq, E. & Herdewijn, P. Synthesis and antiviral activity of 1,4-dioxane, 1,4-oxathiane and 1,4-morpholine nucleoside analogues. Proceedings of the "Ninth International Round Table on Nucleosides, Nucleotides and their Biological Applications", Uppsala, Sweden, 29 July-3 August 1990. *Nucleosides & Nucleotides*, 10: 591-592 (1991).
844. Polsterer, J.-P., Zbiral, E., Balzarini, J. & De Clercq, E. Synthesis of L-threo and D-erythro-apiofuranosylcytidines. Proceedings of the "Ninth International Round Table on Nucleosides, Nucleotides and their Biological Applications", Uppsala, Sweden, 29 July-3 August 1990. *Nucleosides & Nucleotides*, 10: 621-622 (1991).
845. Mikhailopulo, I.A., Poopeiko, N.E., Pricota, T.I., Sivets, G.G., Kvasnyuk, E.I., Balzarini, J. & De Clercq, E. Synthesis and antiviral and cytostatic properties of 3'-deoxy-3'-fluoro- and 2'-azido-3'-fluoro-2',3'-dideoxy-D-ribofuranosides of natural heterocyclic bases. *J. Med. Chem.*, 34: 2195-2202 (1991).
846. Joos, P.E., Esmans, E.L., Dommissie, R.A., Van Dongen, W., Lepoivre, J.A., Alderweireldt, F.C., Balzarini, J. & De Clercq, E. Synthesis and biological evaluation of 4-carbamoyl-2- β -D-ribofuranosylpyridine. *Nucleosides & Nucleotides*, 10: 883-894 (1991).

847. Baba, M., Ito, M., Shigeta, S., Tanaka, H., Miyasaka, T., Ubasawa, M., Umezu, K., Walker, R.T. & De Clercq, E.
Synergistic inhibition of human immunodeficiency virus type 1 replication by 5-ethyl-1-ethoxymethyl-6-(phenylthio)uracil (E-EPU) and azidothymidine in vitro.
Antimicrob. Agents Chemother., 35: 1430-1433 (1991).
848. Holý, A., Balzarini, J., Snoeck, R. & De Clercq, E.
Synthesis and antiviral evaluation of 9-(RS)-[2-phosphonylmethoxy-3-(2-propoxy)propyl]adenine.
Int. J. Purine & Pyrimidine Res., 2: 61-65 (1991).
849. Snoeck, R., Schols, D., Andrei, G., Neyts, J. & De Clercq, E.
Antiviral activity of anti-cytomegalovirus agents (HPMPC, HPMPA) assessed by a flow cytometric method and DNA hybridization technique.
Antiviral Res., 16: 1-9 (1991).
850. Gordon, Y.J., Romanowski, E., Araullo-Cruz, T., Seaberg, L., Erzurum, S., Tolman, R. & De Clercq, E.
Inhibitory effect of (S)-HPMPC, (S)-HPMPA, and 2'-nor-cyclic GMP on clinical ocular adenoviral isolates is serotype-dependent in vitro.
Antiviral Res., 16: 11-16 (1991).
851. Neyts, J., Snoeck, R., Balzarini, J. & De Clercq, E.
Particular characteristics of the anti-human cytomegalovirus activity of (S)-1-(3-hydroxy-2-phosphonylmethoxypropyl)cytosine (HPMPC) in vitro.
Antiviral Res., 16: 41-52 (1991).
852. Naesens, L., Balzarini, J. & De Clercq, E.
Single-dose administration of 9-(2-phosphonylmethoxyethyl)adenine (PMEA) and 9-(2-phosphonylmethoxyethyl)-2,6-diaminopurine (PMEDAP) in the prophylaxis of retrovirus infection in vivo.
Antiviral Res., 16: 53-64 (1991).
853. Del Gobbo, V., Foli, A., Balzarini, J., De Clercq, E., Balestra, E., Villani, N., Marini, S., Perno, C.F. & Calio, R.
Immunomodulatory activity of 9-(2-phosphonylmethoxyethyl)adenine (PMEA), a potent anti-HIV nucleotide analogue, on in vivo murine models.
Antiviral Res., 16: 65-75 (1991).
854. Maudgal, P.C. & De Clercq, E.
Effects of phosphonylmethoxyalkyl-purine and -pyrimidine derivatives on TK⁺ and TK⁻ HSV-1 keratitis in rabbits.
Antiviral Res., 16: 93-100 (1991).
855. De Castro, L.M., Kern, E.R., De Clercq, E., Ghaffar, A., Mayer, E.P., Vogt, P.E. & Gangemi, J.D.
Phosphonylmethoxyalkyl purine and pyrimidine derivatives for treatment of opportunistic cytomegalovirus and herpes simplex virus infections in murine AIDS.
Antiviral Res., 16: 101-114 (1991).
856. Palú, G., Stefanelli, S., Rassu, M., Parolin, C., Balzarini, J. & De Clercq, E.
Cellular uptake of phosphonylmethoxyalkylpurine derivatives.
Antiviral Res., 16: 115-119 (1991).
857. Balzarini, J., Perno, C.-F., Schols, D. & De Clercq, E.
Activity of acyclic nucleoside phosphonate analogues against human immunodeficiency virus in monocyte/macrophages and peripheral blood lymphocytes.
Biochem. Biophys. Res. Commun., 178: 329-335 (1991).

858. Atrazheva, E.D., Lukin, M.A., Jasko, M.V., Shushkova, T.V., Tarussova, N.B., Krayevsky, A.A., Balzarini, J. & De Clercq, E.
2',3'-O-Cyclic derivatives of ribonucleosides and their 5'-phosphonates: synthesis and anti-HIV activity.
Med. Chem. Res., 1: 155-165 (1991).
859. Balzarini, J., Lee, C.-K., Schols, D. & De Clercq, E.
1- β -D-Ribofuranosyl-1,2,4-triazole-3-carboxamide (ribavirin) and 5-ethynyl-1- β -D-ribofuranosylimidazole-4-carboxamide (EICAR) markedly potentiate the inhibitory effect of 2',3'-dideoxyinosine on human immunodeficiency virus in peripheral blood lymphocytes.
Biochem. Biophys. Res. Commun., 178: 563-569 (1991).
860. De Clercq, E.
Broad-spectrum anti-DNA virus and anti-retrovirus activity of phosphonylmethoxyalkylpurines and -pyrimidines.
Biochem. Pharmacol., 42: 963-972 (1991).
861. Boryski, J., Golankiewicz, B. & De Clercq, E.
Synthesis and antiviral activity of 3-substituted derivatives of 3,9-dihydro-9-oxo-5*H*-imidazo[1,2-*a*]purines, tricyclic analogues of acyclovir and ganciclovir.
J. Med. Chem., 34: 2380-2383 (1991).
862. Wigerinck, P., Pannecouque, C., Snoeck, R., Claes, P., De Clercq, E. & Herdewijn, P.
5-(5-Bromothien-2-yl)-2'-deoxyuridine and 5-(5-chlorothien-2-yl)-2'-deoxyuridine are equipotent to (*E*)-5-(2-bromovinyl)-2'-deoxyuridine in the inhibition of herpes simplex virus type I replication.
J. Med. Chem., 34: 2383-2389 (1991).
863. Vanderhaeghe, H., Herdewijn, P. & De Clercq, E.
15. Antibiotics and antiviral agents.
In "A Textbook of Drug Design and Development", P. Krosggaard-Larsen and H. Bundgaard (eds.).
Harwood Academic Publishers, London, pp. 531-605 (1991).
864. Lee, J.S., Mullaney, S., Bronson, R., Sharpe, A.H., Jaenisch, R., Balzarini, J., De Clercq, E. & Ruprecht, R.M.
Transplacental antiretroviral therapy with 9-(2-phosphonylmethoxyethyl)adenine is embryotoxic in transgenic mice.
J. Acquir. Immun. Defic. Syndr., 4: 833-838 (1991).
865. De Clercq, E.
Chemotherapy of viral infections.
In "Medical Microbiology", 3rd ed., S. Baron (ed.). Churchill Livingstone Inc., New York. pp. 695-703 (1991).
866. Witvrouw, M., Schols, D., Andrei, G., Snoeck, R., Hosoya, M., Pauwels, R., Balzarini, J. & De Clercq, E.
Antiviral activity of low-MW dextran sulphate (derived from dextran MW 1000) compared to dextran sulphate samples of higher MW.
Antiviral Chem. & Chemother., 2: 171-179 (1991).
867. Hebel, D., Kirk, K.L., Kinjo, J., Kovács, T., Lesiak, K., Balzarini, J., De Clercq, E. & Torrence, P.F.
Synthesis of a difluoromethylenephosphonate analogue of AZT 5'-triphosphate and its inhibition of HIV-1 reverse transcriptase.
Bioorganic Med. Chem. Lett., 1: 357-360 (1991).

868. Herdewijn, P., Van Aerschot, A., Busson, R., Claes, P. & De Clercq, E.
Synthesis of 2'-deoxy-2'-fluoro-D-arabinopyranosyl nucleosides and their 3',4'-seco analogues.
Nucleosides & Nucleotides, 10: 1525-1549 (1991).
869. De Vos, E., Esmans, E.L., Lepoivre, J.A., Alderweireldt, F.C., Dommissie, R.A., François, P., Touillaux, R., Balzarini, J. & De Clercq, E.
Synthesis and biological evaluation of 2-(2-deoxy-D-erythro-pent-1-enofuranosyl)pyridine C-nucleosides.
Nucleosides & Nucleotides, 10: 1573-1598 (1991).
870. Hosoya, M., Neyts, J., Yamamoto, N., Schols, D., Snoeck, R., Pauwels, R. & De Clercq, E.
Inhibitory effects of polycations on the replication of enveloped viruses (HIV, HSV, CMV, RSV, influenza A virus and togaviruses) *in vitro*.
Antiviral Chem. & Chemother., 2: 243-248 (1991).
871. Andrei, G., Snoeck, R. & De Clercq, E.
Infection of different human tumor cell lines by human cytomegalovirus.
Proceedings of the "3rd International Cytomegalovirus Workshop", Bologna, Italy, 11-14 June 1991.
In "Progress in Cytomegalovirus Research", M.P. Landini (ed.). Elsevier Science Publishers B.V., Amsterdam, The Netherlands, pp. 271-274 (1991).
872. Snoeck, R., Holý, A., Rosenberg, I. & De Clercq, E.
Inhibition of cytomegalovirus replication by acyclic nucleoside phosphonate analogues: structure-activity relationship.
Proceedings of the "3rd International Cytomegalovirus Workshop", Bologna, Italy, 11-14 June 1991.
In "Progress in Cytomegalovirus Research", M.P. Landini (ed.). Elsevier Science Publishers B.V., Amsterdam, The Netherlands, pp. 337-340 (1991).
873. Snoeck, R. & De Clercq, E.
(S)-1-(3-Hydroxy-2-phosphonylmethoxypropyl)cytosine (HPMPC), a potent and selective inhibitor of cytomegalovirus infection *in vitro* and *in vivo*.
Proc. 23rd Int. Course on Transplantation and Clinical Immunology, Lyon, France, June 3-5, 1991.
In "Transplantation and Clinical Immunology XXIII", J.L. Touraine *et al.* (eds.). Elsevier Science Publishers B.V., Amsterdam, The Netherlands, pp. 169-176 (1991).
874. Neyts, J., Snoeck, R., Schols, D., Himpens, B. & De Clercq, E.
Sensitive, reproducible and convenient fluorometric assay for the *in vitro* evaluation of anti-cytomegalovirus agents.
J. Virol. Methods, 35: 27-38 (1991).
875. De Clercq, E.
Huidige therapeutische mogelijkheden bij AIDS
Tijdschr. voor Geneeskunde, 47: 1559-1566 (1991).
876. Stals, F.S., De Clercq, E. & Bruggeman, C.A.
Comparative activity of (S)-1-(3-hydroxy-2-phosphonylmethoxypropyl)cytosine and 9-(1,3-dihydroxy-2-propoxymethyl)guanine against rat cytomegalovirus infection *in vitro* and *in vivo*.
Antimicrob. Agents Chemother., 35: 2262-2266 (1991).
877. Lin, J.-C., De Clercq, E. & Pagano, J.S.
Inhibitory effects of acyclic nucleoside phosphonate analogs, including (S)-1-(3-hydroxy-2-phosphonylmethoxypropyl)cytosine, on Epstein-Barr virus replication
Antimicrob. Agents Chemother., 35: 2440-2443 (1991).

878. Simoni, D., Manfredini, S., Aghazadeh Tabrizi, M., Bazzanini, R., Baraldi, P.G., Balzarini, J. & De Clercq, E.
Geiparvarin analogues. 2. Synthesis and cytostatic activity of 5-(4-arylbutadienyl)-3(2*H*)-furanones and of *N*-substituted 3-(4-oxo-2-furanyl)-2-buten-2-yl carbamates.
J. Med. Chem., 34: 3172-3176 (1991).
879. De Clercq, E.
Therapy for herpesvirus infections.
In "Current Opinion in Infectious Diseases, Antimicrobial Agents: Viral/Parasitic", R.G. Douglas Jr. & N. Clumeck (eds.), vol. 4, pp. 795-803. Current Science (1991).
880. De Clercq, E.
Overzicht van de antivirale geneesmiddelen gebruikt in de behandeling van herpesvirus-infecties.
In "Kliniek en Behandeling van Herpesvirus Infecties", P.J. van den Broek, R. van Furth & H.T. Weiland (eds.). Boerhaave Commissie voor Postacademisch Onderwijs in de Geneeskunde, Faculteit der Geneeskunde, Rijksuniversiteit Leiden, The Netherlands, pp. 29-43 (1991).
881. Hosoya, M., Balzarini, J., Shigeta, S. & De Clercq, E.
Differential inhibitory effects of sulfated polysaccharides and polymers on the replication of various myxoviruses and retroviruses, depending on the composition of the target amino acid sequences of the viral envelope glycoproteins.
Antimicrob. Agents Chemother., 35: 2515-2520 (1991).
882. Balzarini, J., Lee, C.-K., Herdewijn, P. & De Clercq, E.
Mechanism of the potentiating effect of ribavirin on the activity of 2',3'-dideoxyinosine (ddI) against human immunodeficiency virus.
J. Biol. Chem., 266: 21509-21514 (1991).
883. Kukla, M.J., Breslin, H.J., Diamond, C.J., Grous, P.P., Ho, C.Y., Miranda, M., Rodgers, J.D., Sherrill, R.G., De Clercq, E., Pauwels, R., Andries, K., Moens, L.J., Janssen, M.A.C. & Janssen, P.A.J.
Synthesis and anti-HIV-1 activity of 4,5,6,7-tetrahydro-5-methyl-imidazo[4,5,1-*jk*][1,4]benzodiazepin-2(1*H*)-one (TIBO) derivatives.
J. Med. Chem., 34, 3187-3197 (1991).
884. Shuto, S., Obara, T. & De Clercq, E.
New neplanocin analogues. Synthesis and antiviral activity of 6'-modified neplanocin A derivatives.
Nucleic Acids Symposium Series, 25: 7-8 (1991).
885. Holmes, H.C., Mahmood, N., Karpas, A., Petrik, J., Kinchington, D., O'Connor, T., Jeffries, D.J., Desmyter, J., De Clercq, E., Pauwels, R. & Hay, A.
Screening of compounds for activity against HIV: a collaborative study.
Antiviral Chem. Chemother., 2: 287-293 (1991).
886. Sági, J., Szabolcs, A., Ebinger, K., Ötvös, L., Balzarini, J. & De Clercq, E.
Effect of 2-amino substitution on the antiviral effects of 5-ethyl-2'-deoxyuridine and (*E*)-5-(2-bromovinyl)-2'-deoxyuridine and their incorporation into DNA.
Nucleosides & Nucleotides, 10: 1729-1742 (1991).
887. Mikhailopulo, I.A., Sivets, G.G., Pricota, T.I., Poopeiko, N.E., Balzarini, J. & De Clercq, E.
Synthesis and biological properties of 2-amino-3-fluoro-2,3-dideoxy-D-pentofuranosides of natural heterocyclic bases.
Nucleosides & Nucleotides, 10: 1743-1757 (1991).

888. Verberckmoes, F., Esmans, E.L., Dommissie, R.A., Lepoivre, J.A., Alderweireldt, F.C., Balzarini, J. & De Clercq, E.
Synthesis and biological evaluation of some D-xylofuranosylpyridine C-nucleosides.
Nucleosides & Nucleotides, 10: 1771-1787 (1991).
889. Kohda, K., Ukai, H., Balzarini, J., De Clercq, E. & Torrence, P.F.
Differential inhibition of retroviral reverse transcriptase by poly(2-fluoroadenylic acid), a template analogue.
AIDS, 5: 341 (1991).
890. Peters, M., Witvrouw, M., De Clercq, E. & Ruf, B.
Pharmacokinetics of intravenous pentosan polysulphate (HOE/BAY 946) in HIV-positive patients.
AIDS, 5: 1534-1535 (1991).
891. Mrani, D., Gosselin, G., Auclair, C., Balzarini, J., De Clercq, E., Paoletti, C. & Imbach, J.-L.
Synthesis, DNA binding, and biological activity of oxazolopyridocarbazole-netropsin hybrid molecules.
Eur. J. Med. Chem., 26: 481-488 (1991).
892. Andrei, G., Snoeck, R., Schols, D., Goubau, P., Desmyter, J. & De Clercq, E.
Comparative activity of selected antiviral compounds against clinical isolates of human cytomegalovirus.
Eur. J. Clin. Microbiol. Infect. Dis., 10: 1026-1033 (1991).
893. Korolev, A.M., Lazko, E.I., Preobrazhenskaya, M.N., Balzarini, J. & De Clercq, E.
Amides of anthracycline antibiotics and N-carboxymethylascorbigen.
Khim. Pharm. Zhurnal., 25: 42-45 (1991).
894. Nair, V., Buenger, G.S., Leonard, N.J., Balzarini, J. & De Clercq, E.
Synthesis of 2',3'-dideoxy-3-isoadenosine: a new structural analogue of the anti-HIV active compound, 2',3'-dideoxyadenosine.
J. Chem. Soc. Chem. Commun.: 1650-1651 (1991).
895. Balzarini, J. & De Clercq, E.
Conversion of acyclic nucleoside phosphonates to their diphosphate derivatives by 5-phosphoribosyl-1-pyrophosphate (PRPP) synthetase.
In "Purine and Pyrimidine Metabolism in Man VII", Part A, R.A. Harkness, G. Elion and N. Zöllner (eds.). Plenum Press, New York, pp. 29-32 (1991).
896. De Clercq, E.
Chemotherapy of the acquired immune deficiency syndrome (AIDS): non-nucleoside inhibitors of the human immunodeficiency virus type 1 reverse transcriptase.
Proceedings of the Satellite Meeting of the Fifth International Conference on Immunopharmacology, The International Symposium on Antivirals, Vaccines and Immunotherapy of HIV Infection, St. Petersburg, Florida, USA, 31 May-2 June 1991 (Eds. J.W. Hadden & M. Nonoyama).
Int. J. Immunopharmacol., 13, Suppl. 1: 83-89 (1991).
897. De Clercq, E.
Chemotherapy of the acquired immune deficiency syndrome (AIDS): acyclic nucleoside phosphonate analogues.
Proceedings of the Satellite Meeting of the Fifth International Conference on Immunopharmacology, The International Symposium on Antivirals, Vaccines and Immunotherapy of HIV Infection, St. Petersburg, Florida, USA, 31 May-2 June 1991 (Eds. J.W. Hadden & M. Nonoyama).
Int. J. Immunopharmacol., 13, Suppl. 1: 91-98 (1991).

898. Snoeck, R. & De Clercq, E.
Mécanismes d'action et de résistance aux agents antiviraux.
La Lettre de l'Infectiologie, 6: 507-510 (1991).
899. Debyser, Z., Pauwels, R., Andries, K., Desmyter, J., Engelborghs, Y., Janssen, P.A.J. & De Clercq, E.
Allosteric inhibition of human immunodeficiency virus type 1 reverse transcriptase by tetrahydroimidazo[4,5,1-*jk*][1,4]benzodiazepin-2(1*H*)-one and -thione compounds.
Mol. Pharmacol., 41: 203-208 (1992).
900. Shuto, S., Obara, T., Toriya, M., Hosoya, M., Snoeck, R., Andrei, G., Balzarini, J. & De Clercq, E.
New neplanocin analogues 1. Synthesis of 6'-modified neplanocin A derivatives as broad-spectrum antiviral agents.
J. Med. Chem., 35: 324-331 (1992).
901. Tanaka, H., Takashima, H., Ubasawa, M., Sekiya, K., Nitta, I., Baba, M., Shigeta, S., Walker, R.T., De Clercq, E. & Miyasaka, T.
Structure-activity relationships of 1-[(2-hydroxyethoxy)methyl]-6-(phenylthio)thymine analogues: effect of substitutions at the C-6 phenyl ring and at the C-5 position on anti-HIV-1 activity.
J. Med. Chem., 35: 337-345 (1992).
902. Schols, D., Pauwels, R., Witvrouw, M., Desmyter, J. & De Clercq, E.
Differential activity of polyanionic compounds and castanospermine against HIV replication and HIV-induced syncytium formation depending on virus strain and cell type.
Antiviral Chem. & Chemother., 3: 23-29 (1992).
903. Baba, M., Shigeta, S., Tanaka, H., Miyasaka, T., Ubasawa, M., Umezu, K., Walker, R.T., Pauwels, R. & De Clercq, E.
Highly potent and selective inhibition of HIV-1 replication by 6-phenylthiouracil derivatives.
Antiviral Res., 17: 245-264 (1992).
904. Perno, C.-F., Yarchoan, R., Balzarini, J., Bergamini, A., Milanese, G., Pauwels, R., De Clercq, E., Rocchi, G. & Calio, R.
Different pattern of activity of inhibitors of the human immunodeficiency virus in lymphocytes and monocyte/macrophages.
Antiviral Res., 17: 289-304 (1992).
905. De Clercq, E.
HIV inhibitors targeted at the reverse transcriptase.
AIDS Res. Human Retrovir., 8: 119-134 (1992).
906. Andrei, G., Snoeck, R., Goubau, P., Desmyter, J. & De Clercq, E.
Comparative activity of various compounds against clinical strains of herpes simplex virus.
Eur. J. Clin. Microbiol. Infect. Dis., 11: 143-151 (1992).
907. Dolnak, D.R., Munguia, D., Wiley, C.A., De Clercq, E., Bergeron-Lynn, G.L., Boscher, C., Connor, J.D., Sherwood, C., Capparelli, E., Armani, R. & Freeman, W.R.
Lack of retinal toxicity of the anticytomegalovirus drug (S)-1-(3-hydroxy-2-phosphonyl-methoxypropyl)cytosine.
Invest. Ophthalmol. Vis. Sci., 33: 1557-1563 (1992).
908. Shigeta, S., Mori, S., Baba, M., Hosoya, M., Mochizuki, N., Chiba, T. & De Clercq, E.
Inhibitory effect of pyridobenzoazoles on orthomyxo- and paramyxovirus replication *in vitro*.
Antiviral Chem. Chemother., 3: 171-177 (1992).

909. Vandendriessche, F., Snoeck, R., Janssen, G., Hoogmartens, J., Van Aerschot, A., De Clercq, E. & Herdewijn, P.
Synthesis and antiviral activity of acyclic nucleosides with a 3(*S*),5-dihydroxypentyl or 4(*R*)-methoxy-3(*S*),5-dihydroxypentyl side chain.
J. Med. Chem., 35: 1458-1465 (1992).
910. Naesens, L., Balzarini, J. & De Clercq, E.
Acyclic adenine nucleoside phosphonates in plasma determined by high-performance liquid chromatography with fluorescence detection.
Clin. Chem., 38: 480-485 (1992).
911. Shigeta, S., Mori, S., Baba, M., Ito, M., Honzumi, K., Nakamura, K., Oshitani, H., Numazaki, Y., Matsuda, A., Obara, T., Shuto, S. & De Clercq, E.
Antiviral activities of ribavirin, 5-ethynyl-1- β -D-ribofuranosylimidazole-4-carboxamide and 6'-(*R*)-6'-C-methyl-neplanocin A against several ortho- and paramyxoviruses.
Antimicrob. Agents Chemother., 36: 435-439 (1992).
912. Neyts, J., Balzarini, J., Naesens, L. & De Clercq, E.
Efficacy of (*S*)-1-(3-hydroxy-2-phosphonylmethoxypropyl)cytosine and 9-(1,3-dihydroxy-2-propoxymethyl)guanine for the treatment of murine cytomegalovirus infection in severe combined immunodeficiency mice.
J. Med. Virol., 37: 67-71 (1992).
913. Mohan, P., Schols, D., Baba, M. & De Clercq, E.
Sulfonic acid polymers as a new class of human immunodeficiency virus inhibitors.
Antiviral Res., 18: 139-150 (1992).
914. Balzarini, J., Perez-Perez, M.-J., San-Felix, A., Velazquez, S., Camarasa, M.-J. & De Clercq, E.
[2',5'-Bis-*O*-(*tert*-butyldimethylsilyl)]-3'-spiro-5"-[4"-amino-1",2"-oxathiole-2",2"-dioxide) (TSAO) derivatives of purine and pyrimidine nucleosides as potent and selective inhibitors of human immunodeficiency virus type 1.
Antimicrob. Agents Chemother., 36: 1073-1080 (1992).
915. De Vreese, K., Debyser, Z., Pauwels, R., Desmyter, J., De Clercq, E. & Anné, J.
Resistance of human immunodeficiency virus type 1 reverse transcriptase to TIBO derivatives induced by site-directed mutagenesis.
Virology, 188: 900-904 (1992).
916. Balzarini, J., Neyts, J., Schols, D., Hosoya, M., Van Damme, E., Peumans, W. & De Clercq, E.
The mannose-specific plant lectins from *Cymbidium* hybrid and *Epipactis helleborine* and the (*N*-acetylglucosamine)_n-specific plant lectin from *Urtica dioica* are potent and selective inhibitors of human immunodeficiency virus and cytomegalovirus replication *in vitro*.
Antiviral Res., 18: 191-207 (1992).
917. De Clercq, E.
Antivirale chemotherapie.
In "Medische Virologie" (vijfde, herziene druk), J.B. Wilterdink (red.). Bohn Stafleu Van Loghum, Houten, Antwerp, pp. 345-366 (1992).
918. Tanaka, H., Miyasaka, T., Sekiya, K., Takashima, H., Ubasawa, M., Nitta, I., Baba, M., Walker, R.T. & De Clercq, E.
Synthesis of some analogues of 1-[(2-hydroxyethoxy)methyl]-6-(phenylthio)thymine (HEPT) which have different types of acyclic structures.
Nucleosides & Nucleotides, 11: 447-456 (1992).

919. Shimizu, S.I., Balzarini, J., De Clercq, E. & Walker, R.T.
The synthesis and biological properties of some aryl bis(nucleosid-5'-yl)phosphates using nucleosides with proven anti-HIV activity.
Nucleosides & Nucleotides, 11: 583-594 (1992).
920. Debyser, Z., Pauwels, R., Andries, K. & De Clercq, E.
Specific HIV-1 reverse transcriptase inhibitors.
J. Enzyme Inhibition, 6: 47-53 (1992).
921. Pérez-Pérez, M.-J., San-Félix, A., Camarasa, M.-J., Balzarini, J. & De Clercq, E.
Synthesis of [1-[2',5'-bis-*O*-(*t*-butyldimethylsilyl)- β -D-xylo- and β -D-ribofuranosyl]thymine]-3'-spiro-5"-[4"-amino-1",2"-oxathiole-2",2"-dioxide] (TSAO). A novel type of specific anti-HIV agents.
Tetrahedron Letters, 33: 3029-3032 (1992).
922. Balzarini, J., Pérez-Pérez, M.-J., San-Félix, A., Schols, D., Perno, C.-F., Vandamme, A.-M., Camarasa, M.-J. & De Clercq, E.
2',5'-Bis-*O*-(*tert*-butyldimethylsilyl)-3'-spiro-5"--(4"-amino-1",2"-oxathiole-2",2"-dioxide)pyrimidine (TSAO) nucleoside analogues: highly selective inhibitors of human immunodeficiency virus type 1 that are targeted at the viral reverse transcriptase.
Proc. Natl. Acad. Sci. USA, 89: 4392-4396 (1992).
923. De Clercq, E., Walker, R.T. & Whale, R.F.
3'-*O*-Benzyl-(*E*)-5-(2-bromovinyl)-2'-deoxyuridine is active as an anti-herpes agent *in vivo* but not *in vitro*.
Med. Chem. Res., 2: 111-118 (1992).
924. Baraldi, P.G., Manfredini, S., Simoni, D., Aghazadeh Tabrizi, M., Balzarini, J. & De Clercq, E.
Geiparvarin analogues. 3. Synthesis and cytostatic activity of 3(2*H*)-furanone and 4,5-dihydro-3(2*H*)-furanone congeners of geiparvarin, containing a geraniol-like fragment in the side chain.
J. Med. Chem., 35: 1877-1882 (1992).
925. Neyts, J., Snoeck, R., Wutzler, P., Cushman, M., Klöcking, R., Helbig, B., Wang, P. & De Clercq, E.
Poly(hydroxy)carboxylates as selective inhibitors of cytomegalovirus and herpes simplex virus replication.
Antiviral Chem. & Chemother., 3: 215-222 (1992).
926. Debyser, Z., Pauwels, R., Baba, M., Desmyter, J. & De Clercq, E.
Common features in the interaction of tetrahydroimidazo[4,5,1-*jk*][1,4]benzodiazepin-2(1*H*)-one and -thione and 1-[(2-hydroxyethoxy)methyl]-6-(phenylthio)thymine derivatives with the human immunodeficiency virus type 1 reverse transcriptase.
Mol. Pharmacol., 41: 963-969 (1992).
927. Neyts, J., Snoeck, R., Schols, D., Balzarini, J., Esko, J.D., Van Schepdael, A. & De Clercq, E.
Sulfated polymers inhibit the interaction of human cytomegalovirus with cell surface heparan sulfate.
Virology, 189, 48-58 (1992).
928. Schols, D., Pauwels, R., Desmyter, J. & De Clercq, E.
Presence of class II histocompatibility DR proteins on the envelope of human immunodeficiency virus demonstrated by FACS analysis.
Virology, 189: 374-376 (1992).

929. De Clercq, E.
Novel molecular target for highly specific inhibitors of HIV-1 reverse transcriptase.
In "New Leads and Targets in Drug Research", P. Krosggaard-Larsen, S. Brogger Christensen & H. Kofod (eds.). Alfred Benzon Symposium 33. Munksgaard, Copenhagen, Denmark, pp. 81-95 (1992).
930. De Clercq, E.
New perspectives for the chemotherapy and chemoprophylaxis of AIDS (acquired immune deficiency syndrome).
Verh. K. Acad. Geneesk. Belg., 54: 57-89 (1992).
931. Patil, S.D., Koga, M., Schneller, S.W., Snoeck, R. & De Clercq, E.
(±)-Carbocyclic 5'-nor-2'-deoxyguanosine and related purine derivatives: synthesis and antiviral properties.
J. Med. Chem., 35: 2191-2195 (1992).
932. Robins, M.J., Samano, V., Zhang, W., Balzarini, J., De Clercq, E., Borchardt, R.T., Lee, Y. & Yuan, C.-S.
Nucleic acid related compounds. 74. Synthesis and biological activity of 2'(and 3')-deoxy-2'(and 3')-methylenenucleoside analogues that function as mechanism-based inhibitors of *S*-adenosyl-L-homocysteine hydrolase and/or ribonucleotide reductase.
J. Med. Chem., 35: 2283-2293 (1992).
933. Tolstikov, V.V., Preobrazhenskaya, M.N., Balzarini, J. & De Clercq, E.
Chemical modification of antibiotic streptonigrin: synthesis and properties of 2'-decarboxy-2'-aminostreptonigrin (streptonigrone-2'-imine).
J. Antibiotics, 45: 1002-1004 (1992).
934. Tolstikov, V.V., Holpne Kozlova, N.V., Oreshkina, T.D., Osipova, T.V., Preobrazhenskaya, M.N., Sztaricskai, F., Balzarini, J. & De Clercq, E.
Amides of antibiotic streptonigrin and amino dicarboxylic acids or aminosugars: synthesis and biological evaluation.
J. Antibiotics, 45: 1020-1025 (1992).
935. Fedorov, I.I., Kazmina, E.M., Novicov, N.A., Gurskaya, G.V., Bochkarev, A.V., Jasko, M.V., Victorova, L.S. Kukhanova, M.K., Krayevsky, A.A., Balzarini, J. & De Clercq, E.
Synthesis, structure and some biological properties of 3'-C-branched 2'-deoxythymidines and their 5'-phosphate derivatives.
Khimiko-Farmatsevticheskii Zhurnal, 26: 14-24 (1992)
936. Lim, B.B., Marquez, V.E., Dobyms, K.A., Cooney, D.A. & De Clercq, E.
Synthesis and biological study of the cyclopentenyl carbocyclic nucleoside analogue of 5-azacytidine.
Nucleosides & Nucleotides, 11: 1123-1135 (1992).
937. Debyser, Z., De Vreese, K., Pauwels, R., Yamamoto, N., Anné, J., De Clercq, E. & Desmyter, J.
Differential inhibitory effects of TIBO derivatives on different strains of simian immunodeficiency virus.
J. Gen. Virol., 73: 1799-1804 (1992).
938. De Clercq, E., Yamamoto, N., Pauwels, R., Baba, M., Schols, D., Nakashima, H., Balzarini, J., Debyser, Z., Murrer, B.A., Schwartz, D., Thornton, D., Bridger, G., Fricker, S., Henson, G., Abrams, M. & Picker, D.
Potent and selective inhibition of human immunodeficiency virus (HIV)-1 and HIV-2 replication by a class of bicyclams interacting with a viral uncoating event.
Proc. Natl. Acad. Sci. USA, 89: 5286-5290 (1992).

939. Camarasa, M.-J., Pérez-Pérez, M.-J., San-Félix, A., Balzarini, J. & De Clercq, E. 3'-Spiro nucleosides, a new class of specific human immunodeficiency virus type 1 inhibitors: synthesis and antiviral activity of [2',5'-bis-*O*-(*tert*-butyldimethylsilyl)- β -D-xylo- and -ribofuranose]-3'-spiro-5''-[4''-amino-1'',2''-oxathiole 2'',2''-dioxide] (TSAO) pyrimidine nucleosides. *J. Med. Chem.*, 35: 2721-2727 (1992).
940. Mullah, K.B., Rao, T.S., Balzarini, J., De Clercq, E. & Bentrude, W.G. Potential prodrug derivatives of 2',3'-didehydro-2',3'-dideoxynucleosides. Preparations and antiviral activities. *J. Med. Chem.*, 35: 2728-2735 (1992).
941. Pérez-Pérez, M.-J., San-Félix, A., Balzarini, J., De Clercq, E. & Camarasa, M.-J. TSAO analogues. Stereospecific synthesis and anti-HIV-1 activity of 1-[2',5'-bis-*O*-(*tert*-butyldimethylsilyl)- β -D-ribofuranosyl]-3'-spiro-5''-(4''-amino-1'',2''-oxathiole-2'',2''-dioxide)pyrimidine and pyrimidine-modified nucleosides. *J. Med. Chem.*, 35: 2988-2995 (1992).
942. Hiebl, J., Zbiral, E., Balzarini, J. & De Clercq, E. Side-chain derivatives of biologically active nucleosides. 1. Side-chain analogs of 3'-azido-3'-deoxythymidine (AZT). *J. Med. Chem.*, 35: 3016-3023 (1992).
943. Mrani, D., Gosselin, G., Bailly, C., Houssin, R., Rao, K.E., Zimmermann, J., Balzarini, J., De Clercq, E., Hénichart, J.-P., Imbach, J.-L. & Lown, J.W. Synthesis, determination of sequence selective DNA minor groove binding and biological evaluation of hybrid bithiazole-linked netropsin derivatives. *Eur. J. Med. Chem.*, 27: 331-344 (1992).
944. Debyser, Z., Vandamme, A.-M., Pauwels, R., Baba, M., Desmyter, J. & De Clercq, E. Kinetics of inhibition of endogenous human immunodeficiency virus type 1 reverse transcription by 2',3'-dideoxynucleoside 5'-triphosphate, tetrahydroimidazo-[4,5,1-*jk*][1,4]-benzodiazepin-2(1*H*)-thione, and 1-[(2-hydroxyethoxy)methyl]-6-(phenylthio)-thymine derivatives. *J. Biol. Chem.*, 267: 11769-11776 (1992).
945. Balzarini, J., Pérez-Pérez, M.-J., San-Félix, A., Camarasa, M.-J., Barr, P.J. & De Clercq, E. Kinetics of inhibition of human immunodeficiency virus type 1 (HIV-1) reverse transcriptase by the novel HIV-1-specific nucleoside analogue [2',5'-bis-*O*-(*tert*-butyldimethylsilyl)- β -D-ribofuranosyl]-3'-spiro-5''-(4''-amino-1'',2''-oxathiole-2'',2''-dioxide)thymine (TSAO-T). *J. Biol. Chem.*, 267: 11831-11838 (1992).
946. Van Maarseveen, J.H., Hermkens, P.H.H., De Clercq, E., Balzarini, J., Scheeren, H.W. and Kruse, C.G. Antiviral and antitumor structure-activity relationship studies on tetracyclic eudistomines. *J. Med. Chem.*, 35: 3223-3230 (1992).
947. Joos, P.E., Esmans, E.L., Dommissie, R.A., De Bruyn, A., Balzarini, J. & De Clercq, E. 123. Synthesis and biological evaluation of 2-(2-deoxy- β -D-ribofuranosyl)pyridine-4-carboxamide. *Helv. Chim. Acta*, 75: 1613-1620 (1992).
948. Pérez-Pérez, M.-J., Balzarini, J., Hosoya, M., De Clercq, E. & Camarasa, M.-J. Synthesis of adamantane spiro sulfones as potential antiviral agents. *Bioorganic Med. Chem. Lett.*, 2: 647-648 (1992).

949. de la Cruz, A., Elguero, J., Goya, P., Martinez, A., Gotor, V., Moris, F. & De Clercq, E. Synthesis and antiviral evaluation of new 4-quinolone acyclonucleosides. *J. Chem. Res. (S)*: 216-217; (M): 1682-1693 (1992).
950. Bergstrom, D.E., Mott, A.W., De Clercq, E., Balzarini, J. and Swartling, D.J. 3',3'-Difluoro-3'-deoxythymidine: comparison of anti-HIV activity to 3'-fluoro-3'-deoxythymidine. *J. Med. Chem.*, 35: 3369-3372 (1992).
951. Patil, S.D., Schneller, S.W., Hosoya, M., Snoeck, R., Andrei, G., Balzarini, J. & De Clercq, E. Synthesis and antiviral properties of (\pm)-5'-noraristeromycin and related purine carbocyclic nucleosides. A new lead for anti-human cytomegalovirus agent design. *J. Med. Chem.*, 35: 3372-3377 (1992).
952. Herdewijn, P., Kerremans, L., Snoeck, R., Van Aerschot, A., Esmans, E. & De Clercq, E. Synthesis and anti-herpes activity of 5-trifluorovinyl-2'-deoxyuridine. *Bioorganic Med. Chem. Lett.* 2: 1057-1062 (1992).
953. Naesens, L., Balzarini, J. & De Clercq, E. Pharmacokinetics in mice of the anti-retrovirus agent 9-(2-phosphonyl-methoxyethyl)adenine. *Drug Metab. Dispos.*, 20: 747-752 (1992).
954. Witvrouw, M., Schols, D., Andrei, G., Snoeck, R., Ikeda, S., Pauwels, R., Van Schepdael, A., Arnout, J., Claes, P., Desmyter, J. & De Clercq, E. New polyacetal polysulphate active against human immunodeficiency virus and other enveloped viruses. *Antiviral Chem. Chemother.*, 3: 351-360 (1992).
955. Ding, L., Balzarini, J., Schols, D., Meunier, B. & De Clercq, E. Anti-human immunodeficiency virus effects of cationic metalloporphyrin-ellipticine complexes. *Biochem. Pharmacol.*, 44: 1675-1679 (1992).
956. Tronchet, J.M.J., Iznaden, M., Barbalat-Rey, F., Dhimane, H., Ricca, A., Balzarini, J. & De Clercq, E. Isoxazolidine analogs of nucleosides. *Eur. J. Med. Chem.*, 27: 555-560 (1992).
957. Balzarini, J. & De Clercq, E. Assay method for monitoring the inhibitory effects of antimetabolites on the activity of inosinate dehydrogenase in intact human CEM lymphocyte cells. *Biochem. J.*, 287: 785-790 (1992).
958. Herdewijn, P. & De Clercq, E. Future applications of oligonucleotides in antiviral and antitumoral chemotherapy. *In "Medicinal Chemistry for the 21st Century"*, C.G. Wermuth (ed.). Blackwell Scientific Publishers, pp. 45-60 (1992).
959. Schols, D. & De Clercq, E. Anti-HIV agents interfering with the viral gp120-cellular CD4 interaction. Proceedings of the Third International Symposium on Molecular Aspects of Chemotherapy, Golansk, Poland, 19-21 June 1991. *In "Molecular Aspects of Chemotherapy"*, D. Shugar, W. Rode & E. Borowski (eds.). Polish Scientific Publishers PWN Ltd, Warszawa, Poland, pp. 187-208 (1992).

960. Neyts, J., Snoeck, R. & De Clercq, E.
Therapy for herpesvirus infections.
Current Opinion in Infectious Diseases, 5: 816-826 (1992).
961. Witvrouw, M., Pauwels, R., Vandamme, A.-M., Schols, D., Reymen, D., Yamamoto, N., Desmyter, J. & De Clercq, E.
Cell type-specific anti-human immunodeficiency virus type 1 activity of the transactivation inhibitor Ro5-3335.
Antimicrob. Agents Chemother., 36: 2628-2633 (1992).
962. Snoeck, R., Schols, D., Sadzot-Delvaux, C., Cloes, J.M., Andrei, G., De Clercq, E., Piette, J. & Rentier, B.
Flow cytometric method for the detection of gpI antigens of varicella zoster virus and evaluation of anti-VZV agents.
J. Virol. Methods, 38: 243-254 (1992).
963. De Clercq, E.
Human immunodeficiency virus inhibitors targeted at virus-cell fusion and/or viral uncoating.
Int. J. Immunotherapy, 8: 115-123 (1992).
964. De Clercq, E. & Snoeck, R.
a) Nouvelles acquisitions dans le domaine des antiviraux (anti-VIH).
J. Pharm. Belg., 47: 317-322 (1992).
b) Nieuwe ontwikkelingen op het gebied van antivirale (anti-HIV) middelen.
Farmaceutisch Tijdschrift voor België, 69: 202-206 (1992).
965. Baba, M., Debyser, Z., Shigeta, S. & De Clercq, E.
Highly potent and selective inhibition of human immunodeficiency virus type 1 (HIV-1) by the HIV-1-specific reverse transcriptase inhibitors.
Drugs of the Future, 17: 891-897 (1992).
966. Tanaka, H., Takashima, H., Ubasawa, M., Sekiya, K., Nitta, I., Baba, M., Shigeta, S., Walker, R.T., De Clercq, E. & Miyasaka, T.
Synthesis and antiviral activity of deoxy analogs of 1-[(2-hydroxyethoxy)methyl]-6-(phenylthio)thymine (HEPT) as potent and selective anti-HIV-1 agents.
J. Med. Chem., 35: 4713-4719 (1992).
967. Cushman, M., Wang, P., Stowell, J.G., Schols, D. & De Clercq, E.
Structural investigation and anti-HIV activities of high molecular weight ATA polymers
J. Org. Chem., 57: 7241-7248 (1992).
968. Snoeck, R., Andrei, G., Schols, D. & De Clercq, E.
Activity of different antiviral drug combinations against human cytomegalovirus replication *in vitro*.
Eur. J. Clin. Microbiol. Infect. Dis., 11: 1144-1155 (1992).
969. Fedorov, I.I., Kazmina, E.M., Novicov, N.A., Gurskaya, G.V., Bochkarev, A.V., Jasko, M.V., Victorova, L.S., Kukhanova, M.K., Balzarini, J., De Clercq, E. & Krayevsky, A.
3'-C-Branched 2'-deoxy-5-methyluridines: synthesis, enzyme inhibition, and antiviral properties
J. Med. Chem., 35: 4567-4575 (1992).
970. Serafinowski, P., Dorland, E., Harrap, K.R., Balzarini, J. & De Clercq, E.
Synthesis and antiviral activity of some new S-adenosyl-L-homocysteine derivatives.
J. Med. Chem., 35: 4576-4583 (1992).

971. Yamamoto, N., Schols, D., De Clercq, E., Debyser, Z., Pauwels, R., Balzarini, J., Nakashima, H., Baba, M., Hosoya, M., Snoeck, R., Neyts, J., Andrei, G., Murrer, B.A., Theobald, B., Bossard, G., Henson, G., Abrams, M. & Picker, D.
Mechanism of anti-human immunodeficiency virus action of polyoxometalates, a class of broad-spectrum antiviral agents.
Mol. Pharmacol., 42: 1109-1117 (1992).
972. Gordon, Y.J., Romanowski, E., Araullo-Cruz, T. & De Clercq, E.
Pretreatment with topical 0.1% (S)-1-(3-hydroxy-2-phosphonylmethoxypropyl)cytosine inhibits adenovirus type 5 replication in the New Zealand rabbit ocular model.
Cornea, 11: 529-533 (1992).
973. De Clercq, E.
La chimiothérapie du SIDA.
La Recherche, 23: 288-295 (1992).
974. Pauwels, R., Andries, K., Debyser, Z., Janssen, P.A.J., Kukla, M., Schols, D., Desmyter, J. & De Clercq, E.
TIBO derivatives: a new class of highly potent and specific inhibitors of HIV-1 replication.
Biochem. Soc. Trans., 20: 509-512 (1992).
975. Fedorov, I.I., Krayevsky, A.A., Balzarini, J. & De Clercq, E.
Adducts of 3'-azido-2',3'-dideoxythymidine 5'-phosphate or 5'-hydrogenphosphonate as inhibitors of retroviral cytopathicity and cell transformation in culture.
Molekulyarnaya Biologiya, 26: 1122-1127 (1992).
Mol. Biol., 26: 749-752 (1992).
976. Balzarini, J., Karlsson, A., Perez-Perez, M.-J., Vrang, L., Walbers, J., Zhang, H., Öberg, B., Vandamme, A.-M., Camarasa, M.-J. & De Clercq, E.
HIV-1-Specific reverse transcriptase inhibitors show differential activity against HIV-1 mutant strains containing different amino acid substitutions in the reverse transcriptase.
Virology, 192: 246-253 (1993).
977. Villalón, M.D.G., Gil-Fernández, C. & De Clercq, E.
Activity of several S-adenosylhomocysteine hydrolase inhibitors against African swine fever virus replication in Vero cells.
Antiviral Res., 20: 131-144 (1993).
978. Stals, F.S., Zeytinoglu, A., Havenith, M., De Clercq, E. & Bruggeman, C.A.
Rat cytomegalovirus-induced pneumonitis after allogeneic bone marrow transplantation: effective treatment with (S)-1-(3-hydroxy-2-phosphonylmethoxypropyl)cytosine.
Antimicrob. Agents Chemother., 37: 218-223 (1993).
979. Balzarini, J., Holý, A., Jindrich, J., Naesens, L., Snoeck, R., Schols, D. & De Clercq, E.
Differential antiherpesvirus and antiretrovirus effects of the (S) and (R) enantiomers of acyclic nucleoside phosphonates: potent and selective *in vitro* and *in vivo* antiretrovirus activities of (R)-9-(2-phosphonomethoxypropyl)-2,6-diaminopurine.
Antimicrob. Agents Chemother., 37: 332-338 (1993).
980. Naesens, L., Neyts, J., Balzarini, J., Holý, A., Rosenberg, I. & De Clercq, E.
Efficacy of oral 9-(2-phosphonylmethoxyethyl)-2,6-diaminopurine (PMEDAP) in the treatment of retrovirus and cytomegalovirus infections in mice.
J. Med. Virol., 39: 167-172 (1993).

981. Pauwels, R., Andries, K., Debyser, Z., Van Daele, P., Schols, D., Stoffels, P., De Vreese, K., Woestenborghs, R., Vandamme, A.-M., Janssen, C.G.M., Anné, J., Cauwenbergh, G., Desmyter, J., Heykants, J., Janssen, M.A.C., De Clercq, E. & Janssen, P.A.J.
Potent and highly selective human immunodeficiency virus type 1 (HIV-1) inhibition by a series of α -anilinophenylacetamide derivatives targeted at HIV-1 reverse transcriptase.
Proc. Natl. Acad. Sci. USA, 90: 1711-1715 (1993).
982. Balzarini, J., Velazquez, S., San-Felix, A., Karlsson, A., Perez-Perez, M.-J., Camarasa, M.-J. & De Clercq, E.
Human immunodeficiency virus type 1-specific [2',5'-bis-*O*-(*tert*-butyldimethylsilyl)- β -D-ribofuranosyl]-3'-spiro-5''-(4''-amino-1'',2''-oxathiole-2'',2''-dioxide)-purine analogues show a resistance spectrum that is different from that of the human immunodeficiency virus type 1-specific non-nucleoside analogues.
Mol. Pharmacol., 43: 109-114 (1993).
983. Chen, X., Siddiqi, S.M., Schneller, S.W., Snoeck, R., Balzarini, J. & De Clercq, E.
Synthesis and antiviral properties of carbocyclic 3'-oxa-2',3'-dideoxyguanosine and its 7-deazaguanosine analogue.
Antiviral Res., 20: 333-345 (1993).
984. Wigerinck, P., Kerremans, L., Claes, P., Snoeck, R., Maudgal, P.C., De Clercq, E. & Herdewijn, P.
Synthesis and antiviral activity of 5-thien-2-yl-2'-deoxyuridine analogues.
J. Med. Chem., 36: 538-543 (1993).
985. Maruyama, T., Hanai, Y., Sato, Y., Snoeck, R., Andrei, G., Hosoya, M., Balzarini, J. & De Clercq, E.
Synthesis and antiviral activity of carbocyclic oxetanocin analogues (C-OXT-A, C-OXT-G) and related compounds. II.
Chem. Pharm. Bull., 41: 516-521 (1993).
986. Siddiqi, S.M., Schneller, S.W., Ikeda, S., Snoeck, R., Andrei, G., Balzarini, J. & De Clercq, E.
S-Adenosyl-L-homocysteine hydrolase inhibitors as antiviral agents: 5'-deoxyaristeromycin.
Nucleosides & Nucleotides, 12: 185-198 (1993).
987. De Clercq, E. & Snoeck, R.
Inhibiteurs hautement spécifiques du virus de l'immunodéficience humaine de type I qui sont particulièrement ciblés au niveau de la transcriptase réverse.
Path. Biol., 41: 230-236 (1993).
988. Balzarini, J., Bohman, C. & De Clercq, E.
Differential mechanism of cytostatic effect of (*E*)-5-(2-bromovinyl)-2'-deoxyuridine, 9-(2,3-dihydroxy-2-propoxymethyl)-guanine, and other antiherpetic drugs on tumor cells transfected by the thymidine kinase gene of herpes simplex virus type 1 or type 2.
J. Biol. Chem., 268: 6332-6337 (1993).
989. De Clercq, E.
HIV-1-specific RT inhibitors: highly selective inhibitors of human immunodeficiency virus type 1 that are specifically targeted at the viral reverse transcriptase.
Med. Res. Rev., 13: 229-258 (1993).
990. Debyser, Z., De Vreese, K., Knops-Gerrits, P.P., Baekelandt, V., Bhikhabhai, R., Strandberg, B., Pauwels, R., Anné, J., Desmyter, J. & De Clercq, E.
Kinetics of different human immunodeficiency virus type 1 reverse transcriptases resistant to human immunodeficiency virus type 1-specific reverse transcriptase inhibitors.
Mol. Pharmacol., 43: 521-526 (1993).

991. Balzarini, J., Karlsson, A., Camarasa, M.-J. & De Clercq, E.
HIV-1 strains selected for resistance against one particular class of HIV-1-specific reverse transcriptase inhibitors may retain sensitivity to other classes of HIV-1-specific inhibitors. *Internat. Antiviral News*, 1: 66-68 (1993).
992. De Clercq, E.
Anti-HIV activity of sulfated polysaccharides.
Proceedings of the ACS Polysaccharide Symposium, 204th National Meeting of the American Chemical Society, Washington DC, USA, 23-28 August 1992.
In "Carbohydrates and Carbohydrate Polymers, Analysis, Biotechnology, Modification, Antiviral, Biomedical and Other Applications", M. Yalpani (ed.). ATL Press, Mt. Prospect, Illinois, pp. 87-100 (1993).
993. Neyts, J., Sobis, H., Snoeck, R., Vandeputte, M. & De Clercq, E.
Efficacy of (*S*)-1-(3-hydroxy-2-phosphonylmethoxypropyl)cytosine and 9-(1,3-dihydroxy-2-propoxymethyl)guanine in the treatment of intracerebral murine cytomegalovirus infections in immunocompetent and immunodeficient mice.
Eur. J. Clin. Microbiol. Infect. Dis., 12: 269-279 (1993).
994. de la Cruz, A., Elguero, J., Goya, P., Martinez, A. & De Clercq, E.
Synthesis and biological evaluation of 4-quinolone ribosides.
J. Chem. Soc. Perkin Trans. I, 845-849 (1993)
995. McGuigan, C., Pathirana, R.N., Balzarini, J. & De Clercq, E.
Intracellular delivery of bioactive AZT nucleotides by aryl phosphate derivatives of AZT.
J. Med. Chem., 36: 1048-1052 (1993).
996. Mikhailopulo, I.A., Zaitseva, G.V., Vaaks, E.V., Balzarini, J., De Clercq, E., Rosemeyer, H. & Seela, F.
Synthesis of 2'-azido-2',3'-didehydro-2',3'-dideoxythymidine.
Liebigs Ann. Chem., 1993: 513-519 (1993).
997. Siddiqi, S.M., Raissian, M., Schneller, S.W., Ikeda, S., Snoeck, R., Andrei, G., Balzarini, J. & De Clercq, E.
(±)-7-deazaaristeromycin lacking the hydroxymethyl substituent.
Bioorg. Med. Chem. Lett., 3: 663-666 (1993).
998. Heijntink, R.A., de Wilde, G.A., Kruining, J., Berk, L., Holý, A., Balzarini, J., De Clercq, E. & Schalm, S.W.
Antiviral activity of 9-(2-phosphonylmethoxyethyl)adenine (PMEA) on human and duck hepatitis B virus infection.
Antiviral Res., 21: 141-153 (1993).
999. Herdewijn, P., Balzarini, J. & De Clercq, E.
2',3'-Dideoxynucleoside analogues as anti-HIV agents.
In "Advances in Antiviral Drug Design", vol. 1, E. De Clercq (ed.). JAI Press Inc., Greenwich, Connecticut, pp. 233-318 (1993).
1000. Balzarini, J., Karlsson, A., Vandamme, A.-M., Pérez-Pérez, M.-J., Vrang, L., Öberg, B., Bäckbro, K., Unge, T., San-Félix, A., Velazquez, S., Camarasa, M.-J. & De Clercq, E.
Human immunodeficiency virus type 1 (HIV-1) strains selected for resistance against the HIV-1-specific [2',5'-bis-*O*-(*tert*-butyldimethylsilyl)-3'-spiro-5''-(4''-amino-1'',2''-oxathiole-2'',2''-dioxide)]-β-D-pentofuranosyl (TSAO) nucleoside analogues retain sensitivity to HIV-1-specific nonnucleoside inhibitors.
Proc. Natl. Acad. Sci. USA, 90: 6952-6956 (1993).

1001. Balzarini, J., Pérez-Pérez, M.-J., San-Félix, A., Velázquez, S., Camarasa, M.-J., Vandamme, A.-M., Karlsson, A. & De Clercq, E.
TSAO derivatives: a novel class of HIV-1-specific inhibitors.
Proceedings of the "3rd International Symposium on the Chemical Synthesis of Antibiotics and Related Microbial Products", Kloster Banz, Germany, 20-25 September 1992.
In "Antibiotics and Antiviral Compounds. Chemical Synthesis and Modification", K. Krohn, H. Kirst & H. Maas (eds.). VCH Verlagsgesellschaft mbH, Weinheim, Germany, pp. 403-420 (1993).
1002. Neyts, J., Stals, F., Bruggeman, C. & De Clercq, E.
Activity of the anti-HIV agent 9-(2-phosphonylmethoxyethyl)-2,6-diaminopurine against cytomegalovirus *in vitro* and *in vivo*.
Eur. J. Clin. Microbiol. Infect. Dis., 12: 437-446 (1993).
1003. Baba, M., Yuasa, S., Niwa, T., Yamamoto, M., Yabuuchi, S., Takashima, H., Ubasawa, M., Tanaka, H., Miyasaka, T., Walker, R.T., Balzarini, J., De Clercq, E. & Shigeta, S.
Effect of human serum on the *in vitro* anti-HIV-1 activity of 1-[(2-hydroxyethoxy)methyl]-6-(phenylthio)thymine (HEPT) derivatives as related to their lipophilicity and serum protein binding.
Biochem. Pharmacol., 45: 2507-2512 (1993).
1004. Verheggen, I., Van Aerschot, A., Toppet, S., Snoeck, R., Janssen, G., Claes, P., Balzarini, J., De Clercq, E. & Herdewijn, P.
Synthesis and antiherpes virus activity of 1,5-anhydrohexitol nucleosides.
J. Med. Chem., 36: 2033-2040 (1993).
1005. Ikeda, S., Neyts, J., Matsuura, M., Kiso, M., Hasegawa, A., Nishimura, C. & De Clercq, E.
Protective activity of the lipid A analogue GLA-60 against murine cytomegalovirus infection in immunodeficient mice.
J. Gen. Virol., 74: 1399-1403 (1993).
1006. De Clercq, E.
Therapeutic potential of HPMPC as an antiviral drug.
Rev. Med. Virol., 3: 85-96 (1993).
1007. Snoeck, R., Andrei, G., Neyts, J., Schols, D., Cools, M., Balzarini, J. & De Clercq, E.
Inhibitory activity of *S*-adenosylhomocysteine hydrolase inhibitors against human cytomegalovirus replication.
Antiviral Res., 21: 197-216 (1993).
1008. Baba, M., Schols, D., Mohan, P., De Clercq, E. & Shigeta, S.
Inhibition of HIV-1-induced cytopathogenicity, syncytium formation, and virus-cell binding by naphthalenedisulphonic acids through interaction with the viral envelope gp120 glycoprotein.
Antiviral Chem. Chemother., 4: 229-234 (1993).
1009. Ikeda, S., Neyts, J., Matsuura, M., Kiso, M., Hasegawa, A., Nishimura, C. & De Clercq, E.
Protective activity of lipid A analogue GLA-60 against murine cytomegalovirus infection in mice.
J. Med. Virol., 40: 222-227 (1993).
1010. Balzarini, J., Naesens, L., Bohman, C., Pérez-Pérez, M.-J., San-Félix, A., Camarasa, M.-J. & De Clercq, E.
Metabolism and pharmacokinetics of the anti-HIV-1-specific inhibitor [1-[2',5'-bis-*O*-(*tert*-butyldimethylsilyl)- β -D-ribofuranosyl]-3-*N*-methyl-thymine]-3'-spiro-5''-(4''-amino-1'',2''-oxathiole-2'',2''-dioxide) (TSAO-m³T).
Biochem. Pharmacol., 46: 69-77 (1993).

1011. De Clercq, E.
Antivirals for the treatment of herpesvirus infections.
J. Antimicrob. Chemother., 32, Suppl. A: 121-132 (1993).
1012. Andrei, G. & De Clercq, E.
Molecular approaches for the treatment of hemorrhagic fever virus infections.
Antiviral Res., 22: 45-75 (1993).
1013. Lagneaux, L., Delforge, A., Snoeck, R., De Clercq, E., Stryckmans, P. & Bron, D.
Perturbation of cytokine production by bone marrow stromal cells after cytomegalovirus infection.
Proceedings of the 4th International CMV Conference on "Multi-Disciplinary Approach to Understanding Cytomegalovirus Disease", Institut Pasteur, Paris, France, 18-21 April 1993.
In "Multidisciplinary Approach to Understanding Cytomegalovirus Disease", S. Michelson and S.A. Plotkin (eds.). Elsevier Science Publisher, Amsterdam, pp. 111-116 (1993).
1014. Snoeck, R., Neyts, J. & De Clercq, E.
Strategies for the treatment of cytomegalovirus infections.
Proceedings of the 4th International CMV Conference on "Multi-Disciplinary Approach to Understanding Cytomegalovirus Disease", Institut Pasteur, Paris, France, 18-21 April 1993.
In "Multidisciplinary Approach to Understanding Cytomegalovirus Disease", S. Michelson and S.A. Plotkin (eds.). Elsevier Science Publisher, Amsterdam, pp. 269-278 (1993).
1015. Neyts, J., Stals, F., Atherton, S., Persoons, M., Bruggeman, C. & De Clercq, E.
Efficacy of HPMPC in the treatment of CMV infections in various animal models.
Proceedings of the 4th International CMV Conference on "Multi-Disciplinary Approach to Understanding Cytomegalovirus Disease", Institut Pasteur, Paris, France, 18-21 April 1993.
In "Multidisciplinary Approach to Understanding Cytomegalovirus Disease", S. Michelson and S.A. Plotkin (eds.). Elsevier Science Publisher, Amsterdam, pp. 279-285 (1993).
1016. De Clercq, E.
Approaches to the antiviral treatment of HIV infection.
In "The HIV Expert: A Comprehensive Review of HIV and its Management". The Wellcome Foundation Ltd., Wellcome Group Marketing, Langley Court, Beckenham, pp. 5.1-5.10 (1993).
1017. Balzarini, J., Karlsson, A., Pérez-Pérez, M.-J., Camarasa, M.-J., Tarpley, W.G. & De Clercq, E.
Treatment of human immunodeficiency virus type 1 (HIV-1)-infected cells with combinations of HIV-1-specific inhibitors results in a different resistance pattern than does treatment with single-drug therapy.
J. Virol., 67: 5353-5359 (1993).
1018. Balzarini, J., Karlsson, A., Pérez-Pérez, M.-J., Camarasa, M.-J. & De Clercq, E.
Knocking-out concentrations of HIV-1-specific inhibitors completely suppress HIV-1 infection and prevent the emergence of drug-resistant virus.
Virology, 196: 576-585 (1993).
1019. Snoeck, R., Andrei, G., De Clercq, E., Gerard, M., Clumeck, N., Tricot, G. & Sadzot-Delvaux, C.
A new topical treatment for resistant herpes simplex infections (Letter).
New Engl. J. Med., 329: 968-969 (1993).

1020. De Clercq, E.
Anti-HIV agents interfering with the initial stages of the HIV replicative cycle.
In "HIV Molecular Organization, Pathogenicity and Treatment", W.J.W. Morrow & N.L. Haigwood (Eds.), Elsevier Science Publishers B.V., pp. 267-292 (1993).
1021. Ikeda, S., Neyts, J., Yamamoto, N., Murrer, B., Theobald, B., Bossard, G., Henson, G., Abrams, M., Picker, D. & De Clercq, E.
In vitro activity of a novel series of polyoxosilicotungstates against human myxo-, herpes- and retroviruses.
Antiviral Chem. Chemother., 4: 253-262 (1993).
1022. Lewis, M., McMurry, T.B.H. & De Clercq, E.
9-(1-Fluoro-5-hydroxypentan-2-yl)-9*H*-guanine: synthesis and evaluation of antiviral activity
J. Chem. Soc. Perkin Trans. 1, 1993: 2107-2110 (1993).
1023. Van Aerschot, A., Mamos, P., Weyns, N.J., Ikeda, S., De Clercq, E. & Herdewijn, P.
Antiviral activity of C-alkylated purine nucleosides obtained by cross-coupling with tetraalkyltin reagents.
J. Med. Chem., 36: 2938-2942 (1993).
1024. Tanaka, H., Baba, M., Yamamoto, T., Mori, S., Walker, R.T., De Clercq, E. & Miyasaka, T.
Synthesis of a potential photoaffinity labelling reagent for HIV-1 reverse transcriptase.
Bioorg. Med. Chem. Lett., 3: 1681-1686 (1993).
1025. Kulikowski, T., Poznanski, J., Balzarini, J., Van Aerschot, A. & De Clercq, E.
Synthesis, conformation and anti-HIV activity of 3'-substituted 2',3'-dideoxy-5-hydroxymethyluridines.
Proceedings of the IXth Symposium on the Chemistry of Nucleic Acids Components, Trest Castle, Czech Republic, 12-18 September 1993.
Collect. Czech. Chem. Commun., 58: 44-46 (1993).
1026. Verheggen, I., Pillet, N., Van Aerschot, A., De Clercq, E. & Herdewijn, P.
Synthesis and anti-herpesvirus activity of nucleosides with a 1,5-anhydrohexitol moiety.
Proceedings of the IXth Symposium on the Chemistry of Nucleic Acids Components, Trest Castle, Czech Republic, 12-18 September 1993.
Collect. Czech. Chem. Commun., 58: 64-67 (1993).
1027. Dvoráková, H., Holý, A., Masojídková, M., Votruba, I., Balzarini, J., Snoeck, R. & De Clercq, E.
Synthesis and antiviral activity of acyclic nucleoside and nucleotide derivatives of 8-azaadenine.
Proceedings of the IXth Symposium on the Chemistry of Nucleic Acids Components, Trest Castle, Czech Republic, 12-18 September 1993.
Collect. Czech. Chem. Commun., 58: 253-255 (1993).
1028. Balzarini, J., Karlsson, A. & De Clercq, E.
Human immunodeficiency virus type 1 drug-resistance patterns with different 1-[(2-hydroxyethoxy)methyl]-6-(phenylthio)thymine derivatives.
Mol. Pharmacol., 44: 694-701 (1993).
1029. Thormar, H., Balzarini, J., Holý, A., Jindrich, J., Rosenberg, I., Debyser, Z., Desmyter, J. & De Clercq, E.
Inhibition of visna virus replication by 2',3'-dideoxynucleosides and acyclic nucleoside phosphonate analogues.
Antimicrob. Agents Chemother., 37: 2540-2544 (1993).

1030. Ikeda, S., Nishiya, S., Yamamoto, A., Yamase, T., Nishimura, C. & De Clercq, E.
Activity of the Keggin polyoxotungstate PM-19 against herpes simplex virus type 2 infection in immunosuppressed mice: role of peritoneal macrophage activation.
J. Med. Virol., 41: 191-195 (1993).
1031. Neyts, J. & De Clercq, E.
Efficacy of (S)-1-(3-hydroxy-2-phosphonylmethoxypropyl)cytosine for the treatment of lethal vaccinia virus infections in severe combined immune deficiency (SCID) mice.
J. Med. Virol., 41: 242-246 (1993).
1032. Neyts, J. & De Clercq, E.
Strategies for the treatment and prevention of cytomegalovirus infections.
Int. J. Antimicrob. Agents, 3: 187-204 (1993).
1033. Balzarini, J., Karlsson, A., Wang, L., Bohman, C., Horská, K., Votruba, I., Fridland, A., Van Aerschot, A., Herdewijn, P. & De Clercq, E.
EICAR (5-ethynyl-1- β -D-ribofuranosylimidazole-4-carboxamide): a novel potent inhibitor of inosinate dehydrogenase activity and guanylate biosynthesis.
J. Biol. Chem., 268: 24591-24598 (1993).
1034. Snoeck, R., Gérard, M., Sadzot-Delvaux, C., Andrei, G., Balzarini, J., Reymen, D., Piette, J., Rentier, B., Clumeck, N. & De Clercq, E.
Meningoradiculoneuritis due to acyclovir-resistant varicella-zoster virus in a patient with AIDS
J. Infect. Dis., 168: 1330-1331 (1993).
1035. Velázquez, S., San-Félix, A., Pérez-Pérez, M.J., Balzarini, J., De Clercq, E. & Camarasa, M.J.
TSAO analogues 3. Synthesis and anti-HIV-1 activity of 2',5'-bis-*O*-(*tert*-butyldimethylsilyl)- β -D-ribofuranosyl 3'-spiro-5''-(4''-amino-1'',2''-oxathiole 2'',2''-dioxide)-purine and purine-modified nucleosides.
J. Med. Chem., 36: 3230-3239 (1993).
1036. Bârzu, T., Level, M., Petitou, M., Lormeau, J.-C., Choay, J., Schols, D., Baba, M., Pauwels, R., Witvrouw, M. & De Clercq, E.
Preparation and anti-HIV activity of *O*-acylated heparin and dermatan sulfate derivatives with low anticoagulant effect.
J. Med. Chem., 36: 3546-3555 (1993).
1037. Chen, X., Schneller, S.W., Ikeda, S., Snoeck, R., Andrei, G., Balzarini, J. & De Clercq, E.
Synthesis and antiviral activity of 5'-deoxyypyrazofurin.
J. Med. Chem., 36: 3727-3730 (1993).
1038. Jansen, R.W., Schols, D., Pauwels, R., De Clercq, E. & Meijer, D.K.F.
Novel, negatively charged, human serum albumins display potent and selective *in vitro* anti-human immunodeficiency virus type 1 activity.
Mol. Pharmacol., 44: 1003-1007 (1993).
1039. De Vos, E., Esmans, E.L., Alderweireldt, F.C., Balzarini, J. & De Clercq, E.
Synthesis of 2-carbamoylmethyl-6- β -D-ribofuranosylpyridine with the aid of a Pd(O)-catalyzed reaction.
J. Heterocyclic Chem., 30: 1245-1252 (1993).
1040. Marquez, V.E., Lim, B.B., Driscoll, J.S., Snoeck, R., Balzarini, J., Ikeda, S., Andrei, G. & De Clercq, E.
Cyclopentene carbocyclic nucleosides related to the antitumor nucleoside clitocine and their conversion to 8-aza-neplanocin analogues. Synthesis and antiviral activity.
J. Heterocyclic Chem., 30: 1393-1398 (1993).

1041. Papadaki-Valiraki, A., Papakonstantinou-Garoufalias, S., Marakos, P., Chytyroglou-Lada, A., Hosoya, M., Ikeda, S., Balzarini, J. & De Clercq, E.
Synthesis, antifungal, antibacterial and antiviral effects of some adamantaneketoxime ethers.
Il Farmaco, 48: 1091-1102 (1993).
1042. Pérez-Pérez, M.-J., Balzarini, J., De Clercq, E. & Camarasa, M.-J.
Glycosyl-oxycarbonylamino-sulfonyl-2',3'-dideoxynucleoside derivatives as lipophilic nucleotide mimics. Synthesis and anti-HIV activity.
Bioorg. & Med. Chem., 1: 279-284 (1993).
1043. Papadaki-Valiraki, A., Todoulou, O., Filippatos, E., Tsotinis, A., Ikeda, S. & De Clercq, E.
Synthesis and antiviral activity of some new benzofuran derivatives.
Arzneimittelforschung (Drug Research), 43: 1363-1366 (1993).
1044. Hosoya, M., Shigeta, S., Ishii, T., Suzuki, H. & De Clercq, E.
Comparative inhibitory effects of various nucleoside and nonnucleoside analogues on replication of influenza virus types A and B in vitro and in ovo.
J. Infect. Dis., 168: 641-646 (1993).
1045. De Clercq, E.
Towards a "cure" for AIDS. Strategies for the selective inhibition of HIV replication. Proceedings of the Second F.T.I. (Flanders Technology International) Conference, Nature, Ghent, Belgium, 3-6 May 1993. Flanders Technology International Foundation, Brussels, Belgium, pp. 1-22 (1993).
1046. De Clercq, E.
Antiviral agents: characteristic activity spectrum depending on the molecular target they interact with.
In "Advances in Virus Research", K. Maramorosch, F.A. Murphy & A.J. Shatkin (eds.), vol. 42, Academic Press, Orlando, Florida, pp. 1-55 (1993).
1047. Kukla, M.J., Breslin, H.J., De Clercq, E., Pauwels, R., Andries, K. & Janssen, P.A.J.
Discovery and development of TIBO as a potential anti-AIDS therapeutic. Proceedings of the "First International Symposium on Recent Advances in the Chemistry of Anti-Infective Agents", Churchill College, Cambridge, United Kingdom, 5-8 July 1992.
In "Recent Advances in the Chemistry of Anti-Infective Agents", P.H. Bentley & R. Ponsford (eds.), Royal Society of Chemistry (Industrial Division Fine Chemicals and Medicinals), pp. 266-281 (1993).
1048. Perno, C.F., Del Gobbo, V., Balzarini, J., Balestra, E., Milanese, G., Aquaro, S., Sesa, F., Holý, A., De Clercq, E., Villani, N. & Caliò, R.
Inhibition of HIV replication and enhancement of immune functions by the acyclic nucleoside phosphonate 9-(2-phosphonyl-methoxyethyl)adenine (PMEA).
In "Combination Therapies 2", A.L. Goldstein & E. Garaci (eds.). Plenum Press, New York, pp. 115-122 (1993).
1049. Caliò, R., Villani, N., Balestra, E., Sesa, F., Holý, A., Balzarini, J., De Clercq, E., Perno, C.F. & Del Gobbo, V.
Enhancement of natural killer activity and interferon induction by different acyclic nucleoside phosphonates.
Antiviral Res., 23: 77-89 (1994).
1050. Hartmann, K., Balzarini, J., Higgins, J., De Clercq, E. & Pedersen, N.C.
In vitro activity of acyclic nucleoside phosphonate derivatives against feline immunodeficiency virus in Crandell feline kidney cells and feline peripheral blood lymphocytes.
Antiviral Chem. Chemother., 5: 13-19 (1994).

1051. Ikeda, S., Nishiya, S., Yamamoto, A., Yamase, T., Nishimura, C. & De Clercq, E.
Antiviral activity of a Keggin polyoxotungstate PM-19 against herpes simplex virus in mice.
Antiviral Chem. Chemother., 5: 47-50 (1994).
1052. Neyts, J. & De Clercq, E.
Mechanism of action of acyclic nucleoside phosphonates against herpes virus replication.
Biochem. Pharmacol., 47: 39-41 (1994).
1053. De Clercq, E.
HIV resistance to reverse transcriptase inhibitors.
Biochem. Pharmacol., 47: 155-169 (1994).
1054. McGuigan, C., Pathirana, R.N., Davies, M.P.H., Balzarini, J. & De Clercq, E.
Diaryl phosphate derivatives act as pro-drugs of AZT with reduced cytotoxicity compared to the parent nucleoside.
Bioorg. Med. Chem. Lett., 4: 427-430 (1994).
1055. Yamamoto, N., Nakashima, H., Baba, M. & De Clercq, E.
A novel class of bicyclam targeted at HIV uncoating (in Japanese).
Proceedings of the Fourth Meeting of the Japanese Association of Antiviral Chemotherapy, Nagoya, Japan, 4-5 February 1993.
In: "Progress of Antiviral Research in Japan", K. Ono (ed.). Japanese Association of Antiviral Chemotherapy, pp. 124-126 (1994).
1056. Baba, M., Shigeta, S., Yuasa, S., Niwa, T., Yamamoto, M., Yabuuchi, S., Takashima, H., Ubasawa, M., Tanaka, H., Miyasaka, T., Walker, R.T., Balzarini, J. & De Clercq, E.
Effect of human serum concentration on the in vitro anti-HIV-1 activity of HEPT derivatives: relation to their lipophilicity and serum protein binding.
Proceedings of the Fourth Meeting of the Japanese Association of Antiviral Chemotherapy, Nagoya, Japan, 4-5 February 1993.
In: "Progress of Antiviral Research in Japan", K. Ono (ed.). Japanese Association of Antiviral Chemotherapy, pp. 127-130 (1994).
1057. Ikeda, S., Neyts, J., Verma, S., Wickramasinghe, A., Mohan, P. & De Clercq, E.
In vitro and in vivo inhibition of ortho- and paramyxovirus infections by a new class of sulfonic acid polymers interacting with virus-cell binding and/or fusion.
Antimicrob. Agents Chemother., 38: 256-259 (1994).
1058. Vandamme, A.-M., Debyser, Z., Pauwels, R., De Vreese, K., Goubau, P., Youle, M., Gazzard, B., Stoffels, P.A., Cauwenbergh, G.F., Anné, J., Andries, K., Janssen, P.A.J., Desmyter, J. & De Clercq, E.
Characterization of HIV-1 strains isolated from patients treated with TIBO R82913.
AIDS Res. Human Retrovir., 10: 39-46 (1994).
1059. San-Félix, A., Velázquez, S., Pérez-Pérez, M.J., Balzarini, J., De Clercq, E. & Camarasa, M.J.
Novel series of TSAO-T derivatives. Synthesis and anti-HIV-1 activity of 4-, 5- and 6-substituted pyrimidine analogues.
J. Med. Chem., 37: 453-460 (1994).
1060. Yokota, T., Konno, K., Shigeta, S., Holý, A., Balzarini, J. & De Clercq, E.
Inhibitory effects of acyclic nucleoside phosphonate analogues on hepatitis B virus DNA synthesis in HB611 cells.
Antiviral Chem. Chemother., 5: 57-63 (1994).

1061. Ikeda, S., Wong, M.F., Mohan, P. & De Clercq, E.
Selective inhibition of myxovirus replication by a novel series of cholesterol-naphthalene-sulfonic acid hybrid molecules.
Antiviral Chem. Chemother., 5: 122-127 (1994).
1062. De Clercq, E.
Non-nucleoside reverse transcriptase inhibitors (NNRTIs).
Exp. Opin. Invest. Drugs, 3: 253-271 (1994).
1063. Bohman, C., Balzarini, J., Wigerinck, P., Van Aerschot, A., Herdewijn, P. & De Clercq, E.
Mechanism of cytostatic action of novel 5-(thien-2-yl)- and 5-(furan-2-yl)-substituted pyrimidine nucleoside analogues against tumor cells transfected by the thymidine kinase gene of herpes simplex virus.
J. Biol. Chem., 269: 8036-8043 (1994).
1064. Siddiqi, S.M., Chen, X., Schneller, S.W., Ikeda, S., Snoeck, R., Andrei, G., Balzarini, J. & De Clercq, E.
Antiviral enantiomeric preference for 5'-noraristeromycin.
J. Med. Chem., 37: 551-554 (1994).
1065. Snoeck, R., Gérard, M., Sadzot-Delvaux, C., Andrei, G., Balzarini, J., Reymen, D., Ahadi, N., De Bruyn, J.M., Piette, J., Rentier, B., Clumeck, N. & De Clercq, E.
Meningoradiculoneuritis due to acyclovir-resistant varicella zoster virus in an acquired immune deficiency syndrome patient.
J. Med. Virol., 42: 338-347 (1994).
1066. Snoeck, R., Andrei, G., Gérard, M., Silverman, A., Hedderman, A., Balzarini, J., Sadzot-Delvaux, C., Tricot, G., Clumeck, N. & De Clercq, E.
Successful treatment of progressive mucocutaneous infection due to acyclovir- and foscarnet-resistant herpes simplex virus with (S)-1-(3-hydroxy-2-phosphonyl-methoxypropyl)cytosine (HPMPC).
Clin. Infect. Dis., 18: 570-578 (1994).
1067. De Clercq, E., Yamamoto, N., Pauwels, R., Balzarini, J., Witvrouw, M., De Vreese, K., Debyser, Z., Rosenwirth, B., Peichl, P., Datema, R., Thornton, D., Skerlj, R., Gaul, F., Padmanabhan, S., Bridger, G., Henson, G. & Abrams, M.
Highly potent and selective inhibition of human immunodeficiency virus by the bicyclam derivative JM3100.
Antimicrob. Agents Chemother., 38: 668-674 (1994).
1068. Baba, M., Shigeta, S., Yuasa, S., Takashima, H., Sekiya, K., Ubasawa, M., Tanaka, H., Miyasaka, T., Walker, R.T. & De Clercq, E.
Preclinical evaluation of MKC-442, a highly potent and specific inhibitor of human immunodeficiency virus type 1 in vitro.
Antimicrob. Agents Chemother., 38: 688-692 (1994).
1069. Otake, T., Schols, D., Witvrouw, M., Naesens, L., Nakashima, H., Moriya, T., Kurita, H., Matsumoto, K., Ueba, N. & De Clercq, E.
Modified cyclodextrin sulphates (mCDS11) have potent inhibitory activity against HIV and high oral bioavailability.
Antiviral Chem. Chemother., 5: 155-161 (1994).
1070. De Clercq, E., Vandamme, A.-M., Schols, D. & Debyser, Z.
Potential chemotherapeutic targets in the replicative cycle of HIV.
In "Design of Enzyme Inhibitors as Drugs. Vol. 2". Chapter 6 (Enzyme Targets as an Approach to Therapy for HIV Infections), M. Sandler & H.J. Smith (Eds.). Oxford University Press, Oxford, pp. 192-225 (1994).

1071. Tanaka, H., Baba, M., Takahashi, E., Matsumoto, K., Kittaka, A., Walker, R.T., De Clercq, E. & Miyasaka, T.
Design and synthesis of regioisomeric analogues of a specific anti-HIV-1 agent 1-[(2-hydroxyethoxy)methyl]-6-(phenylthio)thymine (HEPT).
Nucleosides & Nucleotides, 13: 155-162 (1994).
1072. Verberckmoes, F., Esmans, E.L., Balzarini, J. & De Clercq, E.
Synthesis and biological evaluation of some D-arabino- and D-lyxofuranosyl-pyridine C-nucleosides.
Nucleosides & Nucleotides, 13: 511-525 (1994).
1073. De Clercq, E.
In vitro detection of antiviral activity.
Proceedings of the Symposium on "In Vitro and Ex Vivo Test Systems to Rationalize Drug Design and Delivery", Paris, France, 13-14 December 1993.
In "Minutes Collection", Crommelin, D., Couvreur, P. & Duchêne, D. (Eds.). Editions de Santé, Paris, France, pp. 108-125 (1994).
1074. Mayaux, J.F., Bousseau, A., Pauwels, R., Huet, T., Hénin, Y., Dereu, N., Evers, M., Soler, F., Poujade, C., De Clercq, E. & Le Pecq, J.B.
Triterpene derivatives that block entry of human immunodeficiency virus type 1 into cells.
Proc. Natl. Acad. Sci. USA, 91: 3564-3568 (1994).
1075. Sági, G., Ötvös, L., Ikeda, S., Andrei, G., Snoeck, R. & De Clercq, E.
Synthesis and antiviral activities of 8-alkynyl-, 8-alkenyl-, and 8-alkyl-2'-deoxyadenosine analogues.
J. Med. Chem., 37: 1307-1311 (1994).
1076. Siddiqi, S.M., Chen, X., Schneller, S.W., Ikeda, S., Snoeck, R., Andrei, G., Balzarini, J. & De Clercq, E.
An epimer of 5'-noraristeromycin and its antiviral properties
J. Med. Chem., 37: 1382-1384 (1994).
1077. Balzarini, J. & De Clercq, E.
Role of antiherpetic drugs in the chemotherapy of herpes simplex virus thymidine kinase gene-transfected tumor cells.
Int. Antiviral News, 2: 82-84 (1994).
1078. De Clercq, E.
Human immunodeficiency virus (HIV) inhibitors targeted at the reverse transcriptase.
In: "Proceedings of the Third European Conference of the Medicinal Chemistry Group of the Atlantic Arc", Cardiff, Wales, United Kingdom, 3-5 July 1994. Smith, H.J., Nicholls, P.J. & Le Baut, G. (Eds.). Library Graphic Services, University of Wales, Cardiff, United Kingdom, pp. 1-12 (1994).
1079. De Clercq, E.
Trends in drug development for the treatment of AIDS. Compounds interfering with the initial stages of the HIV replicative cycle.
Proceedings of the 2nd European Congress of Pharmaceutical Sciences, Berlin, Germany, September 29-October 1, 1994.
Eur. J. Pharm. Sci., 2: 4-6 (1994).
1080. Bruning, J.H., Persoons, M., Lemström, K., Stals, F.S., De Clercq, E. & Bruggeman, C.A.
Enhancement of transplantation-associated atherosclerosis by CMV, which can be prevented by antiviral therapy in the form of HPMPC.
Transplant Int., 7, Suppl. 1: S365-S370 (1994).

1081. Todoulou, O.G., Papadaki-Valiraki, A.E., Filippatos, E.C., Ikeda, S. & De Clercq, E. Synthesis and anti-myxovirus activity of some novel *N,N'*-disubstituted thioureas. *Eur. J. Med. Chem.*, 29: 127-131 (1994).
1082. Flores-Aguilar, M., Huang, J.-S., Wiley, C.A., De Clercq, E., Vuong, C., Bergeron-Lynn, G., Chandler, B., Munguia, D. & Freeman, W.R. Long-acting treatment of viral retinitis with (S)-1-(3-hydroxy-2-phosphonylmethoxypropyl)cytosine. *J. Infect. Dis.*, 169: 642-647 (1994).
1083. Szinai, I., Veres, Zs., Ganzler, K., Hegedus-Vajda, J. & De Clercq, E. Metabolism of anti-herpes agent 5-(2-chloroethyl)-2'-deoxyuridine in mice and rats. *Eur. J. Drug Metabolism Pharmacokinetics*, 16: 129-136 (1991).
1084. Snoeck, R., Andrei, G. & De Clercq, E. Chemotherapy of varicella zoster virus infections. *Int. J. Antimicrob. Chemother.*, 4: 211-226 (1994).
1085. Gosselin, G., Périgaud, C., Bergogne, M.-C., Balzarini, J., De Clercq, E. & Imbach, J.-L. Synthesis and biological evaluation of new 5,6-dichlorobenzimidazole nucleoside derivatives. *Antiviral Chem. Chemother.*, 5: 243-256 (1994).
1086. Andrei, G., Snoeck, R. & De Clercq, E. Human brain tumour cell lines as cell substrate to demonstrate sensitivity/resistance of herpes simplex virus types 1 and 2 to nucleoside analogues. *Antiviral Chem. Chemother.*, 5: 263-270 (1994).
1087. Balzarini, J., Bohman, C., Walker, R.T. & De Clercq, E. Comparative cytostatic activity of different antiherpetic drugs against herpes simplex virus thymidine kinase gene-transfected tumor cells. *Mol. Pharmacol.*, 45: 1253-1258 (1994).
1088. De Clercq, E. Antiviral activity spectrum and target of action of different classes of nucleoside analogues. *Nucleosides & Nucleotides*, 13: 1271-1295 (1994).
1089. Witvrouw, M., Este, J.A., Mateu, M.Q., Reymen, D., Andrei, G., Snoeck, R., Ikeda, S., Pauwels, R., Bianchini, N.V., Desmyter, J. & De Clercq, E. Activity of a sulfated polysaccharide extracted from the red seaweed *Aghardhiella tenera* against human immunodeficiency virus and other enveloped viruses. *Antiviral Chem. Chemother.*, 5: 297-303 (1994).
1090. Snoeck, R., Andrei, G., Balzarini, J., Reymen, D. & De Clercq, E. Dipyridamole potentiates the activity of various acyclic nucleoside phosphonates against varicella-zoster virus, herpes simplex virus and human cytomegalovirus. *Antiviral Chem. Chemother.*, 5: 312-321 (1994).
1091. Balzarini, J., Karlsson, A., Sardana, V.V., Emini, E.A., Camarasa, M.-J. & De Clercq, E. Human immunodeficiency virus 1 (HIV-1)-specific reverse transcriptase (RT) inhibitors may suppress the replication of specific drug-resistant HIV-1(E138K)RT HIV-1 mutants or select for highly resistant (Y181C → C181I)RT HIV-1 mutants. *Proc. Natl. Acad. Sci. USA*, 91: 6599-6603 (1994).
1092. Van Aerschot, A., Zhigang, N., Rozenski, J., Claes, P., De Clercq, E. & Herdewijn, P. 2-Hydroxyethoxyethylated bases as acyclic analogues of 1,5-anhydrohexitol nucleoside derivatives. *Nucleosides & Nucleotides*, 13: 1791-1800 (1994).

1093. De Clercq, E.
New developments in the chemotherapy of lentivirus (human immunodeficiency virus) infections: sensitivity/resistance of HIV-1 to non-nucleoside HIV-1-specific inhibitors. Proceedings of the New York Academy of Sciences Conference on "Slow Infections of the Central Nervous System: the Legacy of Dr. Björn Sigurdsson, Reykjavik, Iceland, 2-5 June 1993.
Ann. N.Y. Acad. Sci., 724: 438-456 (1994).
1094. Keizer, H.J., De Bruijn, E.A., Tjaden, U.R. & De Clercq, E.
Inhibition of fluorouracil catabolism in cancer patients by the antiviral agent (*E*)-5-(2-bromovinyl)-2'-deoxyuridine.
J. Cancer Res. Clin. Oncol., 120: 545-549 (1994).
1095. Balzarini, J., Kleim, J.-P., Riess, G., Camarasa, M.-J., De Clercq, E. & Karlsson, A.
Sensitivity of (138 Glu → Lys) mutated human immunodeficiency virus type 1 (HIV-1) reverse transcriptase (RT) to HIV-1-specific RT inhibitors.
Biochem. Biophys. Res. Commun., 201: 1305-1312 (1994).
1096. Ding, L., Grehn, L., De Clercq, E., Andrei, G., Snoeck, R., Balzarini, J., Fransson, B. & Ragnarsson, U.
Synthesis and antiviral activity of three pyrazole analogues of distamycin A.
Acta Chem. Scand., 48: 498-505 (1994).
1097. Manfredini, S., Baraldi, P.G., Bazzanini, R., Guarneri, M., Simoni, D., Balzarini, J. & De Clercq, E.
Geiparvarin analogues. 4. Synthesis and cytostatic activity of geiparvarin analogues bearing a carbamate moiety or a furocoumarin fragment on the alkenyl side chain.
J. Med. Chem., 37: 2401-2405 (1994).
1098. Todoulou, O.G., Papadaki-Valiraki, A.E., Ikeda, S. & De Clercq, E.
Synthesis and antiviral activity of some new 1H-1,2,4-triazole derivatives.
Eur. J. Med. Chem., 29: 611-620 (1994).
1099. Villani, N., Calìò, R., Balestra, E., Balzarini, J., De Clercq, E., Fabrizi, E., Perno, C.-F. & Del Gobbo, V.
9-(2-Phosphonylmethoxyethyl)adenine increases the survival of influenza virus-infected mice by enhancement of the immune system.
Antiviral Res., 25: 81-89 (1994).
1100. Kolocouris, N., Foscolos, G.B., Kolocouris, A., Marakos, P., Pouli, N., Fytas, G., Ikeda, S. & De Clercq, E.
Synthesis and antiviral activity evaluation of some aminoadamantane derivatives.
J. Med. Chem., 37: 2896-2902 (1994).
1101. Dimmock, J.R., Arora, V.K., Quail, J.W., Pugazhenti, U., Allen, T.M., Kao, G.Y. & De Clercq, E.
Cytotoxic evaluation of some 3,5-diarylidene-4-piperidones and various related quaternary ammonium compounds and analogs.
J. Pharm. Sci., 83: 1124-1130 (1994).
1102. Elhakmaoui, A., Gueiffier, A., Milhavel, J.-C., Blache, Y., Chapat, J.-P., Chavignon, O., Teulade, J.-C., Snoeck, R., Andrei, G. & De Clercq, E.
Synthesis and antiviral activity of 3-substituted imidazo[1,2-*a*]pyridines.
Bioorg. Med. Chem. Lett., 4: 1937-1940 (1994).

1103. Golankiewicz, B., Ostrowski, T., Andrei, G., Snoeck, R. & De Clercq, E.
Tricyclic analogues of acyclovir and ganciclovir. Influence of substituents in the heterocyclic moiety on the antiviral activity.
J. Med. Chem., 37: 3187-3190 (1994).
1104. Damonte, E., Neyts, J., Pujol, C.A., Snoeck, R., Andrei, G., Ikeda, S., Witvrouw, M., Reymen, D., Haines, H., Matulewicz, M.C., Cerezo, A., Coto, C.E. & De Clercq, E.
Antiviral activity of a sulfated polysaccharide from the red seaweed *Nothogenia fastigiata*.
Biochem. Pharmacol., 47: 2187-2192 (1994).
1105. Ikeda, S., Neyts, J. & De Clercq, E.
Host defense mechanisms against murine cytomegalovirus infection induced by poly I:C in severe combined immune deficient (SCID) mice.
Proc. Soc. Exp. Biol. Med., 207: 191-196 (1994).
1106. Jonckheere, H., Taymans, J.-M., Balzarini, J., Velázquez, S., Camarasa, M.-J., Desmyter, J., De Clercq, E. & Anné, J.
Resistance of HIV-1 reverse transcriptase against [2',5'-bis-*O*-(*tert*-butyldimethylsilyl)-3'-spiro-5''-(4''-amino-1'',2''-oxathiole-2'',2''-dioxide)] (TSAO) derivatives is determined by the mutation Glu¹³⁸ → Lys on the p51 subunit.
J. Biol. Chem., 269: 25255-25258 (1994).
1107. Naesens, L., Balzarini, J. & De Clercq, E.
Therapeutic potential of PMEA as an antiviral drug.
Rev. Med. Virol., 4: 147-159 (1994).
1108. Melguizo, M., Sánchez, A., Noguerras, M., Low, J.N., Howie, R.A., Andrei, G. & De Clercq, E.
Facile preparation of 9-*H*-pyrimido[4,5-*b*][1,4]diazepine derivatives from 4,5-diaminopyrimidines and ethyl pyruvate.
Tetrahedron, 50: 13511-13522 (1994).
1109. Witvrouw, M., Desmyter, J. & De Clercq, E.
Antiviral portrait series: 4. Polysulfates as inhibitors of HIV and other enveloped viruses.
Antiviral Chem. Chemother., 5: 345-359 (1994).
1110. Balzarini, J., Kruining, J., Heijntink, R. & De Clercq, E.
Comparative anti-retrovirus and anti-hepadnavirus activity of three different classes of nucleoside phosphonate derivatives.
Antiviral Chem. Chemother., 5: 360-365 (1994).
1111. Wnuk, S.F., Yuan, C.-S., Borchardt, R.T., Balzarini, J., De Clercq, E. & Robins, M.J.
Nucleic acid related compounds. 84. Synthesis of 6'(*E* and *Z*)-halohomovinyl derivatives of adenosine, inactivation of *S*-adenosyl-L-homocysteine hydrolase, and correlation of anticancer and antiviral potencies with enzyme inhibition.
J. Med. Chem., 37: 3579-3587 (1994).
1112. De Clercq, E.
How to overcome resistance of HIV-1 to HIV-1-specific reverse transcriptase inhibitors ? (Letter)
AIDS, 8: 1020-1021 (1994).
1113. Heijntink, R.A., Kruining, J., de Wilde, G.A., Balzarini, J., De Clercq, E. & Schalm, S.W.
Inhibitory effects of acyclic nucleoside phosphonates on human hepatitis B virus and duck hepatitis B virus infections in tissue culture.
Antimicrob. Agents Chemother., 38: 2180-2182 (1994).

1114. Tronchet, J.M.J., Zsély, M., Capek, K., Komaromi, I., Geoffroy, M., De Clercq, E. & Balzarini, J.
Anti-HIV derivatives of 1-(2,3-dideoxy-3-*N*-hydroxyamino- β -D-*threo*-pentofuranosyl)thymine.
Nucleosides & Nucleotides, 13: 1871-1889 (1994).
1115. Swartling, D., Fry, M., Morgan, M., Biehl, E., Balzarini, J. & De Clercq, E.
The synthesis, fluorescence and antiviral studies of 3'-amino-2',3'-dideoxythymidine/substituted 10-cyano-9-isothiocyanatoanthracene adducts.
Nucleosides & Nucleotides, 13: 2013-2019 (1994).
1116. Balzarini, J., Karlsson, A., Meichsner, C., Paessens, A., Riess, G., De Clercq, E. & Kleim, J.-P.
Resistance pattern of human immunodeficiency virus type 1 reverse transcriptase to quinoxaline S-2720.
J. Virol., 68: 7986-7992 (1994).
1117. Neyts, J., Andrei, G., Snoeck, R., Jähne, G., Winkler, I., Helsberg, M., Balzarini, J. & De Clercq, E.
The N-7-substituted acyclic nucleoside analog 2-amino-7-[(1,3-dihydroxy-2-propoxy)methyl]purine is a potent and selective inhibitor of herpesvirus replication.
Antimicrob. Agents Chemother., 38: 2710-2716 (1994).
1118. Pauwels, R., Andries, K., Debyser, Z., Kukla, M.J., Schols, D., Breslin, H.J., Woestenborghs, R., Desmyter, J., Janssen, M.A.C., De Clercq, E. & Janssen, P.A.J.
New tetrahydroimidazo[4,5,1-*jk*][1,4]-benzodiazepin-2(1*H*)-one and -thione derivatives are potent inhibitors of human immunodeficiency virus type 1 replication and are synergistic with 2',3'-dideoxynucleoside analogs.
Antimicrob. Agents Chemother., 38: 2863-2870 (1994).
1119. Alvarez, R., Velázquez, S., San-Félix, A., Aquaro, S., De Clercq, E., Perno, C.-F., Karlsson, A., Balzarini, J. & Camarasa, M.J.
1,2,3-Triazole-[2',5'-bis-*O*-(*tert*-butyldimethylsilyl)- β -D-ribofuranosyl]-3'-spiro-5''-(4''-amino-1'',2''-oxathiole 2'',2''-dioxide) (TSAO) analogues: synthesis and anti-HIV-1 activity.
J. Med. Chem., 37: 4185-4194 (1994).
1120. De Clercq, E.
Resistance of human immunodeficiency virus type 1 (HIV-1) to non-nucleoside HIV-1-specific reverse transcriptase inhibitors.
Proceedings of the 11th Future Trends in Chemotherapy/Interdisciplinary World Congress on Antimicrobial and Anticancer Drugs, Palexpo, Geneva, Switzerland, 25-27 April 1994. Bioscience Ediprint Inc., Carouge-Geneva.
Int. J. Immunotherapy, 10: 145-158 (1994).
1121. Van hemel, J., Esmans, E.L., Alderweireldt, F.C., Dommissse, R.A., De Groot, A., Balzarini, J. & De Clercq, E.
Synthesis and biological evaluation of some acyclic pyridine C-nucleosides. Part one.
Nucleosides & Nucleotides, 13: 2345-2366 (1994).
1122. Kolokouris, N., Ikeda, S. & De Clercq, E.
3-Cyclopentyl-1-adamantanamines and adamantanemethanamines. Antiviral activity evaluation and convulsions studies.
Il Farmaco, 49: 641-647 (1994).
1123. Balzarini, J. & De Clercq, E.
Biochemical pharmacology of nucleoside analogues active against HIV.
In: "Textbook of AIDS Medicine", S. Broder, T.C. Merigan & D. Bolognesi (eds.). Williams & Wilkins, Baltimore, Maryland, pp. 751-772 (1994).

1124. Neyts, J. & De Clercq, E.
New inhibitors of cytomegalovirus replication: *in vitro* evaluation, mechanism of action, and *in vivo* activity.
Verh. K. Acad. Geneesk. Belg., 56: 561-592 (1994).
1125. Kaminsky, R., Zwegarth, E. & De Clercq, E.
Antitrypanosomal activity of phosphonylmethoxyalkyl purines.
J. Parasitol., 80: 1026-1030 (1994).
1126. Loakes, D., Brown, D.M., Mahmood, N., Balzarini, J. & De Clercq, E.
Antiviral activity of N⁴-aminocytidine derivatives related to AZT.
Antiviral Chem. Chemother., 6: 9-16 (1995).
1127. Calogeropoulou, I., Koufaki, M., Tsoinias, A., Balzarini, J., De Clercq, E. & Makriyannis, A.
Synthesis and anti-HIV evaluation of alkyl and alkoxyethyl phosphodiester AZT derivatives
Antiviral Chem. Chemother., 6: 43-49 (1995).
1128. Bridger, G.J., Datema, R. & De Clercq, E.
Bicyclams: potent and highly selective inhibitors of HIV-1 and HIV-2 replication.
Int. Antiviral News, 3: 20-22 (1995).
1129. Neyts, J., Jähne, G., Andrei, G., Snoeck, R., Winkler, I. & De Clercq, E.
In vivo antiherpesvirus activity of N-7-substituted acyclic nucleoside analog 2-amino-7-[(1,3-dihydroxy-2-propoxy)methyl]purine.
Antimicrob. Agents Chemother., 39: 56-60 (1995).
1130. Manfredini, S., Baraldi, P.G., Bazzanini, R., Marangoni, M., Simoni, D., Balzarini, J. & De Clercq, E.
Synthesis and cytotoxic activity of 6-vinyl- and 6-ethynyluridine and 8-vinyl- and 8-ethynyladenosine.
J. Med. Chem., 38: 199-203 (1995).
1131. Jeffries, D. & De Clercq, E.
Introduction.
In: "Antiviral Chemotherapy", D.J. Jeffries & E. De Clercq (eds.). John Wiley & Sons, Chichester, Sussex, pp. xi-xxiii (1995)
1132. Balzarini, J. & De Clercq, E.
Acyclic purine nucleoside phosphonates as retrovirus inhibitors.
In: "Antiviral Chemotherapy", D.J. Jeffries & E. De Clercq (eds.). John Wiley & Sons, Chichester, Sussex, pp. 41-79 (1995).
1133. Bridger, G.J., Skerlj, R.T., Thornton, D., Padmanabhan, S., Martellucci, S.A., Henson, G.W., Abrams, M.J., Yamamoto, N., De Vreese, K., Pauwels, R. & De Clercq, E.
Synthesis and structure-activity relationships of phenylenebis(methylene)-linked bis-tetraazamacrocycles that inhibit HIV replication. Effects of macrocyclic ring size and substituents on the aromatic linker.
J. Med. Chem., 38: 366-378 (1995).
1134. Cushman, M., Golebiewski, W.M., Pommier, Y.G., Mazumder, A., Reymen, D., De Clercq, E., Graham, L. & Rice, W.G.
Cosalane analogues with enhanced potencies as inhibitors of HIV-1 protease and integrase.
J. Med. Chem., 38: 443-452 (1995).

1135. Johnson, C.R., Bhumralkar, D.R. & De Clercq, E.
3'-C-trifluoromethyl ribonucleosides.
Nucleosides & Nucleotides, 14: 185-194 (1995).
1136. Hammerschmidt, F., Öhler, E., Polsterer, J.-P., Zbiral, E., Balzarini, J. & De Clercq, E.
Ein einfacher Weg zu D-Apio- β -D-furanosyl- und 2'-Desoxyapio- β -D-furanosylnucleosiden.
Liebigs Ann., 1995: 551-558 (1995).
1137. Hammerschmidt, F., Polsterer, J.-P., Zbiral, E., Balzarini, J. & De Clercq, E.
Ein einfacher Weg zu 3'-Desoxy- α -L- und 3'-Desoxy- β -D-apionucleosiden.
Liebigs Ann., 1995: 559-565 (1995).
1138. Van Cutsem, E., Snoeck, R., Van Ranst, M., Fiten, P., Opdenakker, G., Geboes, K., Janssens, J., Rutgeerts, P., Vantrappen, G. & De Clercq, E.
Successful treatment of a squamous papilloma of the hypopharynx-esophagus by local injections of (S)-1-(3-hydroxy-2-phosphonylmethoxypropyl)cytosine (HPMPC).
J. Med. Virol., 45: 230-235 (1995).
1139. Vandamme, A.-M., Van Dooren, S., Kok, W., Goubau, P., Fransen, K., Kievits, T., Schmit, J.-C., De Clercq, E. & Desmyter, J.
Detection of HIV-1 RNA in plasma and serum samples using the NASBA amplification system compared to RNA-PCR.
J. Virol. Methods, 52: 121-132 (1995).
1140. Breslin, H.J., Kukla, M.J., Ludovici, D.W., Mohrbacher, R., Ho, W., Miranda, M., Rodgers, J.D., Hitchens, T.K., Leo, G., Gauthier, D.A., Ho, C.Y., Scott, M.K., De Clercq, E., Pauwels, R., Andries, K., Janssen, M.A.C. & Janssen, P.A.J.
Synthesis and anti-HIV-1 activity of 4,5,6,7-tetrahydro-5-methylimidazo-[4,5,1-*jk*][1,4]benzodiazepin-2(1*H*)-one (TIBO) derivatives. 3.
J. Med. Chem., 38: 771-793 (1995).
1141. Ho, W., Kukla, M.J., Breslin, H.J., Ludovici, D.W., Grous, P.P., Diamond, C.J., Miranda, M., Rodgers, J.D., Ho, C.Y., De Clercq, E., Pauwels, R., Andries, K., Janssen, M.A.C. & Janssen, P.A.J.
Synthesis and anti-HIV-1 activity of 4,5,6,7-tetrahydro-5-methylimidazo[4,5,1-*jk*][1,4]benzodiazepin-2(1*H*)-one (TIBO) derivatives. 4.
J. Med. Chem., 38: 794-802 (1995).
1142. Verheggen, I., Van Aerschot, A., Van Meervelt, L., Rozenski, J., Wiebe, L., Snoeck, R., Andrei, G., Balzarini, J., Claes, P., De Clercq, E. & Herdewijn, P.
Synthesis, biological evaluation, and structure analysis of a series of new 1,5-anhydrohexitol nucleosides.
J. Med. Chem., 38: 826-835 (1995).
1143. Balzarini, J., Verstuyf, A., Hatse, S., Goebels, J., Sobis, H., Vandeputte, M. & De Clercq, E.
The human immunodeficiency virus (HIV) inhibitor 9-(2-phosphonylmethoxyethyl)adenine (PMEA) is a strong inducer of differentiation of several tumor cell lines.
Int. J. Cancer, 61: 130-137 (1995).
1144. Siddiqi, S.M., Chen, X., Rao, J., Schneller, S.W., Ikeda, S., Snoeck, R., Andrei, G., Balzarini, J. & De Clercq, E.
3-Deaza- and 7-deaza-5'-noraristeromycin and their antiviral properties.
J. Med. Chem., 38: 1035-1038 (1995).

1145. Velázquez, S., Alvarez, R., San-Félix, A., Jimeno, M.L., De Clercq, E., Balzarini, J. & Camarasa, M.J.
Synthesis and anti-HIV activity of [AZT]-[TSAO-T] and [AZT]-[HEPT] dimers as potential multifunctional inhibitors of HIV-1 reverse transcriptase.
J. Med. Chem., 38: 1641-1649 (1995).
1146. Balzarini, J., Jonckheere, H., Harrison, W.A., Dao, D.C., Anné, J., De Clercq, E. & Karlsson, A.
Oxathiin carboxanilide derivatives: a class of non-nucleoside HIV-1-specific reverse transcriptase inhibitors (NNRTIs) that are active against mutant HIV-1 strains resistant to other NNRTIs.
Antiviral Chem. Chemother., 6: 169-178 (1995).
1147. Cushman, M., Wang, P., Reymen, D., Este, J., Witvrouw, M., Neyts, J. & De Clercq, E.
Anti-HIV and anti-HCMV activities of new aurintricarboxylic acid analogues.
Antiviral Chem. Chemother., 6: 179-186 (1995).
1148. Pannecouque, C., Busson, R., Balzarini, J., Claes, P., De Clercq, E. & Herdewijn, P.
Synthesis and antiviral evaluation of 3'-substituted thymidine analogues derived from 3'-amino-3'-deoxythymidine.
Tetrahedron, 51: 5369-5380 (1995).
1149. Vahlenkamp, T.W., De Ronde, A., Balzarini, J., Naesens, L., De Clercq, E., van Eijk, M.J.T., Horzinek, M.C. & Egberink, H.F.
(*R*)-9-(2-Phosphonylmethoxypropyl)-2,6-diaminopurine is a potent inhibitor of feline immunodeficiency virus infection.
Antimicrob. Agents Chemother., 39: 746-749 (1995).
1150. De Clercq, E.
Antiviral chemotherapy: where do we stand and what can we expect?
Int. Antiviral News, 3: 52-54 (1995).
1151. Kirsch, L.S., Arevalo, J.F., De Clercq, E., Chavez de la Paz, E., Munguia, D., Garcia, R. & Freeman, W.R.
Phase I/II study of intravitreal cidofovir for the treatment of cytomegalovirus retinitis in patients with the acquired immunodeficiency syndrome.
Am. J. Ophthalmol., 119: 466-476 (1995).
1152. Kirsch, L.S., Arevalo, J.F., Chavez de la Paz, E., Munguia, D., De Clercq, E. & Freeman, W.R.
Intravitreal cidofovir (HPMPC) treatment of cytomegalovirus retinitis in patients with acquired immune deficiency syndrome.
Ophthalmology, 102: 533-543 (1995).
1153. Andrei, G., Snoeck, R., Reymen, D., Liesnard, C., Goubau, P., Desmyter, J. & De Clercq, E.
Comparative activity of selected antiviral compounds against clinical isolates of varicella-zoster virus.
Eur. J. Clin. Microbiol. Infect. Dis., 14: 318-328 (1995).
1154. Dimmock, J.R., Kumar, P., Quail, J.W., Pugazhenth, U., Yang, J., Chen, M., Reid, R.S., Allen, T.M., Kao, G.Y., Cole, S.P.C., Batist, G., Balzarini, J. & De Clercq, E.
Synthesis and cytotoxic evaluation of some styryl ketones and related compounds.
Eur. J. Med. Chem., 30: 209-217 (1995).
1155. Balzarini, J., Baba, M. & De Clercq, E.
Differential activity of 1-[(2-hydroxyethoxy)methyl]-6-(phenylthio)thymine derivatives against different human immunodeficiency virus type 1 mutant strains.
Antimicrob. Agents Chemother., 39: 998-1002 (1995).

1156. Thormar, H., Georgsson, G., Pálsson, P.A., Balzarini, J., Naesens, L., Torsteinsdóttir, S. & De Clercq, E.
Inhibitory effect of 9-(2-phosphonylmethoxyethyl)adenine on visna virus infection in lambs: a model for *in vivo* testing of candidate anti-human immunodeficiency virus drugs.
Proc. Natl. Acad. Sci. USA, 92: 3283-3287 (1995).
1157. De Clercq, E.
From anti-HIV agents to anti-AIDS chemotherapy: a critical appraisal.
In: "Anti-AIDS Drug Development. Challenges, Strategies and Prospects", P. Mohan & M. Baba (eds.). Harwood Academic Publishers, Chur, Switzerland, pp. 1-37 (1995).
1158. Baba, M., Balzarini, J., Pauwels, R. & De Clercq, E.
HIV-1-specific reverse transcriptase inhibitors.
In: "Anti-AIDS Drug Development. Challenges, Strategies and Prospects", P. Mohan & M. Baba (eds.). Harwood Academic Publishers, Chur, Switzerland, pp. 239-267 (1995).
1159. Thormar, H., Balzarini, J., Debyser, Z., Witvrouw, M., Desmyter, J. & De Clercq, E.
Inhibition of visna virus replication and cytopathic effect in sheep choroid plexus cell cultures by selected anti-HIV agents.
Antiviral Res., 27: 49-57 (1995).
1160. De Clercq, E. & Desmyter, J.
EC Centralized facility and concerted action on design, synthesis, evaluation and development of new antiviral compounds against AIDS.
In "AIDS Research at EC Level", Biomedical and Health Research Vol. 6, A.-E. Baert, M.A. Koch, L. Montagnier, M.C. Razquin & D. Tyrrell (eds.). IOS Press, Amsterdam, The Netherlands, pp. 149-165 (1995).
1161. De Clercq, E.
Antiviral therapy for human immunodeficiency virus infections.
Clin. Microbiol. Rev., 8: 200-239 (1995).
1162. Dimmock, J.R., Pandeya, S.N., Quail, J.W., Pugazhenthii, U., Allen, T.M., Kao, G.Y., Balzarini, J. & De Clercq, E.
Evaluation of the semicarbazones, thiosemicarbazones and bis-carbohydrazones of some aryl alicyclic ketones for anticonvulsant and other biological properties.
Eur. J. Med. Chem., 30: 303-314 (1995).
1163. Besen, G., Flores-Aguilar, M., Assil, K.K., Kupperman, B.D., Gangan, P., Pursley, M., Munguia, D., Vuong, C., De Clercq, E., Bergeron-Lynn, G., Azen, S.P. & Freeman, W.R.
Long-term therapy of herpes retinitis in an animal model with high-concentrated liposome-encapsulated HPMPC.
Arch. Ophthalmol., 113: 661-668 (1995).
1164. Ingate, S., San-Félix, A., De Clercq, E., Balzarini, J. & Camarasa, M.J.
Synthesis of [1-[2',5'-bis-*O*-(*t*-butyldimethylsilyl)- β -L-ribofuranosyl]thymine]-3'-spiro-5''-(4''-amino-1'',2''-oxathiole-2'',2''-dioxide) (L-TSAO-T).
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides, Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 299-301 (1995).
1165. Lazrek, H.B., Redwane, N., Rochdi, A., Barascut, J.L., Imbach, J.-L. & De Clercq, E.
Synthesis of acycloalkenyl derivatives of pyrimidines and purines.
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides, Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 353-356 (1995).

1166. Poopeiko, N.E., Poznanski, J., Drabikowska, A., Balzarini, J., De Clercq, E., Mikhailopulo, I.A., Shugar, D. & Kulikowski, T.
Synthesis, solution conformation and biological properties of 2',3'-dideoxy-3'-fluoro-D-*erythro*-pentofuranosides of 2-thiouracil and 2-thiothymine.
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides, Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 435-437 (1995).
1167. Santana, L., Teijeira, M., Uriarte, E., Balzarini, J. & De Clercq, E.
Synthesis and antiviral activity of 1,2-carbonucleosides.
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides, Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 521-523 (1995).
1168. Liu, J., Van Aerschot, A., Luyten, I., Wigerinck, P., Pannecouque, C., Balzarini, J., De Clercq, E. & Herdewijn, P.
Synthesis and antiviral activities of some new 5-heteroaromatic substituted derivatives of 2'-deoxyuridine.
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides, Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 525-528 (1995).
1169. Kalinichenko, E.N., Rubinova, E.B., Borisov, E.V., Balzarini, J., De Clercq, E. & Mikhailopulo, I.A.
Stereospecific synthesis and anti-HIV activity of (Z)2'- and (E)3'-deoxy-2'(3')-C-(chloromethylene)pyrimidine nucleosides.
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides, Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 533-536 (1995).
1170. Pannecouque, C., Van Poppel, K., Balzarini, J., Claes, P., De Clercq, E. & Herdewijn, P.
Synthesis and antiviral evaluation of 3'-substituted thymidine analogues derived from 3'-amino-3'-deoxythymidine.
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides, Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 541-544 (1995).
1171. Serafinowski, P., Dorland, E., Balzarini, J. & De Clercq, E.
The synthesis and antiviral activity of some new S-adenosyl-L-homocysteine derivatives and their nucleoside precursors.
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides, Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 545-547 (1995).
1172. Gueffier, A., Blache, Y., Chapat, J.P., Elhakmaoui, A., Essassi, E.M., Andrei, G., Snoeck, R., De Clercq, E., Chavignon, O., Teulade, J.C. & Fauvelle, F.
Synthesis and antiviral activity of 2- and 3-substituted imidazo[1,2-*a*]pyrimidine.
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides, Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 551-554 (1995).
1173. Andrei, G., Snoeck, R., Balzarini, J. & De Clercq, E.
Combination of azidothymidine (AZT) and (E)-5-(2-bromovinyl)-2'-deoxyuridine (BVDU) inhibits the replication of herpes simplex virus type 1 (HSV-1) and type 2 (HSV-2) and varicella zoster virus (VZV) strains that are deficient in the expression of the viral thymidine kinase (TK).
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides, Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 559-562 (1995).

1174. Reymen, D., Naesens, L., Balzarini, J., Holý, A. & De Clercq, E.
Antiviral activity of selected nucleoside analogues against human herpes virus type 6.
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides,
Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 567-570 (1995).
1175. Baba, M., Tanaka, H., Miyasaka, T., Yuasa, S., Ubasawa, M., Walker, R.T. & De Clercq, E.
HEPT derivatives: 6-benzyl-1-ethoxymethyl-5-isopropyluracil (MKC-442).
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides,
Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 575-583 (1995).
1176. Camarasa, M.J., Pérez-Pérez, M.J., Velázquez, S., San-Félix, A., Alvarez, R., Ingate, S., Jimeno, J.L., Karlsson, A., De Clercq, E. & Balzarini, J.
TSAO derivatives: highly specific inhibitors of human immunodeficiency virus type-1 (HIV-1) replication.
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides,
Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 585-594 (1995).
1177. San-Félix, A., Alvarez, R., Velázquez, S., De Clercq, E., Balzarini, J. & Camarasa, M.J.
Synthesis and anti-HIV-1 activity of 4- and 5-substituted 1,2,3-triazole-TSAO derivatives.
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides,
Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 595-598 (1995).
1178. Jonckheere, H., Taymans, J.-M., Balzarini, J., Velázquez, S., Camarasa, M.-J., Desmyter, J., De Clercq, E. & Anné, J.
Evidence for the involvement of the small subunit of HIV-1 reverse transcriptase (RT) in the TSAO-resistance.
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides,
Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 599-602 (1995).
1179. Girardet, J.-L., Gosselin, G., Périgaud, C., Balzarini, J., De Clercq, E. & Imbach, J.-L.
Synthesis and antitumor properties of some neutral triesters of 5-fluoro-2'-deoxyuridine-5'-monophosphate and 3',5'-cyclic monophosphate.
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides,
Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 645-647 (1995).
1180. Hatse, S., Balzarini, J. & De Clercq, E.
Induction of erythroid differentiation of human leukemia K-562 cells by the acyclic nucleoside phosphonate 9-(2-phosphonylmethoxyethyl)adenine (PMEA).
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides,
Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 649-652 (1995).
1181. Pérez-Pérez, M.-J., Doboszewski, B., De Clercq, E. & Herdewijn, P.
Phosphonates derivatives of 2',3'-dideoxy-2',3'-didehydro-pentopyranosyl nucleosides.
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides,
Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 707-710 (1995).

1182. Meier, C., Habel, L., Laux, W., De Clercq, E. & Balzarini, J.
Homo dinucleoside- α -hydroxyphosphonate diesters as prodrugs of the antiviral nucleoside analogues 2',3'-dideoxythymidine and 3'-azido-2',3'-dideoxythymidine.
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides, Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 759-762 (1995).
1183. Naesens, L., Neyts, J., Balzarini, J., Bischofberger, N. & De Clercq, E.
In vivo antiretroviral efficacy of oral Bis(POM)-PMEA, the bis(pivaloyloxy-methyl)prodrug of 9-(2-phosphonylmethoxyethyl)adenine (PMEA).
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides, Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 767-770 (1995).
1184. Tusek-Bozic, Lj., Curic, M., Balzarini, J. & De Clercq, E.
Biological activity of some dialkyl α -anilinobenzylphosphonates and their palladium(II) complexes.
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides, Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 777-781 (1995).
1185. Neyts, J., Verbiest, A., Meerbach, A. & De Clercq, E.
Human cytomegalovirus stimulates thymidylate synthase in human embryonic lung cells: a possible target for anti-HCMV therapy ?
Proceedings of the 11th International Round Table on Nucleosides and Nucleotides, Leuven, Belgium, 7-11 September 1994.
Nucleosides & Nucleotides, 14: 1153-1156 (1995).
1186. Leydet, A., Barthélémy, Ph., Boyer, B., Lamaty, G., Roque, J.P., Bousseau, A., Evers, M., Hénin, Y., Snoeck, R., Andrei, G., Ikeda, S., Reymen, D. & De Clercq, E.
Polyanion inhibitors of human immunodeficiency virus and other viruses. Part I - polymerized anionic surfactants.
J. Med. Chem., 38: 2433-2440 (1995).
1187. De Clercq, E.
Toward improved anti-HIV chemotherapy: therapeutic strategies for intervention with HIV infections.
J. Med. Chem., 38: 2491-2517 (1995).
1188. Balzarini, J., Pérez-Pérez, M.-J., Vélazquez, S., San-Félix, A., Camarasa, M.-J., De Clercq, E. & Karlsson, A.
Suppression of the breakthrough of human immunodeficiency virus type 1 (HIV-1) in cell culture by thiocarboxanilide derivatives when used individually or in combination with other HIV-1-specific inhibitors (i.e., TSAO derivatives).
Proc. Natl. Acad. Sci. USA, 92: 5470-5474 (1995).
1189. Luyten, I., Jie, L., Van Aerschot, A., Pannecouque, C., Wigerinck, P., Rozenski, J., Hendrix, C., Wang, C., Wiebe, L., Balzarini, J., De Clercq, E. & Herdewijn, P.
2'-Deoxyuridines with a 5-heteroaromatic substituent: synthesis and biological evaluation.
Antiviral Chem. Chemother., 6: 262-270 (1995).
1190. Balzarini, J., Weeger, M., Camarasa, M.-J., De Clercq, E. & Überla, K.
Sensitivity/resistance profile of a simian immunodeficiency virus (SIV) containing the reverse transcriptase gene of human immunodeficiency virus type 1 (HIV-1) toward the HIV-1-specific non-nucleoside reverse transcriptase inhibitors.
Biochem. Biophys. Res. Commun., 211: 850-856 (1995).

1191. Balzarini, J., Brouwer, W.G., Felauer, E.E., De Clercq, E. & Karlsson, A.
Activity of various thiocarboxanilide derivatives against wild-type and several mutant human immunodeficiency virus type 1 strains.
Antiviral Res., 27: 219-236 (1995).
1192. Ingate, S., Pérez-Pérez, M.-J., De Clercq, E., Balzarini, J. & Camarasa, M.-J.
Synthesis and anti-HIV-1 activity of novel TSAO-T derivatives modified at the 2'- and 5'-positions of the sugar moiety.
Antiviral Res., 27: 281-299 (1995).
1193. Pérez-Pérez, M.-J., Balzarini, J., Rozenski, J., De Clercq, E. & Herdewijn, P.
Synthesis and antiviral activity of phosphonate derivatives of enantiomeric dihydro-2H-pyranyl nucleosides.
Bioorg. Med. Chem. Lett., 5: 1115-1118 (1995).
1194. Tatebayashi, M., Neyts, J., Besen, G., Flores-Aguilar, M., Smith, I.L., Wiley, C.A., Spector, S.A., Bergeron-Lynn, G., Maudgal, P.C., De Clercq, E., Gangan, P.A., Chavez, E., Russack, V. & Freeman, W.R.
Absence of infectious retinitis after injection of human cytomegalovirus into rabbit eyes.
J. Infect. Dis., 171: 782-787 (1995).
1195. Harmsen, M.C., Swart, P.J., de Béthune, M.-P., Pauwels, R., De Clercq, E., The, T.H. & Meijer, D.K.F.
Antiviral effects of plasma and milk proteins: lactoferrin shows potent activity against both human immunodeficiency virus and human cytomegalovirus replication *in vitro*.
J. Infect. Dis., 172: 380-388 (1995).
1196. Balzarini, J., Morin, K.W., Knaus, E.E., Wiebe, L.I. & De Clercq, E.
Novel (*E*)-5-(2-iodovinyl)-2'-deoxyuridine derivatives as potential cytostatic agents against herpes simplex virus thymidine kinase gene transfected tumors.
Gene Therapy, 2: 317-322 (1995).
1197. Andrei, G., Snoeck, R. & De Clercq, E.
Susceptibilities of several drug-resistant herpes simplex virus type 1 strains to alternative antiviral compounds.
Antimicrob. Agents Chemother., 39: 1632-1635 (1995).
1198. Tanaka, H., Takashima, H., Ubasawa, M., Sekiya, K., Inouye, N., Baba, M., Shigeta, S., Walker, R.T., De Clercq, E. & Miyasaka, T.
Synthesis and antiviral activity of 6-benzyl analogs of 1-[(2-hydroxyethoxy)methyl]-6-(phenylthio)thymine (HEPT) as potent and selective anti-HIV-1 agents.
J. Med. Chem., 38: 2860-2865 (1995).
1199. Kundu, N.G., Mahanty, J.S., Spears, C.P., Andrei, G., Snoeck, R., Balzarini, J. & De Clercq, E.
Palladium-catalyzed synthesis of (*E*)-5-(2-acylvinyl)-2'-deoxyuridines and their antiviral and cytotoxic activities.
Bioorg. Med. Chem. Lett., 5: 1627-1632 (1995).
1200. Golankiewicz, B., Januszczyk, P., Ikeda, S., Balzarini, J. & De Clercq, E.
Synthesis and antiviral activity of benzyl-substituted imidazo[1,5-*a*]-1,3,5-triazine (5,8-diaza-7,9-dideazapurine) derivatives.
J. Med. Chem., 38: 3558-3565 (1995).
1201. Wutzler, P., De Clercq, E., Wutke, K. & Färber, I.
Oral brivudin vs. intravenous acyclovir in the treatment of herpes zoster in immunocompromised patients: a randomized double-blind trial.
J. Med. Virol., 46: 252-257 (1995).

1202. Neyts, J. & De Clercq, E.
Effect of polyanionic compounds on intracutaneous and intravaginal herpesvirus infection in mice: impact on the search for vaginal microbicides with anti-HIV activity
J. Acquir. Immune Defic. Syndr. Human Retrovirol., 10: 8-12 (1995).
1203. Neyts, J., Reymen, D., Letourneur, D., Jozefonvicz, J., Schols, D., Este, J., Andrei, G., McKenna, P., Witvrouw, M., Ikeda, S., Clement, J. & De Clercq, E.
Differential antiviral activity of derivatized dextrans.
Biochem. Pharmacol., 50: 743-751 (1995).
1204. De Clercq, E.
Trends in the development of new antiviral agents for the chemotherapy of infections caused by herpesviruses and retroviruses.
Rev. Med. Virol., 5: 149-164 (1995).
1205. Snoeck, R., Van Ranst, M., Andrei, G., De Clercq, E., De Wit, S., Poncin, M. & Clumeck, N.
Treatment of anogenital papillomavirus infections with an acyclic nucleoside phosphonate analogue.
New Engl. J. Med., 333: 943-944 (1995).
1206. Joao, H.C., De Vreese, K., Pauwels, R., De Clercq, E., Henson, G.W. & Bridger, G.J.
Quantitative structural activity relationship study of bis-tetraazaacyclic compounds. A novel series of HIV-1 and HIV-2 inhibitors.
J. Med. Chem., 38: 3865-3873 (1995).
1207. Balzarini, J., Andrei, G., Kumar, R., Knaus, E.E., Wiebe, L.I. & De Clercq, E.
The cytostatic activity of 5-(1-azidovinyl)-2'-deoxyuridine (AzVDU) against herpes simplex virus thymidine kinase gene-transfected FM3A cells is due to inhibition of thymidylate synthase and enhanced by UV light ($\lambda = 254$ nm) exposure.
FEBS Lett., 373: 41-44 (1995).
1208. Stals, F.S., Zeytinoglu, A., Havennith, M., De Clercq, E. & Bruggeman, C.A.
Comparative effect of (S)-1-(3-hydroxy-2-phosphonylmethoxypropyl)cytosine and 9-(1,3-dihydroxy-2-propoxymethyl)guanine treatment on cytomegalovirus-induced interstitial pneumonitis in allogeneic bone marrow transplant recipient rats.
Transplantation Proc., 25: 1248-1249 (1993).
1209. Acedo, M., De Clercq, E. & Eritja, R.
Synthesis and biophysical and biological properties of oligonucleotides containing 2-aza-2'-deoxyinosine.
J. Org. Chem., 60: 6262-6269 (1995).
1210. Cheraghali, A.M., Kumar, R., Morin, K.W., De Clercq, E., Knaus, E.E. & Wiebe, L.I.
A comparative study of 5-ethyl-2'-deoxyuridine and selected lipophilic 5,6-dihydro double/triple prodrugs.
Antiviral Chem. Chemother., 6: 356-364 (1995).
1211. Ingate, S.T., Camarasa, M.-J., De Clercq, E. & Balzarini, J.
Synthesis and anti-HIV-1 activity of [1-[2',5'-bis-O-(*tert*-butyldimethylsilyl)- β -L-ribofuranosyl]thymine]-3'-spiro-5''-(4''-amino-1'',2''-oxathiole-2'',2''-dioxide) (L-TSAO-T), the L-enantiomer of the highly specific HIV-1 reverse transcriptase inhibitor TSAO-T.
Antiviral Chem. Chemother., 6: 365-370 (1995).
1212. Loakes, D., Brown, D.M., Mahmood, N., Balzarini, J. & De Clercq, E.
Antiviral activity of bicyclic pyrimidine nucleosides.
Antiviral Chem. Chemother., 6: 371-378 (1995).

1213. Reymen, D., Naesens, L., Balzarini, J., Holý, A., Dvoraková, H. & De Clercq, E.
Antiviral activity of selected acyclic nucleoside analogues against human herpesvirus type 6.
Antiviral Res., 28: 343-357 (1995).
1214. Pauwels, R., de Béthune, M.-P., Andries, K., Stoffels, P., Janssen, P. & De Clercq, E.
Discovery, development and characterization of agents active against the AIDS virus.
J. Receptor & Signal Transduction Res., 15: 609-616 (1995).
1215. Ojwang, J.O., Buckheit, R.W., Pommier, Y., Mazumder, A., De Vreese, K., Esté, J.A., Reymen, D., Pallansch, L.A., Lackman-Smith, C., Wallace, T.L., De Clercq, E., McGrath, M.S. & Rando, R.F.
T30177, an oligonucleotide stabilized by an intramolecular guanosine octet, is a potent inhibitor of laboratory strains and clinical isolates of human immunodeficiency virus type 1.
Antimicrob. Agents Chemother., 39: 2426-2435 (1995).
1216. Esté, J.A., Witvrouw, M., Tu, J., Desmyter, J., De Clercq, E. & Vandamme, A.-M.
Inhibition of HIV type 1 tat-mediated *trans*-activation by oncostatin M in HLtat cells.
AIDS Res. Human Retrovir, 11: 1355-1358 (1995).
1217. De Clercq, E. & Balzarini, J.
Knocking out human immunodeficiency virus through non-nucleoside reverse transcriptase inhibitors used as single agents or in combinations: a paradigm for the cure of AIDS ?
Il Farmaco, 50: 735-747 (1995).
1218. De Clercq, E.
Chemotherapy of human immunodeficiency virus (HIV) infection based on chemotherapeutic intervention with early steps of the virus replicative cycle.
Advances in Biochemistry (Postepy Biochemii), 41 (Suppl.): 338-342 (1995).
1219. Naesens, L., Balzarini, J. & De Clercq, E.
The potential of acyclic nucleoside phosphonates as broad-spectrum antiviral agents.
Advances in Biochemistry (Postepy Biochemii), 41 (Suppl.): 347-351 (1995).
1220. Himpens, B., Proot, P., Neyts, J., De Smedt, H., De Clercq, E. & Casteels, R.
Human cytomegalovirus modulates the Ca²⁺ response to vasopressin and ATP in human fibroblast cultures.
Cell Calcium, 18: 111-119 (1995).
1221. Balzarini, J., Navé, J.-F., Becker, M.A., Tatibana, M. & De Clercq, E.
Kinetic properties of adenine nucleotide analogues against purified 5-phosphoribosyl-1-pyrophosphate synthetases from *E.coli*, rat liver and human erythrocytes.
Nucleosides & Nucleotides, 14: 1861-1871 (1995).
1222. Lewis, M., McMurry, T.B.H. & De Clercq, E.
Fluorinated carbaacyclonucleosides: synthesis and evaluation of antiviral activity.
Nucleosides & Nucleotides, 14: 1913-1927 (1995).
1223. Esteban, A.I., Juanes, O., Conde, S., Goya, P., De Clercq, E. & Martínez, A.
New 1,2,6-thiadiazine dioxide acyclonucleosides: synthesis and antiviral evaluation.
Bioorg. Med. Chem., 13: 1527-1535 (1995).
1224. Neyts, J., Andrei, G., Balzarini, J., Snoeck, R. & De Clercq, E.
Specific phosphorylation of 2-amino-7-[(1,3-dihydroxy-2-propoxymethyl)]purine (S2242) in human cytomegalovirus-infected human embryonic lung fibroblasts.
Scand. J. Infect. Dis., 99, Suppl.: 115-116 (1995).

1225. Snoeck, R. & De Clercq, E.
Herpesvirus infections in immunocompromised patients.
In: "Infectious Complications of Cancer", J. Klastersky (ed.). Kluwer Academic Publishers, Boston, Dordrecht, London, pp. 149-171 (1995).
1226. Maruyama, T., Utsumi, K., Tomioka, H., Kasamoto, M., Sato, Y., Anné, J. & De Clercq, E.
Synthesis, antiviral, antibacterial and antitumor cell activities of 2'-deoxy-2'-fluoropurymycin.
Chem. Pharm. Bull., 43: 955-959 (1995).
1227. De Hauwere, B., Lutz, A., Maudgal, P.C., Neyts, J. & De Clercq, E.
An ocular model of adenovirus type 5 infection in the rabbit.
Bull. Soc. belge Ophtalmol., 259: 33-42 (1995).
1228. Meier, C., Habel, L.W., Balzarini, J. & De Clercq, E.
Lipophilic α -hydroxybenzylphosphonates as prodrugs of 3'-azido-2',3'-dideoxythymidine (AZT).
Liebigs Ann., 1995: 2195-2202 (1995).
1229. Meier, C., Habel, L.W., Balzarini, J. & De Clercq, E.
5',5'-Di-O-nucleosyl-O'-benzylphosphotriesters as potential prodrugs of 3'-azido-2',3'-dideoxythymidine-5'-monophosphate.
Liebigs Ann., 1995: 2203-2208 (1995).
1230. Witvrouw, M., Seifert, J.-M., Henson, G.W., Martellucci, S.A., Desmyter, J. & De Clercq, E.
Pharmacokinetics of the anti-HIV bicyclam SID791 (JM3100) in rabbits, as determined by both analytical and bioassay methods.
Antiviral Chem. Chemother., 7: 27-30 (1996).
1231. McGuigan, C., Cahard, D., Salgado, A., De Clercq, E. & Balzarini, J.
Phosphoramidates as potent prodrugs of anti-HIV nucleotides: studies in the amino region.
Antiviral Chem. Chemother., 7: 31-36 (1996).
1232. Naesens, L., Balzarini, J., Bischofberger, N. & De Clercq, E.
Antiretroviral activity and pharmacokinetics in mice of oral bis(pivaloyloxymethyl)-9-(2-phosphonylmethoxyethyl)adenine, the bis(pivaloyloxymethyl) ester prodrug of 9-(2-phosphonylmethoxyethyl)adenine.
Antimicrob. Agents Chemother., 40: 22-28 (1996).
1233. Kuppermann, B.D., Assil, K.K., Vuong, C., Besen, G., Wiley, C.A., De Clercq, E., Bergeron-Lynn, G., Connor, J.D., Pursley, M., Munguia, D. & Freeman, W.R.
Liposome-encapsulated (S)-1-(3-hydroxy-2-phosphonylmethoxypropyl)cytosine for long-acting therapy of viral retinitis.
J. Infect. Dis., 173: 18-23 (1996).
1234. Bridger, G.J., Skerlj, R.T., Padmanabhan, S., Martellucci, S.A., Henson, G.W., Abrams, M.J., Joao, H.C., Witvrouw, M., De Vreese, K., Pauwels, R. & De Clercq, E.
Synthesis and structure-activity relationships of phenylenebis(methylene)-linked bis-tetraazamacrocycles that inhibit human immunodeficiency virus replication. 2. Effect of heteroaromatic linkers on the activity of bicyclams.
J. Med. Chem., 39: 109-119 (1996).
1235. De Vreese, K., Kofler-Mongold, V., Leutgeb, C., Weber, V., Vermeire, K., Schacht, S., Anné, J., De Clercq, E., Datema, R. & Werner, G.
The molecular target of bicyclams, potent inhibitors of human immunodeficiency virus replication.
J. Virol., 70: 689-696 (1996).

1236. Verheggen, I., Van Aerschot, A., Rozenski, J., Janssen, G., De Clercq, E. & Herdewijn, P. Synthesis of 1,5-anhydrohexitol nucleosides as mimics of AZT, D4T and DDC. *Nucleosides & Nucleotides*, 15: 325-335 (1996).
1237. Alvarez, R., San-Félix, A., De Clercq, E., Balzarini, J. & Camarasa, M.J. Novel TSAO derivatives. Synthesis and anti-HIV-1 activity of allofuranosyl-TSAO-T analogues. *Nucleosides & Nucleotides*, 15: 349-359 (1996).
1238. Kamps, J.A.A.M., Swart, P.J., Morselt, H.W.M., Pauwels, R., De Béthune, M.-P., De Clercq, E., Meijer, D.K.F. & Scherphof, G.L. Preparation and characterization of conjugates of (modified) human serum albumin and liposomes: drug carriers with an intrinsic anti-HIV activity. *Biochim. Biophys. Acta*, 1278: 183-190 (1996).
1239. De Clercq, E. Non-nucleoside reverse transcriptase inhibitors (NNRTIs) for the treatment of human immunodeficiency virus type 1 (HIV-1) infections: strategies to overcome drug resistance development. *Med. Res. Rev.*, 16: 125-157 (1996).
1240. Pauwels, R. & De Clercq, E. Development of vaginal microbicides for the prevention of heterosexual transmission of HIV. *J. Acquir. Immune Defic. Syndr. Human Retrovirol.*, 11: 211-221 (1996).
1241. Balzarini, J., Aquaro, S., Perno, C.-F., Witvrouw, M., Holý, A. & De Clercq, E. Activity of the (*R*)-enantiomers of 9-(2-phosphonylmethoxypropyl)adenine and 9-(2-phosphonylmethoxypropyl)-2,6-diaminopurine against human immunodeficiency virus in different human cell systems. *Biochem. Biophys. Res. Commun.*, 219: 337-341 (1996).
1242. Evers, M., Poujade, C., Soler, F., Ribeill, Y., James, C., Lelièvre, Y., Gueguen, J.-C., Reisdorf, D., Morize, I., Pauwels, R., De Clercq, E., Hénin, Y., Bousseau, A., Mayaux, J.-F., Le Pecq, J.-B. & Dereu, N. Betulinic acid derivatives: a new class of human immunodeficiency virus type 1 specific inhibitors with a new mode of action. *J. Med. Chem.*, 39: 1056-1068 (1996).
1243. Mikhailov, S.N., Blaton, N., Rozenski, J., Balzarini, J., De Clercq, E. & Herdewijn, P. Use of cyclohexene epoxides in the preparation of carbocyclic nucleosides. *Nucleosides & Nucleotides*, 15: 867-878 (1996).
1244. Kuipers, M.E., Huisman, J.G., Swart, P.J., de Béthune, M.-P., Pauwels, R., Schuitemaker, H., De Clercq, E. & Meijer, D.K.F. Mechanism of anti-HIV activity of negatively charged albumins: biomolecular interaction with the HIV-1 envelope protein gp120. *J. Acquir. Immune Defic. Syndr. Human Retrovirol.*, 11: 419-429 (1996).
1245. Soler, F., Poujade, C., Evers, M., Carry, J.-C., Hénin, Y., Bousseau, A., Huet, T., Pauwels, R., De Clercq, E., Mayaux, J.-F., Le Pecq, J.-B. & Dereu, N. Betulinic acid derivatives: a new class of specific inhibitors of human immunodeficiency virus type 1 entry. *J. Med. Chem.*, 39: 1069-1083 (1996).

1246. Reymen, D., Witvrouw, M., Esté, J.A., Neyts, J., Schols, D., Andrei, G., Snoeck, R., Cushman, M., Hejchman, E. & De Clercq, E.
Mechanism of the antiviral activity of new aurintricarboxylic acid analogues.
Antiviral Chem. Chemother., 7: 142-152 (1996).
1247. Hiebl, J., Zbiral, E., von Janta-Lipinski, M., Balzarini, J. & De Clercq, E.
Side-chain derivatives of biologically active nucleosides. Part 2. Synthesis and anti-HIV activity of 5'-C-methyl derivatives of 3'-fluoro-3'-deoxythymidine.
Antiviral Chem. Chemother., 7: 173-177 (1996).
1248. Vandeveld, M., Witvrouw, M., Schmit, J.C., Sprecher, S., De Clercq, E. & Tassignon, J.-P.
ADA, a potential anti-HIV drug. Letter to the Editor.
AIDS Res. Human Retrovir., 12: 567-568 (1996).
1249. De Vreese, K., Reymen, D., Griffin, P., Steinkasserer, A., Werner, G., Bridger, G.J., Esté, J., James, W., Henson, G.W., Desmyter, J., Anné, J. & De Clercq, E.
The bicyclams, a new class of potent human immunodeficiency virus inhibitors, block viral entry after binding.
Antiviral Res., 29: 209-219 (1996).
1250. Esté, J.A., De Vreese, K., Witvrouw, M., Schmit, J.-C., Vandamme, A.-M., Anné, J., Desmyter, J., Henson, G.W., Bridger, G. & De Clercq, E.
Antiviral activity of the bicyclam derivative JM3100 against drug-resistant strains of human immunodeficiency virus type 1.
Antiviral Res., 29: 297-307 (1996).
1251. Jashés, M., González, M., López-Lastra, M., De Clercq, E. & Sandino, A.
Inhibitors of infectious pancreatic necrosis virus (IPNV) replication.
Antiviral Res., 29: 309-312 (1996).
1252. Balzarini, J., Pelemans, H., Pérez-Pérez, M.-J., San-Félix, A., Camarasa, M.-J., De Clercq, E. & Karlsson, A.
Marked inhibitory activity of non-nucleoside reverse transcriptase inhibitors against human immunodeficiency virus type 1, when combined with (-)2',3'-dideoxy-3'-thiacytidine (3TC).
Mol. Pharmacol., 49: 882-890 (1996).
1253. Groziak, M.P., Lin, R., Stevens, W.C., Wotring, L.L., Townsend, L.B., Balzarini, J., Witvrouw, M. & De Clercq, E.
Definitive solution structures for the 6-formylated versions of 1-(β -D-ribofuranosyl)-, 1-(2'-deoxy- β -D-ribofuranosyl)-, and 1- β -D-arabinofuranosyluracil, and of thymidine.
Nucleosides & Nucleotides, 15: 1041-1057 (1996).
1254. Herdewijn, P. & De Clercq, E.
Classical antiviral agents and design of new antiviral agents (Section 16).
In: "A Textbook of Drug Design and Development", P. Krosggaard-Larsen, T. Liljefors and U. Madsen (eds.). Harwood Academic Publishers, London, United Kingdom, pp. 425-459 (1996).
1255. Balzarini, J., Brouwer, W.G., Dao, D.C., Osika, E.M. & De Clercq, E.
Identification of novel thiocarboxanilide derivatives that suppress a variety of drug-resistant mutant human immunodeficiency virus type 1 strains at a potency similar to that for wild-type virus.
Antimicrob. Agents Chemother., 40: 1454-1466 (1996).

1256. Leydet, A., Barthélémy, P., Boyer, B., Lamaty, G., Roque, J.-P., Witvrouw, M. & De Clercq, E.
Polyanions inhibitors of human immunodeficiency virus. Part IV. - Polymerized anionic surfactants: influence of the density and distribution of anionic groups on the antiviral activity.
Bioorg. Med. Chem. Lett., 6: 397-402 (1996).
1257. Bellarosa, D., Antonelli, G., Bambacioni, F., Giannotti, D., Viti, G., Nannicini, R., Giachetti, A., Dianzani, F., Witvrouw, M., Pauwels, R., Desmyter, J. & De Clercq, E.
New arylpyrido-diazepine and -thiodiazepine derivatives are potent and highly selective HIV-1 inhibitors targeted at the reverse transcriptase.
Antiviral Res., 30: 109-124 (1996).
1258. Neyts, J., Meerbach, A., Mc Kenna, P. & De Clercq, E.
Use of the yellow fever virus vaccine strain 17D for the study of strategies for the treatment of yellow fever virus infections.
Antiviral Res., 30: 125-132 (1996).
1259. De Clercq, E., Neyts, J., Naesens, L. & Balzarini, J.
Therapeutic potential of acyclic nucleoside phosphonates in the treatment of herpes- and retrovirus infections (i.e. HPMPC against MCMV infection in SCID mice).
Proceedings of Antiviral Drug Congress (The Fifth International Antiviral Symposium and the Second Korean-American AIDS Symposium), Seoul, Korea, 21-25 June 1992.
Research Center for New Drug Development, Seoul National University, Korea, pp. 144-150 (1992).
1260. De Clercq, E.
Strategies for the selective inhibition of human immunodeficiency virus replication.
Proceedings of the International Symposium on Recent Advances in Chemotherapeutic Agents, Seoul, Korea, 19-20 August 1993.
Research Center for New Drug Development, Seoul National University, Korea, pp. 69-86 (1993).
1261. De Clercq, E.
Therapeutic potential of cidofovir (HPMPC, VistideTM) for the treatment of DNA virus (i.e. herpes-, papova-, pox- and adenovirus) infections.
Verh. K. Acad. Geneesk. Belg., 58: 19-49 (1996).
1262. Leydet, A., El Hachemi, H., Boyer, B., Lamaty, G., Roque, J.P., Schols, D., Snoeck, R., Andrei, G., Ikeda, S., Neyts, J., Reymen, D., Esté, J., Witvrouw, M. & De Clercq, E.
Polyanion inhibitors of human immunodeficiency virus and other viruses. Part 2. Polymerized anionic surfactants derived from amino acids and dipeptides.
J. Med. Chem., 39: 1626-1634 (1996).
1263. McGuigan, C., Cahard, D., Sheeka, H.M., De Clercq, E. & Balzarini, J.
Aryl phosphoramidate derivatives of d4T have improved anti-HIV efficacy in tissue culture and may act by the generation of a novel intracellular metabolite.
J. Med. Chem., 39: 1748-1753 (1996).
1264. Shuto, S., Obara, T., Saito, Y., Andrei, G., Snoeck, R., De Clercq, E. & Matsuda, A.
New neplanocin analogues 6. Synthesis and antiviral activity of 6'-homoneplanocin A.
J. Med. Chem., 39: 2392-2399 (1996).
1265. Kodama, E., Shigeta, S., Suzuki, T. & De Clercq, E.
Application of a gastric cancer cell line (MKN-28) for anti-adenovirus screening using the MTT method.
Antiviral Res., 31: 159-164 (1996).

1266. McGuigan, C., Cahard, D., Sheeka, H.M., De Clercq, E. & Balzarini, J.
Phosphoramidate derivatives of d4T with improved anti-HIV efficacy retain full activity in thymidine kinase-deficient cells.
Bioorg. Med. Chem. Lett., 6: 1183-1186 (1996).
1267. De Clercq, E.
Chemotherapy of viral infections.
In: "Medical Microbiology", 4th ed., S. Baron (ed.). The University of Texas Medical Branch at Galveston, Texas, pp. 663-671 (1996).
1268. Cobo, J., Melguizo, M., Sánchez, A., Nogueras, M. & De Clercq, E.
A new method for the synthesis of 2-glycosylamino pyridines.
Tetrahedron, 52: 5845-5856 (1996).
1269. Van hemel, J., Esmans, E.L., De Groot, A., Dommissie, R.A., Balzarini, J.M. & De Clercq, E.
Synthesis and biological evaluation of some acyclic pyridine C-nucleosides. Part two.
Nucleosides & Nucleotides, 15: 1203-1221 (1996).
1270. McGuigan, C., Salgado, A., Yarnold, C., Harries, T.Y., De Clercq, E. & Balzarini, J.
Novel nucleoside phosphoramidates as inhibitors of HIV: studies on the stereochemical requirements of the phosphoramidate amino acid.
Antiviral Chem. Chemother., 7: 184-188 (1996).
1271. De Clercq, E.
What can be expected from non-nucleoside reverse transcriptase inhibitors (NNRTIs) in the treatment of human immunodeficiency virus type 1 (HIV-1) infections ?
Rev. Med. Virol., 6: 97-117 (1996).
1272. Locher, C.P., Witvrouw, M., de Béthune, M.-P., Burch, M.T., Mower, H.F., Davis, H., Lasure, A., Pauwels, R., De Clercq, E. & Vlietinck, A.J.
Antiviral activity of Hawaiian medicinal plants against human immunodeficiency virus type-1 (HIV-1).
J. Phytomedicine, 2: 259-264 (1996).
1273. Balzarini, J., Karlsson, A., Aquaro, S., Perno, C.-F., Cahard, D., Naesens, L., De Clercq, E. & McGuigan, C.
Mechanism of anti-HIV action of masked alaninyl d4T-MP derivatives.
Proc. Natl. Acad. Sci. USA, 93: 7295-7299 (1996).
1274. Gueiffier, A., Lhassani, M., Elhakmaoui, A., Snoeck, R., Andrei, G., Chavignon, O., Teulade, J.-C., Kerbal, A., Essassi, E.M., Debouzy, J.-C., Witvrouw, M., Blache, Y., Balzarini, J., De Clercq, E. & Chapat, J.-P.
Synthesis of acyclo-C-nucleosides in the imidazo[1,2-*a*]pyridine and pyrimidine series as antiviral agents.
J. Med. Chem., 39: 2856-2859 (1996).
1275. Snoeck, R., Andrei, G. & De Clercq, E.
Patterns of resistance and sensitivity to antiviral compounds of drug-resistant strains of human cytomegalovirus selected in vitro
Eur. J. Clin. Microbiol. Infect. Dis., 15: 574-579 (1996).
1276. Pérez-Pérez, M.-J., De Clercq, E. & Herdewijn, P.
Synthesis and antiviral activity of 2-deoxy-1,5-anhydro-D-mannitol nucleosides containing a pyrimidine base moiety.
Bioorg. Med. Chem. Lett., 6: 1457-1460 (1996).

1277. Hossain, N., Hendrix, C., Lescrinier, E., Van Aerschot, A., Busson, R., De Clercq, E. & Herdewijn, P.
Homo-N-nucleosides: incorporation into oligonucleotides and antiviral activity.
Bioorg. Med. Chem. Lett., 6: 1465-1468 (1996).
1278. Balzarini, J., Pelemans, H., Aquaro, S., Perno, C.-F., Witvrouw, M., Schols, D., De Clercq, E. & Karlsson, A.
Highly favorable antiviral activity and resistance profile of the novel thiocarboxanilide pentenyloxy ether derivatives UC-781 and UC-82 as inhibitors of human immunodeficiency virus type 1 replication.
Mol. Pharmacol., 50: 394-401 (1996).
1279. Schols, D. & De Clercq, E.
Human immunodeficiency virus type 1 gp120 induces anergy in human peripheral blood lymphocytes by inducing interleukin-10 production.
J. Virol., 70: 4953-4960 (1996).
1280. Gordon, Y.J., Naesens, L., De Clercq, E., Maudgal, P.C. and Veckeneer, M.
Treatment of adenoviral conjunctivitis with topical cidofovir.
Cornea, 15: 546 (1996).
1281. De Clercq, E.
Chemotherapy of human immunodeficiency virus (HIV) infection: anti-HIV agents targeted at early steps in the virus replicative cycle.
Biomed. & Pharmacother., 50: 207-215 (1996).
1282. Schmit, J.-C., Ruiz, L., Clotet, B., Raventos, A., Tor, J., Leonard, J., Desmyter, J., De Clercq, E. & Vandamme, A.-M.
Resistance-related mutations in the HIV-1 protease gene of patients treated for 1 year with the protease inhibitor ritonavir (ABT-538).
AIDS, 10: 995-999 (1996).
1283. Augustijns, P., Annaert, P., Adriaens, S., De Clercq, E. & Kinget, R.
High speed HPLC determination of bis(pivaloyloxymethyl)-PMEA and its degradation products, mono(POM)-PMEA and PMEA.
J. Liq. Chrom. & Rel. Technol., 19: 2271-2283 (1996).
1284. Balzarini, J., Wedgwood, O., Kruining, J., Pelemans, H., Heijntink, R., De Clercq, E. & McGuigan, C.
Anti-HIV and anti-HBV activity and resistance profile of 2',3'-dideoxy-3'-thiacytidine (3TC) and its arylphosphoramidate derivative Cf 1109.
Biochem. Biophys. Res. Commun., 225: 363-369 (1996).
1285. Morfin, F., Snoeck, R., Andrei, G. & De Clercq, E.
Phenotypic resistance of herpes simplex virus type 1 strains selected *in vitro* with antiviral compounds and combinations thereof.
Antiviral Chem. Chemother., 7: 270-275 (1996).
1286. Dvoráková, H., Masojídková, M., Holý, A., Balzarini, J., Andrei, G., Snoeck, R. & De Clercq, E.
Synthesis of 2'-aminomethyl derivatives of N-(2(phosphonomethoxy)ethyl) nucleotide analogues as potential antiviral agents.
J. Med. Chem., 39: 3263-3268 (1996).
1287. Curic, M., Tusek-Bozic, Lj., Vikić-Topić, D., Scarcia, V., Furlani, A., Balzarini, J. & De Clercq, E.
Palladium(II) complexes of dialkyl α -anilinobenzylphosphonates. Synthesis, characterization, and cytostatic activity.
J. Inorg. Biochem., 63: 125-142 (1996).

1288. Luyten, I., De Winter, H., Busson, R., Lescrinier, T., Creuven, I., Durant, F., Balzarini, J., De Clercq, E. & Herdewijn, P.
Synthesis of 2'-deoxy-5-(isothiazol-5-yl)uridine and its interaction with the HSV-1 thymidine kinase.
Helv. Chim. Acta, 79: 1462-1474 (1996).
1289. Kolocouris, N., Kolocouris, A., Foscolos, G.B., Fytas, G., Neyts, J., Padalko, E., Balzarini, J., Snoeck, R., Andrei, G. & De Clercq, E.
Synthesis and antiviral activity evaluation of some new aminoadamantane derivatives. 2.
J. Med. Chem., 39: 3307-3318 (1996).
1290. Tsoinīs, A., Calogeropoulou, T., Koufaki, M., Souli, C., Balzarini, J., De Clercq, E. & Makriyannis, A.,
Synthesis and antiretroviral evaluation of new alkoxy and aryloxy phosphate derivatives of 3'-azido-3'-deoxythymidine.
J. Med. Chem., 39: 3418-3422 (1996).
1291. Mikhailov, S.N., De Clercq, E. & Herdewijn, P.
Ribosylation of pyrimidine 2'-deoxynucleosides.
Nucleosides & Nucleotides, 15: 1323-1334 (1996).
1292. Balo, M.C., Fernández, F., Lens, E., López, C., De Clercq, E., Andrei, G., Snoeck, R. & Balzarini, J.
Synthesis and antiviral activities of some novel carbocyclic nucleosides.
Nucleosides & Nucleotides, 15: 1335-1346 (1996).
1293. Obara, T., Shuto, S., Saito, Y., Snoeck, R., Andrei, G., Balzarini, J., De Clercq, E. & Matsuda, A.
New neplanocin analogues. 7. Synthesis and antiviral activity of 2-halo derivatives of neplanocin A.
J. Med. Chem., 39: 3847-3852 (1996).
1294. Hossain, N., Rozenski, J., De Clercq, E. & Herdewijn, P.
Synthesis and antiviral activity of acyclic analogues of 1,5-anhydrohexitol nucleosides using Mitsunobu reaction.
Tetrahedron, 52: 13655-13670 (1996).
1295. Holý, A., Dvorková, H., Jindrich, J., Masojdková, M., Budesínský, M., Balzarini, J., Andrei, G. & De Clercq, E.
Acyclic nucleotide analogs derived from 8-azapurines: synthesis and antiviral activity.
J. Med. Chem., 39: 4073-4088 (1996).
1296. Mager, P.P., De Clercq, E., Takashima, H., Ubasawa, M., Sekiya, K., Baba, M. & Walther, H.
Molecular simulation of 5,6-substituted 1-[(2-hydroxyethoxy)methyl]uracils with anti-HIV-1 activity
Eur. J. Med. Chem., 31: 701-712 (1996).
1297. Schmit, J.-C., Cogniaux, J., Hermans, P., Van Vaecck, C., Sprecher, S., Van Remoortel, B., Witvrouw, M., Balzarini, J., Desmyter, J., De Clercq, E. & Vandamme, A.-M.
Multiple drug resistance to nucleoside analogues and nonnucleoside reverse transcriptase inhibitors in an efficiently replicating human immunodeficiency virus type 1 patient strain.
J. Infect. Dis., 174: 962-968 (1996).

1298. Vandamme, A.-M., Schmit, J.-C., Van Dooren, S., Van Laethem, K., Gobbers, E., Kok, W., Goubau, P., Witvrouw, M., Peetermans, W., De Clercq, E. & Desmyter, J.
Quantification of HIV-1 RNA in plasma: comparable results with the NASBA HIV monitor test.
J. Acquir. Immune Defic. Syndr. Human Retrovirol., 13: 127-139 (1996).
1299. De Clercq, E.
Perspectives for the chemotherapy of respiratory syncytial virus (RSV) infections.
Int. J. Antimicrobial Agents, 7: 193-202 (1996).
1300. Naesens, L. & De Clercq, E.
Present status of HIV protease inhibitors in the control of HIV infections. Introductory Article "Anti-infectives"
Exp. Opin. Invest. Drugs, 5: 153-154 (1996).
1301. Jonckheere, H., De Vreese, K., Debyser, Z., Vandekerckhove, J., Balzarini, J., Desmyter, J., De Clercq, E. & Anné, J.
A two plasmid co-expression system in *Escherichia coli* for the production of virion-like reverse transcriptase of the human immunodeficiency virus type 1.
J. Virol. Methods, 61: 113-125 (1996).
1302. Balzarini, J., Pelemans, H., Karlsson, A., De Clercq, E. & Kleim, J.-P.
Concomitant combination therapy for HIV infection preferable over sequential therapy with 3TC and non-nucleoside reverse transcriptase inhibitors.
Proc. Natl. Acad. Sci. USA, 93: 13152-13157 (1996).
1303. Ingate, S., De Clercq, E., Balzarini, J. & Camarasa, M.-J.
Novel *L-lyxo* and 5'-deoxy-5'-modified TSAO-T analogs: synthesis and anti-HIV-1 activity.
Antiviral Res., 32: 149-164 (1996).
1304. Balzarini, J., Egberinck, H., Hartmann, K., Cahard, D., Vahlenkamp, T., Thormar, H., De Clercq, E. & McGuigan, C.
Antiretrovirus specificity and intracellular metabolism of 2',3'-didehydro-2',3'-dideoxythymidine (stavudine) and its 5'-monophosphate triester prodrug So324.
Mol. Pharmacol., 50: 1207-1213 (1996).
1305. Hatse, S., De Clercq, E. & Balzarini, J.
Evidence for distinction of the differentiation-inducing activities and cytostatic properties of 9-(2-phosphonylmethoxyethyl)adenine and a variety of differentiation-inducing agents in human erythroleukemia K562 cells.
Mol. Pharmacol., 50: 1231-1242 (1996).
1306. Lagneaux, L., Delforge, A., Snoeck, R., Bosmans, E., Schols, D., De Clercq, E., Stryckmans, P. & Bron, D.
Imbalance in production of cytokines by bone marrow stromal cells following cytomegalovirus infection.
J. Infect. Dis., 174: 913-919 (1996).
1307. Lagneaux, L., Delforge, A., Snoeck, R., Bosmans, E., Moreau, J.F., Taupin, J.L., De Clercq, E., Stryckmans, P. & Bron, D.
Human cytomegalovirus increases constitutive production of interleukin-6 and leukemia inhibitory factor by bone marrow stromal cells.
Blood, 87: 59-66 (1996).

1308. Joos, P.E., De Groot, A., Esmans, E.L., Alderweireldt, F.C., De Bruyn, A., Balzarini, J. & De Clercq, E.
Synthesis, conformational analysis and biological evaluation of 2-(5-deoxy- β -D-ribofuranosyl)pyridine-4-carboxamide.
Heterocycles, 42: 173-187 (1996).
1309. Swart, P.J., Kuipers, M.E., Smit, C., Pauwels, R., de Béthune, M.P., De Clercq, E., Meijer, D.K.F. & Huisman, J.G.
Antiviral effects of milk proteins: acylation results in polyanionic compounds with potent activity against human immunodeficiency virus type 1 and 2 *in vitro*.
AIDS Res. Human Retrovir., 12: 769-775 (1996).
1310. Maruyama, T., Sato, Y., Oto, Y., Takahashi, Y., Snoeck, R., Andrei, G., Witvrouw, M. & De Clercq, E.
Synthesis and antiviral activity of 6-chloropurine arabinoside and its 2'-deoxy-2'-fluoro derivative.
Chem. Pharm. Bull., 44: 2331-2334 (1996).
1311. Maruyama, T., Sato, Y., Oto, Y. & De Clercq, E.
Synthesis and antiviral activity of 6-chloropurine arabinoside and 2'-deoxy-2'-fluoro derivatives.
Nucleic Acids Symp. Series No. 35: 39-40 (1996).
1312. Debyser, Z. & De Clercq, E.
Antiviral therapy for HIV infection.
EOS - J. Immunol. Immunopharmacol., 16: 48-52 (1996).
1313. Balzarini, J. & De Clercq, E.
Analysis of inhibition of retroviral reverse transcriptase
In: "Viral Polymerases and Related Proteins", L.C. Kuo, D.B. Olsen & S.S. Carroll (eds.), *Methods in Enzymology*, vol. 275. J.N. Abelson & M.I. Simon (eds.). Academic Press, San Diego, pp. 472-502 (1996).
1314. McGuigan, C., Wedgwood, O.M., De Clercq, E. & Balzarini, J.
Phosphoramidate derivatives of 2',3'-didehydro-2',3'-dideoxyadenosine (d4A) have markedly improved anti-HIV potency and selectivity.
Bioorg. Med. Chem. Lett., 6: 2359-2362 (1996).
1315. Ruiz, L., Romeu, J., Martínez-Picado, J., Schmit, J.-C., Vandamme, A.-M., Balagué, M., Cabrera, C., Puig, T., Tural, C., Segura, A., Sirera, G., De Clercq, E. & Clotet, B.
Efficacy of triple combination therapy with zidovudine (ZDV) plus zalcitabine (ddC) plus lamivudine (3TC) versus double (ZDV + 3TC) combination therapy in patients previously treated with ZDV + ddC.
AIDS, 10: F61-F66 (1996).
1316. Kodama, E., Igarashi, A., Mori, S., Hashimoto, K.-i., Suzuki, T., De Clercq, E. & Shigeta, S.
Evaluation of antiherpetic compounds using a gastric cancer cell line: pronounced activity of BVDU against herpes simplex virus replication.
Microbiol. Immunol., 40: 359-363 (1996).
1317. Mikhailopulo, I.A., Poopeiko, N.E., Tsvetkova, T.M., Marochkin, A.P., Balzarini, J. & De Clercq, E.
Synthesis and antiviral activity of 3'-C-branched-3'-deoxy analogues of adenosine.
Carbohydrate Res., 285: 17-28 (1996).

1318. Kaminsky, R., Schmid, C., Grether, Y., Holý, A., De Clercq, E., Naesens, L. & Brun, R. (S)-9-(3-hydroxy-2-phosphonylmethoxypropyl)adenine [(S)-HPMPA]: a purine analogue with trypanocidal activity *in vitro* and *in vivo*. *Trop. Med. Int. Health*, 1: 255-263 (1996).
1319. Debyser, Z. & De Clercq, E. Chemical crosslinking of the subunits of HIV-1 reverse transcriptase. *Protein Science*, 5: 278-286 (1996).
1320. Bruhn, T., Dürig, J., Kraiselburd, E.N., De Clercq, E., Bruhn, H.-D. & Béress, L. Antiviral and anticoagulant activity of polysaccharides from marine brown algae. Proceedings of the Satellite Symposium on Marine Pharmacology, Eilat, Israel, 9-11 October 1994. *In: "Biochemical Aspects of Marine Pharmacology"*, P. Lazarovici, M.E. Spira & E. Zlotkin (eds.). Alaken, Inc., Fort Collins, Colorado, pp. 187-208 (1996).
1321. Andrei, G. & De Clercq, E. Quimioterapia de las infecciones virales. *In "Microbiología"*, J.A. Basualdo, C.E. Coto & R. de Torres (eds.). Editorial Atlante Argentina, pp. 616-625 (1996).
1322. Joos, P.E., Esmans, E.L., Alderweireldt, F.C., De Bruyn, A., Balzarini, J. & De Clercq, E. Synthesis, conformation analysis and biological evaluation of 2-(2,3-dideoxy-β-D-ribofuranosyl)pyridine-4-carboxamide. *Heterocycles*, 43: 287-304 (1996).
1323. Ochoa, C., Herrero, A., Provencio, R., Balzarini, J., De Clercq, E., Gómez-Barrio, A., Díaz, R.M. & Nogal, J.J. First example of a 4-amino-1,2,4,6-thiatriazine 1,1-dioxide derivative. *Heterocycles*, 43: 2199-2204 (1996).
1324. Hocek, M., Masojídková, M., Holý, A., Andrei, G., Snoeck, R., Balzarini, J. & De Clercq, E. Synthesis of acyclic nucleotide analogues derived from 6-(aminomethyl)purines and purine-6-carboxamidines. *Collect. Czech. Chem. Commun.*, 61: 1525-1537 (1996).
1325. Naesens, L., Snoeck, R., Andrei, G., Balzarini, J., Neyts, J. & De Clercq, E. HPMPA (cidofovir), PMEPA (adefovir) and related acyclic nucleoside phosphonate analogues: a review of their pharmacology and clinical potential in the treatment of viral infections. *Antiviral Chem. Chemother.*, 8: 1-23 (1997).
1326. Takahashi, K., Suzuki, M., Iwata, Y., Shigeta, S., Yamanishi, K. & De Clercq, E. Selective activity of various nucleoside and nucleotide analogues against human herpes virus 6 and 7. *Antiviral Chem. Chemother.*, 8: 24-31 (1997).
1327. Balzarini, J., Pelemans, H., De Clercq, E., Karlsson, A. & Kleim, J.-P. Reverse transcriptase fidelity and HIV-1 variation (Technical Comment). *Science*, 275: 229-230 (1997).
1328. Witvrouw, M., Schmit, J.-C., Van Remoortel, B., Daelemans, D., Esté, J.A., Vandamme, A.-M., Desmyter, J. & De Clercq, E. Cell type-dependent effect of sodium valproate on human immunodeficiency virus type 1 replication *in vitro*. *AIDS Res. Human Retrovirol.*, 13: 187-192 (1997).

1329. Witvrouw, M., Balzarini, J., Pannecouque, C., Jhaumeer-Laulloo, S., Esté, J.A., Schols, D., Cherepanov, P., Schmit, J.-C., Debyser, Z., Vandamme, A.-M., Desmyter, J., Ramadas, S.R. & De Clercq, E.
SRR-SB3, a disulfide-containing macrolide that inhibits a late stage of the replicative cycle of human immunodeficiency virus.
Antimicrob. Agents Chemother., 41: 262-268 (1997).
1330. Leydet, A., Barragan, V., Boyer, B., Montéro, J.L., Roque, J.P., Witvrouw, M., Esté, J., Snoeck, R., Andrei, G. & De Clercq, E.
Polyanion inhibitors of human immunodeficiency virus and other viruses. 5. Telomerized anionic surfactants derived from amino acids.
J. Med. Chem., 40: 342-349 (1997).
1331. Leydet, A., Jeantet-Segonds, C., Bouchitté, C., Moullet, C., Boyer, B., Roque, J.P., Witvrouw, M., Esté, J., Snoeck, R., Andrei, G. & De Clercq, E.
Polyanion inhibitors of human immunodeficiency virus and other viruses. 6. Micelle-like anti-HIV polyanionic compounds based on a carbohydrate core.
J. Med. Chem., 40: 350-356 (1997).
1332. Fedorov, I.I., Kazmina, E.M., Gurskaya, G.V., Jasko, M.V., Zavodnic, V.E., Balzarini, J., De Clercq, E., Faraj, A., Sommadossi, J.-P., Imbach, J.-L. & Gosselin, G.
Novel 3'-C/N-substituted 2',3'- β -D-dideoxynucleosides as potential chemotherapeutic agents. 1. Thymidine derivatives: synthesis, structure, and broad spectrum antiviral properties.
J. Med. Chem., 40: 486-494 (1997).
1333. Andrei, G., Snoeck, R., Vandeputte, M. & De Clercq, E.
Activities of various compounds against murine and primate polyomaviruses.
Antimicrob. Agents Chemother., 41: 587-593 (1997).
1334. Balzarini, J., Vahlenkamp, T., Egberink, H., Hartmann, K., Witvrouw, M., Pannecouque, C., Casara, P., Navé, J.-F. & De Clercq, E.
Antiretroviral activities of acyclic nucleoside phosphonates [9-(2-phosphonylmethoxyethyl)adenine, 9-(2-phosphonylmethoxyethyl)guanine, (*R*)-9-(2-phosphonylmethoxypropyl)adenine, and MDL 74,968] in cell cultures and murine sarcoma virus-infected newborn NMRI mice.
Antimicrob. Agents Chemother., 41: 611-616 (1997).
1335. Olsufyeva, E.N., Brusentsov, N.A., Todorova, N., Balzarini, J., De Clercq, E. & Preobrazhenskaya, M.N.
Daunorubicin derivatives obtained from daunorubicin and nucleoside dialdehydes.
Nucleosides & Nucleotides, 16: 87-95 (1997).
1336. Kren, V., Pískala, A., Sedmera, P., Havlíček, V., Prikrylová, V., Witvrouw, M. & De Clercq, E.
Synthesis and antiviral evaluation of *N*- β -ribosides of ergot alkaloids.
Nucleosides & Nucleotides, 16: 97-106 (1997).
1337. Blanco, J.M., Caamano, O., Fernández, F., Gómez, G., Nieto, M.I., Balzarini, J., Padalko, E. & De Clercq, E.
Synthesis and antiviral and cytostatic activities of carbocyclic nucleosides incorporating a modified cyclopentane ring. 1: Guanosine analogues.
Nucleosides & Nucleotides, 16: 159-171 (1997).
1338. Song, R., Witvrouw, M., Schols, D., Robert, A., Balzarini, J., De Clercq, E., Bernadou, J. & Meunier, B.
Anti-HIV activities of anionic metalloporphyrins and related compounds.
Antiviral Chem. Chemother., 8: 85-97 (1997).

1339. Miller, M.J., Darwish, I., Ghosh, A., Ghosh, M., Hansel, J.-G., Hu, J., Niu, C., Ritter, A., Scheidt, K., Süling, C., Sun, S., Zhang, D., Budde, A., De Clercq, E., Leong, S., Malouin, F. & Moellmann, U.
Design, syntheses and studies of new antibacterial antifungal and antiviral agents.
In: "Anti-Infectives. Recent Advances in Chemistry and Structure-Activity Relationships", P.H. Bentley & P.J. O'Hanlon (eds.). The Royal Society of Chemistry, Cambridge, pp. 116-138 (1997).
1340. McGuigan, C., Cahard, D., Salgado, A., Bidois, L., Velazquez, S., Yarnold, C.J., Turner, K., Sutton, P., Wedgewood, O., Tsang, H.-W., Turner, S.J., Wang, Y., O'Leary, G., Mahmood, N., Hay, A., Balzarini, J. & De Clercq, E.
Design, synthesis and evaluation of some novel nucleotides as inhibitors of HIV.
In: "Anti-Infectives. Recent Advances in Chemistry and Structure-Activity Relationships", P.H. Bentley & P.J. O'Hanlon (eds.). The Royal Society of Chemistry, Cambridge, pp. 251-258 (1997).
1341. Camarasa, M.-J., Pérez-Pérez, M.-J., Velázquez, S., San-Félix, A., Alvarez, R., Ingate, S., Jimeno, M.-L., De Clercq, E. & Balzarini, J.
An overview of TSAO-T derivatives, a "peculiar" class of HIV-1 specific RT inhibitors.
In: "Anti-Infectives. Recent Advances in Chemistry and Structure-Activity Relationships", P.H. Bentley & P.J. O'Hanlon (eds.). The Royal Society of Chemistry, Cambridge, pp. 259-268 (1997).
1342. Balzarini, J. & De Clercq, E.
The thiocarboxanilides UC-10 and UC-781 have an additive inhibitory effect against human immunodeficiency virus type 1 reverse transcriptase and replication in cell culture when combined with other antiretroviral drugs.
Antiviral Chem. Chemother., 8: 197-204 (1997).
1343. Esteban, A.I., De Clercq, E. & Martinez, A.
Synthesis and antiviral activity of modified 1,2,6-thiadiazine dioxide acyclonucleosides.
Nucleosides & Nucleotides, 16: 265-276 (1997).
1344. Hossain, N., Rozenski, J., De Clercq, E. & Herdewijn, P.
Synthesis and antiviral activity of the α -analogues of 1,5-anhydrohexitol nucleosides (1,5-anhydro-2,3-dideoxy-D-ribohexitol nucleosides).
J. Org. Chem., 62: 2442-2447 (1997).
1345. Annaert, P., Kinget, R., Naesens, L., De Clercq, E. & Augustijns, P.
Transport, uptake and metabolism of the bis(pivaloyloxymethyl)-ester prodrug of 9-(2-phosphonylmethoxyethyl)adenine in an *in vitro* cell culture system of the intestinal mucosa (Caco-2).
Pharm. Res., 14: 492-496 (1997).
1346. Wu, J., Schneller, S.W., Seley, K.L., Snoeck, R., Andrei, G., Balzarini, J. & De Clercq, E.
Carbocyclic oxetanocins lacking the C-3' methylene.
J. Med. Chem., 40: 1401-1406 (1997).
1347. Kren, V., Olsovský, P., Havlíček, V., Sedmera, P., Witvrouw, M. & De Clercq, E.
N-Deoxyribosides of ergot alkaloids: synthesis and biological activity.
Tetrahedron, 53: 4503-4510 (1997).
1348. Dimmock, J.R., Kumar, P., Allen, T.M., Kao, G.Y., Halleran, S., Balzarini, J. & De Clercq, E.
Synthesis and cytotoxic evaluation of some carbohydrazones and thiocarbohydrazones of various unsaturated ketones and related Mannich bases.
Pharmazie, 52: 182-186 (1997).

1349. Taskintuna, I., Rahhal, F.M., Arevalo, J.F., Munguia, D., Banker, A.S., De Clercq, E. & Freeman, W.R.
Low-dose intravitreal cidofovir (HPMPC) therapy of cytomegalovirus retinitis in patients with the acquired immune deficiency syndrome.
Ophthalmology, 104: 1049-1057 (1997).
1350. Meier, C., Lorey, M., De Clercq, E. & Balzarini, J.
Cyclic saligenyl phosphotriesters of 2',3'-dideoxy-2',3'-dideohydrothymidine (d4T) - a new pro-nucleotide approach.
Bioorg. Med. Chem. Lett., 7: 99-104 (1997).
1351. Martinez, A., Esteban, A.I. & De Clercq, E.
Benzothiadiazine dioxides acyclonucleosides as lead compounds for the development of new agents against human cytomegalovirus and varicella-zoster virus infections.
Bioorg. Med. Chem. Lett., 7: 1031-1032 (1997).
1352. Cobo, J., Sánchez, A., Nogueras, M. & De Clercq, E.
Synthesis and antiviral evaluation of pyridine fused heterocyclic and nucleosidic derivatives.
Tetrahedron, 53: 8225-8236 (1997).
1353. Esnouf, R.M., Stuart, D.I., De Clercq, E., Schwartz, E. & Balzarini, J.
Models which explain the inhibition of reverse transcriptase by HIV-1-specific (thio)carboxanilide derivatives.
Biochem. Biophys. Res. Commun., 234: 458-464 (1997).
1354. Naesens, L. & De Clercq, E.
New perspectives for the treatment of HIV infection (AIDS).
Proceedings of the 5th International Symposium on Pharmaceutical Sciences, Ankara, Turkey, 24-27 June 1997.
J. Fac. Pharm. (Journal of Pharmacy, Ankara, University), pp 180-195 (1997).
1355. Chimirri, A., Grasso, S., Molica, C., Monforte, A.-M., Monforte, P., Zappalà, M., Bruno, G., Nicolò, F., Witvrouw, M., Jonckheere, H., Balzarini, J. & De Clercq, E.
Structural features and anti-human immunodeficiency virus (HIV) activity of the isomers of 1-(2',6'-difluorophenyl)-1*H*,3*H*-thiazolo[3,4-*a*]benzimidazole, a potent non-nucleoside HIV-1 reverse transcriptase inhibitor.
Antiviral Chem. Chemother., 8: 363-370 (1997).
1356. Witvrouw, M., Pannecouque, C. & De Clercq, E.
Polysulfates: chemistry and potential as antiviral drugs.
In: "Carbohydrates in Drug Design", Z.J. Witezak & K.A. Nieforth (eds.), Marcel Dekker, Inc., New York, pp. 157-207 (1997).
1357. De Clercq, E.
Antiviral metal complexes.
Metal-Based Drugs, 4: 173-192 (1997).
1358. Shuto, S., Obara, T., Saito, Y., Yamashita, K., Tanaka, M., Sasaki, T., Andrei, G., Snoeck, R., Neyts, J., Padalko, E., Balzarini, J., De Clercq, E. & Matsuda, A.
New neplanocin analogues. VIII. Synthesis and biological activity of 6'-C-ethyl, -ethenyl, and -ethynyl derivatives of neplanocin A.
Chem. Pharm. Bull., 45: 1163-1168 (1997).

1359. Balzarini, J., De Clercq, E. & Überla, K.
SIV/HIV-1 hybrid virus expressing the reverse transcriptase gene of HIV-1 remains sensitive to HIV-1-specific reverse transcriptase inhibitors after passage in rhesus macaques.
J. Acquir. Immune Defic. Syndr. Human Retrovirol., 15: 1-4 (1997).
1360. Esté, J.A., Schols, D., De Vreese, K., Van Laethem, K., Vandamme, A.-M., Desmyter, J. & De Clercq, E.
Development of resistance of human immunodeficiency virus type 1 to dextran sulfate associated with the emergence of specific mutations in the envelope gp120 glycoprotein.
Mol. Pharmacol., 52: 98-104 (1997).
1361. Balzarini, J., Kruijning, J., Wedgwood, O., Pannecouque, C., Aquaro, S., Perno, C.-F., Naesens, L., Witvrouw, M., Heijntink, R., De Clercq, E. & McGuigan, C.
Conversion of 2',3'-dideoxyadenosine (ddA) and 2',3'-dideoxy-2',3'-dideoxyadenosine (d4A) to their corresponding aryloxyphosphoramidate derivatives markedly potentiates their activity against human immunodeficiency virus and hepatitis B virus.
FEBS Lett., 410: 324-328 (1997).
1362. De Clercq, E.
Development of resistance of human immunodeficiency virus (HIV) to anti-HIV agents: how to prevent the problem ?
Int. J. Antimicrob. Agents, 9: 21-36 (1997).
1363. Taskintuna, I., Banker, A.S., Rao, N.A., Wiley, C.A., Flores-Aguilar, M., Munguia, D., Bergeron-Lynn, G., De Clercq, E., Keefe, K. & Freeman, W.R.
An animal model for cidofovir (HPMPC) toxicity: intraocular pressure and histopathologic effects.
Exp. Eye Res., 64: 795-806 (1997).
1364. Meier, C., Knispel, T., De Clercq, E. & Balzarini, J.
ADA-Bypass by lipophilic *cycloSa1*-ddAMP pro-nucleotides - a second example of the efficiency of the *cycloSa1*-concept.
Bioorg. Med. Chem. Lett., 7: 1577-1582 (1997).
1365. Maurinsh, Y., Schraml, J., De Winter, H., Blaton, N., Peeters, O., Lescrinier, E., Rozenski, J., Van Aerschot, A., De Clercq, E., Busson, R. & Herdewijn, P.
Synthesis and conformational study of 3-hydroxy-4-²hydroxymethyl)-1-cyclohexanyl purines and pyrimidines.
J. Org. Chem., 62: 2861-2871 (1997).
1366. Banker, A.S., Arevalo, J.F., Munguia, D., Rahhal, F.M., Ishimoto, B., Berry, C., De Clercq, E., Ochabski, R., Taskintuna, I. & Freeman, W.R.
Intraocular pressure and aqueous humor dynamics in patients with AIDS treated with intravitreal cidofovir (HPMPC) for cytomegalovirus retinitis.
Am. J. Ophthalmol., 124: 168-180 (1997).
1367. Schols, D., Esté, J.A., Henson, G. & De Clercq, E.
Bicyclams, a class of potent anti-HIV agents, are targeted at the HIV coreceptor fusin/CXCR-4.
Antiviral Res., 35: 147-156 (1997).
1368. McGuigan, C., Tsang, H.-W., Cahard, D., Turner, K., Velázquez, S., Salgado, A., Bidois, L., Naesens, L., De Clercq, E. & Balzarini, J.
Phosphoramidate derivatives of d4T as inhibitors of HIV: the effect of amino acid variation.
Antiviral Res., 35: 195-204 (1997).

1369. Lorey, M., Meier, C., De Clercq, E. & Balzarini, J.
New synthesis and antitumor activity of *cycloSal*-derivatives of 5-fluoro-2'-deoxyuridinemonophosphate.
Nucleosides & Nucleotides, 16: 789-792 (1997).
1370. Meier, C., De Clercq, E. & Balzarini, J.
Cyclo-saligenyl-3'-azido-2',3'-dideoxythymidinemonophosphate (*cycloSal*-AZTMP) - a new pro-nucleotide approach ?
Nucleosides & Nucleotides, 16: 793-796 (1997).
1371. Zimmermann, A., Michel, D., Pavic, I., Hampl, W., Lüske, A., Neyts, J., De Clercq, E. & Mertens, T.
Phosphorylation of aciclovir, ganciclovir, penciclovir and S2242 by the cytomegalovirus UL97 protein: a quantitative analysis using recombinant vaccinia viruses.
Antiviral Res., 36: 35-42 (1997).
1372. Schols, D., Proost, P., Van Damme, J. & De Clercq, E.
RANTES and MCP-3 inhibit the replication of T-cell-tropic human immunodeficiency virus type 1 strains (SF-2, MN, and HE).
J. Virol., 71: 7300-7304 (1997).
1373. Liekens, S., Neyts, J., Degreève, B. & De Clercq, E.
The sulfonic acid polymers PAMPS [poly(2-acrylamido-2-methyl-1-propanesulfonic acid)] and related analogues are highly potent inhibitors of angiogenesis.
Oncol. Res., 9: 173-181 (1997)
1374. Dimmock, J.R., Erciyas, E., Kumar, P., Hetherington, M., Quail, J.W., Pugazhenthii, U., Arpin, S.A., Hayes, S.J., Allen, T.M., Halleran, S., De Clercq, E., Balzarini, J. & Stables, J.P.
Mannich bases of phenolic azobenzenes possessing cytotoxic activity.
Eur. J. Med. Chem., 32: 583-594 (1997).
1375. Andrei, G., Snoeck, R. & De Clercq, E.
Differential susceptibility of several drug-resistant strains of herpes simplex virus type 2 to various antiviral compounds.
Antiviral Chem. Chemother., 8: 457-461 (1997).
1376. Seley, K.L., Schneller, S.W. & De Clercq, E.
A methylated derivative of 5'-noraristeromycin.
J. Org. Chem., 62: 5645-5646 (1997).
1377. Wnuk, S.F., Yuan, C.-S., Borchardt, R.T., Balzarini, J., De Clercq, E. & Robins, M.J.
Anticancer and antiviral effects and inactivation of *S*-adenosyl-L-homocysteine hydrolase with 5'-carboxaldehydes and oximes synthesized from adenosine and sugar-modified analogues.
J. Med. Chem., 40: 1608-1618 (1997).
1378. De Clercq, E.
Virus replication: target functions and events for virus-specific inhibitors.
In: "Antiviral Agents and Human Viral Diseases", 4th ed., G.J. Galasso, R.J. Whitley & T.C. Merigan (eds.). Lippincott-Raven Publishers, Philadelphia, pp. 1-44 (1997).
1379. De Clercq, E.
In search of a selective antiviral chemotherapy.
Clin. Microbiol. Rev., 10: 674-693 (1997).

1380. Schols, D., Struyf, S., Van Damme, J., Esté, J.A., Henson, G. & De Clercq, E.
Inhibition of T-tropic HIV strains by selective antagonization of the chemokine receptor CXCR4.
J. Exp. Med., 186: 1383-1388 (1997).
1381. Witvrouw, M. & De Clercq, E.
Review: Sulfated polysaccharides extracted from sea algae as potential antiviral drugs.
Gen. Pharmac., 29: 497-511 (1997).
1382. De Clercq, E.
Brivudin (BVDU): Wirkspektrum und Mechanismus der antiviralen Aktivität.
Konsensuskonferenz zur Antiviralen Chemotherapie des Herpes Zoster, P. Wutzler and H.W. Doerr (eds.), Paul-Ehrlich-Gesellschaft für Chemotherapie, Erfurt, 17-19 March, 1997
Veröffentlichung des Konsensuspapieres: *Chemotherapie Journal*, 6: 134-135 (1997);
Deutsches Ärzteblatt, 95: 2-4 (1998).
1383. Molina, S., Cobo, J., Melguizo, M., Nogueras, M., Sánchez, A., Ortiz, A. & De Clercq, E.
Synthesis and antiviral activity of several 6-(methylenecarbomethoxy)pteridin-4,7(3*H*,8*H*)-diones.
In: "Chemistry and Biology of Pteridines and Folates 1997", W. Pfeleiderer & H. Rokos (eds.), Proceedings of the Eleventh International Symposium on Pteridines and Folates, Berchtesgaden, Germany, 15-20 June 1997. Blackwell Science, Berlin, pp. 57-60 (1997).
1384. Degrève, B., Andrei, G., Izquierdo, M., Piette, J., Morin, K., Knaus, E.E., Wiebe, L.I., Basrah, I., Walker, R.T., De Clercq, E. & Balzarini, J.
Varicella-zoster virus thymidine kinase gene and antiherpetic pyrimidine nucleoside analogues in a combined gene/chemotherapy treatment for cancer.
Gene Therapy, 4: 1107-1114 (1997).
1385. Balzarini, J., Pelemans, H., Riess, G., Roesner, M., Winkler, I., De Clercq, E. & Kleim, J.-P.
Zidovudine-resistant human immunodeficiency virus type 1 strains subcultured in the presence of both lamivudine and quinoxaline HBY 097 retain marked sensitivity to HBY 097 but not to lamivudine.
J. Infect. Dis., 176: 1392-1397 (1997).
1386. De Clercq, E.
Nieuwe perspectieven voor de behandeling van HIV infecties: Is er met de nieuwe behandelingsmethoden een genezing van AIDS in het verschiet ?
Proceedings, Koninklijke Nederlandse Akademie van Wetenschappen, Afdeling Natuurkunde, deel 106, nr. 8, pp. 107-110 (1997).
1387. Pelemans, H., Esnouf, R., Dunkler, A., Parniak, M.A., Vandamme, A.-M., Karlsson, A., De Clercq, E., Kleim, J.-P. & Balzarini, J.
Characteristics of the Pro225His mutation in human immunodeficiency virus type 1 (HIV-1) reverse transcriptase that appears under selective pressure of dose-escalating quinoxaline treatment of HIV-1.
J. Virol., 71: 8195-8203 (1997).
1388. Alvarez, R., Jimeno, M.-L., Pérez-Pérez, M.-J., De Clercq, E., Balzarini, J. & Camarasa, M.-J.
Synthesis, anti-human immunodeficiency virus type 1 activity of novel 3'-spiro nucleosides analogues of TSAO-T.
Antiviral Chem. Chemother., 8: 507-517 (1997).

1389. McGuigan, C., Velázquez, S., De Clercq, E. & Balzarini, J.
Synthesis and evaluation of 5-halo 2',3'-didehydro-2',3'-dideoxynucleosides and their blocked phosphoramidates as potential anti-human immunodeficiency virus agents: an example of 'kinase bypass'.
Antiviral Chem. Chemother., 8: 519-527 (1997).
1390. Manfredini, S., Simoni, D., Ferroni, R., Bazzanini, R., Vertuani, S., Hatse, S., Balzarini, J. & De Clercq, E.
Retinoic acid conjugates as potential antitumor agents: synthesis and biological activity of conjugates with ara-A, ara-C, the 3(2*H*)-furanone, and aniline mustard moieties.
J. Med. Chem., 40: 3851-3857 (1997).
1391. Cherepanov, P., Esté, J.A., Rando, R.F., Ojwang, J.O., Reekmans, G., Steinfeld, R., David, G., De Clercq, E. & Debyser, Z.
Mode of interaction of G-quartets with the integrase of human immunodeficiency virus type 1.
Mol. Pharmacol., 52: 771-780 (1997).
1392. Naesens, L. & De Clercq, E.
Therapeutic potential of HPMPC (cidofovir), PMEA (adefovir) and related acyclic nucleoside phosphonate analogues as broad-spectrum antiviral agents.
Proceedings of the XIIth International Round Table on Nucleosides, Nucleotides and their Biological Applications, La Jolla, California, U.S.A., September 15-19, 1996.
Nucleosides & Nucleotides, 16: 983-992 (1997).
1393. Alvarez, R., Jimeno, M.-L., De Clercq, E., Balzarini, J. & Camarasa, M.-J.
Novel analogues of the anti-HIV-1 agent TSAO-T modified at the 3'-spiro moiety.
Proceedings of the XIIth International Round Table on Nucleosides, Nucleotides and their Biological Applications, La Jolla, California, U.S.A., September 15-19, 1996.
Nucleosides & Nucleotides, 16: 1033-1036 (1997).
1394. Poopeiko, N.E., Khripach, N.B., Kazimierczuk, Z., Balzarini, J., De Clercq, E. & Mikhailopulo, I.A.
Synthesis and biological evaluation of 2',3'-dideoxy-3'-fluororibofuranosyl purine nucleosides.
Proceedings of the XIIth International Round Table on Nucleosides, Nucleotides and their Biological Applications, La Jolla, California, U.S.A., September 15-19, 1996.
Nucleosides & Nucleotides, 16: 1083-1086 (1997).
1395. Meier, C., Lorey, M., De Clercq, E. & Balzarini, J.
Cyclo-saligenyl-2',3'-dideoxy-2',3'-didehydrothymidine monophosphate (*cyclo*Sal-d4TMP) – a new pro-nucleotide approach.
Proceedings of the XIIth International Round Table on Nucleosides, Nucleotides and their Biological Applications, La Jolla, California, U.S.A., September 15-19, 1996.
Nucleosides & Nucleotides, 16: 1303-1306 (1997).
1396. Lorey, M., Meier, C., De Clercq, E. & Balzarini, J.
Cyclo-saligenyl-5-fluoro-2'-deoxyuridine monophosphate (*cyclo*Sal-FdUMP) – a new prodrug approach for FdUMP.
Proceedings of the XIIth International Round Table on Nucleosides, Nucleotides and their Biological Applications, La Jolla, California, U.S.A., September 15-19, 1996.
Nucleosides & Nucleotides, 16: 1307-1310 (1997).
1397. Santana, L., Teijeira, M., Uriarte, E., Terán, C., Andrei, G., Snoeck, R. & De Clercq, E.
Synthesis and biological activity of some 2-aminopurine carbonucleosides.
Proceedings of the XIIth International Round Table on Nucleosides, Nucleotides and their Biological Applications, La Jolla, California, U.S.A., September 15-19, 1996.
Nucleosides & Nucleotides, 16: 1337-1339 (1997).

1398. Ingate, S.T., Marco, J.L., Witvrouw, M., Pannecouque, C. & De Clercq, E.
Studies into the synthesis of derivatives of 4-amino-2,3-dihydroisothiazole 1,1-dioxides and 4-amino-1,2-oxathiole 2,2-dioxides: the search for linked π -system containing analogues as potential inhibitors of HIV-1 reverse transcriptase.
Tetrahedron, 53: 17795-17814 (1997).
1399. De Vreese, K., Van Nerum, I., Vermeire, K., Anné, J. & De Clercq, E.
Sensitivity of human immunodeficiency virus to bicyclam derivatives is influenced by the three-dimensional structure of gp120.
Antimicrob. Agents Chemother., 41: 2616-2620 (1997).
1400. Neyts, J. & De Clercq, E.
Antiviral drug susceptibility of human herpesvirus 8.
Antimicrob. Agents Chemother., 41: 2754-2756 (1997).
1401. Daelemans, D., Esté, J.A., Witvrouw, M., Pannecouque, C., Jonckheere, H., Aquaro, S., Perno, C.-F., De Clercq, E. & Vandamme, A.-M.
S-Adenosylhomocysteine hydrolase inhibitors interfere with the replication of human immunodeficiency virus type 1 through inhibition of the LTR transactivation.
Mol. Pharmacol., 52: 1157-1163 (1997).
1402. Fytas, G., Stamatiou, G., Foscolos, G.B., Kolocouris, A., Kolocouris, N., Witvrouw, M., Pannecouque, C. & De Clercq, E.
Synthesis and anti-HIV activity of some new aminoadamantane heterocycles.
Bioorg. Med. Chem. Lett., 7: 1887-1890 (1997).
1403. Van Derpoorten, K., Balzarini, J., De Clercq, E. & Poupaert, J.H.
Anti-HIV activity of *N*-1-adamantyl-4-aminophthalimide.
Biomed. & Pharmacother., 51: 464-469 (1997).
1404. Dullaert, H., Maudgal, P.C., Leys, A., Dralands, L. & De Clercq, E.
Bromovinyldeoxyuridine treatment of outer retinal necrosis due to varicella-zoster virus: a case-report.
Bull. Soc. Belge Ophtalmol., no. 262: 107-113 (1997) (pp 107-113, 1996).
1405. Chavez-de la Paz, E., Arevalo, J.F., Kirsch, L.S., Munguia, D., Rahhal, F.M., De Clercq, E. & Freeman, W.R.
Anterior nongranulomatous uveitis after intravitreal HPMPC (cidofovir) for the treatment of cytomegalovirus retinitis.
Ophthalmology, 104: 539-544 (1997).
1406. Wellens, W., Snoeck, R., Desloovere, C., Van Ranst, M., Naesens, L., De Clercq, E. & Feenstra, L.
Treatment of severe laryngeal papillomatosis with intralesional injections of Cidofovir® [(*S*)-1-(3-hydroxy-2-phosphonylmethoxypropyl)cytosine, HPMPC, Vistide®].
Proceedings of the XVI World Congress of Otorhinolaryngology Head and Neck Surgery, Sydney, Australia, 2-7 March 1997. G. McCafferty, W. Coman & R. Carroll (eds.), Monduzzi Editore, Bologna, Italy, pp. 455-459 (1997).
1407. Taskintuna, I., Rahhal, F.M., Rao, N.A., Wiley, C.A., Mueller, A.J., Banker, A.S., De Clercq, E., Arevalo, J.F. & Freeman, W.R.
Adverse events and autopsy findings after intravitreal cidofovir (HPMPC) therapy in patients with acquired immune deficiency syndrome (AIDS).
Ophthalmology, 104: 1827-1837 (1997).

1408. Van Maarseveen, J.H., Scheeren, H.W. De Clercq, E., Balzarini, J. & Kruse, C.G.
Antiviral and tumor cell antiproliferative SAR studies on tetracyclic Eudistomins. II.
Bioorg. Med. Chem., 5: 955-970 (1997).
1409. Kundu, N.G., Das, P., Balzarini, J. & De Clercq, E.
Palladium-catalyzed synthesis of [E]-6-(2-acetylvinyl)uracils and [E]-6-(2-acylvinyl)-1-
[(2-hydroxyethoxy)methyl]uracils - their antiviral and cytotoxic activities.
Bioorg. Med. Chem., 5: 2011-2018 (1997).
1410. De Clercq, E.
Acyclic nucleoside phosphonates in the chemotherapy of DNA virus and retrovirus
infections.
Proceedings "Perspectiven der Therapie von Virusinfektionen", Berlin, Germany, 10-11
October 1997.
Intervirology, 40: 295-303 (1997).
1411. Manfredini, S., Baraldi, P.G., Bazzanini, R., Simoni, D., Balzarini, J. & De Clercq, E.
Synthesis and antiproliferative activity of 2'-O-allyl-1-β-D-arabinofuranosyl-uracil, -
cytosine and -adenine.
Bioorg. Med. Chem. Lett., 7: 473-478 (1997).
1412. Balo, C., Fernández, F., Lens, E., López, C., Andrei, G., Snoeck, R., Balzarini, J. & De
Clercq, E.
Novel carbocyclic nucleosides containing a cyclopentyl ring. Adenosine and uridine
analogues.
Arch. Pharm. Pharm. Med. Chem., 330: 265-267 (1997).
1413. De Clercq, E.
Non-nucleoside reverse transcriptase inhibitors: an overview.
In: "Insight in HIV Disease Management", Issue 6:1, C.S. Crumpacker (ed.). Meniscus
Health Care Communications, Bala Cynwyd, Pennsylvania, USA, pp. 2-9 (1997).
1414. Neyts, J. & De Clercq, E.
In vitro and in vivo inhibition of murine gamma herpesvirus 68 replication by selected
antiviral agents.
Antimicrob. Agents Chemother., 42: 170-172 (1998).
1415. Donzella, G.A., Schols, D., Lin, S.W., Esté, J.A., Nagashima, K.A., Maddon, P.J.,
Allaway, G.P., Sakmar, T.P., Henson, G., De Clercq, E. & Moore, J.P.
AMD3100, a small-molecule inhibitor of HIV-1 entry via the CXCR4 co-receptor
Nature Medicine, 4: 72-77 (1998).
1416. Revankar, G.R., Ojwang, J.O., Mustain, S.D., Rando, R.F., De Clercq, E., Huffman, J.H.,
Drach, J.C., Sommadossi, J.-P. & Lewis, A.F.
Thiazolo[4,5-*d*]pyrimidines. Part II. Synthesis and anti-human cytomegalovirus (HCMV)
activity *in vitro* of certain acyclonucleosides and acyclonucleotides derived from the
guanine analogue 5-aminothiazolo[4,5-*d*]pyrimidine-2,7(3*H*,6*H*)-dione.
Antiviral Chem. Chemother., 9: 53-63 (1998).
1417. Neyts, J., Balzarini, J., Andrei, G., Chaoyong, Z., Snoeck, R., Zimmerman, A., Mertens, T.,
Karlsson, A. & De Clercq, E.
Intracellular metabolism of the N7-substituted acyclic nucleoside analog 2-amino-7-(1,3-
dihydroxy-2-propoxymethyl)purine, a potent inhibitor of herpesvirus replication.
Mol. Pharmacol., 53: 157-165 (1998).

1418. Neyts, J., Andrei, G. & De Clercq, E.
The novel immunosuppressive agent Mycophenolate Mofetil markedly potentiates the antiherpes virus activities of acyclovir, ganciclovir and penciclovir *in vitro* and *in vivo*.
Antimicrob. Agents Chemother., 42: 216-222 (1998).
1419. Balzarini, J., Degrève, B. & De Clercq, E.
Improving AZT efficacy (Letter).
Nature Medicine, 4: 132 (1998).
1420. De Clercq, E.
Acyclic nucleoside phosphonates: a new dimension to the chemotherapy of DNA virus and retrovirus infections.
J. Med. Microbiol., 47: 1-3 (1998).
1421. Jonckheere, H., Witvrouw, M., Desmyter, J., De Clercq, E. & Anné, J.
Lamivudine resistance of HIV type 1 does not delay development of resistance to nonnucleoside HIV type 1-specific reverse transcriptase inhibitors as compared to the wild type HIV type 1.
AIDS Res. Hum. Retroviruses, 14: 249-253 (1998)
1422. Balzarini, J., Pelemans, H., Esnouf, R. & De Clercq, E.
A novel mutation (F227L) arises in the reverse transcriptase of human immunodeficiency virus type 1 on dose-escalating treatment of HIV type 1-infected cell cultures with the nonnucleoside reverse transcriptase inhibitor thiocarboxanilide UC-781.
AIDS Res. Hum. Retroviruses, 14: 255-260 (1998).
1423. Hartmann, K., Kuffer, M., Balzarini, J., Naesens, L., Goldberg, M., Erfle, V., Goebel, F.-D., De Clercq, E., Jindrich, J., Holý, A., Bischofberger, N. & Kraft, W.
Efficacy of the acyclic nucleoside phosphonates (S)-9-(3-fluoro-2-phosphonylmethoxypropyl)adenine (FPMPA) and 9-(2-phosphonylmethoxyethyl)adenine (PMEA) against feline immunodeficiency virus.
J. Acquir. Immune Defic. Syndr. Human Retrovirol., 17: 120-128 (1998).
1424. Balzarini, J., Pelemans, H., Riess, G., Roesner, M., Winkler, I., De Clercq, E. & Kleim, J.-P.
Retention of marked sensitivity to (S)-4-isopropoxycarbonyl-6-methoxy-3-(methylthiomethyl)-3,4-dihydroquinoxaline-2(1H)-thione (HBY 097) by an azidothymidine (AZT)-resistant human immunodeficiency virus type 1 (HIV-1) strain subcultured in the combined presence of quinoxaline HBY 097 and 2',3'-dideoxy-3'-thiacytidine (lamivudine).
Biochem. Pharmacol., 55: 617-625 (1998).
1425. Neyts, J., Sadler, R., De Clercq, E., Raab-Traub, N. & Pagano, J.S.
The antiviral agent cidofovir [(S)-1-(3-hydroxy-2-phosphonyl-methoxypropyl)cytosine] has pronounced activity against nasopharyngeal carcinoma grown in nude mice.
Cancer Res., 58: 384-388 (1998).
1426. McGuigan, C., Tsang, H.-W., De Clercq, E. & Balzarini, J.
Synthesis and anti-HIV activity of some novel chain-extended phosphoramidate derivatives of d4T (stavudine): esterase hydrolysis as a rapid predictive test for antiviral potency.
Antiviral Chem. Chemother., 9: 109-115 (1998).

1427. Esté, J.A., Cabrera, C., Schols, D., Cherepanov, P., Gutierrez, A., Witvrouw, M., Pannecouque, C., Debyser, Z., Rando, R.F., Clotet, B., Desmyter, J. & De Clercq, E. Human immunodeficiency virus glycoprotein gp120 as the primary target for the antiviral action of AR177 (zintevir). *Mol. Pharmacol.*, 53: 340-345 (1998).
1428. Witvrouw, M., Arranz, M.E., Pannecouque, C., Declercq, R., Jonckheere, H., Schmit, J.-C., Vandamme, A.-M., Diaz, J.A., Ingate, S.T., Desmyter, J., Esnouf, R., Van Meervelt, L., Vega, S., Balzarini, J. & De Clercq, E. 1,1,3-Trioxo-2*H*,4*H*-thieno[3,4-*e*][1,2,4]thiadiazine (TTD) derivatives: a new class of nonnucleoside human immunodeficiency virus type 1 (HIV-1) reverse transcriptase inhibitors (NNRTIs) with anti-HIV-1 activity. *Antimicrob. Agents Chemother.*, 42: 618-623 (1998).
1429. Snoeck, R., Wellens, W., Desloovere, C., Van Ranst, M., Naesens, L., De Clercq, E. & Feenstra, L. Treatment of severe laryngeal papillomatosis with intralesional injections of cidofovir [(*S*)-1-(3-hydroxy-2-phosphonylmethoxypropyl)cytosine]. *J. Med. Virol.*, 54: 219-225 (1998).
1430. Daelemans, D., Vandamme, A.-M., Shuto, S., Matsuda, A. & De Clercq, E. Stereospecificity of 6'-*C*-neplanocin A analogues as inhibitors of S-adenosylhomocysteine hydrolase activity and human immunodeficiency virus replication. *Nucleosides & Nucleotides*, 17: 479-486 (1998).
1431. De Clercq, E. Carbocyclic adenosine analogues as S-adenosylhomocysteine hydrolase inhibitors and antiviral agents: recent advances. *Nucleosides & Nucleotides*, 17: 625-634 (1998).
1432. Proost, P., De Meester, I., Schols, D., Struyf, S., Lambeir, A.-M., Wuyts, A., Opendakker, G., De Clercq, E., Scharpé, S. & Van Damme, J. Amino-terminal truncation of chemokines by CD26/dipeptidyl peptidase IV. Conversion of RANTES into a potent inhibitor of monocyte chemotaxis and HIV-1-infection. *J. Biol. Chem.*, 273: 7222-7227 (1998).
1433. Wu, J., Schneller, S.W., Seley, K.L. & De Clercq, E. Carbocyclic 7-deazaguanine oxetanocin analogues. *Heterocycles*, 47: 757-763 (1998).
1434. Dimmock, J.R., Kandepu, N.M., Hetherington, M., Quail, J.W., Pugazhenthii, U., Sudom, A.M., Chamankhah, M., Rose, P., Pass, E., Allen, T.M., Halleran, S., Szydłowski, J., Mutus, B., Tannous, M., Manavathu, E.K., Myers, T.G., De Clercq, E. & Balzarini, J. Cytotoxic activities of Mannich bases of chalcones and related compounds. *J. Med. Chem.*, 41: 1014-1026 (1998).
1435. Balzarini, J., Cahard, D., Wedgwood, O., Salgado, A., Velázquez, S., Yarnold, C.J., De Clercq, E., McGuigan, C. & Thormar, H. Marked inhibitory activity of masked aryloxy aminoacyl phosphoramidate derivatives of dideoxynucleoside analogues against visna virus infection. *J. Acquir. Immune Defic. Syndr. Human Retrovirol.*, 17: 296-302 (1998).
1436. Balzarini, J., Hatse, S., Naesens, L. & De Clercq, E. Selection and characterisation of murine leukaemia L1210 cells with high-level resistance to the cytostatic activity of the acyclic nucleoside phosphonate 9-(2-phosphonylmethoxyethyl)adenine (PMEA). *Biochim. Biophys. Acta*, 1402: 29-38 (1998).

1437. Annaert, P., Gosselin, G., Pompon, A., Benzaria, S., Valette, G., Imbach, J.-L., Naesens, L., Hatse, S., De Clercq, E., Van den Mooter, G., Kinget, R. & Augustijns, P.
Comparison of the disposition of ester prodrugs of the antiviral agent 9-(2-phosphonylmethoxyethyl)adenine [PMEA] in Caco-2 monolayers
Pharm. Res., 15: 239-245 (1998).
1438. Debyser, Z., Van Wijngaerden, E., Van Laethem, K., Beuselinck, K., Reynders, M., De Clercq, E., Desmyter, J. & Vandamme, A.-M.
Failure to quantify viral load with two of the three commercial methods in a pregnant woman harboring an HIV type 1 subtype G strain.
AIDS Res. Human Retroviruses, 14: 453-459 (1998).
1439. Schols, D., Esté, J.A., Cabrera, C. & De Clercq, E.
T-cell-line-tropic human immunodeficiency virus type 1 that is made resistant to stromal cell-derived factor 1 α contains mutations in the envelope gp120 but does not show a switch in coreceptor use.
J. Virol., 72: 4032-4037 (1998).
1440. Balzarini, J., Degreève, B., Andrei, G., Neyts, J., Sandvold, M., Myhren, F. & De Clercq, E.
Superior cytostatic activity of the ganciclovir elaidic ester due to the prolonged intracellular retention of ganciclovir anabolites in herpes simplex virus type 1 thymidine kinase gene-transfected tumor cells.
Gene Ther., 5: 419-426 (1998).
1441. Hatse, S., Naesens, L., Degreève, B., Segers, C., Vandeputte, M., Waer, M., De Clercq, E. & Balzarini, J.
Potent antitumor activity of the acyclic nucleoside phosphonate 9-(2-phosphonylmethoxyethyl)adenine in choriocarcinoma-bearing rats.
Int. J. Cancer, 76: 595-600 (1998).
1442. De Clercq, E.
Treatment strategy for HIV infection: hit early, hit hard, and hit long.
Current Anti-Infective Therapy, 18: 4-5 (1998).
1443. De Clercq, E.
New perspectives for the treatment of HIV infections.
Verh. K. Acad. Geneesk. Belg., 60: 13-45 (1998).
1444. Lazrek, H.B., Rochdi, A., Khaider, H., Barascut, J.-L., Imbach, J.-L., Balzarini, J., Witvrouw, M., Pannecouque, C. & De Clercq, E.
Synthesis of (*Z*) and (*E*) α -alkenyl phosphonic acid derivatives of purines and pyrimidines.
Tetrahedron, 54: 3807-3816 (1998).
1445. Efimtseva, E.V., Mikhailov, S.N., Fomicheva, M.V., Meshkov, S.V., Rodionov, M.S., Khomutov, A.R. & De Clercq, E.
Acyclic nucleotide analogues on the basis of phosphonic acids.
Russian J. Bioorg. Chem., 24: 12-16 (1998).
Bioorganicheskaya Khimiya, 24: 16-20 (1998).

1446. Papakonstantinou-Garoufalias, S., Filippatos, E., Todoulou, O., Tsantili-Kakoulidou, A., Papadaki-Valiraki, A., De Clercq, E. & Lada-Chytiroglou, A.
Synthesis, lipophilicity and biological properties of some novel 1*H*-1,2,4 triazole derivatives.
Il Farmaco, 52: 707-710 (1998).
1447. Meier, C., Lorey, M., De Clercq, E. & Balzarini, J.
CycloSal-2',3'-dideoxy-2',3'-didehydrothymidine monophosphate (*cycloSal*-d4TMP): synthesis and antiviral evaluation of a new d4TMP delivery system.
J. Med. Chem., 41: 1417-1427 (1998).
1448. Ochoa, C., Provencio, R., Jimeno, M.L., Balzarini, J. & De Clercq, E.
Synthesis and anti-HIV properties of 1,2,4,6-thiatriazin-3-one 1,1-dioxide nucleosides.
Nucleosides & Nucleotides, 17: 901-910 (1998).
1449. Vandamme, A.-M., Van Vaerenbergh, K. and De Clercq, E.
Anti-HIV drug combination strategies.
Antiviral Chem. Chemother., 9: 187-203 (1998).
1450. Thormar, H., Georgsson, G., Gunnarsson, E., Naesens, L., Torsteinsdóttir, S., Balzarini, J. & De Clercq, E.
Treatment of visna virus infection in lambs with the acyclic nucleoside phosphonate analog 9-(2-phosphonylmethoxyethyl)adenine (PMEA).
Antiviral Chem. Chemother., 9: 245-252 (1998).
1451. Meerbach, A., Neyts, J., Holý, A., Wutzler, P. & De Clercq, E.
Inhibitory effects of novel nucleoside and nucleotide analogues on Epstein-Barr virus replication.
Antiviral Chem. Chemother., 9: 275-282 (1998).
1452. De Clercq, E.
Nieuwe perspectieven voor de behandeling van HIV-infecties.
Tijdschr. Geneesk., 54: 773-782 (1998).
1453. De Clercq, E.
New perspectives for the treatment of HIV infections.
Collect. Czech. Chem. Commun., 63: 449-479 (1998).
1454. De Clercq, E.
Towards an effective chemotherapy of virus infections: Therapeutic potential of cidofovir [(*S*)-1-(3-hydroxy-2-phosphonylmethoxypropyl)cytosine, HPMPC] for the treatment of DNA virus infections.
Collect. Czech. Chem. Commun., 63: 480-506 (1998).
1455. Borges, J.E.R., Fernández, F., García, X., Hergueta, A.R., López, C., Andrei, G., Snoeck, R., Witvrouw, M., Balzarini, J. & De Clercq, E.
Novel carbocyclic nucleosides containing a cyclobutyl ring: guanosine and adenosine analogues.
Nucleosides & Nucleotides, 17: 1237-1253 (1998).
1456. Nieto, M.I., Blanco, J.M., Caamano, O., Fernández, F., García-Mera, X., Balzarini, J., Padalko, E., Neyts, J. & De Clercq, E.
Synthesis, antiviral and cytostatic activities of carbocyclic nucleosides incorporating a modified cyclopentane ring. Part 2: Adenosine and uridine analogues.
Nucleosides & Nucleotides, 17: 1255-1266 (1998).

1457. Banker, A.S., De Clercq, E., Taskintuna, I., Keefe, K.S., Bergeron-Lynn, G. & Freeman, W.R.
Influence of intravitreal injections of HPMPC and related nucleoside analogues on intraocular pressure in guinea pig eyes.
Invest. Ophthalmol. Vis. Sci., 39: 1233-1242 (1998).
1458. Pelemans, H., Esnouf, R.M., Parniak, M.A., Vandamme, A.-M., De Clercq, E. & Balzarini, J.
A proline-to-histidine substitution at position 225 of human immunodeficiency virus type 1 (HIV-1) reverse transcriptase (RT) sensitizes HIV-1 RT to BHAP U-90152.
J. Gen Virol., 79: 1347-1352 (1998).
1459. Balzarini, J., Naesens, L., Verbeken, E., Laga, M., Van Damme, L., Parniak, M., Van Mellaert, L., Anné, J. & De Clercq, E.
Preclinical studies on thiocarboxanilide UC-781 as a virucidal agent.
AIDS, 12: 1129-1138 (1998).
1460. Liekens, S., Andrei, G., Vandeputte, M., De Clercq, E. & Neyts, J.
Potent inhibition of hemangioma formation in rats by the acyclic nucleoside phosphonate analogue cidofovir
Cancer Res., 58: 2562-2567 (1998).
1461. De Clercq, E.
New perspectives for the treatment of HIV infections.
Proceedings of the IVth Congress of the Mediterranean Society of Infectious and Parasitic Diseases and the 1st Congress of the Arab Society of Chemotherapy, Microbiology and Infectious Diseases, Cairo, Egypt, 17-21 October 1997.
Mediterranean Journal of Infectious and Parasitic Diseases, 13: 7-20 (1998).
1462. Naesens, L., Bischofberger, N., Augustijns, P., Annaert, P., Van den Mooter, G., Arimilli, M.N., Kim, C.U. & De Clercq, E.
Antiretroviral efficacy and pharmacokinetics of oral bis(isopropoxyloxy-carbonyloxy-methyl)-9-(2-phosphonylmethoxypropyl)adenine in mice.
Antimicrob. Agents Chemother., 42: 1568-1573 (1998).
1463. De Clercq, E.
Recent developments in the chemotherapy of HIV infections.
Proceedings of the AIMECS 97: International Medicinal Chemistry Symposium, Seoul, Korea, 27 July - 1 August 1997.
Pure & Appl. Chem., 70: 567-577 (1998).
1464. Hatse, S., Naesens, L., Degève, B., Vandeputte, M., Waer, M., De Clercq, E. & Balzarini, J.
In vitro and *in vivo* inhibitory activity of the differentiation-inducing agent 9-(2-phosphonylmethoxyethyl)adenine (PMEA) against rat choriocarcinoma.
Proceedings of the 9th International/6th European Joint Symposium on Purine & Pyrimidine Metabolism in Man, Gmunden, Austria, 1-7 June 1997.
In: "Purine and Pyrimidine Metabolism in Man IX", Griesmacher *et al.*, Plenum Press, New York, pp. 605-609 (1998).

1465. Balzarini, J., Stet, L., Matsuda, A., Wiebe, L., Knauss, E. & De Clercq, E.
Metabolism of EICAR (5-ethynyl-1- β -D-ribofuranosylimidazole-4-carboxamide), a potent inhibitor of inosinate dehydrogenase.
Proceedings of the 9th International/6th European Joint Symposium on Purine & Pyrimidine Metabolism in Man, Gmunden, Austria, 1-7 June 1997.
In: "Purine and Pyrimidine Metabolism in Man IX", Griesmacher *et al.*, Plenum Press, New York, pp. 723-728 (1998).
1466. Naesens, L., Cahard, D., Salgado, A., Bidois, L., De Clercq, E., McGuigan, C. & Balzarini, J.
Metabolism and anti-HIV activity of phosphoramidate derivatives of D4T-MP with variations in the amino acid moiety.
Proceedings of the 9th International/6th European Joint Symposium on Purine & Pyrimidine Metabolism in Man, Gmunden, Austria, 1-7 June 1997.
In: "Purine and Pyrimidine Metabolism in Man IX", Griesmacher *et al.*, Plenum Press, New York, pp. 753-757 (1998).
1467. Van Laethem, K., Beuselinck, K., Van Dooren, S., De Clercq, E., Desmyter, J. & Vandamme, A.-M.
Diagnosis of human immunodeficiency virus infection by a polymerase chain reaction assay evaluated in patients harbouring strains of diverse geographical origin.
J. Virol. Methods, 70: 153-166 (1998).
1468. Schmit, J.-C., Martinez-Picado, J., Ruiz, L., Tural, C., Van Laethem, K., Cabrera, C., Ibanez, A., Puig, T., Witvrouw, M., Desmyter, J., De Clercq, E., Clotet, B. & Vandamme, A.-M.
Evolution of HIV drug resistance in zidovudine/zalcitabine and zidovudine/didanosine-experienced patients receiving lamivudine-containing combination therapy.
Antiviral Therapy, 3: 81-88 (1998).
1469. Wnuk, S.F., Mao, Y., Yuan, C.-S., Borchardt, R.T., Andrei, G., Balzarini, J., De Clercq, E. & Robins, M.J.
Discovery of type II (covalent) inactivation of *S*-adenosyl-L-homocysteine hydrolase involving its "hydrolytic activity". Synthesis and evaluation of dihalohomovinyl nucleoside analogues derived from adenosine.
J. Med. Chem., 41: 3078-3083 (1998).
1470. Suruga, Y., Makino, M., Okada, Y., Tanaka, H., De Clercq, E. & Baba, M.
Prevention of murine AIDS development by (*R*)-9-(2-phosphonylmethoxypropyl)adenine.
J. Acquir. Immune Defic. Syndr. Human Retrovirol., 18: 316-322 (1998).
1471. Seley, K.L., Schneller, S.W., De Clercq, E., Rattendi, D., Lane, S., Bacchi, C.J. & Korba, B.
The importance of the 4'-hydroxyl hydrogen for the antitrypanosomal and antiviral properties of (+)-5'-noraristeromycin and two 7-deaza analogues.
Bioorg. Med. Chem., 6: 797-801 (1998).
1472. Proost, P., Struyf, S., Schols, D., Durinx, C., Wuyts, A., Lenaerts, J.-P., De Clercq, E., De Meester, I. & Van Damme, J.
Processing by CD26/dipeptidyl-peptidase IV reduces the chemotactic and anti-HIV-1 activity of stromal-cell-derived factor-1 α .
FEBS Lett., 432: 73-76 (1998).

1473. De Clercq, E.
The role of non-nucleoside reverse transcriptase inhibitors (NNRTIs) in the therapy of HIV-1 infection.
Antiviral Res., 38: 153-179 (1998).
1474. Schols, D. & De Clercq, E.
The simian immunodeficiency virus mnd(GB-1) strain uses CXCR4, not CCR5, as coreceptor for entry in human cells.
J. Gen. Virol., 79: 2203-2205 (1998).
1475. Champness, J.N., Bennett, M.S., Wien, F., Visse, R., Summers, W.C., Herdewijn, P., De Clercq, E., Ostrowski, T., Jarvest, R.L. & Sanderson, M.R.
Exploration of the active site of herpes simplex virus type-1 thymidine kinase by X-ray crystallography of complexes with aciclovir and other ligands.
Proteins: Struct. Funct. & Genetics, 32: 350-361 (1998).
1476. Labrosse, B., Brelot, A., Heveker, N., Sol, N., Schols, D., De Clercq, E. & Alizon, M.
Determinants for sensitivity of human immunodeficiency virus coreceptor CXCR4 to the bicyclam AMD3100.
J. Virol., 72: 6381-6388 (1998).
1477. Struyf, S., Proost, P., Sozzani, S., Mantovani, A., Wuyts, A., De Clercq, E., Schols, D. & Van Damme, J.
Enhanced anti-HIV-1 activity and altered chemotactic potency of NH₂-terminally processed macrophage-derived chemokine imply an additional MDC receptor. (Cutting Edge).
J. Immunol., 161: 2672-2675 (1998).
1478. Simmons, G., Reeves, J.D., McKnight, A., DeJucq, N., Hibbitts, S., Power, C.A., Aarons, E., Schols, D., De Clercq, E., Proudfoot, A.E.I., Weiss, R.A. & Clapham, P.R.
CXCR4 as a functional coreceptor for human immunodeficiency virus type 1 infection of primary macrophages.
J. Virol., 72: 8453-8457 (1998).
1479. Hatse, S., Naesens, L., De Clercq, E. & Balzarini, J.
Potent differentiation-inducing properties of the antiretroviral agent 9-(2-phosphonyl-methoxyethyl)adenine (PMEA) in the rat choriocarcinoma (RCHO) tumor cell model.
Biochem. Pharmacol., 56: 851-859 (1998).
1480. Balzarini, J., Naesens, L. & De Clercq, E.
New antivirals – mechanism of action and resistance development.
Curr. Opin. Microbiol., 1: 535-546 (1998).
1481. Robins, M.J., Wnuk, S.F., Yang, X., Yuan, C.-S., Borchardt, R.T., Balzarini, J. & De Clercq, E.
Inactivation of *S*-adenosyl-L-homocysteine hydrolase and antiviral activity with 5',5',6',6'-tetrahydro-6'-deoxy-6'-halohomoadenosine analogues (4'-haloacetylene analogues derived from adenosine).
J. Med. Chem., 41: 3857-3864 (1998).

1482. Witvrouw, M., Daelemans, D., Pannecouque, C., Neyts, J., Andrei, G., Snoeck, R., Vandamme, A.-M., Balzarini, J., Desmyter, J., Baba, M. & De Clercq, E.
Broad-spectrum antiviral activity and mechanism of antiviral action of the fluoroquinolone derivative K-12.
Antiviral Chem. Chemother., 9: 403-411 (1998).
1483. Chamorro, C., Camarasa, M.-J., Pérez-Pérez, M.-J., De Clercq, E., Balzarini, J. & San Félix, A.
An approach towards the synthesis of potential metal-chelating TSAO-T derivatives as bidentate inhibitors of human immunodeficiency virus type 1 reverse transcriptase.
Antiviral Chem. Chemother., 9: 413-423 (1998).
1484. Chimirri, A., Grasso, S., Monforte, A.M., Monforte, P., Rao, A., Zappalà, M., Bruno, G., Nicolò, F., Pannecouque, C., Witvrouw, M. & De Clercq, E.
Synthesis, structure and *in vitro* anti-human immunodeficiency virus activity of novel 3-methyl-1*H*,3*H*-thiazolo[3,4-*a*]benzimidazoles.
Antiviral Chem. Chemother., 9: 431-438 (1998).
1485. Dimmock, J.R., Vashishtha, S.C., Quail, J.W., Pugazhenth, U., Zimpel, Z., Sudom, A.M., Allen, T.M., Kao, G.Y., Balzarini, J. & De Clercq, E.
4-(β -Arylvinyloxy)-3-(β -arylvinyloxy)-1-ethyl-4-piperidinols and related compounds: a novel class of cytotoxic and anticancer cells.
J. Med. Chem., 41: 4012-4020 (1998).
1486. Arranz, E., Díaz, J.A., Ingate, S.T., Witvrouw, M., Pannecouque, C., Balzarini, J., De Clercq, E. & Vega, S.
Novel 1,1,3-trioxo-2*H*,4*H*-thieno[3,4-*e*][1,2,4]thiadiazine derivatives as non-nucleoside reverse transcriptase inhibitors that inhibit human immunodeficiency virus type 1 replication.
J. Med. Chem., 41: 4109-4117 (1998).
1487. Pandeya, S.N., Sriram, D., De Clercq, E., Pannecouque, C. & Witvrouw, M.
Anti-HIV activity of some Mannich bases of isatin derivatives.
Indian J. Pharm. Sci., 60: 207-212 (1998).
1488. Schmit, J.-C., Van Laethem, K., Ruiz, L., Hermans, P., Sprecher, S., Sönnernborg, A., Leal, M., Harrer, T., Clotet, B., Arendt, V., Lissen, E., Witvrouw, M., Desmyter, J., De Clercq, E. & Vandamme, A.-M.
Multiple dideoxynucleoside analogue-resistant (MddNR) HIV-1 strains isolated from patients from different European countries.
AIDS, 12: 2005-2015 (1998).
1489. Balzarini, J. & De Clercq, E.
Biochemical pharmacology. A. Nucleoside and non-nucleoside reverse transcriptase inhibitors active against HIV.
In: "Textbook of AIDS Medicine", 2nd Ed., T.C. Merigan, J.G. Bartlett & D. Bolognesi (eds.). Williams & Wilkins, Baltimore, Maryland, USA, pp. 815-847 (1998).
1490. Ostrowski, T., Wroblowski, B., Busson, R., Rozenski, J., De Clercq, E., Bennett, M.S., Champness, J.N., Summers, W.C., Sanderson, M.R. & Herdewijn, P.
5-Substituted pyrimidines with a 1,5-anhydro-2,3-dideoxy-*D*-arabino-hexitol moiety at N-1: synthesis, antiviral activity, conformational analysis, and interaction with viral thymidine kinase.
J. Med. Chem., 41: 4343-4353 (1998).

1491. Esté, J. & De Clercq, E.
Ajoene [(e,z)-4,5,9-trithiadodeca-1,6,11-triene 9 oxide] does not exhibit antiviral activity at subtoxic concentrations.
Biomed. & Pharmacother., 52: 236-238 (1998).
1492. Schols, D., Proost, P., Struyf, S., Wuyts, A., De Meester, I., Scharpé, S., Van Damme, J. & De Clercq, E.
CD26-processed RANTES(3-68), but not intact RANTES, has potent anti-HIV-1 activity.
Antiviral Res., 39: 175-187 (1998).
1493. Proost, P., Struyf, S., Wuyts, A., Menten, P., De Meester, I., Lambeir, A.-M., Scharpé, S., Schols, D., De Clercq, E. & Van Damme, J.
Isolation and identification of naturally modified C-C chemokines MCP-1, MCP-2 and RANTES: effects of posttranslational modifications on receptor usage, chemotactic and anti-HIV-1 activity.
Proceedings of the Vth International Workshop on Cytokines, Florence, Italy, 16-18 March 1998.
Eur. Cytokine Netw., 9, suppl. 3: 73-75 (1998).
1494. Velázquez, S., Chamorro, C., Pérez-Pérez, M.-J., Alvarez, R., Jimeno, M.-L., Martín-Domenech, A., Pérez, C., Gago, F., De Clercq, E., Balzarini, J., San-Félix, A. & Camarasa, M.-J.
Abasic analogues of TSAO-T as the first sugar derivatives that specifically inhibit HIV-1 reverse transcriptase.
J. Med. Chem., 41: 4636-4647 (1998).
1495. Balzarini, J., Esteban-Gamboa, A., Esnouf, R., Liekens, S., Neyts, J., De Clercq, E., Camarasa, M.-J. & Pérez-Pérez, M.J.
7-Deazaxanthine, a novel prototype inhibitor of thymidine phosphorylase.
FEBS Lett., 438: 91-95 (1998).
1496. Kristmundsdóttir, T., Thormar, H., Witvrouw, M. & De Clercq, E.
Evaluation of virucidal activity of hydrogels containing monoglyceride.
Proceedings of the Symposium on "Natural Origin Substances in Drug Formulation", Beijing, China, 4-6 November 1998, pp. 109-110 (1998).
1497. Neyts, J. & De Clercq, E.
Mycophenolate mofetil strongly potentiates the anti-herpesvirus activity of acyclovir.
Antiviral Res., 40: 53-56 (1998).
1498. Degrève, B., Johansson, M., De Clercq, E., Karlsson, A. & Balzarini, J.
Differential intracellular compartmentalization of herpetic thymidine kinases (TKs) in TK gene-transfected tumor cells: molecular characterization of the nuclear localization signal of herpes simplex virus type 1 TK.
J. Virol., 72: 9535-9543 (1998).
1499. Thormar, H., Georgsson, G., Pálsson, P.A., Gunnarsson, E., Torsteinsdóttir, S., Balzarini, J., Naesens, L. & De Clercq, E.
Visna in sheep as a model for chemotherapy of lentiviral central nervous system infections.
Proceedings of the Nordic Veterinary Conference, Reykjavik, Iceland, July 1996.
Clin. Microbiol. Infect., 4: 618-621 (1998).

1500. Hatse, S., De Clercq, E. & Balzarini, J.
Enhanced 9-(2-phosphonylmethoxyethyl)adenine secretion by a specific, indomethacin-sensitive efflux pump in a mutant 9-(2-phosphonylmethoxyethyl)adenine-resistant human erythroleukemia K562 cell line.
Mol. Pharmacol., 54: 907-917 (1998).
1501. McGuigan, C., Sutton, P.W., Cahard, D., Turner, K., O'Leary, G., Wang, Y., De Clercq, E. & Balzarini, J.
Synthesis, anti-human immunodeficiency virus activity and esterase lability of some novel carboxylic ester-modified phosphoramidate derivatives of stavudine (d4T).
Antiviral Chem. Chemother., 9: 473-479 (1998).
1502. Velázquez, S., Alvarez, R., Pérez, C., Gago, F., De Clercq, E., Balzarini, J. & Camarasa, M.-J.
Regiospecific synthesis and anti-human immunodeficiency virus activity of novel 5-substituted *N*-alkylcarbamoyl and *N,N*-dialkyl carbamoyl 1,2,3-triazole-TSAO analogues.
Antiviral Chem. Chemother., 9: 481-489 (1998).
1503. Leydet, A., Moullet, C., Roque, J.P., Witvrouw, M., Pannecouque, C., Andrei, G., Snoeck, R., Neyts, J., Schols, D. & De Clercq, E.
Polyanion inhibitors of HIV and other viruses. 7. Polyanionic compounds and polyzwitterionic compounds derived from cyclodextrins as inhibitors of HIV transmission.
J. Med. Chem., 41: 4927-4932 (1998).
1504. Gueiffier, A., Mavel, S., Lhassani, M., Elhakmaoui, A., Snoeck, R., Andrei, G., Chavignon, O., Teulade, J.-C., Witvrouw, M., Balzarini, J., De Clercq, E. & Chapat, J.-P.
Synthesis of imidazo[1,2-*a*]pyridines as antiviral agents.
J. Med. Chem., 41: 5108-5112 (1998).
1505. Al-Masoudi, N.A., Al-Soud, Y.A., Ehrmann, M. & De Clercq, E.
Quinolone nucleosides: 6,7-dihalo-*N*- β - and α -glycoyl-1,4-dihydro-4-oxo-quinoline-3-carboxylic acids and derivatives. Synthesis, antimicrobial and antiviral activity.
Nucleosides & Nucleotides, 17: 2255-2266 (1998).
1506. Van hemel, J., Esmans, E.L., Joos, P.E., De Groot, A., Dommissie, R.A., Balzarini, J. & De Clercq, E.
Synthesis and biological evaluation of phosphonate derivatives of some acyclic pyridine-C-nucleosides.
Nucleosides & Nucleotides, 17: 2429-2443 (1998).
1507. Neyts, J., Andrei, G. & De Clercq, E.
The antiherpesvirus activity of H2G [(*R*)-9-[4-hydroxy-2-(hydroxymethyl)butyl]guanine] is markedly enhanced by the novel immunosuppressive agent mycophenolate mofetil.
Antimicrob. Agents Chemother., 42: 3285-3289 (1998).
1508. Pelemans, H., Esnouf, R., Jonckheere, H., De Clercq, E. & Balzarini, J.
Mutational analysis of Tyr-318 within the non-nucleoside reverse transcriptase inhibitor binding pocket of human immunodeficiency virus type 1 reverse transcriptase.
J. Biol. Chem., 273: 34234-34239 (1998).
1509. Manfredini, S., Simoni, D., Caminiti, G., Vertuani, S., Invidiata, F., Moscato, B., Hatse, S., De Clercq, E. & Balzarini, J.
Retinoids as potential chemotherapeutic agents. Synthesis, cytostatic and differentiating activities of new heterocyclic analogues of retinoic acid.
Med. Chem. Res., 8: 291-304 (1998).

1510. Schmit, J.-C., Ruiz, L., Stuyver, L., Van Laethem, K., Vanderlinden, I., Puig, T., Rossau, R., Desmyter, J., De Clercq, E., Clotet, B. & Vandamme, A.-M.
Comparison of the LiPA HIV-1 RT test, selective PCR and direct solid phase sequencing for the detection of HIV-1 drug resistance mutations.
J. Virol. Methods, 73: 77-82 (1998).
1511. Snoeck, R., Andrei, G. & De Clercq, E.
Specific therapies for human papilloma virus infections.
Curr. Opin. Infect. Dis., 11: 733-737 (1998).
1512. Vandamme, A.-M., Van Laethem, K., Van Vaerenbergh, K. & De Clercq, E.
Anti-HIV virus combination therapy and resistance management.
Int. Antiviral News, 6: 182-187 (1998).
1513. De Clercq, E.
Antiviral agents that are active against CMV: potential of cidofovir for the treatment of CMV and other virus infections.
Proceedings of the First International Consensus Round Table Meeting on CMV-Related Immunopathology, Frankfurt, Germany, 28-30 August 1997.
In: "CMV-Related Immunopathology", Monographs in Virology, vol. 25. M. Scholz, H.F. Rabenau, H.W. Doerr & J. Cinatl. Jr. (eds.), Karger Verlag, Basel, pp. 193-214 (1998).
1514. De Clercq, E.
Novel approaches towards anti-HIV chemotherapy.
Proceedings of the 11th Noordwijkerhout-Camerino Symposium on Trends in Drug Research, The Netherlands, 11-15 May 1997.
In: "Trends in Drug Research II", Proceedings of the 11th Noordwijkerhout-Camerino Symposium, 11-15 May 1997, Noordwijkerhout, The Netherlands, H. van der Goot (ed.), Pharmacology Library, vol. 29, pp. 91-104, Elsevier Science B.V., Amsterdam, (1998).
1515. Papakonstantinou-Garoufalia, S.S., Tani, E., Todoulou, O., Papadaki-Valiraki, A., Filippatos, E., De Clercq, E. & Kourounakis, P.N.
Synthesis and pharmacological investigation of some novel 1,2,4-*H*-triazoles with potential antiviral activity.
J. Pharm. Pharmacol., 50: 117-124 (1998).
1516. Afouna, M.I., Mehta, S.C., Ghanem, A.-H., Higuchi, W.I., Kern, E.R., De Clercq, E. & El-Shattaway, H.H.
Assessment of correlation between skin target site free drug concentration and the *in vivo* topical antiviral efficacy in hairless mice for (*E*)-5-(2-bromovinyl)-2'-deoxyuridine and acyclovir formulations.
J. Pharm. Sci., 87: 917-921 (1998).
1517. Meier, C., De Clercq, E. & Balzarini, J.
Nucleotide delivery from *cyclo*Saligenyl-3'-azido-3'-deoxythymidine monophosphates (*cyclo*Sal-AZTMP).
Eur. J. Org. Chem., 1998: 837-846 (1998).

1518. Annaert, P., Van Gelder, J., Naesens, L., De Clercq, E., Van den Mooter, G., Kinget, R. & Augustijns, P.
Carrier mechanisms involved in the transepithelial transport of bis(POM)-PMEA and its metabolites across Caco-2 monolayers.
Pharm. Res., 15: 1168-1173 (1998).
1519. Balzarini, J., Naesens, L., Verbeken, E., Laga, M., Van Damme, L., Verstraeten, M., Van Mellaert, L., Anné, J. & De Clercq, E.
The thiocarboxanilide UC-781 is a potential virucidal drug.
Proceedings of the 12th World AIDS Conference, Geneva, June 28-July 3, 1998. Monduzzi Editore, Int. Proc. Div., Bologna, Italy, pp. 243-247 (1998).
1520. Balzarini, J., Pelemans, H., De Clercq, E., Dunkler, A. & Kleim, J.-P.
Combination of the multidrug resistance mutation Q151M/L and the AZT resistance mutation T215Y/F in the same HIV-1 reverse transcriptase is compatible with enzymatic activity.
Proceedings of the 12th World AIDS Conference, Geneva, June 28-July 3, 1998. Monduzzi Editore, Int. Proc. Div., Bologna, Italy, pp. 319-323 (1998).
1521. Santana, L., Teijeira, M., Uriarte, E., Balzarini, J. & De Clercq, E.
Synthesis and biological evaluation of 1,2-disubstituted carbonucleosides of 2-amino-6-substituted purine and 8-azapurine.
Bioorg. Med. Chem. Lett., 8: 1349-1352 (1998).
1522. Banker, A.S., Bergeron-Lynn, G., Keefe, K.S., De Clercq, E., Taskintuna, I. & Freeman, W.R.
Effects of topical and subconjunctival cidofovir (HPMPC) in an animal model.
Curr. Eye Res., 17: 560-566 (1998).
1523. McGuigan, C., Cahard, D., Ballatore, C., Siddiqui, A., De Clercq, E. & Balzarini, J.
Lactate cannot substitute for alanine in d4T-based anti-HIV nucleotide prodrugs – despite efficient esterase-mediated hydrolysis.
Bioorg. Med. Chem. Lett., 8: 2949-2954 (1998).
1524. Vamecq, J., Vanderpoorten, K., Poupaert, J.H., Balzarini, J., De Clercq, E. & Stables, J.P.
Anticonvulsant phenytoinergic pharmacophores and anti-HIV activity – Preliminary evidence for the dual requirement of the 4-aminophthalimide platform and the *N*-(1-adamantyl) substitution for antiviral properties.
Life Sci., 63: PL267-PL274 (1998).
1525. Tusek-Bozic, L., Furlani, A., Scarcia, V., De Clercq, E. & Balzarini, J.
Spectroscopic and biological properties of palladium(II) complexes of ethyl-2-quinolylmethylphosphonate.
J. Inorg. Biochem., 72: 201-210 (1998).
1526. Andrei, G., Snoeck, R., Piette, J., Delvenne, P. & De Clercq, E.
Antiproliferative effects of acyclic nucleoside phosphonates on human papillomavirus (HPV)-harboring cell lines compared with HPV-negative cell lines.
Oncol. Res., 10: 523-531 (1998).
1527. Andrei, G., Snoeck, R., Piette, J., Delvenne, P. & De Clercq, E.
Inhibitory effects of cidofovir (HPMPC) on the growth of the human cervical carcinoma (SiHa) xenografts in athymic-nude mice.
Oncol. Res., 10: 533-539 (1998).

1528. Simonart, T., Noel, J.-C., De Dobbeleer, G., Parent, D., Van Vooren, J.-P., De Clercq, E. & Snoeck, R.
Treatment of classical Kaposi's sarcoma with intralesional injections of cidofovir: report of a case.
J. Med. Virol., 55: 215-218 (1998).
1529. De Clercq, E.
New perspectives for the treatment of HIV infections.
Proceedings of the 19^o Congresso Nazionale della Societa' Italiana di Chemioterapia, Giardini Naxos, Italy, June 11-14, 1997.
Il Giornale Italiano di Chemioterapia, 45: 9-16 (1998).
1530. Hossain, N., Van Halbeek, H., De Clercq, E. & Herdewijn, P.
Synthesis of 3'-C-branched 1',5'-anhydromannitol nucleosides as new antiherpes agents.
Tetrahedron, 54: 2209-2226 (1998).
1531. Esté, J.A., Cabrera, C., De Clercq, E., Struyf, S., Van Damme, J., Bridger, G., Skerlj, R.T., Abrams, M.J., Henson, G., Gutierrez, A., Clotet, B. & Schols, D.
Activity of different bicyclam derivatives against human immunodeficiency virus depends on their interaction with the CXCR4 chemokine receptor.
Mol. Pharmacol., 55: 67-73 (1999).
1532. McGuigan, C., Siddiqui, A.Q., Ballatore, C., De Clercq, E. & Balzarini, J.
The presence of substituents on the aryl moiety of the aryl phosphoramidate derivative of d4T enhances anti-HIV efficacy in cell culture: a structure-activity relationship.
J. Med. Chem., 42: 393-399 (1999).
1533. Ghosh, A., Miller, M.J., De Clercq, E. & Balzarini, J.
Synthesis and biological evaluation of a carbocyclic azanoraristeromycin siderophore conjugate.
Nucleosides & Nucleotides, 18: 217-225 (1999).
1534. Degrève, B., De Clercq, E. & Balzarini, J.
Bystander effect of purine nucleoside analogues in HSV-1tk suicide gene therapy is superior to that of pyrimidine nucleoside analogues.
Gene Ther., 6: 162-170 (1999).
1535. Daelemans, D., Vandamme, A.-M. & De Clercq, E.
Human immunodeficiency virus gene regulation as a target for antiviral chemotherapy.
Antiviral Chem. Chemother., 10: 1-14 (1999).
1536. Hatse, S., De Clercq, E. & Balzarini, J.
Impact of 9-(2-phosphonylmethoxyethyl)adenine on (deoxy)ribonucleotide metabolism and nucleic acid synthesis in tumor cells.
FEBS Lett., 445: 92-97 (1999).
1537. De Clercq, E., Plattner, J.J. & Chu, D.T.
Editorial. An introduction to current opinion in anti-infective investigational drugs
Curr. Opin. Anti-infect. Invest. Drugs, 1: 1-3 (1999).

1538. Neyts, J. & De Clercq, E.
The immunosuppressive agent mycophenolate mofetil markedly potentiates the activity of lobucavir [1R(1 α ,2 β ,3 α)]-9-[2,3-bis(hydroxymethyl)cyclobutyl]guanine against different herpes viruses.
Transplantation, 67: 760-764 (1999).
1539. Van Derpoorten, K., Ucar, H., Andrei, G., Snoeck, R., Balzarini, J., De Clercq, E. & Poupaert, J.
Synthesis and antiviral activity of 6-benzoyl-benzoxazolin-2-one and 6-benzoyl-benzothiazolin-2-one derivatives.
Antiviral Chem. Chemother., 10: 87-97 (1999).
1540. Niyonzima, G., Laekeman, G., Witvrouw, M., Van Poel, B., Pieters, L., Paper, D., De Clercq, E., Franz, G. & Vlietinck, A.J.
Hypoglycemic, anticomplement and anti-HIV activities of *Spathodea campanulata* stem bark.
Phytomedicine, 6: 45-49 (1999).
1541. Martinez, A., Esteban, A.I., Castro, A., Gil, C., Conde, S., Andrei, G., Snoeck, R., Balzarini, J. & De Clercq, E.
Novel potential agents for human cytomegalovirus infection: synthesis and antiviral activity evaluation of benzothiadiazine dioxide acyclonucleosides.
J. Med. Chem., 42: 1145-1150 (1999).
1542. De Clercq, E.
63. Antivirale Geneesmiddelen.
In: "Algemene Farmacotherapie", 7de druk. H. Wesseling, C. Neef & P.A. de Graeff (eds.). Bohn Stafleu Van Loghum, Houten, The Netherlands, pp. 869-881 (1999).
1543. Struyf, S., Proost, P., Schols, D., De Clercq, E., Opdenakker, G., Lenaerts, J.-P., Dethoux, M., Parmentier, M., De Meester, I., Scharpé, S. & Van Damme, J.
CD26/dipeptidyl-peptidase IV down-regulates the eosinophil chemotactic potency, but not the anti-HIV activity of human eotaxin by affecting its interaction with CC chemokine receptor 3.
J. Immunol., 162: 4903-4909 (1999).
1544. Dimmock, J.R., Kandepu, N.M., Nazarali, A.J., Kowalchuk, T.P., Motaganahalli, N., Quail, J.W., Mykytiuk, P.A., Audette, G.F., Prasad, L., Perjési, P., Allen, T.M., Santos, C.L., Szydłowski, J., De Clercq, E. & Balzarini, J.
Conformational and quantitative structure – activity relationship study of cytotoxic 2-arylidenbenzocycloalkanones.
J. Med. Chem., 42: 1358-1366 (1999).
1545. Lin, Z., Neamati, N., Zhao, H., Kiryu, Y., Turpin, J.A., Aberham, C., Strebel, K., Kohn, K., Witvrouw, M., Pannecouque, C., Debyser, Z., De Clercq, E., Rice, W.G., Pommier, Y. & Burke, T.R. Jr.
Chicoric acid analogues as HIV-1 integrase inhibitors.
J. Med. Chem., 42: 1401-1414 (1999).

1546. De Clercq, E.
Perspectives of non-nucleoside reverse transcriptase inhibitors (NNRTIs) in the therapy of HIV-1 infection.
Proceedings of the "XIV Convegno Nazionale Divisione Chimica Farmaceutica – Società Chimica Italiana", Salsomaggiore Terme, Parma, Italy, September 21-25, 1998.
Il Farmaco, 54: 26-45 (1999).
1547. Molina, S., Cobo, J., Sánchez, A., Nogueras, M. & De Clercq, E.
Synthesis and antiviral evaluation of several 6-(methylenecarbomethoxy)pteridin-4,7(3H,8H)-diones.
J. Heterocyclic Chem., 36: 435-440 (1999).
1548. Meier, C., Knispel, T., De Clercq, E. & Balzarini, J.
cycloSal-Pronucleotides of 2',3'-dideoxyadenosine and 2',3'-dideoxy-2',3'-didehydroadenosine: synthesis and antiviral evaluation of a highly efficient nucleotide delivery system.
J. Med. Chem., 42: 1604-1614 (1999).
1549. Meier, C., Knispel, T., Marquez, V.E., De Clercq, E. & Balzarini, J.
cycloSal-Pronucleotides of 2'-fluoro-*ara*- and 2'-fluoro-*ribo*-2',3'-dideoxyadenosine as a strategy to bypass a metabolic blockade.
J. Med. Chem., 42: 1615-1624 (1999).
1550. Witvrouw, M., Pannecouque, C., De Clercq, E., Fernández-Alvarez, E. & Marco, J.L.
Inhibition of human immunodeficiency virus type 1 (HIV-1) replication by some diversely functionalized spirocyclopropyl derivatives.
Arch. Pharm. Pharm. Med. Chem., 332: 163-166 (1999).
1551. Cushman, M., Insaf, S., Paul, G., Ruell, J.A., De Clercq, E., Schols, D., Pannecouque, C., Witvrouw, M., Schaeffer, C.A., Turpin, J.A., Williamson, K. & Rice, W.G.
Extension of the polyanionic cosalane pharmacophore as a strategy for increasing anti-HIV potency.
J. Med. Chem., 42: 1767-1777 (1999).
1552. Cherepanov, P., Surratt, D., Toelen, J., Pluymers, W., Griffith, J., De Clercq, E. & Debyser, Z.
Activity of recombinant HIV-1 integrase on mini-HIV DNA.
Nucleic Acids Res., 27: 2202-2210 (1999).
1553. Smeijsters, L.J.J.W., Franssen, F.F.J., Naesens, L., de Vries, E., Holý, A., Balzarini, J., De Clercq, E. & Overdulve, J.P.
Inhibition of the *in vitro* growth of *Plasmodium falciparum* by acyclic nucleoside phosphonates.
Int. J. Antimicrob. Agents., 12: 53-61(1999).
1554. Liekens, S., Verbeken, E. Vandeputte, M., De Clercq, E. & Neyts, J.
A novel animal model for hemangiomas: inhibition of hemangioma development by the angiogenesis inhibitor TNP-470.
Cancer Res., 59: 2376-2383 (1999).
1555. Pluymers, W., Cherepanov, P., Schols, D., De Clercq, E. & Debyser, Z.
Nuclear localization of human immunodeficiency virus type 1 integrase expressed as a fusion protein with green fluorescent protein.
Virology, 258: 327-332(1999).

1556. Simonart, T., Andrei, G., Parent, D., Van Vooren, J.-P., De Clercq, E. & Snoeck, R.
In vitro sensitivity of Kaposi's sarcoma cells to various chemotherapeutic agents including acyclic nucleoside phosphonates.
Antiviral Chem. Chemother., 10: 129-134 (1999).
1557. Vandamme, A.-M., Van Laethem, K., Schmit, J.-C., Van Wijngaerden, E., Reynders, M., Debyser, Z., Witvrouw, M., Van Ranst, M., De Clercq, E. & Desmyter, J.
Long-term stability of human immunodeficiency virus viral load and infectivity in whole blood.
Eur. J. Clin. Invest., 29: 445-452 (1999).
1558. Snoeck, R. & De Clercq, E.
Treatment of herpes simplex virus infections.
Infections in Medicine, 16: 249,250,256,257,261-265 (1999).
1559. De Clercq, E.
Perspectives for the treatment of hepatitis B virus infections.
Int. J. Antimicrob. Agents, 12: 81-95 (1999).
1560. Hatse, S., Naesens, L., De Clercq, E. & Balzarini, J.
N⁶-Cyclopropyl-PMEDAP: a novel derivative of 9-(2-phosphonylmethoxyethyl)-2,6-diaminopurine (PMEDAP) with distinct metabolic, antiproliferative, and differentiation-inducing properties.
Biochem. Pharmacol., 58: 311-323 (1999).
1561. Holý, A., Günter, J., Dvoráková, H., Masojidková, M., Andrei, G., Snoeck, R., Balzarini, J. & De Clercq, E.
Structure-antiviral activity relationship in the series of pyrimidine and purine N-[2-(2-phosphonomethoxy)ethyl] nucleotide analogues. 1. Derivatives substituted at the carbon atoms of the base
J. Med. Chem., 42: 2064-2086 (1999).
1562. Thormar, H., Bergsson, G., Gonnarsson, E., Georgsson, G., Witvrouw, M., Steingrímsson, O., De Clercq, E. & Kristmundsdóttir, T.
Hydrogels containing monocaprin have potent microbicidal activities against sexually transmitted viruses and bacteria *in vitro*.
Sex. Transm. Infect., 75: 181-185 (1999).
1563. Breistøl, K., Balzarini, J., Sandvold, M.L., Myhren, F., Martinsen, M., De Clercq, E. & Fodstad, Ø.
Antitumor activity of P-4055 (elaidic acid-cytarabine) compared to cytarabine in metastatic and s.c. human tumor xenograft models.
Cancer Res., 59: 2944-2949 (1999).
1564. Esté, J.A., Cabrera, C., Blanco, J., Gutierrez, A., Bridger, G., Henson, G., Clotet, B., Schols, D. & De Clercq, E.
Shift of clinical human immunodeficiency virus type 1 isolates from X4 to R5 and prevention of emergence of the syncytium-inducing phenotype by blockade of CXCR4.
J. Virol., 73: 5577-5585 (1999).

1565. Hatse, S., Schols, D., De Clercq, E. & Balzarini, J.
9-(2-Phosphonylmethoxyethyl)adenine induces tumor cell differentiation or cell death by blocking cell cycle progression through the S phase.
Cell Growth Differ., 10: 435-446 (1999).
1566. Liekens, S., Leali, D., Neyts, J., Esnouf, R., Rusnati, M., Dell'era, P., Maudgal, P.C., De Clercq, E. & Presta, M.
Modulation of fibroblast growth factor-2 receptor binding, signaling, and mitogenic activity by heparin-mimicking polysulfonated compounds.
Mol. Pharmacol., 56: 204-213 (1999).
1567. Raic-Malic, S., Hergold-Brundic, A., Nagl, A., Grdisa, M., Pavelic, K., De Clercq, E. and Mintas, M.
Novel pyrimidine and purine derivatives of *L*-ascorbic acid: synthesis and biological evaluation.
J. Med. Chem., 42: 2673-2678 (1999).
1568. Fedorov, I., Kazmina, E., Jasko, M., Balzarini, J., De Clercq, E., Sommadossi, J.-P., Imbach, J.-L. & Gosselin, G.
3'-(N-Hydroxyimino)-2',3'-dideoxynucleosides and their derivatives: synthesis, broad spectrum antiviral properties and synthetical application for the preparation of other nucleoside analogues.
Proceedings of the XIIIth International Round Table on Nucleosides, Nucleotides, and their Biological Applications, Part I, Montpellier, France September 6-10, 1998.
Nucleosides & Nucleotides, 18: 633-634 (1999).
1569. Nieto, I., Figueira, M.J., Blanco, J.M., Caamano, O., Fernández, F., De Clercq, E. & Balzarini, J.
Synthesis of novel carbocyclic nucleosides with a modified cyclopentane ring and evaluation of their antiviral activity.
Proceedings of the XIIIth International Round Table on Nucleosides, Nucleotides, and their Biological Applications, Part I, Montpellier, France September 6-10, 1998.
Nucleosides & Nucleotides, 18: 641-642 (1999).
1570. Pierra, C., Gosselin, G., Sommadossi, J.-P., Faraj, A., De Clercq, E., Balzarini, J. & Imbach, J.-L.
Stereospecific synthesis and antiviral activities of β -L-2',3'-dideoxy-5-chloropyrimidine nucleoside derivatives.
Proceedings of the XIIIth International Round Table on Nucleosides, Nucleotides, and their Biological Applications, Part I, Montpellier, France September 6-10, 1998.
Nucleosides & Nucleotides, 18: 643-644 (1999).
1571. Lobatón, E., Velázquez, S., San-Félix, A., Chamorro, C., Tunón, V., Esteban-Gamboa, A., De Clercq, E., Balzarini, J., Camarasa, M.J. & Pérez-Pérez, M.J.
Novel TSAO derivatives modified at positions 3'' and 4'' of the spiro moiety.
Proceedings of the XIIIth International Round Table on Nucleosides, Nucleotides, and their Biological Applications, Part I, Montpellier, France September 6-10, 1998.
Nucleosides & Nucleotides, 18: 675-676 (1999).
1572. Chamorro, C., Velázquez, S., Jimeno, M.L., Pérez-Pérez, M.J., Lobatón, E., Tunón, V., Esteban-Gamboa, A., Gago, F., De Clercq, E., Balzarini, J., Camarasa, M.J. & San-Félix, A.
Unexpected results in the reaction of 5'-tosyl TSAO-m³T with amines.
Proceedings of the XIIIth International Round Table on Nucleosides, Nucleotides, and their Biological Applications, Part I, Montpellier, France September 6-10, 1998.
Nucleosides & Nucleotides, 18: 715-716 (1999).

1573. Santana, L., Teijeira, M., Uriarte, E., Terán, C., Andrei, G., Snoeck, R., Balzarini, J. & De Clercq, E.
Synthesis and biological evaluation of 1,2-disubstituted carbonucleosides of 6-substituted purine and 8-azapurine.
Proceedings of the XIIIth International Round Table on Nucleosides, Nucleotides, and their Biological Applications, Part I, Montpellier, France September 6-10, 1998.
Nucleosides & Nucleotides, 18: 733-734 (1999).
1574. De Clercq, E., Andrei, G., Balzarini, J., Hatse, S., Liekens, S., Naesens, L., Neyts, J. & Snoeck, R.
Antitumor potential of acyclic nucleosides phosphonates.
Proceedings of the XIIIth International Round Table on Nucleosides, Nucleotides, and their Biological Applications, Part I, Montpellier, France September 6-10, 1998.
Nucleosides & Nucleotides, 18: 759-771 (1999).
1575. Meier, C., Knispel, T., Marquez, V.E., De Clercq, E. & Balzarini, J.
CycloSal-2'-ara(ribo)-fluoro-2',3'-dideoxyadenosine monophosphates – an effort to solve the structure-activity relationship of 2'-fluoro-ddA.
Proceedings of the XIIIth International Round Table on Nucleosides, Nucleotides, and their Biological Applications, Part I, Montpellier, France September 6-10, 1998.
Nucleosides & Nucleotides, 18: 907-912 (1999).
1576. Ballatore, C., McGuigan, C., De Clercq, E. & Balzarini, J.
An in situ pig liver esterase assay as a useful predictive tool for the likely *in vitro* antiviral activity of phosphoramidate pro-drugs.
Proceedings of the XIIIth International Round Table on Nucleosides, Nucleotides, and their Biological Applications, Part I, Montpellier, France September 6-10, 1998.
Nucleosides & Nucleotides, 18: 967-969 (1999).
1577. Bazzanini, R., Manfredini, S., Durini, E., Gröschel, B., Cinatl, J., Balzarini, J., De Clercq, E., Imbach, J.-L., Périgaud, C. & Gosselin, G.
Prodrugs of ara-CMP and ara-AMP with a *S*-acyl-2-thioethyl (SATE) biolabile phosphate protecting group: synthesis and biological evaluation.
Proceedings of the XIIIth International Round Table on Nucleosides, Nucleotides, and their Biological Applications, Part I, Montpellier, France September 6-10, 1998.
Nucleosides & Nucleotides, 18: 971-972 (1999).
1578. Manfredini, S., Baraldi, P.G., Durini, E., Balzarini, J., De Clercq, E., Karlsson, A., Buzzoni, V. & Thelander, L.
Synthesis, cytostatic activity and inhibition of ribonucleotide reductase by 5'-phosphoramidates and 5'-diphosphates, of 2'-*O*-allyl-arabinofuranosyl nucleosides.
Proceedings of the XIIIth International Round Table on Nucleosides, Nucleotides, and their Biological Applications, Part I, Montpellier, France September 6-10, 1998.
Nucleosides & Nucleotides, 18: 1007-1008 (1999).
1579. Velázquez, S., Tunón, V., Jimeno, M.L., Pérez-Pérez, M.J., San-Félix, A., Chamorro, C., Lobatón, E., Esteban-Gamboa, A., De Clercq, E., Balzarini, J. & Camarasa, M.J.
Novel series of [ddN]-[TSAO-T] heterodimers as potential bi-functional inhibitors of HIV-1 RT. Studies in the linker and ddN region.
Proceedings of the XIIIth International Round Table on Nucleosides, Nucleotides, and their Biological Applications, Part I, Montpellier, France September 6-10, 1998.
Nucleosides & Nucleotides, 18: 1029-1030 (1999).

1580. Hatse, S., De Clercq, E. & Balzarini, J.
Role of antimetabolites of purine and pyrimidine nucleotide metabolism in tumor cell differentiation.
Biochem. Pharmacol., 58: 539-555 (1999).
1581. Witvrouw, M., Pannecouque, C., Van Laethem, K., Desmyter, J., De Clercq, E. & Vandamme, A.-M.
Activity of non-nucleoside reverse transcriptase inhibitors against HIV-2 and SIV.
AIDS, 13: 1477-1483 (1999).
1582. De Clercq, E.
Inhibition of HIV infection by bicyclams, highly potent and specific CXCR4 antagonists.
Eur. Cytokine Network, 10: 281-285 (1999).
1583. Egberink, H.F., De Clercq, E., Van Vliet, A.L.W., Balzarini, J., Bridger, G.J., Henson, G., Horzinek, M.C. & Schols, D.
Bicyclams, selective antagonists of the human chemokine receptor CXCR4, strongly inhibit feline immunodeficiency virus replication.
J. Virol., 73: 6346-6352 (1999).
1584. Chimirri, A., Grasso, S., Monforte, P., Rao, A., Zappalà, M., Monforte, A.M., Pannecouque, C., Witvrouw, M., Balzarini, J. & De Clercq, E.
Synthesis and biological activity of novel 1*H*,3*H*-thiazolo[3,4-*a*]benzimidazoles: non-nucleoside human immunodeficiency virus type 1 reverse transcriptase inhibitors.
Antiviral Chem. Chemother., 10: 211-217 (1999).
1585. Zhang, Y., Schols, D. & De Clercq, E.
Selective activity of various antiviral compounds against HHV-7 infection.
Antiviral Res., 43: 23-35 (1999).
1586. Menten, P., Struyf, S., Schutyser, E., Wuyts, A., De Clercq, E., Schols, D., Proost, P. & Van Damme, J.
The LD78 β isoform of MIP-1 α is the most potent CCR5 agonist and HIV-1-inhibiting chemokine.
J. Clin. Invest., 104: R1-R5 (1999).
1587. Ruell, J.A., De Clercq, E., Pannecouque, C., Witvrouw, M., Stup, T.L., Turpin, J.A., Buckheit, R.W. Jr. & Cushman, M.
Synthesis and anti-HIV activity of cosalane analogues with substituted benzoic acid rings attached to the pharmacophore through methylene and amide linkers.
J. Org. Chem., 64: 5858-5866 (1999).
1588. Martínez, A., Esteban, A.I., Herrero, A., Ochoa, C., Andrei, G., Snoeck, R., Balzarini, J. & De Clercq, E.
Imidazothiadiazine dioxides: synthesis and antiviral activity.
Bioorg. Med. Chem., 7: 1617-1623 (1999).
1589. Ying, C., Van Pelt, J., Yap, S.H., De Clercq, E. & Neyts, J.
Use of digoxigenin-labelled probes for the quantitation of HBV-DNA in antiviral drug evaluation.
J. Virol. Methods, 81: 155-158 (1999).
1590. Manfredini, S., Baraldi, P.G., Durini, E., Vertuani, S., Balzarini, J., De Clercq, E., Karlsson, A., Buzzoni, V. & Thelander, L.

- 5'-Phosphoramidates and 5'-diphosphates of 2'-*O*-allyl- β -D-arabinofuranosyl-uracil, -cytosine, and -adenine: inhibition of ribonucleotide reductase.
J. Med. Chem., 42: 3243-3250 (1999).
1591. Van Gelder, J., Annaert, P., Naesens, L., De Clercq, E., Van den Mooter, G., Kinget, R. & Augustijns, P.
Inhibition of intestinal metabolism of the antiviral ester prodrug bis(POC)-PMPA by nature-identical fruit extracts as a strategy to enhance its oral absorption: an *in vitro* study.
Pharm. Res., 16: 1035-1040 (1999).
1592. Siddiqui, A.Q., McGuigan, C., Ballatore, C., Wedgwood, O., De Clercq, E. & Balzarini, J.
Simple mono-derivatisation of the aryl moiety of d4A and ddA-based phosphoramidate prodrugs significantly enhances their anti-HIV potency in cell culture.
Bioorg. Med. Chem. Lett., 9: 2555-2560 (1999).
1593. Kuipers, M.E., Swart, P.J., Witvrouw, M., Esté, J.A., Reymen, D., De Clercq, E. & Meijer, D.K.F.
Anti-HIV-1 activity of combinations and covalent conjugates of negatively charged human serum albumins (NCAs) and AZT.
J. Drug Targeting, 6: 323-335 (1999).
1594. Bridger, G.J., Skerlj, R.T., Padmanabhan, S., Martellucci, S.A., Henson, G.W., Struyf, S., Witvrouw, M., Schols, D. & De Clercq, E.
Synthesis and structure-activity relationships of phenylenebis(methylene)-linked Bis-azamacrocycles that inhibit HIV-1 and HIV-2 replication by antagonism of the chemokine receptor CXCR4.
J. Med. Chem., 42: 3971-3981 (1999).
1595. Tanaka, H., Hayakawa, H., Haraguchi, K., Miyasaka, T., Walker, R.T., De Clercq, E., Baba, M., Stammers, D.K. & Stuart, D.I.
HEPT: from an investigation of lithiation of nucleosides towards a rational design of non-nucleoside reverse transcriptase inhibitors of HIV-1.
In: "Advances in Antiviral Drug Design", vol. 3, E. De Clercq (ed.). JAI Press Inc., Greenwich, Connecticut, USA, pp. 93-144 (1999).
1596. Saboulard, D., Naesens, L., Cahard, D., Salgado, A., Pathirana, R., Velazquez, S., McGuigan, C., De Clercq, E. & Balzarini, J.
Characterization of the activation pathway of phosphoramidate triester prodrugs of stavudine and zidovudine.
Mol. Pharmacol., 56: 693-704 (1999).
1597. Inguaggiato, G., Hughes, D., De Clercq, E., Balzarini, J. & Simons, C.
Novel 6-azapyrimidine-2'-deoxy-4'-thionucleosides: synthesis, biological evaluation and conformational analysis.
Antiviral Chem. Chemother., 10: 241-249 (1999).
1598. Siddiqui, A.Q., McGuigan, C., Ballatore, C., Zuccotto, F., Gilbert, I.H., De Clercq, E. & Balzarini, J.
Design and synthesis of lipophilic phosphoramidate d4T-MP prodrugs expressing high potency against HIV in cell culture: structural determinants for *in vitro* activity and QSAR.
J. Med. Chem., 42: 4122-4128 (1999).
1599. Yi, Y., Isaacs, S.N., Williams, D.A., Frank, I., Schols, D., De Clercq, E., Kolson, D.L. & Collman, R.G.

- Role of CXCR4 in cell-cell fusion and infection of monocyte-derived macrophages by primary human immunodeficiency virus type 1 (HIV-1) strains: two distinct mechanisms of HIV-1 dual tropism.
J. Virol., 73: 7117-7125 (1999).
1600. Neyts, J. & De Clercq, E.
Potentiation of the anti-herpesvirus activity of guanosine analogues by the immunosuppressive agent mycophenolate mofetil (Pointer).
Int. Antiviral News, 7: 134-136 (1999).
1601. McGuigan, C., Yarnold, C.J., Jones, G., Velázquez, S., Barucki, H., Brancale, A., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Potent and selective inhibition of varicella-zoster virus (VZV) by nucleoside analogues with an unusual bicyclic base.
J. Med. Chem., 42: 4479-4484 (1999).
1602. Cabrera, C., Witvrouw, M., Gutiérrez, A., Clotet, B., Kuipers, M.E., Swart, P.J., Meijer, D.K.F., Desmyter, J., De Clercq, E. & Esté, J.A.
Resistance of the human immunodeficiency virus to the inhibitory action of negatively charged albumins on virus binding to CD4.
AIDS Res. Hum. Retrovir., 15: 1535-1543 (1999).
1603. Degrève, B., Esnouf, R., De Clercq, E. & Balzarini, J.
Characterization of multiple nuclear localization signals in herpes simplex virus type 1 thymidine kinase.
Biochem. Biophys. Res. Commun., 264: 338-342 (1999).
1604. Blanco, J.M., Caamano, O., Fernández, F., García-Mera, X., Hergueta, A.R., López, C., Rodríguez-Borges, J.E., Balzarini, J. & De Clercq, E.
Synthesis and antiviral and antineoplastic activities of some novel carbocyclic guanosine analogues with a cyclobutane ring.
Chem. Pharm. Bull., 47: 1314-1317 (1999).
1605. Figueira, M.J., Blanco, J.M., Caamano, O., Fernández, F., García-Mera, X., López, C., Andrei, G., Snoeck, R., Padalko, E., Neyts, J., Balzarini, J. & De Clercq, E.
Synthesis and antiviral and cytostatic activities of carbocyclic nucleosides incorporating a modified cyclobutane ring. I: Guanosine analogues.
Arch. Pharm. Pharm. Med. Chem., 332: 348-352 (1999).
1606. Nieto, M.I., Blanco, J.M., Caamano, O., Fernández, F., García-Mera, X., López, C., Balzarini, J. & De Clercq, E.
Synthesis and antiviral activity of carbocyclic nucleosides incorporating a modified cyclopentane ring. Part 3: Adenosine and uridine analogues.
Nucleosides & Nucleotides, 18: 2253-2263 (1999).
1607. Wera, S., Degrève, B., Balzarini, J., De Clercq, E., Thevelein, J.M. & Neyts, J.
Budding yeast as a screening tool for discovery of nucleoside analogs for use in HSV-1 TK suicide gene therapy.
BioTechniques, 27: 772-777 (1999).

1608. Naesens, L., Hatse, S., Segers, C., Verbeken, E., De Clercq, E., Waer, M. & Balzarini, J. 9-(2-Phosphonylmethoxyethyl)-N⁶-cyclopropyl-2,6-diaminopurine: a novel prodrug of 9-(2-phosphonylmethoxyethyl)guanine with improved antitumor efficacy and selectivity in choriocarcinoma-bearing rats. *Oncol. Res.*, 11: 195-203 (1999).
1609. Balzarini, J., Naesens, L., Aquaro, S., Knispel, T., Perno, C.-F., De Clercq, E. & Meier, C. Intracellular metabolism of *CycloSaligenyl* 3'-azido-2',3'-dideoxythymidine monophosphate, a prodrug of 3'-azido-2',3'-dideoxythymidine (zidovudine). *Mol. Pharmacol.*, 56: 1354-1361 (1999).
1610. Van Laethem, K., Van Vaerenbergh, K., Schmit, J.-C., Sprecher, S., Hermans, P., De Vroey, V., Schuurman, R., Harrer, T., Witvrouw, M., Van Wijngaerden, E., Stuyver, L., Van Ranst, M., Desmyter, J., De Clercq, E. & Vandamme, A.-M. Phenotypic assays and sequencing are less sensitive than point mutation assays for detection of resistance in mixed HIV-1 genotypic populations. *J. Acquir. Immun. Defic. Syndrom. Hum. Retrovirol.*, 22: 107-118 (1999).
1611. Neyts, J. & De Clercq, E. Hydroxyurea potentiates the antiherpesvirus activities of purine and pyrimidine nucleoside and nucleotide phosphonate analogs. *Antimicrob. Agents Chemother.*, 43: 2885-2892 (1999).
1612. Van Gelder, J., Witvrouw, M., Pannecouque, C., Henson, G., Bridger, G., Naesens, L., De Clercq, E., Annaert, P., Shafiee, M., Van den Mooter, G., Kinget, R. & Augustijns, P. Evaluation of the potential of ion pair formation to improve the oral absorption of two potent antiviral compounds, AMD3100 and PMPA. *Int. J. Pharm.*, 186: 127-136 (1999).
1613. Neyts, J., Leyssen, P. & De Clercq, E. Infections with flaviviridae. *Verh. K. Acad. Geneesk. Belg.*, 61: 661-699 (1999).
1614. Velázquez, S., Tunón, V., Jimeno, M.L., Chamorro, C., De Clercq, E., Balzarini, J. & Camarasa, M.J. Potential multifunctional inhibitors of HIV-1 reverse transcriptase. Novel [AZT]-[TSAO-T] and [d4T]-[TSAO-T] heterodimers modified in the linker and in the dideoxynucleoside region. *J. Med. Chem.*, 42: 5188-5196 (1999).
1615. Arranz, M.E., Díaz, J.A., Ingate, S.T., Witvrouw, M., Pannecouque, C., Balzarini, J., De Clercq, E. & Vega, S. Synthesis and anti-HIV activity of 1,1,3-trioxo-2*H*,4*H*-thieno[3,4-*e*][1,2,4]thiadiazines (TTDs): a new family of HIV-1-specific non-nucleoside reverse transcriptase inhibitors. *Bioorg. Med. Chem.*, 7: 2811-2822 (1999).
1616. Glushakova, S., Yi, Y., Grivel, J.-C., Singh, A., Schols, D., De Clercq, E., Collman, R.G. & Margolis, L. Preferential coreceptor utilization and cytopathicity by dual-tropic HIV-1 in human lymphoid tissue *ex vivo*. *J. Clin. Invest.*, 104: R7-R11 (1999).

1617. Pandeya, S.N., Sriram, D., Nath, G. & De Clercq, E.
Synthesis, antibacterial, antifungal and anti-HIV activities of Schiff and Mannich bases derived from isatin derivatives and *N*-[4-(4'-chlorophenyl)thiazol-2-yl]thiosemicarbazide. *Eur. J. Pharm. Sci.*, 9: 25-31 (1999).
1618. Pandeya, S.N., Sriram, D., Nath, G. & De Clercq, E.
Synthesis, antibacterial, antifungal and anti-HIV activity of Schiff and Mannich bases of isatin with *N*-[6-chlorobenzothiazol-2-yl]thiosemicarbazide. *Indian J. Pharm. Sci.*, 61: 358-361 (1999).
1619. Swart, P.J., Harmsen, M.C., Kuipers, M.E., van Dijk, A.A., van der Strate, B.W.A., Van Berkel, P.H.C., Nuijens, J.H., Smit, C., Witvrouw, M., D. De Clercq, E., de Béthune, M.-P., Pauwels, R. & Meijer, D.K.F.
Charge modification of plasma and milk proteins results in antiviral active compounds. *J. Peptide Sci.*, 5: 563-576 (1999).
1620. Kolocouris, A., Tataridis, D., Fytas, G., Mavromoustakos, T., Foscolos, G.B., Kolocouris, N. & De Clercq, E.
Synthesis of 2-(2-adamantyl)piperidines and structural anti-influenza virus A activity relationship study using a combination of NMR spectroscopy and molecular modelling. *Bioorg. Med. Chem. Lett.*, 9: 3465-3470 (1999).
1621. Degrève, B., De Clercq, E., Karlsson, A. & Balzarini, J.
Efficacy of antiherpetic drugs in combined gene/chemotherapy of cancer is not affected by a specific nuclear or cytoplasmic compartmentation of herpes thymidine kinases. *Gene Ther. Mol. Biol.*, 3: 123-131 (1999).
1622. Hibbitts, S., Reeves, J.D., Simmons, G., Gray, P.W., Epstein, L.G., Schols, D., De Clercq, E., Wells, T.N.C., Proudfoot, A.E.I. & Clapham, P.R..
Coreceptor ligand inhibition of fetal brain cell infection by HIV type 1. *AIDS Res. Hum. Retrovir.*, 15: 989-1000 (1999).
1623. De Bruyne, T., Pieters, L., Witvrouw, M., De Clercq, E., Vanden Berghe, D. & Vlietinck, A.J.
Biological evaluation of proanthocyanidin dimers and related polyphenols. *J. Natural Products*, 62: 954-958 (1999).
1624. Kundu, N.G., Mahanty, J.S., Chowdhury, C., Dasgupta, S.K., Das, B., Spears, C.P., Balzarini, J. & De Clercq, E.
5-(Acylethynyl)uracils, 5-(acylethynyl)-2'-deoxyuridines and 5-(acylethynyl)-1-(2-hydroxyethoxy)methyluracils. Their synthesis, antiviral and cytotoxic activities. *Eur. J. Med. Chem.*, 34: 389-398 (1999).
1625. Blanco, J., Jacotot, E., Cabrera, C., Cardona, A., Clotet, B., De Clercq, E. & Esté, J.A.
The implication of the chemokine CXCR4 in HIV-1 envelope-induced apoptosis is independent of the G-protein-mediated signaling. *AIDS*, 13: 909-917 (1999).
1626. Terán, C., Santana, L., Teijeira, M., Uriarte, E., Balzarini, J. & De Clercq, E.
Synthesis and chemotherapeutic activity of a carbocyclic analogue of tegafur. *Pharmazie*, 53: 644 (1999).
1627. Pandeya, S.N., Yogeeswari, P., Sriram, D., De Clercq, E., Pannecouque, C. & Witvrouw, M.
Synthesis and screening for anti-HIV activity of some *N*-Mannich bases of isatin derivatives. *Chemotherapy*, 45: 192-196 (1999).

1628. Gong, Z.J., De Meyer, S., Clarysse, C., Verslype, C., Neyts, J., De Clercq, E. & Yap, S.H. Mycophenolic acid, an immunosuppressive agent, inhibits HBV replication *in vitro*. *J. Viral Hepatitis*, 6: 229-236 (1999).
1629. Afouna, M.I., Mehta, S.C., Ghanem, A.-H., Higuchi, W.I., Kern, E.R., De Clercq, E. & El-Shattawy, H.H. Influence of the treatment protocol upon the *in vivo* efficacy of cidofovir (HPMPC) and of acyclovir (ACV) formulations in topical treatment of cutaneous HSV-1 infection in hairless mice. *J. Pharm. Sci.*, 88: 530-534 (1999).
1630. Breslin, H.J., Kukla, M.J., Kromis, T., Cullis, H., De Knaep, F., Pauwels, R., Andries, K., De Clercq, E., Janssen, M.A.C. & Janssen, P.A.J. Synthesis and anti-HIV activity of 1,3,4,5-tetrahydro-2*H*-1,4-benzodiazepin-2-one (TBO) derivatives. Truncated 4,5,6,7-tetrahydro-5-methylimidazo[4,5,1-*jk*][1,4]benzodiazepin-2(1*H*)-ones (TIBO) analogues. *Bioorg. Med. Chem.*, 7: 2427-2436 (1999).
1631. Pandeya, S.N., Sriram, D., Nath, G. & De Clercq, E. Synthesis, antibacterial, antifungal and anti-HIV evaluation of Schiff and Mannich bases of isatin derivatives with 3-amino-2-methylmercapto quinazolin-4(3*H*)-one. *Pharm. Acta Helvetiae*, 74: 11-17 (1999).
1632. Pandeya, S.N., Sriram, D., Nath, G. & De Clercq, E. Synthesis and antimicrobial activity of Schiff and Mannich bases of isatin and its derivatives with pyrimidine. *Il Farmaco*, 54: 624-628 (1999).
1633. De Clercq, E. The emerging role of fusion inhibitors in HIV infection. *Drugs in R&D*, 2: 321-331 (1999).
1634. Lhassani, M., Chavignon, O., Chezal, J.-M., Teulade, J.-C., Chapat, J.-P., Snoeck, R., Andrei, G., Balzarini, J., De Clercq, E. & Gueiffier, A. Synthesis and antiviral activity of imidazo[1,2-*a*]pyridines. *Eur. J. Med. Chem.*, 34: 271-274 (1999).
1635. Snoeck, R., Andrei, G. & De Clercq, E. Current pharmacological approaches to the therapy of varicella-zoster virus infections: a guide to treatment. *Drugs*, 57: 187-206 (1999).
1636. Vandamme, A.-M., Van Laethem, K. & De Clercq, E. Managing resistance to anti-HIV drugs. An important consideration for effective disease management. *Drugs*, 57: 337-361 (1999).

1637. Vandamme, A.-M., Witvrouw, M., Pannecouque, C., Balzarini, J., Van Laethem, K., Schmit, J.-C., Desmyter, J. & De Clercq, E.
Evaluating clinical isolates for their phenotypic and genotypic resistance against anti-HIV drugs.
In: "Methods in Molecular Medicine: Antiviral Methods and Protocols", vol. 24. D. Kinchington & R.F. Schinazi (eds.). Humana Press Inc., Totowa, NJ, USA, pp. 223-258 (2000).
1638. Snoeck, R., Noel, J.C., Muller, C., De Clercq, E. & Bossens, M.
Cidofovir, a new approach for the treatment of cervix intraepithelial neoplasia grade III (CIN III).
J. Med. Virol., 60: 205-209 (2000).
1639. Daelemans, D., Schols, D., Witvrouw, M., Pannecouque, C., Hatse, S., Van Dooren, S., Hamy, F., Klimkait, T., De Clercq, E. & Vandamme, A.-M.
A second target for the peptoid Tat/transactivation response element inhibitor CGP64222: inhibition of human immunodeficiency virus replication by blocking CXC-chemokine receptor 4-mediated virus entry.
Mol. Pharmacol., 57: 116-124 (2000).
1640. Schramm, B., Penn, M.L., Speck, R.F., Chan, S.Y., De Clercq, E., Schols, D., Connor, R.I. & Goldsmith, M.A.
Viral entry through CXCR4 is a pathogenic factor and therapeutic target in human immunodeficiency virus type 1 disease.
J. Virol., 74: 184-192 (2000).
1641. Blanco, J., Barretina, J., Henson, G., Bridger, G., De Clercq, E., Clotet, B. & Esté, J.A.
The CXCR4 antagonist AMD3100 efficiently inhibits cell-surface-expressed human immunodeficiency virus type 1 envelope-induced apoptosis.
Antimicrob. Agents Chemother., 44: 51-56 (2000).
1642. Aquaro, S., Wedgwood, O., Yarnold, C., Cahard, D., Pathinara, R., McGuigan, C., Calio, R., De Clercq, E., Balzarini, J. & Perno, C.F.
Activities of masked 2'-3'-dideoxynucleoside monophosphate derivatives against human immunodeficiency virus in resting macrophages.
Antimicrob. Agents Chemother., 44: 173-177 (2000).
1643. Chamorro, C., De Clercq, E., Balzarini, J., Camarasa, M.-J. & San-Félix, A.
TSAO-T analogues bearing amino acids at position N-3 of thymine: synthesis and anti-human immunodeficiency virus activity.
Antiviral Chem. Chemother., 11: 61-69 (2000).
1644. Jashés, M., Mlynarz, G., De Clercq, E. & Sandino, A.M.
Inhibitory effects of of EICAR on infectious pancreatic necrosis virus replication.
Antiviral Res., 45: 9-17 (2000).
1645. Casimiro-Garcia, A., De Clercq, E., Pannecouque, C., Witvrouw, M., Stup, T.L., Turpin, J.A., Buckheit, R.W. Jr. & Cushman, M.
Synthesis and anti-HIV activity of cosalane analogues incorporating nitrogen in the linker chain.
Bioorg. Med. Chem., 8: 191-200 (2000).

1646. Andrei, G., Snoeck, R., De Clercq, E., Esnouf, R., Fiten, P. & Opdenakker, G.
Resistance of herpes simplex virus type 1 against different phosphonylmethoxyalkyl derivatives of purines and pyrimidines due to specific mutations in the viral DNA polymerase gene.
J. Gen. Virol., 81: 639-648 (2000).
1647. Zhang, Y., Hatse, S., De Clercq, E. & Schols, D.
CXC-chemokine receptor 4 is not a coreceptor for human herpesvirus type 7 entry into CD4⁺ T cells.
J. Virol., 74: 2011-2016 (2000).
1648. Jing, N., De Clercq, E., Rando, R.F., Pallansch, L., Lackman-Smith, C., Lee, S. & Hogan, M.E.
Stability-activity relationships of a family of G-tetrad forming oligonucleotides as potent HIV inhibitors.
J. Biol. Chem., 275: 3421-3430 (2000).
1649. Wang, J., Froeyen, M., Hendrix, C., Andrei, G., Snoeck, R., De Clercq, E. & Herdewijn, P.
The cyclohexene ring system as a furanose mimic: synthesis and antiviral activity of both enantiomers of cyclohexenylguanine.
J. Med. Chem., 43: 736-745 (2000).
1650. Teran, C., Santana, L., Teijeira, M., Uriarte, E. & De Clercq, E.
Design, synthesis, conformational analysis and biological activities of purine-based 1,2-di-substituted carbocyclic nucleosides.
Chem. Pharm. Bull. 48: 293-295 (2000).
1651. Van Laethem, K., Witvrouw, M., Balzarini, J., Schmit, J.-C., Sprecher, S., Hermans, P., Leal, M., Harrer, T., Ruiz, L., Clotet, B., Van Ranst, M., Desmyter, J., De Clercq, E. & Vandamme, A.-M.
Patient HIV-1 strains carrying the multiple nucleoside resistance mutations are cross-resistant to abacavir.
AIDS, 14: 469-471 (2000).
1652. Jonckheere, H., Anné, J. & De Clercq, E.
The HIV-1 reverse transcription (RT) process as target for RT inhibitors.
Med. Res. Rev., 20: 129-154 (2000).
1653. Witvrouw, M., Weigold, H., Pannecouque, C., Schols, D., De Clercq, E. & Holan, G.
Potent anti-HIV (type 1 and type 2) activity of polyoxometalates: structure-activity-relationship and mechanism of action.
J. Med. Chem., 43: 778-783 (2000).
1654. Esteban-Gamboa, A., Balzarini, J., Esnouf, R., De Clercq, E., Camarasa, M.-J. & Pérez-Pérez, M.-J.
Design, synthesis and enzymatic evaluation of multisubstrate analogue inhibitors of *Escherichia coli* thymidine phosphorylase.
J. Med. Chem., 43: 971-983 (2000).
1655. Leyssen, P., De Clercq, E. & Neyts, J.
Perspectives for the treatment of infections with *Flaviviridae*.
Clin. Microbiol. Rev., 13: 67-82 (2000).

1656. Wnuk, S.F., Valdez, C.A., Khan, J., Moutinho, P., Robins, M.J., Yang, X., Borchardt, R.T., Balzarini, J. & De Clercq, E.
Doubly homologated dihalovinyl and acetylene analogues of adenosine. Synthesis, interaction with S-adenosyl-L-homocysteine hydrolase, and antiviral and cytostatic effects.
J. Med. Chem., 43: 1180-1186 (2000).
1657. Neyts, J., Kristmundsdóttir, T., De Clercq, E. & Thormar, H.
Hydrogels containing monocaprin prevent intravaginal and intracutaneous infections with HSV-2 in mice: impact on the search for vaginal microbicides.
J. Med. Virol., 61: 107-110 (2000).
1658. Tronchet, J.M.J., Kovacs, I., Seman, M., Dilda, P., De Clercq, E. & Balzarini, J.
Highly stereoselective synthesis and biological properties of nucleoside analogues bearing a spiro inserted oxirane ring.
Nucleosides, Nucleotides & Nucleic Acids, 19: 775-794 (2000).
1659. Balzarini, J., Degève, B., Hatse, S., De Clercq, E., Breuer, M., Johansson, M., Huybrechts, R. & Karlsson, A.
The multifunctional deoxynucleoside kinase of insect cells is a target for the development of new insecticides.
Mol. Pharmacol., 57: 811-819 (2000).
1660. Ying, C., De Clercq, E. & Neyts, J.
Lamivudine, adefovir and tenofovir exhibit long-lasting anti-hepatitis B virus activity in cell culture.
J. Viral Hepatitis, 7: 79-83 (2000).
1661. Ying, C., De Clercq, E., Nicholson, W., Furman, P. & Neyts, J.
Inhibition of the replication of the DNA polymerase M550V mutation variant of human hepatitis B virus by adefovir, tenofovir, L-FMAU, DAPD, penciclovir and lobucavir.
J. Viral Hepatitis, 7: 161-165 (2000).
1662. Balzarini, J., De Clercq, E., Carbonez, A., Burt, V. & Kleim, J.-P.
Long-term exposure of HIV type 1-infected cell cultures to combinations of the novel quinoxaline GW420867X with lamivudine, abacavir, and a variety of nonnucleoside reverse transcriptase inhibitors.
AIDS Res. Hum. Retrovir., 16: 517-528 (2000).
1663. Van Vaerenbergh, K., Van Laethem, K., Van Wijngaerden, E., Schmit, J.-C., Schneider, F., Ruiz, L., Clotet, B., Verhofstede, C., Van Wanzele, F., Muyldermans, G., Simons, P., Stuyver, L., Hermans, P., Evans, C., De Clercq, E., Desmyter, J. & Vandamme, A.-M.
Baseline HIV type 1 genotypic resistance to a newly added nucleoside analog is predictive of virologic failure of the new therapy.
AIDS Res. Hum. Retrovir., 16: 529-537 (2000).
1664. Andrei, G., Snoeck, R., Neyts, J., Sandvold, M.L., Myhren, F. & De Clercq, E.
Antiviral activity of ganciclovir elaidic acid ester against herpesviruses
Antiviral Res., 45: 157-167 (2000).

1665. Pierra, C., Imbach, J.-L., De Clercq, E., Balzarini, J., Van Aerschot, A., Herdewijn, P., Faraj, A., Loi, A.G., Sommadossi, J.-P. & Gosselin, G.
Synthesis and antiviral evaluation of some β -L-2',3'-dideoxy-5-chloropyrimidine nucleosides and pronucleotides.
Antiviral Res., 45: 169-183 (2000).
1666. McGuigan, C., Bidois, L., Hiouni, A., Ballatore, C., De Clercq, E. & Balzarini, J.
Phosphoramidate derivatives of stavudine as inhibitors of HIV: unnatural amino acids may substitute for alanine.
Antiviral Chem. Chemother., 11: 111-116 (2000).
1667. De Clercq, E.
Inhibition of HIV infection by bicyclams, highly potent and specific CXCR4 antagonists. Minireview.
Mol. Pharmacol., 57: 833-839 (2000).
1668. Pelemans, H., Esnouf, R., De Clercq, E. & Balzarini, J.
Mutational analysis of Trp-229 of human immunodeficiency virus type 1 reverse transcriptase (RT) identifies this amino acid residue as a prime target for the rational design of new non-nucleoside RT inhibitors.
Mol. Pharmacol., 57: 954-960 (2000).
1669. Wyde, P.R., Moore-Poveda, D.K., De Clercq, E., Neyts, J., Matsuda, A., Guzman, E. & Gilbert, B.E.
Use of cotton rats to evaluate the efficacy of antivirals in treatment of measles virus infections.
Antimicrob. Agents Chemother., 44: 1146-1152 (2000).
1670. De Clercq, E.
Identification of the real molecular target for HIV inhibitors (Letter).
Trends Pharmacol. Sci., 21: 167-168 (2000).
1671. De Clercq, E.
The molecular targets for HIV inhibitors (Pointer).
Int. Antiviral News, 8: 53-56 (2000).
1672. Estrada, E., Uriarte, E., Montero, A., Teijeira, M., Santana, L. & De Clercq, E.
A novel approach for the virtual screening and rational design of anticancer compounds.
J. Med. Chem., 43: 1975-1985 (2000).
1673. Witvrouw, M., Pannecouque, C., Desmyter, J., De Clercq, E. & Andries, K.
In vitro evaluation of the effect of temporary removal of HIV drug pressure.
Antiviral Res., 46: 215-221 (2000).
1674. De Clercq, E.
CXCR4 and CCR5 chemokine receptor antagonists as anti-HIV agents, with special emphasis on the CXCR4 antagonist AMD-3100.
Curr. Opin. Anti-Infect. Invest. Drugs, 2: 226-236 (2000).

1675. Liu, Q.-H., Williams, D.A., McManus, C., Baribaud, F., Doms, R.W., Schols, D., De Clercq, E., Kotlikoff, M.I., Collman, R.G. & Freedman, B.D.
HIV-1 gp120 and chemokines activate ion channels in primary macrophages through CCR5 and CXCR4 stimulation.
Proc. Natl. Acad. Sci. USA, 97: 4832-4837 (2000).
1676. Neyts, J., Andrei, G., Snoeck, R., Meerbach, A. & De Clercq, E.
Methods in Anti-HCMV research.
In: "Methods in Molecular Medicine", vol. 33. "Cytomegalovirus Protocols", J. Sinclair (ed.). Humana Press, Totowa, N.J., pp. 129-152 (2000).
1677. Jonckheere, H., De Clercq, E. & Anné, J.
Fidelity analysis of HIV-1 reverse transcriptase mutants with an altered amino-acid sequence at residues Leu74, Glu89, Tyr115, Tyr183 and Met184
Eur. J. Biochem., 267: 2658-2665 (2000).
1678. Van Laethem, K., Schmit, J.-C., Pelemans, H., Balzarini, J., Witvrouw, M., Pérez-Pérez, M.J., Camarasa, M.-J., Esnouf, R.M., Aquaro, S., Cenci, A., Perno, C.-F., Hermans, P., Sprecher, S., Ruiz, L., Clotet, B., Van Wijngaerden, E., Van Ranst, M., Desmyter, J., De Clercq, E. & Vandamme, A.-M.
Presence of 2',5'-bis-*O*-(*tert*-butyldimethylsilyl)-3'-spiro-5''-(4''-amino-1'',2''-oxathiole-2'',2''-dioxide) (TSAO)-resistant virus strains in TSAO-inexperienced patients.
AIDS Res. Hum. Retrovir., 16: 825-833 (2000).
1679. Pandeya, S.N., Sriram, D., Nath, G. & De Clercq, E.
Synthesis, antibacterial, antifungal and anti-HIV evaluation of Schiff and Mannich bases of isatin and its derivatives with triazole.
Arzneim.-Forsch./Drug Res., 50: 55-59 (2000).
1680. Martinez, A., Esteban, A.I., Castro, A., Gil, C., Conde, S., Andrei, G., Snoeck, R., Balzarini, J. & De Clercq, E.
Thienothiadiazine 2,2-dioxide acyclonucleosides: synthesis and antiviral activity.
Antiviral Chem. Chemother., 11: 221-230 (2000).
1681. Wijnholds, J., Mol, C.A.A.M., van Deemter, L., de Haas, M., Scheffer, G.L., Baas, F., Beijnen, J.H., Scheper, R.J., Hatse, S., De Clercq, E., Balzarini, J. & Borst, P.
Multidrug-resistance protein 5 is a multispecific organic anion transporter able to transport nucleotide analogues.
Proc. Natl. Acad. Sci. USA, 97: 7476-7481 (2000).
1682. De Clercq, E.
A crusade for drugs to conquer viruses.
In: "Many Faces, Many Microbes: Personal Reflections in Microbiology", R.M. Atlas (ed.). ASM Press, Washington DC, pp. 164-170 (2000).
1683. Lazarini, F., Casanova, P., Tham, T.N., De Clercq, E., Arenzana-Seisdedos, F., Baleux, F. & Dubois-Dalcq, M.
Differential signalling of the chemokine receptor CXCR4 by stromal cell-derived factor 1 and the HIV glycoprotein in rat neurons and astrocytes.
Eur. J. Neurosci., 12: 117-125 (2000).

1684. Brancale, A., McGuigan, C., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Bicyclic nucleoside inhibitors of varicella-zoster virus (VZV): the effect of a terminal halogen substitution in the side chain.
Bioorg. Med. Chem. Lett., 10: 1215-1217 (2000).
1685. Al-Masoudi, N.A., Al-Soud, Y.A., Eherman, M. & De Clercq, E.
Synthesis of acyclic 6,7-dihaloquinolone nucleoside analogues as potential antibacterial and antiviral agents.
Bioorg. Med. Chem., 8: 1407-1413 (2000).
1686. Van Vaerenbergh, K., Van Laethem, K., Albert, J., Boucher, C., Clotet, B., Florida, M., Gerstoft, J., Hejdeman, B., Nielsen, C., Pannecouque, C., Perrin, L., Pirillo, M.F., Ruiz, L., Schmit, J.C., Schneider, F., Schoolmeester, A., Schuurman, R., Stellbrink, H.J., Stuyver, L., Van Lunzen, J., Van Remoortel, B., Van Wijngaerden, E., Vella, S., Witvrouw, M., Yerly, S., De Clercq, E., Desmyter, J. & Vandamme, A.-M.
Prevalence and characteristics of multinucleoside-resistant human immunodeficiency virus type 1 among European patients receiving combinations of nucleoside analogues.
Antimicrob. Agents Chemother., 44: 2109-2117 (2000).
1687. Cherepanov, P., Pluymers, W., Claeys, A., Proost, P., De Clercq, E. & Debysers, Z.
High-level expression of active HIV-1 integrase from a synthetic gene in human cells.
FASEB J., 14: 1389-1399 (2000).
1688. Annaert, P., Tukker, J.J., Van Gelder, J., Naesens, L., De Clercq, E., Van den Mooter, G., Kinget, R. & Augustijns, P.
In vitro, *ex vivo*, and *in situ* intestinal absorption characteristics of the antiviral ester prodrug adefovir dipivoxil.
J. Pharm. Res., 89: 1054-1062 (2000).
1689. Siddiqui, A., McGuigan, C., Ballatore, C., Srinivasan, S., De Clercq, E. & Balzarini, J.
Enhancing the aqueous solubility of d4T-based phosphoramidate prodrugs.
Bioorg. Med. Chem. Lett., 10: 381-384 (2000).
1690. Wirsching, J., Voss, J., Balzarini, J. & De Clercq, E.
Thiosugars. Part 5: synthesis and biological activity of 1-(4-thio-L-arabinofuranosyl)-5-halopyrimidine nucleosides.
Bioorg. Med. Chem. Lett., 10: 1339-1341 (2000).
1691. Snoeck, R., Andrei, G. & De Clercq, E.
Novel agents for the therapy of varicella-zoster virus infections.
Exp. Opin. Invest. Drugs, 9: 1743-1751 (2000).
1692. De Clercq, E.
Structures et activités des inhibiteurs non-nucléosidiques de la transcriptase inverse du VIH (INNTI).
Méd. Mal. Infect., 30: 421-430 (2000).
1693. De Clercq, E.
Reverse transcriptase inhibitors as anti-HIV drugs.
In: "Antivirals against AIDS", R.E. Unger, J. Kreuter & H. Rübsamen-Waigmann (eds.). Marcel Dekker, New York, pp. 107-150 (2000).

1694. De Clercq, E.
Novel compounds in preclinical/early clinical development for the treatment of HIV infections.
Rev. Med. Virol., 10: 255-277 (2000).
1695. De Clercq, E.
Current lead natural products for the chemotherapy of human immunodeficiency virus (HIV) infection.
Med. Res. Rev., 20: 323-349 (2000).
1696. Balayiannis, G., Karigiannis, G., Gatos, P., Papaioannou, D. & De Clercq, E.
Total syntheses of novel dideoxynucleoside analogues using chiral amino acids.
Tetrahedron Lett., 41: 6191-6194 (2000).
1697. Martinez, A., Gil, C., Perez, C., Castro, A., Prieto, C., Otero, J., Andrei, G., Snoeck, R., Balzarini, J. & De Clercq, E.
Nonnucleoside human cytomegalovirus inhibitors: synthesis and antiviral evaluation of (chlorophenylmethyl)benzothiadiazine dioxide derivatives.
J. Med. Chem., 43: 3267-3273 (2000).
1698. Camarasa, M.J., San-Félix, A., Pérez-Pérez, M., Velázquez, S., Alvarez, R., Chamorro, C., Jimeno, M.L., Pérez, C., Gago, F., De Clercq, E. & Balzarini, J.
HIV-1 specific reverse transcriptase inhibitors: why are TSAO-nucleosides so unique?
J. Carbohydrate Chem., 19: 451-469 (2000).
1699. San-Félix, A., Chamorro, C., Pérez-Pérez, M.J., Velázquez, S., De Clercq, E., Balzarini, J. & Camarasa, M.J.
Synthesis of novel 5'-substituted TSAO-T analogues with anti-HIV-1 activity.
J. Carbohydrate Chem., 19: 635-640 (2000).
1700. Pluymers, W., Neamati, N., Pannecouque, C., Fikkert, V., Marchand, C., Burke, T.R. Jr., Pommier, Y., Schols, D., De Clercq, E., Debyser, Z. & Witvrouw, M.
Viral entry as the primary target for the anti-HIV activity of chicoric acid and its tetra-acetyl esters.
Mol. Pharmacol., 58: 641-648 (2000).
1701. Wang, Z.-X., Wiebe, L.I., De Clercq, E., Balzarini, J. & Knaus, E.E.
Syntheses of 4-[1-(2-deoxy- β -D-ribofuranosyl)]-derivatives of 2-substituted-5-fluoroaniline: "cytosine replacement" analogs of deoxycytidine for evaluation as anticancer and antihuman immunodeficiency virus (anti-HIV) agents.
Can. J. Chem., 78: 1081-1088 (2000).
1702. Balzarini, J., Zhu, C., De Clercq, E., Pérez-Pérez, M.-J., Chamorro, C., Camarasa, M.-J. & Karlsson, A.
Novel ribofuranosyl nucleoside lead compounds for potent and selective inhibitors of mitochondrial thymidine kinase-2.
Biochem. J., 351: 167-171 (2000).
1703. Degève, B., De Clercq, E. & Balzarini, J.
Selection of HSV-1 TK gene-transfected murine mammary carcinoma cells resistant to (*E*)-5-(2-bromovinyl)-2'-deoxyuridine (BVDU) and ganciclovir (GCV).
Gene Therapy, 7: 1543-1552 (2000).

1704. Dimmock, J.R., Kandepu, N.M., Nazarali, A.J., Motaganahalli, N.L., Kowalchuk, T.P., Pugazhenth, U., Prisciak, J.S., Quail, J.W., Allen, T.M., LeClerc, R., Santos, C.L., De Clercq, E. & Balzarini, J.
Sequential cytotoxicity: a theory evaluated using novel 2-[4-(3-aryl-2-propenoyloxy)-phenylmethylene]cyclohexanones and related compounds.
J. Med. Chem., 43: 3933-3940 (2000).
1705. Balzarini, J., Aquaro, S., Knispel, T., Rampazzo, C., Bianchi, V., Perno, C.-F., De Clercq, E. & Meier, C.
CycloSaligenyl-2',3'-didehydro-2',3'-dideoxythymidine monophosphate: efficient intracellular delivery of d4TMP.
Mol. Pharmacol., 58: 928-935 (2000).
1706. Witvrouw, M., Fikkert, V., Pluymers, W., Matthews, B., Mardel, K., Schols, D., Raff, J., Debyser, Z., De Clercq, E., Holan, G. & Pannecouque, C.
Polyanionic (i.e., polysulfonate) dendrimers can inhibit the replication of human immunodeficiency virus by interfering with both virus adsorption and later steps (reverse transcriptase/integrase) in the virus replicative cycle.
Mol. Pharmacol., 58: 1100-1108 (2000).
1707. Wang, Z.-X., Duan, W., Wiebe, L.I., De Clercq, E., Balzarini, J. & Knaus, E.E.
Synthesis of 1-[(2-hydroxyethoxy)methyl]- and 1-[(1,3-dihydroxy-2-propoxy)methyl]-derivatives of 5-substituted-2,4-difluorobenzene: unnatural acyclo thymidine mimics for evaluation as anticancer and antiviral agents.
Nucleosides, Nucleotides & Nucleic Acids, 19: 1397-1411 (2000).
1708. Paul, G.C., De Clercq, E., Pannecouque, C., Witvrouw, M., Loftus, T.L., Turpin, J.A., Buckheit Jr., R.W. & Cushman, M.
Identification of optimal anion spacing for anti-HIV activity in a series of cosalane tetracarboxylates.
Bioorg. Med. Chem. Lett., 10: 2149-2152 (2000).
1709. Andrei, G., Snoeck, R. & De Clercq, E.
Activity of D- and L-enantiomers of cyclohexenyl guanine against herpesviruses (Pointer).
Int. Antiviral News, 8: 141-145 (2000).
1710. Baekelandt, V., Claeys, A., Cherepanov, P., De Clercq, E., De Strooper, B., Nuttin, B. & Debyser, Z.
DNA-dependent protein kinase is not required for efficient lentivirus integration.
J. Virol., 74: 11278-11285 (2000).
1711. Degrève, B., Esnouf, R., De Clercq, E. & Balzarini, J.
Selective abolishment of pyrimidine nucleoside kinase activity of herpes simplex virus type 1 thymidine kinase by mutation of Alanine-167 to Tyrosine.
Mol. Pharmacol., 58: 1326-1332 (2000).
1712. Ying, C., De Clercq, E. & Neyts, J.
Ribavirin and mycophenolic acid potentiate the activity of guanine- and diaminopurine-based nucleoside analogues against hepatitis B virus.
Antiviral Res., 48: 117-123 (2000).

1713. Moya, J., Pizarro, H., Jashés, M., De Clercq, E. & Sandino, A.M.
In vivo effect of EICAR (5-ethynyl-1- β -ribofuranosylimidazole-carboxamide) on experimental infected rainbow trout (*Oncorhynchus mykiss*) and coho salmon (*Oncorhynchus kisutch*) fry with infectious pancreatic necrosis virus.
Antiviral Res., 48: 125-130 (2000).
1714. McGuigan, C., Pathirana, R.N., Jones, G., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Anti-varicella-zoster virus bicyclic nucleosides: replacement of furo by pyrro base reduces antiviral potency.
Antiviral Chem. Chemother., 11: 343-348 (2000).
1715. Santhosh, K.C., De Clercq, E., Pannecouque, C., Witvrouw, M., Loftus, T.L., Turpin, J.A., Buckheit Jr., R.W. & Cushman, M.
Anti-HIV activity of a series of cosalane amino acid conjugates.
Bioorg. Med. Chem. Lett., 10: 2505-2508 (2000).
1716. Raic-Malic, S., Svedruzic, D., Gazivoda, T., Marunovic, A., Hergold-Brundic, A., Nagl, A., Balzarini, J., De Clercq, E. & Mintas, M.
Synthesis and antitumor activities of novel pyrimidine derivatives of 2,3-*O,O*-dibenzyl-6-deoxy-*L*-ascorbic acid and 4,5-didehydro-5,6-dideoxy-*L*-ascorbic acid.
J. Med. Chem., 43: 4806-4811 (2000).
1717. Wang, Z.-X., Wiebe, L.I., Balzarini, J., De Clercq, E. & Knaus, E.E.
Chiral synthesis of 4-[1-(2-deoxy- β -*L*-ribofuranosyl)] derivatives of 2-substituted 5-fluoroaniline: "cytosine replacement" analogues of deoxy- β -*L*-cytidine.
J. Org. Chem., 65: 9214-9219 (2000).
1718. Van Gelder, J., Deferme, S., Annaert, P., Naesens, L., De Clercq, E., Van den Mooter, G., Kinget, R. & Augustijns, P.
Increased absorption of the antiviral ester prodrug tenofovir disoproxil in rat ileum by inhibiting its intestinal metabolism.
Drug Metabolism & Disposition, 28: 1394-1396 (2000).
1719. Péloponèse Jr., J.-M., Grégoire, C., Opi, S., Esquieu, D., Sturgis, J., Lebrun, E., Meurs, E., Collette, Y., Olive, D., Aubertin, A.-M., Witvrouw, M., Pannecouque, C., De Clercq, E., Bailly, C., Lebreton, J. & Loret, E.P.
 ^1H - ^{13}C nuclear magnetic resonance assignment and structural characterization of HIV-1 Tat protein.
C.R. Acad. Sci. Paris, Life Sci., 323: 883-894 (2000).
1720. Manolopoulos, V.G., Liekens, S., Koolwijk, P., Voets, T., Peters, E., Droogmans, G., Lelkes, P.I., De Clercq, E. & Nilius, B.
Inhibition of angiogenesis by blockers of volume-regulated anion channels
Gen. Pharmacol., 34: 107-116 (2000).
1721. McGuigan, C., Barucki, H., Blewett, S., Carangio, A., Erichsen, J.T., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Highly potent and selective inhibition of varicella-zoster virus by bicyclic furopyrimidine nucleosides bearing an aryl side-chain.
J. Med. Chem., 43: 4993-4997 (2000).

1722. De Clercq, E.
Guanosine analogues as anti-herpesvirus agents.
Nucleosides, Nucleotides & Nucleic Acids, 19: 1531-1541 (2000).
1723. Van Gelder, J., Shafiee, M., De Clercq, E., Penninckx, F., Van den Mooter, G., Kinget, R. & Augustijns, P.
Species-dependent and site-specific intestinal metabolism of ester prodrugs.
Int. J. Pharmaceutics, 205: 93-100 (2000).
1724. Pandeya, S., Sriram, D., Nath, G. & De Clercq, E.
Synthesis, antibacterial, antifungal and anti-HIV activities of norfloxacin Mannich bases.
Eur. J. Med. Chem. 35: 249-255 (2000).
1725. Dimmock, J.R., Kumar, P., Nazarali, A.J., Motaganahalli, N.L., Kowalchuk, T.P., Beazely, M.A., Quail, J.W., Oloo, E.O., Allen, T.M., Szydowski, J., De Clercq, E. & Balzarini, J.
Cytotoxic 2,6-bis(arylidene)cyclohexanones and related compounds.
Eur. J. Med. Chem., 35: 967-977 (2000).
1726. McGuigan, C., Brancale, A., Barucki, H., Srinivasan, S., Jones, G., Pathirana, R., Blewett, S., Alvarez, R., Yarnold, C.J., Carangio, A., Velázquez, S., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Fluorescent bicyclic furo pyrimidine deoxynucleoside analogs as potent and selective inhibitors of VZV and potential future drugs for the treatment of chickenpox and shingles.
Drugs of the Future, 25: 1151-1161 (2000).
1727. Brancale, A., Srinivasan, S., McGuigan, C., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Synthesis and anti-varicella-zoster virus activity of some novel bicyclic nucleoside inhibitors: effect of enhanced aqueous solubility.
Antiviral Chem. Chemother., 11: 383-393 (2000).
1728. Verri, A., Focher, F., Duncombe, R.J., Basnak, I., Walker, R.T., Coe, P.L., De Clercq, E., Andrei, G., Snoeck, J., Balzarini, J. & Spadari, S.
Anti-(herpes simplex virus) activity of 4'-thio-2'-deoxyuridines: a biochemical investigation for viral and cellular target enzymes.
Biochem. J., 351: 319-326 (2000).
1729. Daelemans, D., De Clercq, E. & Vandamme, A.-M.
Control of RNA initiation and elongation at the HIV LTR promoter.
AIDS Rev., 2: 229-240 (2000).
1730. Balzarini, J., Degève, B., Esteban-Gamboa, A., Esnouf, R., De Clercq, E., Engelborghs, Y., Camarasa, M.-J. & Pérez-Pérez, M.-J.
Kinetic analysis of novel multisubstrate analogue inhibitors of thymidine phosphorylase.
FEBS Lett., 483: 181-185 (2000).
1731. Andrei, G., Fiten, P., De Clercq, E., Snoeck, R. & Opendakker, G.
Monitoring drug resistance for herpesviruses.
In: "Methods in Molecular Medicine: Antiviral Methods and Protocols", vol. 24. D. Kinchington & R.F. Schinazi (eds.). Humana Press Inc., Totowa, NJ, USA, pp. 151-169 (2000).
1732. Naesens, L., De Bolle, L. & De Clercq, E.

- Antiviral activity of antiherpetic drugs in lymphoblast cells infected with human herpesvirus 6.
 Proceedings of the European Charcot Foundation Symposium on "Genes and Viruses in Multiple Sclerosis", Venice, Italy, 28-30 October 1999. O.R. Hommes, H. Wekerle & M. Clanet (Eds.). Elsevier Science, pp. 241-250 (2001).
1733. Neyts, J. & De Clercq, E.
 Efficacy of 2-amino-7-(1,3-dihydroxy-2-propoxymethyl)purine for the treatment of vaccinia virus (orthopoxvirus) infections in mice.
Antimicrob. Agents Chemother., 45: 84-87 (2001).
1734. Liekens, S., De Clercq, E. & Neyts, J.
 Angiogenesis: regulators and clinical applications (Commentary).
Biochem. Pharmacol., 61: 253-270 (2001).
1735. Goebel, F.-D., Hemmer, R., Schmit, J.-C., Bogner, J.R., De Clercq, E., Witvrouw, M., Pannecouque, C., Valeyev, R., Vandeveld, M., Margery, H. & Tassignon, J.-P.
 Phase I/II dose escalation and randomized withdrawal study with add-on azodicarbo-
 namide in patients failing on current antiretroviral therapy.
AIDS, 15: 33-45 (2001).
1736. Leyssen, P., Van Lommel, A., Drosten, C., Schmitz, H., De Clercq, E. & Neyts, J.
 A novel model for the study of the therapy of flavivirus infections using the Modoc virus.
Virology, 279: 27-37 (2001).
1737. Van Valckenborgh, I., Wellens, W., De Boeck, K., Snoeck, R., De Clercq, E. & Feenstra, F.
 Systemic cidofovir in papillomatosis.
Clin. Infect. Dis., 32: e62-e64 (2001).
1738. Degève, B., Esnouf, R., De Clercq, E. & Balzarini, J.
 Mutation of 125 Gln to Asn selectively abolishes the thymidylate kinase activity of herpes
 simplex virus type 1 thymidine kinase.
Mol. Pharmacol., 59: 285-293 (2001).
1739. Srinivasan, S., McGuigan, C., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
 Bicyclic nucleoside inhibitors of varicella-zoster virus (VZV): the effect of terminal
 unsaturation in the side chain.
Bioorg. Med. Chem. Lett., 11: 391-393 (2001).
1740. Pelemans, H., Aerts, A., Van Laethem, K., Vandamme, A.-M., De Clercq, E., Pérez-
 Pérez, M.-J., San-Félix, A., Velázquez, S., Camarasa, M.-J. & Balzarini, J.
 Site-directed mutagenesis of human immunodeficiency virus type 1 reverse transcriptase
 at amino acid position 138.
Virology, 280: 97-106 (2001).
1741. Dimmock, J.R., Padmanilayam, M.P., Puthucode, R.N., Nazarali, A.J., Motaganahalli,
 N.L., Zello, G.A., Quail, J.W., Oloo, E.O., Kraatz, H.-B., Prisciak, J.S., Allen, T.M.,
 Santos, C.L., Balzarini, J., De Clercq, E. & Manavathu.
 A conformational and structure-activity relationship study of cytotoxic 3,5-bis(arylidene)-
 4-piperidones and related *N*-acryloyl analogs.
J. Med. Chem., 44: 586-593 (2001).
1742. Neyts, J., Naesens, L., Ying, C., De Bolle, L. & De Clercq, E.

- Anti-herpesvirus activity of (1'S,2'R)-9-[[1',2'-bis(hydroxymethyl)-cycloprop-1'-yl]methyl]guanine (A-5021) *in vitro* and *in vivo*.
Antiviral Res., 49: 115-120 (2001).
1743. Neyts, J. & De Clercq, E.
The anti-herpesvirus activity of (1'S,2'R)-9-[[1',2'-bis(hydroxymethyl)cycloprop-1'-yl]methyl]guanine is markedly potentiated by the immunosuppressive agent mycophenolate mofetil.
Antiviral Res., 49: 121-127 (2001).
1744. Santhosh, K.C., Paul, G.C., De Clercq, E., Pannecouque, C., Witvrouw, M., Loftus, T.L., Turpin, J.A., Buckheit Jr., R.W. & Cushman, M.
Correlation of anti-HIV activity with anion spacing in a series of cosalane analogs with extended polycarboxylate pharmacophores.
J. Med. Chem., 44: 703-714 (2001).
1745. Vlieghe, P., Bihel, F., Clerc, T., Pannecouque, C., Witvrouw, M., De Clercq, E., Salles, J.-P., Chermann, J.-C. & Kraus, J.-L.
New 3'-azido-3'-deoxythymidin-5'-yl O-(ω -hydroxyalkyl) carbonate prodrugs: synthesis and anti-HIV evaluation.
J. Med. Chem., 44: 777-786 (2001).
1746. Varvaresou, A., Iakovou, K., Fillipatos, E., Souli, C., Calogeropoulou, T., Ioannidou, I., Kourounakis, A.P., Pannecouque, C., Witvrouw, M., Padalko, E., Neyts, J., De Clercq, E. & Tsotinis, A.
Synthesis, antiretroviral and antioxidant evaluation of a series of new benzo[b]furan derivatives.
Arzneim.-Forsch./Drug Res., 51: 156-162 (2001).
1747. Wang, Z.-X., Duan, W., Wiebe, L.I., Balzarini, J., De Clercq, E. & Knaus, E.E.
Synthesis of 1-(2-deoxy- β -D-ribofuranosyl)-2,4-difluoro-5-substituted-benzene thymidine mimics, some related α -anomers, and their evaluation as antiviral and anticancer agents.
Nucleosides, Nucleotides & Nucleic Acids, 20: 11-40 (2001).
1748. Wang, Z.-X., Duan, W., Wiebe, L.I., Balzarini, J., De Clercq, E. & Knaus, E.E.
Synthesis of 1-(2-deoxy- β -D-ribofuranosyl)-2,4-difluoro-5-substituted-benzenes: "thymine replacement" analogs of thymidine for evaluation as anticancer and antiviral agents.
Nucleosides, Nucleotides & Nucleic Acids, 20: 41-58 (2001).
1749. Liekens, S., Verbeken, E., De Clercq, E. & Neyts, J.
Potent inhibition of hemangiosarcoma development in mice by cidofovir.
Int. J. Cancer, 92: 161-167 (2001).
1750. Balzarini, J., Degrève, B., Zhu, C., Durini, E., Porcu, L., De Clercq, E., Karlsson, A. & Manfredini, S.
2'-O-Acyl/alkyl-substituted arabinosyl nucleosides as inhibitors of human mitochondrial thymidine kinase.
Biochem. Pharmacol., 61: 727-732 (2001).

1751. Asres, K., Bucar, F., Kartnig, T., Witvrouw, M., Pannecouque, C. & De Clercq, E.
Antiviral activity against human immunodeficiency virus type-1 (HIV-1) and type 2 (HIV-2) of ethnobotanically selected Ethiopian medicinal plants.
Phytother. Res., 15: 62-69 (2001).
1752. Schols, D. & De Clercq, E.
Cellular receptors as targets for anti-human immunodeficiency virus agents.
In: "Antiretroviral Therapy". E. De Clercq (ed.). American Society for Microbiology, Washington DC, USA, pp. 11-30 (2001).
1753. Balzarini, J. & De Clercq, E.
Nucleoside and nucleotide reverse transcriptase inhibitors.
In: "Antiretroviral Therapy". E. De Clercq (ed.). American Society for Microbiology, Washington DC, USA, pp. 31-62 (2001).
1754. Balzarini, J., Esnouf, R. & De Clercq, E.
Nonnucleoside reverse transcriptase inhibitors.
In: "Antiretroviral Therapy". E. De Clercq (ed.). American Society for Microbiology, Washington DC, USA, pp. 63-85 (2001).
1755. Vandamme, A.-M. & De Clercq, E.
Clinical usefulness of human immunodeficiency virus drug resistance monitoring.
In: "Antiretroviral Therapy". E. De Clercq (ed.). American Society for Microbiology, Washington DC, USA, pp. 243-277 (2001).
1756. De Clercq, E.
Molecular targets for antiviral agents.
J. Pharmacol. Exp. Therapeutics, 297: 1-10 (2001).
1757. Ballatore, C., McGuigan, C., De Clercq, E. & Balzarini, J.
Synthesis and evaluation of novel amidate prodrugs of PMEPA and PMPA.
Bioorg. Med. Chem. Lett., 11: 1053-1056 (2001).
1758. Martin, R., Sterner, O., Alvarez, M., De Clercq, E., Minas, W. & Bailey, J.E.
Collinone, a new recombinant angular polyketide antibiotic made by an engineered *Streptomyces* strain.
J. Antibiotics, 54: 239-249 (2001).
1759. Aquaro, S., Menten, P., Struyf, S., Proost, P., Van Damme, J., De Clercq, E. & Schols, D.
The LD78 β isoform of MIP-1 α is the most potent CC-chemokine in inhibiting CCR5-dependent human immunodeficiency virus type 1 replication in human macrophages.
J. Virol., 75: 4402-4406 (2001).
1760. Malkevitch, N., McDermott, D.H., Yi, Y., Grivel, J.-C., Schols, D., De Clercq, E., Murphy, P.M., Glushakova, S., Collman, R.G. & Margolis, L.
Coreceptor choice and T cell depletion by R5, X4 and R5X4 HIV-1 variants in CCR5-deficient (CCR5 Δ 32) and normal human lymphoid tissue.
Virology, 281: 239-247 (2001).
1761. De Clercq, E.
New development in anti-HIV chemotherapy.
Proceedings of the XVI International Symposium on Medicinal Chemistry, Bologna, Italy, 18-22 September 2000.
Pure Appl. Chem., 73: 55-66 (2001).
Il Farmaco, 56: 3-12 (2001).

1762. Van Laethem, K., Witvrouw, M., Pannecouque, C., Van Remoortel, B., Schmit, J.-C., Esnouf, R., Kleim, J.-P., Balzarini, J., Desmyter, J., De Clercq, E. & Vandamme, A.-M. Mutations in the non-nucleoside binding-pocket interfere with the multi-nucleoside resistance phenotype. *AIDS*, 15: 553-561 (2001).
1763. Mavromoustakos, T., Calogeropoulou, T., Koufaki, M., Kolocouris, A., Daliani, I., Demetzos, K., Meng, Z., Makriyannis, A., Balzarini, J. & De Clercq, E. Ether phospholipid-AZT conjugates possessing anti-HIV and antitumor cell activity. Synthesis, conformational analysis, and study of their thermal effects on membrane bilayers. *J. Med. Chem.*, 44: 1702-1709 (2001).
1764. Snoeck, R., Andrei, G. & De Clercq, E. Cidofovir in the treatment of HPV-associated lesions. *Verh. K. Acad. Geneesk. Belg.*, 63: 93-122 (2001).
1765. Manfredini, S., Baraldi, P.G., Durini, E., Porcu, L., Angusti, A., Vertuani, S., Solaroli, N., De Clercq, E., Karlsson, A. & Balzarini, J. Design, synthesis and enzymatic activity of highly selective human mitochondrial thymidine kinase inhibitors. *Bioorg. Med. Chem. Lett.*, 11: 1329-1332 (2001).
1766. Balzarini, J., Camarasa, M.-J., Pérez-Pérez, M.-J., San-Félix, A., Velázquez, S., Perno, C.-F., De Clercq, E., Anderson, J.N. & Karlsson, A. Exploitation of the low fidelity of human immunodeficiency virus type 1 (HIV-1) reverse transcriptase and the nucleotide composition bias in the HIV-1 genome to alter the drug-resistance development of HIV. *J. Virol.*, 75: 5772-5777 (2001).
1767. De Clercq, E. Vaccinia virus inhibitors as a paradigm for the chemotherapy of poxvirus infections. *Clin. Microbiol. Rev.*, 14: 382-397 (2001).
1768. De Clercq, E., Andrei, G. & Snoeck, R. Cidofovir voor de behandeling van papillomateuze letsels. *Tijdschr. Geneesk.*, 57: 695-702 (2001).
1769. Vashishtha, S.C., Allen, T.M., Halleran, S., Szydłowski, J., Santos, C.L., De Clercq, E., Balzarini, J. & Dimmock, J.R. Cytotoxic and anticancer properties of some 4-aryl-3-arylcarbonyl-1-ethyl-4-piperidinols and related compounds. *Die Pharmazie*, 56: 390-393 (2001).
1770. Hatse, S., Princen, K., Gerlach, L.-O., Bridger, G., Henson, G., De Clercq, E., Schwartz, T.W. & Schols, D. Mutation of Asp¹⁷¹ and Asp²⁶² of the chemokine receptor CXCR4 impairs its coreceptor function for human immunodeficiency virus-1 entry and abrogates the antagonistic activity of AMD3100. *Mol. Pharmacol.*, 60: 164-173 (2001)

1771. Van Vaerenbergh, K., Debaisieux, L., De Cabooter, N., Declercq, C., Desmet, K., Fransen, K., Maes, B., Marissens, D., Miller, K., Muyldermans, G., Sprecher, S., Stuyver, L., Vaira, D., Verhofstede, C., Zissis, G., Van Ranst, M., De Clercq, E., Desmyter, J. & Vandamme, A.-M.
Prevalence of genotypic resistance among antiretroviral drug-naïve HIV-1-infected patients in Belgium.
Antiviral Therapy, 6: 63-70 (2001).
1772. Koonsaeng, S., Verschraegen, C., Freedman, R., Bossens, M., Kudelka, A., Kavanagh, J., Suttisomwong, T., De Clercq, E. & Snoeck, R.
Successful treatment of recurrent vulvar intraepithelial neoplasia resistant to interferon and isotretinoin with cidofovir.
J. Med. Virol., 64: 195-198 (2001).
1773. Wirschung, J., Voss, J., Adiwidjaja, G., Balzarini, J. & De Clercq, E.
Thiosugars. Part 9: Synthesis and biological evaluation of some 4'-thio-L-arabino nucleoside analogues.
Bioorg. Med. Chem. Lett., 11: 1049-1051 (2001).
1774. Mavel, S., Renou, J.L., Galtier, C., Snoeck, R., Andrei, G., Balzarini, J., De Clercq, E. & Gueffier, A.
Synthesis of imidazo[1,2-a]pyridine derivatives as antiviral agents.
Arzneimittel-Forsch. Drug Res., 51: 304-309 (2001).
1775. De Clercq, E.
Antiviral drugs: current state of the art.
J. Clin. Virol., 22: 73-89 (2001).
1776. Chamorro, C., Pérez-Pérez, M.-J., Rodríguez-Barríos, F., Gago, F., De Clercq, E., Balzarini, J., San-Félix, A. & Camarasa, M.-J.
Exploring the role of the 5'-position of TSAO-T. Synthesis and anti-HIV evaluation of novel TSAO-T derivatives.
Antiviral Res., 50: 207-222 (2001).
1777. Stephens, C.E., Felder, T.M., Sowell, J.W., Sr., Andrei, G., Balzarini, J., Snoeck, R. & De Clercq, E.
Synthesis and antiviral/antitumor evaluation of 2-amino- and 2-carboxamido-3-arylsulfonylthiophenes and related compounds as a new class of diarylsulfones.
Bioorg. Med. Chem. Lett., 9: 1123-1132 (2001).
1778. Bezzi, P., Domercq, M., Brambilla, L., Galli, R., Schols, D., De Clercq, E., Vescovi, A., Bagetta, G., Kollias, G., Meldolesi, J. & Volterra, A.
CXCR4-activated astrocyte glutamate release via TNF α : amplification by microglia triggers neurotoxicity.
Nature Neuroscience, 4: 702-710 (2001).
1779. Liekens, S., Neyts, J., De Clercq, E., Verbeken, E., Ribatti, D. & Presta, M.
Inhibition of fibroblast growth factor-2-induced vascular tumor formation by the acyclic nucleoside phosphonate cidofovir.
Cancer Res., 61: 5057-5064 (2001).

1780. Herdewijn, P. & De Clercq, E.
The cyclohexene ring as bioisostere of a furanose ring: synthesis and antiviral activity of cyclohexenyl nucleosides.
Bioorg. Med. Chem. Lett., 11: 1591-1597 (2001).
1781. Naimi, E., Wiebe, L.I., Balzarini, J., De Clercq, E. & Knaus, E.E.
Synthesis of 1-(2-deoxy- β -D-ribofuranosyl)-2,4-difluoro-5-(2-halo-1-hydroxyethyl)-benzenes and related derivatives: "thymine replacement" analogs of deoxythymidine for evaluation as antiviral and anticancer agents.
Drug Dev. Res., 52: 492-499 (2001).
1782. Struyf, S., Menten, P., Lenaerts, J.-P., Put, W., D'Haese, A., De Clercq, E., Schols, D., Proost, P. & Van Damme, J.
Diverging binding capacities of natural LD78 β isoforms of macrophage inflammatory protein-1 α to the CC chemokine receptors 1, 3 and 5 affect their anti-HIV-1 activity and chemotactic potencies for neutrophils and eosinophils.
Eur. J. Immunol., 31: 2170-2178 (2001).
1783. Daelemans, D., De Clercq, E. & Vandamme, A.-M.
A quantitative GFP-based bioassay for the detection of HIV-1 Tat transactivation inhibitors.
J. Virol. Methods, 96: 183-188 (2001).
1784. Griffon, J.-F., Mathé, C., Faraj, A., Aubertin, A.-M., De Clercq, E., Balzarini, J., Sommadossi, J.-P. & Gosselin, G.
Stereospecific synthesis and biological evaluations of β -L-pentofuranonucleoside derivatives of 5-fluorouracil and 5-fluorocytosine.
Eur. J. Med. Chem., 36: 447-460 (2001).
1785. Andrei, G., Fiten, P., De Clercq, E., Snoeck, R. & Opdenakker, G.
Evaluating phenotype and genotype of drug-resistant strains in herpesviruses.
Mol. Biotechnol., 18: 155-167 (2001).
1786. Barreca, M.L., Chimirri, A., De Luca, L., Monforte, A.-M., Monforte, P., Rao, A., Zappalà, M., Balzarini, J., De Clercq, E., Pannecouque, C. & Witvrouw, M.
Discovery of 2,3-diaryl-1,3-thiazolidin-4-ones as potent anti-HIV-1 agents.
Bioorg. Med. Chem. Lett., 11: 1793-1796 (2001).
1787. Geerinck, K., Lukito, G., Snoeck, R., De Vos, R., De Clercq, E., Vanrenterghem, Y., Degreef, H. & Maes, B.
A case of human orf in an immunocompromised patient treated successfully with cidofovir cream.
J. Med. Virol., 64: 543-549 (2001).
1788. Baraldi, P.G., Balboni, G., Pavani, M.G., Spalluto, G., Aghazadeh, M., De Clercq, E., Balzarini, J., Bando, T., Sugiyama, H. & Romagnoli, R.
Design, synthesis, DNA binding and biological evaluation of water-soluble hybrid molecules containing two pyrazole analogues of the alkylating cyclopropylpyrroloindole (CPI) subunit of the antitumor agent CC-1065 and polypyrrole minor groove binders.
J. Med. Chem., 44: 2536-2543 (2001).

1789. Snoeck, R., Bossens, M., Parent, D., Delaere B., Degreef, H., Van Ranst, M., Noël, J.C., Wulfsohn, M., Rooney, J.F., Jaffe, H.S. & De Clercq, E.
Phase II double-blind, placebo-controlled study of the safety and efficacy of cidofovir topical gel for the treatment of patients with human papillomavirus infection.
Clin. Infect. Dis., 33: 597-602 (2001).
1790. Pelemans, H., Esnouf, R., Min, K.-L., Parniak, M., De Clercq, E. & Balzarini, J.
Mutations at amino acid positions 63, 189 and 396 of human immunodeficiency virus type 1 reverse transcriptase (RT) partially restore the DNA polymerase activity of a Trp229Tyr mutant RT.
Virology, 287: 143-150 (2001).
1791. Guillerm, G., Guillerm, D., Vandenas-Witkowki, C., Rogniaux, H., Carte, N., Leize, E., Van Dorsselaer, A., De Clercq, E. & Lambert, C.
Synthesis, mechanism of action, and antiviral activity of a new series of covalent mechanism-based inhibitors of S-adenosyl-L-homocysteine hydrolase.
J. Med. Chem., 44: 2743-2752 (2001).
1792. Bijsterbosch, M.K., Ying, C., De Vruet, R.L.A., De Clercq, E., Biessen, E.A.L., Neyts, J. & van Berkel, T.J.C.
Carrier-mediated delivery improves the efficacy of 9-(2-phosphonylmethoxyethyl)adenine against hepatitis B virus.
Mol. Pharmacol., 60: 521-527 (2001).
1793. McGuigan, C., Brancale, A., Barucki, H., Srinivasan, S., Jones, G., Pathirana, R., Carangio, A., Blewett, S., Louni, G., Bidet, O., Jukes, A., Jarvis, C., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Furano pyrimidines as novel potent and selective anti-VZV agents.
Antiviral Chem. Chemother., 12: 77-89 (2001).
1794. Das, S.R., Schneller, S.W., Balzarini, J. & De Clercq, E.
5'-Nor carbocyclic 5'-deoxy-5'-(*isobutylthio*)adenosine and a 2',3'-dideoxy-2',3'-didehydro derivative.
Antiviral Chem. Chemother., 12: 119-124 (2001).
1795. Chaouni-Benabdallah, A., Galtier, C., Allouchi, H., Kherbeche, A., Debouzy, J.-C., Teulade, J.-C., Chavignon, O., Witvrouw, M., Pannecouque, C., Balzarini, J., De Clercq, E., Enguehard, C. & Gueiffier, A.
Synthesis of 3-nitrosoimidazo[1,2-*a*]pyridine derivatives as potential antiretroviral agents.
Arch. Pharm. Pharm. Med. Chem., 334: 224-228 (2001).
1796. De Clercq, E., Andrei, G., Snoeck, R., De Bolle, L., Naesens, L., Degreève, B., Balzarini, J., Zhang, Y., Schols, D., Leyssen, P., Ying, C. & Neyts, J.
Acyclic/carbocyclic guanosine analogues as anti-herpesvirus agents.
Proceedings of the XIV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", San Francisco, California, USA, 10-14 September 2000.
Nucleosides, Nucleotides & Nucleic Acids, 20: 271-285 (2001).

1797. McGuigan, C., Barucki, H., Carangio, A., Blewett, S., Srinivasan, S., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Novel aryl substituted bicyclic furo nucleosides as extremely potent and selective anti-VZV agents.
Proceedings of the XIV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", San Francisco, California, USA, 10-14 September 2000.
Nucleosides, Nucleotides & Nucleic Acids, 20: 287-296 (2001).
1798. Düzgünes, N., Simoes, S., Slepishkin, V., Pretzer, E., Rossi, J.J., De Clercq, E., Antao, V.P., Collins, M.L. & Pedrosa de Lima, M.C.
Enhanced inhibition of HIV-1 replication in macrophages by antisense oligonucleotides, ribozymes and acyclic nucleoside phosphonate analogs delivered in pH-sensitive liposomes.
Proceedings of the XIV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", San Francisco, California, USA, 10-14 September 2000.
Nucleosides, Nucleotides and Nucleic Acids, 20: 515-523 (2001).
1799. Carangio, A., McGuigan, C., Cahard, D., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Synthesis and in vitro evaluation of novel anti-varicella-zoster virus (VZV) nucleosides.
Proceedings of the XIV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", San Francisco, California, USA, 10-14 September 2000.
Nucleosides, Nucleotides and Nucleic Acids, 20: 653-656 (2001).
1800. Lobatón, E., Velázquez, S., Pérez-Pérez, M.J., Jimeno, M.L., San-Félix, A., De Clercq, E., Balzarini, J. & Camarasa, M.J.
"Second generation" of TSAO compounds directed against HIV-1 TSAO-resistant strains.
Proceedings of the XIV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", San Francisco, California, USA, 10-14 September 2000.
Nucleosides, Nucleotides and Nucleic Acids, 20: 707-710 (2001).
1801. Lobatón, E., Velázquez, S., San-Félix, A., De Clercq, E., Balzarini, J. & Camarasa, M.J.
4"-H-TSAO-T, a novel prototype in the HIV-1 specific TSAO family.
Proceedings of the XIV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", San Francisco, California, USA, 10-14 September 2000.
Nucleosides, Nucleotides and Nucleic Acids, 20: 711-714 (2001).
1802. Wang, J., Froeyen, M., Hendrix, C., Andrei, G., Snoeck, R., Lescrinier, E., De Clercq, E. & Herdewijn, P.
(D)- and (L)-cyclohexenyl-G, a new class of antiviral agents: synthesis, conformational analysis, molecular modeling, and biological activity.
Proceedings of the XIV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", San Francisco, California, USA, 10-14 September 2000.
Nucleosides, Nucleotides and Nucleic Acids, 20: 727-730 (2001).
1803. Srinivasan, S., McGuigan, C., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Bicyclic nucleoside inhibitors of varicella-zoster virus (VZV): effect of terminal unsaturation in the side-chain.
Proceedings of the XIV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", San Francisco, California, USA, 10-14 September 2000.
Nucleosides, Nucleotides and Nucleic Acids, 20: 763-766 (2001).
1804. Renze, J., Plath, M., Ducho, C., Balzarini, J., De Clercq, E. & Meier, C.
Benzyl-functionalized *cycloSal*-d4T monophosphates

- Proceedings of the XIV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", San Francisco, California, USA, 10-14 September 2000. *Nucleosides, Nucleotides and Nucleic Acids*, 20: 931-934 (2001).
1805. Takamatsu, S., Izawa, K., Maruyama, T., Katayama, S., Hirose, N. & De Clercq, E. Synthesis and *in vitro* antiviral activity evaluation of 9-(2-azido-2,3-dideoxy- β -D-threo-pentofuranosyl)adenine derivatives. Proceedings of the XIV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", San Francisco, California, USA, 10-14 September 2000. *Nucleosides, Nucleotides and Nucleic Acids*, 20: 1053-1057 (2001).
1806. Blewett, S., McGuigan, C., Barucki, H., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J. Bicyclic furo pyrimidine nucleosides with aryloxyphenyl and halophenyl substituted side chains as potent and selective varicella-zoster virus inhibitors. Proceedings of the XIV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", San Francisco, California, USA, 10-14 September 2000. *Nucleosides, Nucleotides and Nucleic Acids*, 20: 1063-1066 (2001).
1807. Fernández, F., Hergueta, A.R., López, C., De Clercq, E. & Balzarini, J. Modified cyclobutane carbonucleosides: synthesis and evaluation of their antiviral activity. Proceedings of the XIV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", San Francisco, California, USA, 10-14 September 2000. *Nucleosides, Nucleotides and Nucleic Acids*, 20: 1129-1131 (2001).
1808. López, C., Balo, C., Blanco, J.M., Fernández, F., De Clercq, E. & Balzarini, J. A cyclobutane carbonucleoside with marked selectivity against TK⁺ and TK⁻ varicella-zoster virus. Proceedings of the XIV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", San Francisco, California, USA, 10-14 September 2000. *Nucleosides, Nucleotides and Nucleic Acids*, 20: 1133-1135 (2001).
1809. Caamano, O., Figueira, M.J., Fernández, F., García, M.D., Nieto, M.I., De Clercq, E. & Balzarini, J. Synthesis and evaluation of antiviral activity of higher homologues of xylo-carbocyclic nucleosides. Proceedings of the XIV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", San Francisco, California, USA, 10-14 September 2000. *Nucleosides, Nucleotides and Nucleic Acids*, 20: 1137-1139 (2001).
1810. Stamatiou, G., Kolocouris, A., Kolocouris, N., Fytas, G., Foscolos, G.B., Neyts, J. & De Clercq, E. Novel 3-(2-adamantyl)pyrrolidines with potent activity against influenza A virus – identification of aminoadamantane derivatives bearing two pharmacophoric amine groups. *Bioorg. Med. Chem. Lett.*, 11: 2137-2142 (2001).

1811. Vlieghe, P., Clerc, T., Pannecouque, C., Witvrouw, M., De Clercq, E., Salles, J.-P. & Kraus, J.-L.
New 3'-azido-3'-deoxythymidin-5'-yl *O*-(4-hydroxyalkyl or -alkenyl or -alkylepoxyde) carbonate prodrugs: synthesis and anti-HIV evaluation.
J. Med. Chem., 44: 3014-3021 (2001).
1812. Redwane, N., Lazrek, H.B., Barascut, J.L., Imbach, J.L., Balzarini, J., Witvrouw, M. & De Clercq, E.
Synthesis and biological activities of (*Z*) and (*E*) α -ethenyl acyclonucleosides.
Nucleosides, Nucleotides & Nucleic Acids, 20: 1439-1447 (2001).
1813. Rejman, D., Masojídková, M., De Clercq, E. & Rosenberg, I.
2'-*C*-alkoxy and 2'-*C*-aryloxy derivatives of *N*-(2-phosphonomethoxyethyl)purines and -pyrimidines: synthesis and biological activity.
Nucleosides, Nucleotides & Nucleic Acids, 20: 1497-1522 (2001).
1814. Ludovici, D.W., Kukla, M.J., Grous, P.G., Krishnan, S., Andries, K., de Béthune, M.-P., Azijn, H., Pauwels, R., De Clercq, E., Arnold, E. & Janssen, P.A.J.
Evolution of anti-HIV drug candidates. Part 1: From α -anilinophenylacetamide (α -APA) to imidoyl thiourea (ITU).
Bioorg. Med. Chem. Lett., 11: 2225-2228 (2001).
1815. Brancale, A., McGuigan, C., Algain, B., Savy, P., Benhida, R., Fourrey, J.-L., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Bicyclic anti-VZV nucleosides: *thieno* analogues retain full antiviral activity.
Bioorg. Med. Chem. Lett., 11: 2507-2510 (2001).
1816. Jing, N., Marchand, C., Guan, Y., Liu J., Pallansch, L., Lackman-Smith, C., De Clercq, E. & Pommier, Y.
Structure-activity of inhibition of HIV-1 integrase and virus replication by G-quartet oligonucleotides.
DNA and Cell Biology, 20: 499-508 (2001).
1817. De Clercq, E. & Schols, D.
Inhibition of HIV infection by CXCR4 and CCR5 chemokine receptor antagonists.
Antiviral Chem. Chemother., 12 (Suppl. 1): 19-31 (2001).
1818. Pluymers, W., Cherepanov, P., De Clercq, E. & Debyser, Z.
HIV-1 integration as a target for antiretroviral therapy: a review.
Current Drug Targets – Infect. Disorders, 133-149 (2001).
1819. Wirschung, J., Voss, J., Adiwidjaja, G., Balzarini, J. & De Clercq, E.
Thiosugars. VIII. Preparation of new 4'-thio-L-lyxo pyrimidine nucleoside analogues.
Nucleosides, Nucleotides & Nucleic Acids, 20: 1625-1645 (2001).
1820. Naesens, L. & De Clercq, E.
Recent developments in herpesvirus therapy.
Herpes, 8: 12-16 (2001).

1821. Gorry, P.R., Bristol, G., Zack, J.A., Ritola, K., Swanstrom, R., Birch, C.J., Bell, J.E., Bannert, N., Crawford, K., Wang, H., Schols, D., De Clercq, E., Kunstman, K., Wolinsky, S.M. & Gabuzda, D.
Macrophage tropism of human immunodeficiency virus type 1 isolates from brain and lymphoid tissues predicts neurotropism independent of coreceptor specificity.
J. Virol., 75: 10073-10089 (2001).
1822. Zhang, Y., De Bolle, L., Aquaro, S., Van Lommel, A., De Clercq, E. & Schols, D.
Productive infection of primary macrophages with human herpesvirus type 7.
J. Virol., 75: 10511-10514 (2001).
1823. Chimirri, A., Monforte, P., Rao, A., Zappalà, M., Monforte, A.M., De Sarro, G., Pannecouque, C., Witvrouw, M., Balzarini, J. & De Clercq, E.
Synthesis, biological activity, pharmacokinetic properties and molecular modelling studies of novel 1*H*,3*H*-oxazolo[3,4-*a*]benzimidazoles: non-nucleoside HIV-1 reverse transcriptase inhibitors.
Antiviral Chem. Chemother., 12: 169-174 (2001).
1824. Carangio, A., McGuigan, C., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Bicyclic nucleoside inhibitors of varicella-zoster virus (VZV): Pd-catalysed synthesis of 5-aryl derivatives and their biological evaluation.
Antiviral. Chem. Chemother., 12: 187-197 (2001).
1825. Apers, S., Baronikova, S., Sindambiwe, J.B., Witvrouw, M., De Clercq, E., Vanden Berghe, D., Van Marck, E., Vlietinck, A. & Pieters, L.
Antiviral, haemolytic and molluscicidal activities of triterpenoid saponins from *Maesa lanceolata*: establishment of structure-activity relationships.
Planta Medica, 67: 528-532 (2001).
1826. Debyser, Z., Cherepanov, P., Pluymers, W. & De Clercq, E.
Assays for the evaluation of HIV-1 integrase inhibitors.
In: "Methods in Molecular Biology", vol. 160, "Nuclease Methods and Protocols", C.H. Schein (ed.). Humana Press, Totowa, N.J., pp. 139-155 (2001).
1827. Matthys, P., Hatse, S., Vermeire, K., Wuyts, A., Bridger, G., Henson, G.W., De Clercq, E., Billiau, A. & Schols, D.
AMD3100, a potent and specific antagonist of the stromal cell-derived factor-1 chemokine receptor CXCR4, inhibits autoimmune joint inflammation in IFN- γ receptor-deficient mice.
J. Immunol., 167, 4686-4692 (2001).
1828. Lewis, M. & De Clercq, E.
Difluorinated carbaacyclonucleosides: synthesis and evaluation of antiviral activity.
J. Chem. Res. (S), 2001: 311-312 (2001); *J. Chem. Res. (M)*, 2001: 0844-0856 (2001).
1829. Casimiro-Garcia, A., De Clercq, E., Pannecouque, C., Witvrouw, M., Loftus, T.L., Turpin, J.A., Buckheit, R.W. Jr., Fanwick, P.E. & Cushman, M.
Synthesis and anti-HIV activity of cosalane analogues incorporating two dichlorodisalicylmethane pharmacophore fragments.
Bioorg. Med. Chem., 9: 2827-2841 (2001).

1830. Hillenkamp, J., Reinhard, T., Ross, R.S., Böhringer, D., Carlsburg, O., Roggendorf, M., De Clercq, E., Godehardt, E. & Sundmacher, R.
Topical treatment of acute adenoviral keratoconjunctivitis with 0.2% cidofovir and 1% cyclosporine.
Arch. Ophthalmol., 119: 1487-1491 (2001).
1831. Moukha-Chafiq, O., Taha, M.L., Lazrek, H.B., Pannecouque, C., Witvrouw, M., De Clercq, E., Barascut, J.L. & Imbach, J.L.
Synthesis and biological activity of 4-substituted 1-[1-(2-hydroxyethoxy)methyl]-1,2,3-triazol-(4 & 5)-ylmethyl]-1*H*-pyrazolo[3,4-*d*]pyrimidines.
Nucleosides, Nucleotides & Nucleic Acids, 20: 1797-1810 (2001).
1832. Moukha-Chafiq, O., Taha, M.L., Lazrek, H.B., Vasseur, J.J., Pannecouque, C., Witvrouw, M. & De Clercq, E.
Synthesis and biological evaluation of some 4-substituted 1-[1-(4-hydroxybutyl)-1,2,3-triazol-(4 & 5)-ylmethyl]-1*H*-pyrazolo[3,4-*d*]pyrimidines.
Nucleosides, Nucleotides & Nucleic Acids, 20: 1811-1821 (2001).
1833. Andrei, G., Snoeck, R., Schols, D. & De Clercq, E.
Induction of apoptosis by cidofovir in human papillomavirus (HPV)-positive cells.
Oncol. Res., 12: 397-408 (2001).
1834. De Clercq, E.
Hamao Umezawa Memorial Award Lecture. An odyssey in the viral chemotherapy field.
Int. J. Antimicrobial Agents, 18: 309-328 (2001).
1835. Del Corno, M., Liu, Q.-H., Schols, D., De Clercq, E., Gessani, S., Freedman, B.D. & Collman, R.G.
HIV-1 gp120 and chemokine activation of Pyk2 and mitogen-activated protein kinases in primary macrophages mediated by calcium-dependent, pertussis toxin-insensitive chemokine receptor signaling.
Blood, 98: 2909-2916 (2001).
1836. Snoeck, R., Van Laethem, Y., De Clercq, E., De Maubeuge, J. & Clumeck, N.
Treatment of a Bowenoid papulosis of the penis with local applications of cidofovir in a patient with acquired immunodeficiency syndrome.
Arch. Intern. Med., 161: 2382-2384 (2001).
1837. Chamorro, C., Lobatón, E., Bonache, M.-C., De Clercq, E., Balzarini, J., Velázquez, S., San-Félix, A. & Camarasa, M.-J.
Identification of a novel family of nucleosides that specifically inhibit HIV-1 reverse transcriptase.
Bioorg. Med. Chem. Lett., 11: 3085-3088 (2001).
1838. Golankiewicz, B., Ostrowski, T., Goslinski, T., Januszczak, P., Zeidler, J., Baranowski, D. & De Clercq, E.
Fluorescent tricyclic analogues of acyclovir and ganciclovir. A structure – antiviral activity study.
J. Med. Chem., 44: 4284-4287 (2001).
1839. De Clercq, E.
New developments in anti-HIV chemotherapy.
Curr. Med. Chem., 8: 1543-1572 (2001).

1840. Hergueta, A.R., Fernández, F., López, C., Balzarini, J. & De Clercq, E.
Novel carbocyclic nucleosides containing a cyclobutyl ring: adenosine analogues.
Chem. Pharm. Bull., 49: 1174-1177 (2001).
1841. Kannan, A., De Clercq, E., Pannecouque, C., Witvrouw, M., Hartman, T.L., Turpin, J.A.,
Buckheit, R.W. Jr. & Cushman, M.
Synthesis and anti-HIV activity of a bile acid analog of cosalane.
Tetrahedron, 57: 9385-9391 (2001).
1842. Selvam, P., Chandramohan, M., De Clercq, E., Witvrouw, M. & Pannecouque, C.
Synthesis and anti-HIV activity of 4-[(1,2-dihydro-2-oxo-3H-indol-3-ylidene)amino]-
N(4,6-dimethyl-2-pyrimidinyl)-benzene sulfonamide and its derivatives.
Eur. J. Pharm. Sci., 14: 313-316 (2001).
1843. Balzarini, J. & De Clercq, E.
Rapid alternation of drug therapy is highly efficient in suppressing the emergence of
mutant drug-resistant HIV strains in cell culture.
AIDS Res. Hum. Retrovir., 17: 1625-1634 (2001).
1844. De Clercq, E., Naesens, L., De Bolle, L., Schols, D., Zhang, Y. & Neyts, J.
Antiviral agents active against human herpesviruses HHV-6, HHV-7, HHV-8 and HHV-8.
Rev. Med. Virol., 11: 381-395 (2001).
1845. Tronchet, J.M.J., Kovacs, I., Dilda, P., Seman, M., Andrei, G., Snoeck, R., De Clercq, E. &
Balzarini, J.
Synthesis and anti-HIV activity of thymidine analogues bearing a 4'-cyanovinyl group
and some derivatives thereof.
Nucleosides, Nucleotides & Nucleic Acids, 20: 1927-1939 (2001).
1846. Lazrek, H.B., Taourirte, M., Oulih, T., Barascut, J.L., Imbach, J.L., Pannecouque, C.,
Witvrouw, M. & De Clercq, E.
Synthesis and anti-HIV activity of new modified 1,2,3-triazole acyclonucleosides.
Nucleosides, Nucleotides & Nucleic Acids, 20: 1949-1960 (2001).
1847. Fontaine, E., Riva, C., Peeters, M., Schmit, J.-C., Delaporte, E., Van Laethem, K., Van
Vaerenbergh, K., Snoeck, J., Van Wijngaerden, E., De Clercq, E., Van Ranst, M. &
Vandamme, A.-M.
Evaluation of two commercial kits for the detection of genotypic drug resistance on a
panel of HIV type-1 subtypes A through J.
JAIDS, 28: 254-258 (2001).
1848. Harris, S.A., McGuigan, C., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Synthesis and antiviral evaluation of phosphoramidate derivatives of (*E*)-5-(2-
bromovinyl)-2'-deoxyuridine.
Antiviral Chem. Chemother., 12: 293-300 (2001).
1849. Balzarini, J., Haller-Meier, F., De Clercq, E. & Meier, C.
Antiviral activity of cyclosaligenyl prodrugs of acyclovir, carbovir and abacavir.
Antiviral Chem. Chemother., 12: 301-306 (2001).

1850. Vödrös, D., Tscherning-Casper, C., Navea, L., Schols, D., De Clercq, E. & Fenyö, E.M. Quantitative evaluation of HIV-1 coreceptor use in the GHOST(3) cell assay. *Virology*, 291: 1-11 (2001).
1851. Vödrös, D., Thorstensson, R., Biberfeld, G., Schols, D., De Clercq, E. & Fenyö, E.M. Coreceptor usage of sequential isolates from cynomolgus monkeys experimentally infected with simian immunodeficiency virus (SIVsm). *Virology*, 291: 12-21 (2001).
1852. Chaouni-Benabdallah, A., Galtier, C., Allouchi, H., Kherbeche, A., Chavignon, O., Teulade, J.-C., Witvrouw, M., Pannecouque, C., Snoeck, R., Andrei, G., Balzarini, J., De Clercq, E., Fauvelle, F., Enguehard, C. & Gueffier, A. 3-Benzamido, ureido and thioureidoimidazo[1,2-*a*]pyridine derivatives as potential antiviral agents. *Chem. Pharm. Bull.*, 49: 1631-1635 (2001).
1853. Nakagaki, K., Nakagaki, K., Takahashi, K., Schols, D., De Clercq, E. & Tabira, T. CXCR4 is the primary receptor for feline immunodeficiency virus in astrocytes. *J. Neurovirol.*, 7: 487-492 (2001).
1854. Garoufis, A., Karidi, K., Hadjiliadis, N., Kasselouri, S., Kobe, J., Balzarini, J. & De Clercq, E. Synthesis, characterization and antiviral properties of Pd(II) complexes with penciclovir. *Metal Based Drugs*, 8: 57-63 (2001).
1855. De Clercq, E. Strategies in the design of antiviral drugs. *Nature Reviews Drug Discovery*, 1: 13-25 (2002).
1856. Das, S.R., Schneller, S.W., Balzarini, J. & De Clercq, E. A mercapto analogue of 5'-noraristeromycin. *Bioorg. Med. Chem.*, 10: 457-460 (2002).
1857. Liekens, S., Bilsen, F., De Clercq, E., Priego, E.M., Camarasa, M.-J., Pérez-Pérez, M.-J. & Balzarini, J. Anti-angiogenic activity of a novel multisubstrate analogue inhibitor of thymidine phosphorylase. *FEBS Lett.*, 510: 83-88 (2002).
1858. Sienaert, R., Naesens, L., Brancale, A., De Clercq, E., McGuigan, C. & Balzarini, J. Specific recognition of the bicyclic pyrimidine nucleoside analogs, a new class of highly potent and selective inhibitors of varicella-zoster virus (VZV), by the VZV-encoded thymidine kinase. *Mol. Pharmacol.*, 61: 249-254 (2002).
1859. Auwerx, J., North, T.W., Preston, B.D., Klarmann, G.J., De Clercq, E. & Balzarini, J. Chimeric human immunodeficiency virus type 1 and feline immunodeficiency virus reverse transcriptases: role of the subunits in resistance/sensitivity to non-nucleoside reverse transcriptase inhibitors. *Mol. Pharmacol.*, 61: 400-406 (2002).

1860. Leyssen, P., Charlier, N., Lemey, P., Billoir, F., Vandamme, A.-M., De Clercq, E., de Lamballerie, X. & Neyts, J.
Complete genome sequence, taxonomic assignment and comparative analysis of the untranslated regions of the Modoc virus, a flavivirus with no known vector.
Virology, 293: 125-140 (2002).
1861. Kolocouris, A., Dimas, K., Pannecouque, C., Witvrouw, M., Foscolos, G.B., Stamatou, G., Fytas, G., Zoidis, G., Kolocouris, N., Andrei, G., Snoeck, R. & De Clercq, E.
New 2-(1-adamantylcarbonyl)pyridine and 1-acetyladamantane thiosemicarbazones – thiocarbonohydrazone: cell growth inhibitory, antiviral and antimicrobial activity evaluation.
Bioorg. Med. Chem. Lett., 12: 723-727 (2002).
1862. Cos, P., Hermans, N., De Bruyne, T., Apers, S., Sindambiwe, J.B., Witvrouw, M., De Clercq, E., Vanden Berghe, D., Pieters, L. & Vlietinck, A.J.
Antiviral activity of Rwandan medicinal plants against human immunodeficiency virus type-1 (HIV-1).
Phytomedicine, 9: 62-68 (2002).
1863. Naif, H.M., Cunningham, A.L., Alali, M., Li, S., Nasr, N., Buhler, M.M., Schols, D., De Clercq, E. & Stewart, G.
A human immunodeficiency virus type 1 isolate from an infected person homozygous for CCR5 Δ 32 exhibits dual tropism by infecting macrophages and MT2 cells via CXCR4.
J. Virol., 76: 3114-3124 (2002).
1864. Deferme, S., Van Gelder, J., Ingels, F., Van den Mooter, G., De Buck, S., Balzarini, J., Naesens, L., De Clercq, E., Kinget, R. & Augustijns, P.
Intestinal absorption characteristics of the low solubility thiocarboxanilide UC-781.
Int. J. Pharm., 234: 113-119 (2002).
1865. Dimmock, J.R., Jha, A., Kumar, P., Zello, G.A., Quail, J.W., Oloo, E.O., Oucharek, J.J., Pasha, M.K., Seitz, D., Sharma, R.K., Allen, T.M., Santos, C.L., Manavathu, E.K., De Clercq, E., Balzarini, J. & Stables, J.P.
Cytotoxic 1,4-bis(2-oxo-1-cycloalkylmethylene)benzenes and related compounds.
Eur. J. Med. Chem., 37: 35-44 (2002).
1866. Vlieghe, P., Clerc, T., Pannecouque, C., Witvrouw, M., De Clercq, E., Salles, J.-P. & Kraus, J.-L.
Synthesis of new covalently bound κ -carrageenan-AZT conjugates with improved anti-HIV activities.
J. Med. Chem., 45: 1275-1283 (2002).
1867. Snoeck, J., Van Dooren, S., Van Laethem, K., Derdelinckx, I., Van Wijngaerden, E., De Clercq, E. & Vandamme, A.-M.
Prevalence and origin of HIV-1 group M subtypes among patients attending a Belgian hospital in 1999.
Virus. Res., 85: 95-107 (2002).
1868. Simonart, T., Boelaert, J.R., Mosselmans, R., Andrei, G., Noel, J.C., De Clercq, E. & Snoeck, R.
Antiproliferative and apoptotic effects of iron chelators on human cervical carcinoma cells.
Gynecol. Oncol., 85: 95-102 (2002).

1869. Moukha-chafiq, O., Taha, M.L., Lazrek, H.B., Vasseur, J.J. & De Clercq, E.
Synthesis and biological evaluation of some acyclic α -(1*H*-pyrazolo[3,4-*d*]pyrimidin-4-ylthio)alkylamide nucleosides.
Nucleosides, Nucleotides & Nucleic Acids, 21: 165-176 (2002).
1870. Van Vaerenbergh, K., Harrer, T., Schmit, J.-C., Carbonez, A., Fontaine, E., Kurowski, M., Grünke, M., Löw, P., Rascu, A., Schmidt, B., Schmitt, M., Thoelen, I., Walter, H., Van Laethem, K., Van Ranst, M., Desmyter, J., De Clercq, E. & Vandamme, A.-M.
Initiation of HAART in drug-naive HIV type 1 patients prevents viral breakthrough for a median period of 35.5 months in 60% of the patients.
AIDS Res. Hum. Retrovir., 18: 419-426 (2002).
1871. De Clercq, E.
A voyage of discovery in viral chemotherapy.
Newsletter of the International Society of Chemotherapy, 6: 4-5 (2002).
1872. Holý, A., Votruba, I., Masojídková, Andrei, G., Snoeck, R., Naesens, L., De Clercq, E. & Balzarini, J.
6-[2-(Phosphonomethoxy)alkoxy]pyrimidines with antiviral activity.
J. Med. Chem., 45: 1918-1929 (2002).
1873. Tronchet, J.M.J., Chalard, F., Rivara-Minten, E., Seman, M., De Clercq, E., Balzarini, J. & Dilda, P.
Synthesis and *in vitro* cytotoxic and antiviral activities of 1-(2,5,6-trideoxy-6-halogenohept-5-enofuranurononitrile)thymine and derivatives.
Nucleosides, Nucleotides & Nucleic Acids, 21: 191-206 (2002).
1874. Van Gelder, J., Van den Mooter, G., De Clercq, E. & Augustijns, P.
Metabolism of ester prodrugs by enzymes present in the intestinal lumen.
Proceedings of the 4th World Meeting on Pharmaceutics, Biopharmaceutics and Pharmaceutical Technology, Florence, Italy, 8-11 April 2000, pp. 729-730 (2002).
1875. Meerbach, A., Neyts, J., Balzarini, J., Helbig, B., De Clercq, E. & Wutzler, P.
In vitro activity of polyhydroxycarboxylates against herpesviruses and HIV.
Antiviral Chem. Chemother., 12: 337-345 (2002).
1876. Martinez, A., Gil, C., Castro, A., Perez, C., Witvrouw, M., Pannecouque, C., Balzarini, J. & De Clercq, E.
Anti-HIV-1 activity of benzothiadiazine dioxide.
Antiviral Chem. Chemother., 12: 347-351 (2002).
1877. De Clercq, E.
Highlights in the development of new antiviral agents.
Mini-Rev. Med. Chem., 2: 163-175 (2002).
1878. Stragier, I., Snoeck, R., De Clercq, E., Van den Oord, J.J., Van Ranst, M. & Degreef, H.
Local treatment of HPV-induced skin lesions by cidofovir.
J. Med. Virol., 67: 241-245 (2002).

1879. Kritsanida, M., Mouroutsou, A., Marakos, P., Pouli, N., Papakonstantinou-Garoufalias, S., Pannecouque, C., Witvrouw, M. & De Clercq, E.
Synthesis and antiviral activity evaluation of some new 6-substituted 3-(1-adamantyl)-1,2,4-triazolo[3,4-*b*][1,3,4]thiadiazoles.
Il Farmaco, 57: 253-257 (2002).
1880. Balzarini, J., Liekens, S., Esnouf, R. & De Clercq, E.
The A167Y mutation converts the herpes simplex virus type 1 thymidine kinase into a guanosine analogue kinase.
Biochemistry, 41: 6517-6524 (2002).
1881. Wnuk, S.F., Ro, B.-O., Valdez, C.A., Lewandowska, E., Valdez, N.X., Sacasa, P.R., Yin, D., Zhang, J., Borchardt, R.T. & De Clercq, E.
Sugar-modified conjugated diene analogues of adenosine and uridine: synthesis, interaction with *S*-adenosyl-L-homocysteine hydrolase, and antiviral and cytostatic effects.
J. Med. Chem., 45: 2651-2658 (2002).
1882. Fernández, F., García-Mera, X., Morales, M., Rodríguez-Borges, J.E. & De Clercq, E.
Synthesis and cytostatic activities of new 6-substituted purinylcarbonucleosides derived from indan.
Synthesis, 2002: 1084-1090 (2002).
1883. Jekle, A., Schramm, B., Jayakumar, P., Trautner, V., Schols, D., De Clercq, E., Mills, J., Crowe, S.M. & Goldsmith, M.A.
Coreceptor phenotype of natural human immunodeficiency virus with Nef deleted evolves *in vivo*, leading to increased virulence.
J. Virol., 76: 6966-6973 (2002).
1884. De Clercq, E.
Cidofovir in the treatment of poxvirus infections.
Antiviral Res., 55: 1-13 (2002).
1885. Vogel, J.-U., Michaelis, M., Neyts, J., Blaheta, R.A., Snoeck, R., Andrei, G., De Clercq, E., Rabenau, H.F., Kreuter, J., Cinatl Jr., J. & Doerr, H.W.
Antiviral and immunomodulatory activity of the metal chelator ethylenediaminedisuccinic acid against cytomegalovirus *in vitro* and *in vivo*.
Antiviral Res., 55: 179-188 (2002).
1886. Nieto, M.I., Caamano, O., Fernández, F., Gómez, M., Balzarini, J. & De Clercq, E.
Synthesis, antiviral and cytostatic activities, of carbocyclic nucleosides incorporating a modified cyclopentane ring. IV. Adenosine and uridine analogues.
Nucleosides, Nucleotides & Nucleic Acids, 21: 243-255 (2002).
1887. Wirsching, J., Schulze, O., Voss, J., Giesler, A., Kopf, J., Adiwidjaja, G., Balzarini, J. & De Clercq, E.
Synthesis and biological evaluation of isonucleosides derived from methyl 3,5-anhydro-2-*O*-(2-fluorobenzyl)-D-xylofuranosides.
Nucleosides, Nucleotides & Nucleic Acids, 21: 257-274 (2002).

1888. Simonart, T., Boelaert, J.R., Andrei, G., van den Oord, J.J., Degraef, C., Hermans, P., Noel, J.-C., Van Vooren, J.-P., Heenen, M., De Clercq, E. & Snoeck, R.
Desferrioxamine enhances AIDS-associated Kaposi's sarcoma tumor development in a xenograft model.
Int. J. Cancer., 100: 140-143 (2002).
1889. Debysers, Z., Cherepanov, P., Van Maele, B., De Clercq, E. & Witvrouw, M.
In search of authentic inhibitors of HIV-1 integration.
Antiviral Chem. Chemother., 13: 1-15 (2002).
1890. Dimmock, J.R., Zello, G.A., Oloo, E.O., Quail, J.W., Kraatz, H.-B., Perjési, P., Aradi, F., Takács-Novák, K., Allen, T.M., Santos, C.L., Balzarini, J., De Clercq, E. & Stables, J.P.
Correlations between cytotoxicity and topography of some 2-arylidenebenzocycloalkanones determined X-ray crystallography.
J. Med. Chem., 45: 3103-3111 (2002).
1891. Balzarini, J., Pannecouque, C., De Clercq, E., Aquaro, S., Perno, C.-F., Egberink, H. & Holý, A.
Antiretrovirus activity of a novel class of acyclic pyrimidine nucleoside phosphonates.
Antimicrob. Agents Chemother., 46: 2185-2193 (2002).
1892. Balzarini, J., Sienaert, R., Liekens, S., Van Kuilenburg, A., Carangio, A., Esnouf, R., De Clercq, E. & McGuigan, C.
Lack of susceptibility of bicyclic nucleoside analogs, highly potent inhibitors of varicella-zoster virus, to the catabolic action of thymidine phosphorylase and dihydropyrimidine dehydrogenase.
Mol. Pharmacol., 61: 1140-1145 (2002).
1893. Saijo, M., Yasuda, Y., Yabe, H., Kato, S., Suzutani, T., De Clercq, E., Niikura, M., Maeda, A., Kurane, I. & Morikawa, S.
Bone marrow transplantation in a child with Wiskott-Aldrich syndrome latently infected with acyclovir-resistant (ACV^r) herpes simplex virus type 1: emergence of foscarnet-resistant virus originating from the ACV^r virus.
J. Med. Virol., 68: 99-104 (2002).
1894. Witvrouw, M. & De Clercq, E.
HIV entry as antiviral strategy.
In: "Viral Entry and the Pathogenesis of AIDS", J.A. Esté & B. Clotet (eds.), Hospital Universitari Germans Trias I Pujol, Badalona, Spain, pp. 137-160 (2002).
1895. Lagoja, I.M., Pannecouque, C., Musumeci, L., Froeyen, M., Van Aerschot, A., Balzarini, J., Herdewijn, P. & De Clercq, E.
1,2,4-Triazole derivatives inhibiting the human immunodeficiency virus type 1 (HIV-1) *in vitro*.
Helv. Chim. Acta, 85: 1883-1892 (2002).
1896. Barai, V.N., Zinchenko, A.I., Eroshevskaya, L.A., Zhernosek, E.V., De Clercq, E. & Mikhailopulo, I.A.
Chemo-enzymatic synthesis of 3-deoxy- β -D-ribofuranosyl purines.
Helv. Chim. Acta, 85: 1893-1900 (2002).

1897. Balzarini, J., Stevens, M., Andrei, G., Snoeck, R., Strunk, R., Pierce, J.B., Lacadie, J.A., De Clercq, E. & Pannecouque, C.
Pyridine oxide derivatives: structure-activity relationship for inhibition of human immunodeficiency virus and cytomegalovirus replication in cell culture.
Helv. Chim. Acta, 85: 2961-2974 (2002).
1898. Pannecouque, C., Pluymers, W., Van Maele, B., Tetz, V., Cherepanov, P., De Clercq, E., Witvrouw, M. & Debyser, Z.
New class of inhibitors of HIV integrase inhibitors that block viral replication in cell culture.
Curr. Biol., 12: 1169-1177 (2002).
1899. Neyts, J., Verbeken, E. & De Clercq, E.
Effect of 5-iodo-2'-deoxyuridine on vaccinia virus (orthopoxvirus) infections in mice.
Antimicrob. Agents Chemother., 46: 2842-2847 (2002).
1900. Van Gelder, J., Deferme, S., Naesens, L., De Clercq, E., Van den Mooter, G., Kinget, R. & Augustijns, P.
Intestinal absorption enhancement of the ester prodrug tenofovir disoproxil fumarate through modulation of the biochemical barrier by defined ester mixtures.
Drug Metabolism & Disposition, 30: 924-930 (2002).
1901. McGuigan, C., Blewett, S., Siccardi, D., Erichsen, J.T., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Alkyloxyphenyl furano pyrimidines as potent and selective anti-VZV agents with enhanced water solubility.
Antiviral Chem. Chemother., 13: 91-99 (2002).
1902. Meier, C., Muus, U., Renze, J., Naesens, L., De Clercq, E. & Balzarini, J.
Comparative study of bis(benzyl)phosphate triesters of 2',3'-dideoxy-2',3'-dideoxythymidine (d4T) and *cycloSal*-d4TMP – hydrolysis, mechanistic insights and anti-HIV activity.
Antiviral Chem. Chemother., 13: 101-114 (2002).
1903. Ducho, C., Balzarini, J., Naesens, L., De Clercq, E. & Meier, C.
Aryl-substituted and benzo-annulated *cycloSal*-derivatives of 2',3'-dideoxy-2',3'-dideoxythymidine monophosphate – correlation of structure, hydrolysis properties and anti-HIV activity.
Antiviral Chem. Chemother., 13: 129-141 (2002).
1904. Lobatón, E., Rodríguez-Barrios, F., Gago, F., Pérez-Pérez, M.-J., De Clercq, E., Balzarini, J., Camarasa, M.-J. & Velázquez, S.
Synthesis of 3''-substituted TSAO derivatives with anti-HIV-1 and anti-HIV-2 activity through an efficient palladium-catalyzed cross-coupling approach.
J. Med. Chem., 45: 3934-3945 (2002).
1905. Snoeck, R., Andrei, G., Bodaghi, B., Lagneaux, L., Daelemans, D., De Clercq, E., Neyts, J., Schols, D., Naesens, L., Michelson, S., Bron, D., Otto, M.J., Bousseau, A., Nemecek, C. & Roy, C.
2-Chloro-3-pyridin-3-yl-5,6,7,8-tetrahydroindolizine-1-carboxamide (CMV423), a new lead compound for the treatment of human cytomegalovirus infections.
Antiviral Res., 55: 413-424 (2002).
1906. Balzarini, J., Ostrowski, T., Goslinski, T., De Clercq, E. & Golankiewicz,

- Pronounced cytostatic activity and bystander effect of a novel series of fluorescent tricyclic acyclovir and ganciclovir derivatives in herpes simplex virus thymidine kinase gene-transduced tumor cell lines.
Gene Ther., 9: 1173-1182 (2002).
1907. De Bolle, L., Michel, D., Mertens, T., Manichanh, C., Agut, H., De Clercq, E. & Naesens, L.
Role of the human herpesvirus 6 U69-encoded kinase in the phosphorylation of ganciclovir.
Mol. Pharmacol., 62: 714-721 (2002).
1908. De Clercq, E.
Antiviral activity of nucleoside analogues: the BVDU connection".
In: "Recent Advances in Nucleosides: Chemistry and Chemotherapy", D. Chu (ed.).
Elsevier Science B.V., New York, NY, USA, pp 433-454 (2002).
1909. De Clercq, E.
New developments in anti-HIV chemotherapy.
Proceedings of the 8th International Symposium on Molecular Aspects of Chemotherapy, Gdansk, Poland, 5-9 September 2001.
Biochim. Biophys. Acta, 1587: 258-275 (2002).
1910. Hatse, S., Princen, K., Bridger, G., De Clercq, E. & Schols, D.
Chemokine receptor inhibition by AMD3100 is strictly confined to CXCR4.
FEBS Lett., 527: 255-262 (2002).
1911. De Clercq, E.
New anti-HIV agents and targets.
Med. Res. Rev., 22: 531-565 (2002).
1912. Manallack, D.T., Pitt, W.R., Herdewijn, P., Balzarini, J., De Clercq, E., Sanderson, M.R., Sohi, M., Wien, F., Munier-Lehmann, H., Haouz, A. & Delarue, M.
Database searching for thymidine and thymidylate kinase inhibitors using three-dimensional structure-based methods.
J. Enzyme Inhibition Med. Chem., 17: 167-174 (2002).
1913. Gáspár, G., De Clercq, E. & Neyts, J.
Human herpesvirus 8 gene encodes a functional thymidylate synthase.
J. Virol., 76: 10530-10532 (2002).
1914. De Clercq, E.
Cidofovir in the therapy and short-term prophylaxis of poxvirus infections.
Trends Pharmacol. Sci., 23: 456-458 (2002).
1915. Pluymers, W., Pais, G., Van Maele, B., Pannecouque, C., Fikkert, V., Burke, T.R. Jr., De Clercq, E., Witvrouw, M., Neamati, N. & Debyser, Z.
Inhibition of human immunodeficiency virus type 1 integration by diketo derivatives.
Antimicrob. Agents Chemother., 46: 3292-3297 (2002).

1916. Salido, S., Altarejos, J., Nogueras, M., Sánchez, A., Pannecouque, C., Witvrouw, M. & De Clercq, E.
Chemical studies of essential oils of *Juniperus oxycedrus* ssp. *badia*.
J. Ethnopharmacol., 81: 129-134 (2002).
1917. Charlier, N., Leyssen, P., Pleij, C.W.A., Lemey, P., Billoir, F., Van Laethem, K., Vandamme, A.-M., De Clercq, E., de Lamballerie, X. & Neyts, J.
Complete genome sequence of Montana *myotis* leukoencephalitis virus, phylogenetic analysis and comparative study of the 3' untranslated region of flaviviruses with no known vector.
J. Gen. Virol., 83: 1875-1885 (2002).
1918. Charlier, N., Leyssen, P., Paeshuyse, J., Drosten, C., Schmitz, H., Van Lommel, A., De Clercq, E. & Neyts, J.
Infection of SCID mice with *Montana myotis* leukoencephalitis virus as a model for flavivirus encephalitis.
J. Gen. Virol., 83: 1887-1896 (2002).
1919. Ying, C., Van Pelt, J.F., Van Lommel, A., Van Ranst, M., Leyssen, P., De Clercq, E. & Neyts, J.
Sulphated and sulphonated polymers inhibit the initial interaction of hepatitis B virus with hepatocytes.
Antiviral Chem. Chemother., 13: 157-164 (2002).
1920. Bergstrom, D.E., Lin, X., Wood, T.D., Witvrouw, M., Ikeda, S., Andrei, G., Snoeck, R., Schols, D. & De Clercq, E.
Polysulfonates derived from metal thiolate complexes as inhibitors of HIV-1 and various other enveloped viruses *in vitro*.
Antiviral Chem. Chemother., 13: 185-195 (2002).
1921. Hilgeroth, A., Molnár, J. & De Clercq, E.
Using molecular symmetry to form new drugs: hydroxymethyl-substituted 3,9-diazatetraasteranes as the first class of symmetric MDR modulators.
Angew. Chem. Int. Ed., 41: 3623-3625 (2002).
1922. Gáspár, G., De Clercq, E. & Neyts, J.
Gammaherpesviruses encode functional dihydrofolate reductase activity.
Biochem. Biophys. Res. Commun., 297, 756-759 (2002).
1923. Vercammen, J., Maertens, G., Gerard, M., De Clercq, E., Debyser, Z. & Engelborghs.
DNA-induced polymerization of HIV-1 integrase analyzed with fluorescence fluctuation spectroscopy.
J. Biol. Chem., 277: 38045-38052 (2002).
1924. Moukha-chafiq, O., Taha, M.L., Lazrek, H.B., Vasseur, J.J., Pannecouque, C., Witvrouw, M. & De Clercq, E.
Synthesis and biological activity of some 4-substituted 1-[1-(2,3-dihydroxy-1-propoxy)methyl-1,2,3-triazol-(4 & 5)-ylmethyl]-1*H*-pyrazolo[3,4-*d*]pyrimidines.
Il Farmaco, 57: 27-32 (2002).
1925. Saijo, M., Suzutani, T., De Clercq, E., Niikura, M., Maeda, A., Morikawa, S. & Kurane, I.
Genotypic and phenotypic characterization of the thymidine kinase of ACV-resistant HSV-1 derived from an acyclovir-sensitive herpes simplex virus type 1 strain.
Antiviral Res., 56: 253-262 (2002).

1926. Daelemans, D., Afonina, E., Nilsson, J., Werner, G. Kjemis, J., De Clercq, E., Pavlakis, G.N. & Vandamme, A.-M.
A synthetic HIV-1 Rev inhibitor interfering with the CRM1-mediated nuclear export
Proc. Natl. Acad. Sci. USA, 99: 14440-14445 (2002).
1927. Garuti, L., Roberti, M. & De Clercq, E.
Synthesis and antiviral/antiproliferative activity of some *N*-sulphonylbenzimidazoles.
Bioorg. Med. Chem. Lett., 12: 2707-2710 (2002).
1928. Snoeck, R., Holý, A., Dewolf-Peeters, C., Van den Oord, J., De Clercq, E. & Andrei, G.
Antivaccinia activity of acyclic nucleoside phosphonate derivatives in epithelial cells and organotypic cultures.
Antimicrob. Agents Chemother., 46: 3356-3361 (2002).
1929. Santana, L., Teijeira, M., Uriarte, E., Balzarini, J. & De Clercq, E.
Synthesis, conformational analysis and antiviral and antitumoral activity of new 1,2-disubstituted carbocyclic nucleosides.
Eur. J. Med. Chem., 37: 755-760 (2002).
1930. Mavel, S., Renou, J.-L., Galtier, C., Allouchi, H., Snoeck, R., Andrei, G., De Clercq, E., Balzarini, J. & Gueiffier, A.
Influence of 2-substituent on the activity of imidazo[1,2-*a*]pyridine derivatives against human cytomegalovirus.
Bioorg. Med. Chem., 10: 941-946 (2002).
1931. Goslinski, T., Golankiewicz, B., De Clercq, E. & Balzarini, J.
Synthesis and biological activity of the strongly fluorescent tricyclic analogues of acyclovir and ganciclovir.
J. Med. Chem., 45: 5052-5057 (2002).
1932. Vermeire, K., Zhang, Y., Princen, K., Hatse, S., Samala, M., Dey, K., Choi, H.-J., Ahn, Y., Sodoma, A., Snoeck, R., Andrei, G., De Clercq, E., Bell, T.W. & Schols, D.
CADA inhibits human immunodeficiency virus and human herpesvirus 7 replication by down-modulation of the cellular CD4 receptor.
Virology, 302: 342-353 (2002).
1933. Hillenkamp, J., Reinhard, T., Ross, R.S., Böhringer, D., Carlsburg, O., Roggendorf, M., De Clercq, E., Godehardt, E. & Sundmacher, R.
The effects of cidofovir 1% with and without cyclosporin A 1% as a topical treatment of acute adenoviral keratoconjunctivitis. A controlled clinical pilot study.
Ophthalmology, 109: 845-850 (2002).
1934. Dimmock, J.R., Padmanilyam, M.P., Zello, G.A., Quail, J.W., Oloo, E.O., Prisciak, J.S., Kraatz, H.-B., Cherkasov, A., Lee, J.S., Allen, T.M., Santos, C.L., Manuvathu, E.K., De Clercq, E., Balzarini, J. & Stables, J.P.
Cytotoxic 1,3-diarylidene-2-tetralones and related compounds.
Eur. J. Med. Chem., 37: 813-824 (2002).
1935. Snoeck, R. & De Clercq, E.
Role of cidofovir in the treatment of DNA virus infections, other than CMV infections, in immunocompromised patients.
Curr. Opin. Invest. Drugs, 3: 1561-1566 (2002).

1936. Barreca, M.L., Balzarini, J., Chimirri, A., De Clercq, E., De Luca, L., Höltje, H.D., Höltje, M., Monforte, A.M., Monforte, P., Pannecouque, C., Rao, A. & Zappalà, M.
Design, synthesis, structure-activity relationships, and molecular modeling studies of 2,3-diaryl-1,3-thiazolidin-4-ones as potent anti-HIV agents.
J. Med. Chem., 45: 5410-5413 (2002).
1937. Rao, A., Carbone, A., Chimirri, A., De Clercq, E., Monforte, A.M., Monforte, P., Pannecouque, C. & Zappalà, M.
Synthesis and anti-HIV activity of 2,3-diaryl-1,3-thiazolidin-4-(thio)one derivatives.
Il Farmaco, 57: 747-751 (2002).
1938. Rao, A., Chimirri, A., De Clercq, E., Monforte, A.M., Monforte, P., Pannecouque, C. & Zappalà, M.
Synthesis and anti-HIV activity of 1-(2,6-difluorophenyl)-1H,3H-thiazolo[3,4-a]benzimidazole structurally-related 1,2-substituted benzimidazoles.
Il Farmaco, 57: 819-823 (2002).
1939. Lakatos, S.A., Balzarini, J., Andrei, G., Snoeck, R., De Clercq, E. & Preobrazhenskaya, M.N.
Synthesis and cytotoxic activity of N^{ind}-alkoxy derivatives of antibiotic arcycrirubin and dechloro-rebeccamycin aglycon.
J. Antibiotics, 55: 768-773 (2002).
1940. Fikkert, V., Cherepanov, P., Van Laethem, K., Hantson, A., Van Remoortel, B., Pannecouque, C., De Clercq, E., Debyser, Z., Vandamme, A.-M. & Witvrouw, M.
env Chimeric virus technology for evaluating human immunodeficiency virus susceptibility to entry inhibitors
Antimicrob. Agents Chemother., 46: 3954-3962 (2002).
1941. Guenther, S., Balzarini, J., De Clercq, E. & Nair, V.
A thymidine phosphorylase-stable analogue of BVDU with significant antiviral activity.
J. Med. Chem., 45: 5426-5429 (2002).
1942. Van Vaerenbergh, K., De Geest, S., Derdelinckx, I., Bobbaers, H., Carbonez, A., Ceunen, H., De Graeve, V., De Saar, V., Deschamps, A., De Smet, K., Maes, B., Peetermans, W., Schrooten, Y., Desmyter, J., De Clercq, E., Van Ranst, M., Van Wijngaerden, E. & Vandamme, A.-M.
A combination of poor adherence and a low baseline susceptibility score highly predictive for HAART failure.
Antiviral Chem. Chemother., 13: 231-240 (2002).
1943. Fikkert, V., Cherepanov, P., Van Laethem, K., Hantson, A., Van Remoortel, B., Pannecouque, C., De Clercq, E., Debyser, Z., Vandamme, A.-M. & Witvrouw, M.
A new phenotypic assay to evaluate HIV susceptibility towards entry inhibitors
Proceedings of the XIVth International AIDS Conference, Barcelona, Spain, 7-12 July 2002, pp. 19-22 (2002).
1944. Višnjevac, A., Tušek-Božić, L., Majerić-Elenkov, M., Hameršak, Z., Kojman, H., De Clercq, E. & Kojić-Prodić, B.
Synthesis, structural characterisation and biological activity of Zn(II) and Pd(II) complexes of 3-substituted 5-(2'-pyridyl)-1,4-benzodiazepin-2-one derivatives.
Polyhedron, 21: 2567-2577 (2002).

1945. Dimmock, J.R., Jha, A., Zello, G.A., Quail, J.W., Oloo, E.O., Nienaber, K.H., Kowalczyk, E.S., Allen, T.M., Santos, C.L., De Clercq, E., Balzarini, J., Manavathu, E.K. & Stables, J.P.
Cytotoxic *N*-[4-(3-aryl-3-oxo-1-propenyl)phenylcarbonyl]-3,5-bis(phenylmethylene)-4-piperidones and related compounds.
Eur. J. Med. Chem., 37: 961-972 (2002).
1946. Carangia, A., Srinivasan, S., McGuigan, C., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Bicyclic nucleoside inhibitors of varicella-zoster virus: effect of terminal aryl substitution in the side-chain.
Antiviral Chem. Chemother., 13: 263-271 (2002).
1947. Iliopoulou, D., Roussis, V., Pannecouque, C., De Clercq, E. & Vagias, C.
Halogenated sesquiterpenes from the red alga *Laurencia obtusa*.
Tetrahedron, 58: 6749-6755 (2002).
1948. Jung, M.E., Toyota, A., De Clercq, E. & Balzarini, J.
Synthesis and biological activity of a series of methylene-expanded oxetanocin nucleoside analogues.
Monatshefte für Chemie, 133: 499-520 (2002).
1949. Snoeck, R. & De Clercq, E.
New treatments for genital herpes.
Curr. Opin. Infect. Dis., 15: 49-55 (2002).
1950. De Clercq, E.
New developments in anti-HIV chemotherapy.
Proceedings of the "XXXVII^{èmes} Rencontres Internationales de Chimie Thérapeutique", Tours, France, 4-6 July 2001.
In: "Actualités de Chimie Thérapeutique", Société de Chimie Thérapeutique, Châtenay-Malabry, France, pp. 61-82 (2002).
1951. Armand-Ugón, M., Quinones-Mateu, M.E., Gutiérrez, A., Barretina, J., Blanco, J., Schols, D., De Clercq, E., Clotet, B. & Esté, J.A.
Reduced fitness of HIV-1 resistant to CXCR4 antagonists.
Antiviral Ther., 8: 1-8 (2003).
1952. De Clercq, E.
Potential of acyclic nucleoside phosphonates in the treatment of DNA virus and retrovirus infections.
Expert Rev. Anti-infect. Ther., 1: 21-43 (2003).
1953. Princen, K., Hatse, S., Vermeire, K., De Clercq, E. & Schols, D.
Evaluation of SDF-1/CXCR4-induced Ca²⁺ signaling by fluorometric imaging plate reader (FLIPR) and flow cytometry.
Cytometry, 51A: 35-45 (2003).
1954. Vermeire, K., Bell, T.W., Choi, H.-J., Jin, Q., Samala, M.F., Sodoma, A., De Clercq, E. & Schols, D.
The anti-HIV potency of cyclotriazadisulfonamide analogs is directly correlated with their ability to down-modulate the CD4 receptor.
Mol. Pharmacol., 63: 203-210 (2003).

1955. Cherepanov, P., Maertens, G., Proost, P., Devreese, B., Van Beeumen, J., Engelborghs, Y., De Clercq, E. & Debyser, Z.
HIV-1 Integrase forms stable tetramers and associates with LEDGF/p75 protein in human cells.
J. Biol. Chem., 278: 372-381 (2003).
1956. Leyssen, P., Drosten, C., Paning, M., Charlier, N., Paeshuyse, J., De Clercq, E. & Neyts, J.
Interferons, interferon inducers, and interferon-ribavirin in treatment of flavivirus-induced encephalitis in mice.
Antimicrob. Agents Chemother., 47: 777-782 (2003).
1957. Naimi, E., Zhou, A., Khalili, P., Wiebe, L.I., Balzarini, J., De Clercq, E. & Knaus, E.E.
Synthesis of 3'- and 5'-nitrooxy pyrimidine nucleoside nitrate esters: "nitric oxide donor" agents for evaluation as anticancer and antiviral agents.
J. Med. Chem., 46: 995-1004 (2003).
1958. Rao, A., Carbone, A., Chimirri, A., De Clercq, E., Monforte, A.M., Monforte, P., Pannecouque, C. & Zappalà.
Synthesis and anti-HIV activity of 2,3-diaryl-1,3-thiazolidin-4-ones.
Il Farmaco, 58: 115-120 (2003).
1959. Barreca, M.L., Chimirri, A., De Clercq, E., De Luca, L., Monforte, A.-M., Monforte, P., Rao, A. & Zappalà, M.
Anti-HIV agents: design and discovery of new potent RT inhibitors.
Il Farmaco, 58: 259-263 (2003).
1960. Charlier, N., Molenkamp, R., Leyssen, P., Vandamme, A.-M., De Clercq, E., Bredenbeek, P. & Neyts, J.
A rapid and convenient variant of fusion-PCR to construct chimeric flaviviruses.
J. Virol. Methods, 108: 67-74 (2003).
1961. Okamoto, M., Wang, X., Debyser, Z., De Clercq, E. & Baba, M.
Establishment of an *in vitro* assay system mimicking human immunodeficiency virus type 1-induced neural cell death and evaluation of inhibitors thereof.
J. Virol. Methods, 108: 195-203 (2003).
1962. Dimmock, J.R., Padmanilayam, M.P., Zello, G.A., Nienaber, K.H., Allen, T.M., Santos, C.L., De Clercq, E., Balzarini, J., Manavathu, E.K. & Stables, J.P.
Cytotoxic analogues of 2,6-bis(arylidene)cyclohexanones.
Eur. J. Med. Chem., 38: 169-177 (2003).
1963. Van Maele, B., De Rijck, J., De Clercq, E. & Debyser, Z.
Impact of the central polypurine tract on the kinetics of human immunodeficiency virus type 1 vector transduction.
J. Virol., 77: 4685-4694 (2003).
1964. Lagoja, I.M., Pannecouque, C., Van Aerschot, A., Witvrouw, M., Debyser, Z., Balzarini, J., Herdewijn, P. & De Clercq, E.
N-aminoimidazole derivatives inhibiting retroviral replication *via* a yet unidentified mode of action.
J. Med. Chem., 46: 1546-1553 (2003).

1965. Leyssen, P., Paeshuyse, J., Charlier, N., Van Lommel, A., Drosten, C., De Clercq, E. & Neyts, J.
Impact of direct virus-induced neuronal dysfunction and immunopathological damage on the progression of flavivirus (Modoc) encephalitis in a murine model.
J. Neurovirol., 9: 69-78 (2003).
1966. De Clercq, E.
Highly potent and selective inhibition of varicella-zoster virus replication by bicyclic furo [2,3-d]pyrimidine nucleoside analogues.
Med. Res. Rev., 23: 253-274 (2003).
1967. Jekle, A., Keppler, O.T., De Clercq, E., Schols, D., Weinstein, M. & Goldsmith, M.A.
In vivo evolution of human immunodeficiency virus type 1 toward increased pathogenicity through CXCR4-mediated killing of uninfected CD4 T-cells.
J. Virol., 77: 5846-5854 (2003).
1968. Van Aerschot, A., Schepers, G., Busson, R., Rozenski, J., Neyts, J., De Clercq, E. & Herdewijn, P.
Ribavirin derivatives with a hexitol moiety: synthesis and antiviral activity evaluation.
Antiviral Chem. Chemother., 14: 23-30 (2003).
1969. Gu, P., Morral, J., Wang, J., Rozenski, J., Busson, R., Van Aerschot, A., De Clercq, E. & Herdewijn, P.
Synthesis and antiviral activity of a series of new cyclohexenyl nucleosides.
Antiviral Chem. Chemother., 14: 31-37 (2003).
1970. Guillerme, G., Guillerme, D., Vandenplas-Vitkowski, C., Glapski, C. & De Clercq, E.
Inactivation of *S*-adenosyl-L-homocysteine hydrolase with novel 5'-thioadenosine derivatives. Antiviral effects.
Bioorg. Med. Chem. Lett., 13: 1649-1652 (2003).
1971. Stylianakis, I., Kolocouris, A., Kolocouris, N., Fytas, G., Foscolos, G.B., Padalko, E., Neyts, J. & De Clercq, E.
Spiro[pyrrolidine-2,2'-adamantanes]: synthesis, anti-influenza virus activity and conformational properties.
Bioorg. Med. Chem. Lett., 13: 1699-1703 (2003).
1972. Suzutani, T., Ishioka, K., De Clercq, E., Ishibashi, K., Kaneko, H., Kira, T., Hashimoto, K.-i., Ogasawara, M., Ohtani, K., Wakamiya, N. & Wakamiya, N.
Differential mutation patterns in thymidine kinase and DNA polymerase genes of herpes simplex virus type 1 clones passaged in the presence of acyclovir or penciclovir.
Antimicrob. Agents Chemother., 47: 1707-1713 (2003).
1973. De Clercq, E.
New inhibitors of HCMV (human cytomegalovirus) on the horizon
J. Antimicrob. Chemother., 51: 1079-1083 (2003).
1974. Dimmock, J.R., Jha, A., Zello, G.A., Allen, T.M., Santos, C.L., Balzarini, J., De Clercq, E., Manavathu, E.K. & Stables, J.P.
Cytotoxic 4'-aminochalcones and related compounds.
Pharmazie, 58: 227-232 (2003).
1975. Willey, S.J., Reeves, J.D., Hudson, R., Miyake, K., Dejudcq, N., Schols, D., De Clercq, E., Bell, J., McKnight, A. & Clapham, P.R.

- Identification of a subset of human immunodeficiency virus type 1 (HIV-1), HIV-2, and simian immunodeficiency virus strains able to exploit an alternative coreceptor on untransformed human brain and lymphoid cells.
J. Virol., 77: 6138-6152 (2003).
1976. Helliot, B., Panis, B., Frison, E., De Clercq, E., Swennen, R., Lepoivre, P. & Neyts, J.
 The acyclic nucleoside phosphonate analogues, adefovir, tenofovir and PMEDAP, efficiently eliminate banana streak virus from banana (*Musa* spp.).
Antiviral Res., 59: 121-126 (2003).
1977. De Clercq, E.
 The bicyclam AMD3100 story.
Nature Rev. Drug Discovery, 2: 581-587 (2003).
1978. Neyts, J. & De Clercq, E.
 Therapy and short-term prophylaxis of poxvirus infections: historical background and perspectives.
Antiviral Res., 57: 25-33 (2003).
In: "Handbook of Viral Bioterrorism & Biodefense", E. De Clercq & E.R. Kern (eds.). Elsevier Science Publishers, Amsterdam, pp. 23-33 (2003).
1979. Meng, G., Chen, F.-E., De Clercq, E., Balzarini, J. & Pannecouque, C.
 Nonnucleoside HIV-1 reverse transcriptase inhibitors: part I. Synthesis and structure-activity relationship of 1-alkoxymethyl-5-alkyl-6-(1-naphthylmethyl)uracils as HEPT analogues.
Chem. Pharm. Bull., 51: 779-789 (2003).
1980. Balzarini, J., Pannecouque, C., De Clercq, E., Pavlov, A.Y., Printsevskaya, S.S., Miroshnikova, O.V., Reznikova, M.I. & Preobrazhenskaya, M.N.
 Antiretroviral activity of semisynthetic derivatives of glycopeptide antibiotics.
J. Med. Chem., 46: 2755-2764 (2003).
1981. Hatse, S., Princen, K., Vermeire, K., Gerlach, L.-O., Rosenkilde, M.M., Schwartz, T.W., Bridger, G., De Clercq, E. & Schols, D.
 Mutations at the CXCR4 interaction sites for AMD3100 influence anti-CXCR4 antibody binding and HIV-1 entry.
FEBS Lett., 546: 300-306 (2003).
1982. Anastasi, C., Vlieghe, P., Hantz, O., Schorr, O., Pannecouque, C., Witvrouw, M., De Clercq, E., Clayette, P., Dereuddre-Bosquet, N., Dormont, D., Gondois-Rey, F., Hirsch, I. & Kraus, J.-L.
 Are 5'-*O*-carbamate-2',3'-dideoxythiacytidine new anti-HIV and anti-HBV nucleoside drugs or prodrugs ?
Bioorg. Med. Chem. Lett., 13: 2459-2463 (2003).
1983. Simonart, T., Boelaert, J.R., Andrei, G., De Clercq, E. & Snoeck, R.
 Iron withdrawal strategies fail to prevent the growth of SiHa-induced tumors in mice.
Gynecol. Oncol., 90: 91-95 (2003).
1984. Dzolić, Z., Krištafor, V., Cetina, M., Nagl, A., Hergold-Brundić, A., Mrvoš-Sermek, D., Burgemeister, T., Grdiša, M., Slade, N., Pavelić, K., Balzarini, J., De Clercq, E. & Mintas, M.
 Synthesis, structural studies, and biological evaluation of some purine substituted 1-aminocyclopropane-1-carboxylic acids and 1-amino-1-hydroxymethylcyclopropanes.

Nucleosides, Nucleotides & Nucleic Acids, 22: 373-389 (2003).

1985. Dimmock, J.R., Padmanilayam, M.P., Das, U., Zello, G.A., Sharma, R.K., Shrivastav, A., Selvakumar, P., Pasha, M.K., Nienaber, K.H., Lee, J.S., Allen, T.M., Santos, S.L., Balzarini, J. & De Clercq, E.
Cytotoxic Mannich bases of 1-arylidene-2-tetralones.
J. Enzyme Inhibition Med. Chem., 18: 313-324 (2003).
1986. Dimmock, J.R., Jha, A., Zello, G.A., Sharma, R.K., Shrivastav, A., Allen, T.M., Santos, C.L., Balzarini, J., De Clercq, E., Manavathu, E.K. & Stables, J.P.
3,5-Bis(phenylmethylene)-1-(N-arylmaleamoyl)-4-piperidones: a novel group of cytotoxic agents.
J. Enzyme Inhibition Med. Chem., 18: 325-332 (2003).
1987. Coremans, G., Margaritis, V., Snoeck, R., Wyndaele, J., De Clercq, E. & Geboes, K.
Topical cidofovir (HPMPC) is an effective adjuvant to surgical treatment of anogenital condylomata acuminata.
Dis. Colon Rectum, 46: 1103-1109 (2003).
1988. Ying, C., De Clercq, E. & Neyts, J.
Selective inhibition of hepatitis B virus replication by RNA interference.
Biochem. Biophys. Res. Commun., 309: 482-484 (2003).
1989. Ying, C., De Clercq, E. & Neyts, J.
Selective inhibitors of hepatitis B virus replication.
Curr. Med. Chem. - Anti-Infective Agents, 2: 227-240 (2003).
1990. Leyssen, P., Croes, R., Rau, P., Heiland, S., Verbeken, E., Sciote, R., Paeshuyse, J., Charlier, N., De Clercq, E., Meyding-Lamadé, U. & Neyts, J.
Acute encephalitis, a poliomyelitis-like syndrome and neurological sequelae in a hamster model for flavivirus infections.
Brain Pathology, 13: 279-290 (2003).
1991. Stevens, M., Pannecouque, C., De Clercq, E. & Balzarini, J.
Inhibition of human immunodeficiency virus by a new class of pyridine oxide derivatives.
Antimicrob. Agents Chemother, 47: 2951-2957 (2003).
1992. Maertens, G., Cherepanov, P., Pluymers, W., Busschots, K., De Clercq, E., Debyser, Z. & Engelborghs, Y.
LEDGF/p75 is essential for nuclear and chromosomal targeting of HIV-1 integrase in humans cells.
J. Biol. Chem., 278: 33528-33539 (2003).
1993. Blanco, J.M., Caamano, O., Fernández, F., Rodríguez-Borges, J.E., Balzarini, J. & De Clercq, E.
Carbocyclic analogues of nucleosides from bis-(hydroxymethyl)cyclopentane: synthesis, antiviral and cytostatic activities of adenosine, inosine and uridine analogues.
Chem. Pharm. Bull., 51: 1060-1063 (2003).

1994. Poznanski, J., Bretner, M., Kulikowski, T., Balzarini, J., Van Aerschot, A. & De Clercq, E.
Synthesis, solution conformation and anti-HIV activity of novel 3'-substituted-2',3'-dideoxy-5-hydroxymethyluridines and their 4,5-substituted analogues.
Antiviral Chem. Chemother., 14: 127-138 (2003).
1995. Balzarini, J., De Clercq, E., Kaminska, B. & Orzeszko, A.
Synthesis and antiviral activity of some 5'-*N*-phthaloyl-3'-azido-2',3'-dideoxythymidine analogues.
Antiviral Chem. Chemother., 14: 139-144 (2003).
1996. Zoidis, G., Kolocouris, N., Foscolos, G.B., Kolocouris, A., Fytas, G., Karayanis, P., Padalko, E., Neyts, J. & De Clercq, E.
Are the 2-isomers of the drug rimantadine active anti-influenza A agents?
Antiviral Chem. Chemother., 14: 153-164 (2003).
1997. McGuigan, C., Jukes, A., Blewett, S., Barucki, H., Erichsen, J.T., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Halophenyl furanopyrimidines as potent and selective anti-VZV agents.
Antiviral Chem. Chemother., 14: 165-170 (2003).
1998. De Clercq, E.
Nucleoside/nucleotide inhibitors of HIV reverse transcriptase.
In: "Viral Infections and Treatment", H. RübSamen-Waigmann, K. Deres, G. Hewlett & R. Welker (eds.), Marcel Dekker, Inc., New York, pp. 485-504 (2003).
1999. Dzolic, Z., Cetina, M., Kovacek, D., Hergold-Brundic, A., Mrvos-Sermek, D., Nagl, A., Slade, N., Pavelic, K., Balzarini, J., De Clercq, E., Zerbe, O., Folkers, G., Scapozza, L. & Mintas, M.
Molecular structures and ab initio molecular orbital calculations of the optically active derivatives of 1-aminocyclopropane-1-carboxylic acid.
J. Mol. Structure, 655: 229-241 (2003).
2000. Stevens, M., Pannecouque, C., De Clercq, E. & Balzarini, J.
Novel human immunodeficiency virus (HIV) inhibitors that have a dual mode of anti-HIV action.
Antimicrob. Agents Chemother., 47: 3109-3116 (2003).
2001. Cerasino, L., Intini, F.P., Kobe, J., De Clercq, E. & Natile, G.
Synthesis and stereochemical characterisation of platinum(II) complexes with the antiviral agents penciclovir and famciclovir.
Inorg. Chim. Acta, 344: 174-182 (2003).
2002. Hatse, S., Bridger, G., De Clercq, E. & Schols, D.
X4 HIV-1 induces neuroblastoma cell death by interference with CXCL12/CXCR4 interaction.
Cell. Mol. Biol., 49: OL443-OL452 (2003).
2003. Galtier, C., Mavel, S., Snoeck, R., Andrei, G., Pannecouque, C., Witvrouw, M., Balzarini, J., De Clercq, E. & Gueiffier, A.
Synthesis and antiviral activities of 3-aralkylthiomethylimidazo[1,2-*b*]pyridazine derivatives.
Antiviral Chem. Chemother., 14: 177-182 (2003).

2004. Manfredini, S., Solaroli, N., Angusti, A., Nalin, F., Durini, E., Vertuani, S., Pricl, S., Ferrone, M., Spadari, S., Focher, F., Verri, A., De Clercq, E. & Balzarini, J.
Design and synthesis of phosphonoacetic acid (PPA) ester and amide bioisosters of ribofuranosynucleoside diphosphates as potential ribonucleotide reductase inhibitors and evaluation of their enzyme inhibitory, cytostatic and antiviral activity.
Antiviral Chem. Chemother., 14: 183-194 (2003).
2005. Neyts, J. & De Clercq, E.
Non-nucleoside inhibitors of HCMV replication.
In: "New Aspects of CMV-Related Immunopathology", Monographs in Virology, vol. 24, Prösch, S., Cinatl, J. & Scholz, M. (eds.), Karger AG, Basel, Switzerland, pp. 171-181 (2003).
2006. Barai, V.N., Zinchenko, A.I., Eroshevskaya, L.A., Zhernosek, E.V., Balzarini, J., De Clercq, E. & Mikhailopulo, I.A.
Chemo-enzymatic synthesis of 3-deoxy- β -D-ribofuranosyl purines and study of their biological properties.
Proceedings of the XV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", Leuven, Belgium, 10-14 September 2002.
Nucleosides, Nucleotides & Nucleic Acids, 22: 751-753 (2003).
2007. Terán, C., Santana, L., Uriarte, E., Vina, D. & De Clercq, E.
Purine derivatives of 1,2-disubstituted cyclohexane analogues of nucleosides.
Proceedings of the XV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", Leuven, Belgium, 10-14 September 2002.
Nucleosides, Nucleotides & Nucleic Acids, 22: 787-789 (2003).
2008. Muus, U., De Clercq, E., Balzarini, J., Naesens, L. & Meier, C.
Study of different substituted cyclic and acyclic benzylpronucleotides of d4T relative to their hydrolytic stability and antiviral activity.
Proceedings of the XV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", Leuven, Belgium, 10-14 September 2002.
Nucleosides, Nucleotides & Nucleic Acids, 22: 791-795 (2003).
2009. Bidet, O., McGuigan, C., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Synthesis of unusual bicyclic nucleosides bearing an unsaturated side-chain, as potential inhibitors of varicella-zoster virus (VZV).
Proceedings of the XV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", Leuven, Belgium, 10-14 September 2002.
Nucleosides, Nucleotides & Nucleic Acids, 22: 817-819 (2003).
2010. Meier, C., Renze, J.T., Balzarini, J. & De Clercq, E.
d4TMP delivery from 7-substituted *cycloSal*-d4TMPs.
Proceedings of the XV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", Leuven, Belgium, 10-14 September 2002.
Nucleosides, Nucleotides & Nucleic Acids, 22: 825-827 (2003).
2011. Ait Mohamed, L., Taourirte, M., Rochdi, A., Lazrek, H.B., Vasseur, J.J., Engels, J.W., Pannecouque, C. & De Clercq, E.
Synthesis of new homo and heterodimers of 2',3'-dideoxyinosine (ddI) using ester linkages.
Proceedings of the XV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", Leuven, Belgium, 10-14 September 2002.
Nucleosides, Nucleotides & Nucleic Acids, 22: 829-831 (2003).

2012. Lavandera, I., Fernández, S., Ferrero M, De Clercq, E. & Gotor, V.
Synthesis and antiviral activity assay of novel (*E*)-3',5'-diamino-5-(2-bromovinyl)-2',3',5'-trideoxyuridine.
Proceedings of the XV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", Leuven, Belgium, 10-14 September 2002.
Nucleosides, Nucleotides & Nucleic Acids, 22: 833-836 (2003).
2013. Gu, P., Morral, J., Wang, J., Rozenski, J., Busson, R., Van Aerschot, A., De Clercq, E. & Herdewijn, P.
Synthesis and biological evaluation of a series of new cyclohexenyl nucleosides.
Proceedings of the XV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", Leuven, Belgium, 10-14 September 2002.
Nucleosides, Nucleotides & Nucleic Acids, 22: 845-847 (2003).
2014. Van Aerschot, A., Schepers, G., Busson, R., Neyts, J., De Clercq, E. & Herdewijn, P.
Synthesis and antiviral evaluation of ribavirin congeners containing a hexitol moiety.
Proceedings of the XV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", Leuven, Belgium, 10-14 September 2002.
Nucleosides, Nucleotides & Nucleic Acids, 22: 849-851 (2003).
2015. Ermolinsky, B.S., Efimtseva, E.V., Alexeev, C.S., Mikhailov, S.N., Balzarini, J. & De Clercq, E.
Dinucleoside monophosphates containing AZT and 1-methyladenosine or 7-methylguanosine.
Proceedings of the XV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", Leuven, Belgium, 10-14 September 2002.
Nucleosides, Nucleotides & Nucleic Acids, 22: 853-855 (2003).
2016. Goslinski, T., Wenska, G., Golankiewicz, B., Balzarini, J. & De Clercq, E.
Synthesis and fluorescent properties of 6-(4-biphenyl)-3,9-dihydro-9-oxo-5*H*-imidazo [1,2-*A*]purine analogues of acyclovir and ganciclovir.
Proceedings of the XV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", Leuven, Belgium, 10-14 September 2002.
Nucleosides, Nucleotides & Nucleic Acids, 22: 911-914 (2003).
2017. Luoni, G., McGuigan, C., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Bicyclic nucleoside inhibitors of varicella-zoster virus: 5'-chloro and 3'-chloro derivatives.
Proceedings of the XV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", Leuven, Belgium, 10-14 September 2002.
Nucleosides, Nucleotides & Nucleic Acids, 22: 931-933 (2003).
2018. Carangio, A., McGuigan, C., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Bicyclic nucleoside inhibitors of varicella-zoster virus: synthesis and biological evaluation of 2',3'-dideoxy-3'-fluoro and 2'-deoxy-xylo derivatives.
Proceedings of the XV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", Leuven, Belgium, 10-14 September 2002.
Nucleosides, Nucleotides & Nucleic Acids, 22: 935-937 (2003).

2019. Bonache, M.-C., Chamorro, C., Velázquez, S., De Clercq, E., Balzarini, J., Camarasa, M.-J. & San-Félix, A.
N-3 Substituted TSAO derivatives as a probe to explore the dimeric interface of HIV-1 reverse transcriptase.
Proceedings of the XV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", Leuven, Belgium, 10-14 September 2002.
Nucleosides, Nucleotides & Nucleic Acids, 22: 947-949 (2003).
2020. Priego, E.M., Mendieta, J., Gago, F., Balzarini, J., De Clercq, E., Camarasa, M.-J. & Pérez-Pérez, M.-J.
Towards new thymidine phosphorylase/PD-ECGF inhibitors based on the transition state of the enzyme reaction.
Proceedings of the XV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", Leuven, Belgium, 10-14 September 2002.
Nucleosides, Nucleotides & Nucleic Acids, 22: 951-953 (2003).
2021. de Castro, S., Pérez-Pérez, M.-J., Lobatón, E., De Clercq, E., Balzarini, J., Camarasa, M.-J. & Velázquez, S.
Unusual lability of 5'-*O*-*tert*-butyldimethylsilyl group on 4'-acyl TSAO derivatives.
Proceedings of the XV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", Leuven, Belgium, 10-14 September 2002.
Nucleosides, Nucleotides & Nucleic Acids, 22: 959-961 (2003).
2022. Moukha-chafiq, O., Taha, M.L., Mouma, A., Lazrek, H.B., Vasseur, J.J. & De Clercq, E.
Synthesis and biological evaluation of some acyclic 4,6-disubstituted 1*H*-pyrazolo[3,4-*d*]pyrimidine nucleosides.
Proceedings of the XV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", Leuven, Belgium, 10-14 September 2002.
Nucleosides, Nucleotides and Nucleic Acids, 22: 967-972 (2003).
2023. Sienaert, R., Naesens, L., Brancale, A., Carangio, A., Andrei, G., Snoeck, R., Van Kuilenburg, A., De Clercq, E., McGuigan, C. & Balzarini, J.
Metabolic and pharmacological characteristics of the bicyclic nucleoside analogues (BCNAs) as highly selective inhibitors of varicella-zoster virus (VZV).
Proceedings of the XV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", Leuven, Belgium, 10-14 September 2002.
Nucleosides, Nucleotides and Nucleic Acids, 22: 995-997 (2003).
2024. De Bolle, L., Balzarini, J., De Clercq, E. & Naesens, L.
Characterization of the catalytic subunit of the human herpesvirus 6 (HHV-6) DNA polymerase expressed in an in vitro transcription/translation assay.
Proceedings of the XV International Round Table on "Nucleosides, Nucleotides and Their Biological Applications", Leuven, Belgium, 10-14 September 2002.
Nucleosides, Nucleotides and Nucleic Acids, 22: 999-1001 (2003).
2025. Dinakaran, M., Selvam, P., De Clercq, E. & Sridhar, S.K.
Synthesis, antiviral and cytotoxic activity of 6-bromo-2,3-disubstituted-4(3*H*)-quinazolinones.
Biol. Pharm. Bull., 26: 1278-1282 (2003).

2026. Fikkert, V., Van Maele, B., Vercammen, J., Hantson, A., Van Remoortel, B., Michiels, M., Gurnari, C., Pannecouque, C., Demaeyer, M., Engelborghs, Y., De Clercq, E., Debysers, Z. & Witvrouw, M.
Development of resistance against diketo derivatives of human immunodeficiency virus type 1 by progressive accumulation of integrase mutations.
J. Virol., 77: 11459-11470 (2003).
2027. De Clercq, E.
Clinical potential of acyclic nucleoside phosphonates cidofovir, adefovir, and tenofovir in treatment of DNA virus and retrovirus infections.
Clin. Microbiol. Rev., 16: 569-596 (2003).
2028. Lavandera, I., Fernández, S., Ferrero, M., De Clercq, E. & Gotor, V.
Synthesis, protonation behavior, conformational analysis, and regioselective enzymatic acylation of the novel diamino analogue of (*E*)-5-(2-bromovinyl)-2'-deoxyuridine (BVDU).
Nucleosides, Nucleotides and Nucleic Acids, 22: 1939-1952 (2003).
2029. Wirsching, J., Voss, J., Giesler, A., Kopf, J., Adiwidjaja, G., Balzarini, J. & De Clercq, E.
Thiosugars. X. Novel nucleoside analogues derived from 4-thio-L-lyxofuranose.
Nucleosides, Nucleotides and Nucleic Acids, 22: 1867-1897 (2003).
2030. Fürstner, A., Albert, M., Mlynarski, J., Matheu, M. & De Clercq, E.
Structure assignment, total synthesis, and antiviral evaluation of cycloviracin B₁.
J. Am. Chem. Soc., 125: 13132-13142 (2003).
2031. De Clercq, E.
Potentiel des phosphonates de nucléosides acycliques (cidofovir, adéfovir, ténofovir) dans le traitement des infections virales.
Virologie, 7: S69-S86 (2003).
2032. Russ, P., Schelling, P., Scapozza, L., Folkers, G., De Clercq, E. & Marquez, V.E.
Synthesis and biological evaluation of 5-substituted derivatives of the potent antiherpes agent (North)-methanocarbothymine.
J. Med. Chem., 46: 5045-5054 (2003).
2033. Hocková, D., Holý, A., Masojídková, M., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
5-Substituted-2,4-diamino-6-[2-(phosphonomethoxy)ethoxy]pyrimidines – acyclic nucleotide phosphonate analogues with antiviral activity.
J. Med. Chem., 46: 5064-5073 (2003).
2034. Bonache, M.-C., Chamorro, C., Lobatón, E., De Clercq, E., Balzarini, J., Velázquez, S., Camarasa, M.-J. & San-Félix, A.
Structure-activity relationship studies on a novel family of specific HIV-1 reverse transcriptase inhibitors.
Antiviral Chem. Chemother., 14: 249-262 (2003)
2035. Maruyama, T., Kozai, S., Yamasaki, T., Witvrouw, M., Pannecouque, C., Balzarini, J., Snoeck, R., Andrei, G. & De Clercq, E.
Synthesis and antiviral activity of 1,3-disubstituted uracils against HIV-1 and HCMV.
Antiviral Chem. Chemother., 14: 271-279 (2003)
2036. Barral, K., Hider, R.C., Balzarini, J., Neyts, J., De Clercq, E. & Camplo, M.

- Synthesis and antiviral evaluation of 3-hydroxy-2-methylpyridin-4-one dideoxy-nucleoside derivatives.
Bioorg. Med. Chem. Lett., 13: 4371-4374 (2003)
2037. McGuigan, C., Brancale, A., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Novel bicyclic furanopyrimidines with dual anti-VZV and -HCMV activity.
Bioorg. Med. Chem. Lett., 13: 4511-4513 (2003).
2038. Stamatiou, G., Foscolos, G.B., Fytas, G., Kolocouris, A., Kolocouris, N., Pannecouque, C., Witvrouw, M., Padalko, E., Neyts, J. & De Clercq, E.
Heterocyclic rimantadine analogues with antiviral activity.
Bioorg. Med. Chem., 11: 5485-5492 (2003).
2039. Prekupec, S., Svedružić, D., Gazivoda, T., Mrvoš-Sermek, D., Nagl, A., Grdiša, M., Pavelić, K., Balzarini, J., De Clercq, E., Folkers, G., Scapozza, L., Mintas, M. & Raić-Malić, S.
Synthesis and biological evaluation of iodinated and fluorinated 9-(2-hydroxypropyl) and 9-(2-hydroxyethoxy)methyl purine nucleoside analogues.
J. Med. Chem., 46: 5763-5772 (2003).
2040. Padalko, E., Verbeken, E., Matthys, P., Aerts, J., De Clercq, E. & Neyts, J.
Mycophenolate mofetil inhibits the development of Coxsackie B3-induced myocarditis in mice.
BMC Microbiology, 3: 25 (pp. 1-9) (2003).
2041. Zhao, Q., Lu, H., Schols, D., De Clercq, E. & Jiang, S.
Development of a cell-based enzyme-linked immunosorbent assay for high-throughput screening of HIV-1 entry inhibitors targeting the coreceptor CXCR4.
AIDS Res. Human Retroviruses, 19: 947-955 (2003).
2042. Princen, K., Hatse, S., Vermeire, K., Bridger, G.J., Skerlj, R.T., De Clercq, E. & Schols, D.
The antiviral activity of the CXCR4 antagonist AMD3100 is independent of the cytokine-induced CXCR4/HIV coreceptor expression level.
AIDS Res. Hum. Retrovir., 19: 1135-1139 (2003).
2043. Mager, P.P., De Clercq, E., Froeyen, M. & Reinhardt, R.
Interactions of the dimeric triad of HIV-1 aspartyl protease with inhibitors.
Drug Design & Discovery, 18: 53-64 (2003).
2044. Witvrouw, M., Pannecouque, C., Fikkert, V., Hantson, A., Van Remoortel, B., Hezareh, M., De Clercq, E. & Brown, S.J.
Potent and selective inhibition of HIV and SIV by prostratin interacting with viral entry.
Antiviral Chem. Chemother., 14: 321-328 (2003).
2045. Leyssen, P., Charlier, N., Paeshuyse, J., De Clercq, E. & Neyts, J.
Prospects for antiviral therapy.
In: "The Flaviviruses: Detection, Diagnosis and Vaccine Development", T.J. Chalmers & T.P. Monath (eds.). *Advances in Virus Research*, Elsevier B.V., Amsterdam, vol. 61, pp. 511-553 (2003).
2046. Rao, A., Carbone, A., Chimirri, A., De Clercq, E., Monforte, A.M., Monforte, P., Pannecouque, C. & Zappalà.
Synthesis and anti-HIV activity of 2,3-diaryl-1,3-thiazolidin-4-ones.

- Il Farmaco, 58: 115-120 (2003).
2047. Barreca, M.L., Chimirri, A., De Clercq, E., De Luca, L., Monforte, A.-M., Monforte, P., Rao, A. & Zappalà, M.
Anti-HIV agents: design and discovery of new potent RT inhibitors.
Il Farmaco, 58: 259-263 (2003).
2048. Esquieu, D., Péloponèse, J.-M., Opi, S., Gregoire, C., de Mareuil, J., Watkins, J., Campbell, G., Dunot, J.-P., Sturgis, J., Witvrouw, M., Pannecouque, C., De Clercq, E., Montembault, M., Giang, V.-T., Villieras, M., Fargeas, V., Lebreton, J. & Loret, E.P.
Discovery of a Tat HIV-1 inhibitor through computer-aided drug design.
Spectroscopy, 17: 639-645 (2003).
2049. Princen, K., Hatse, S., Vermeire, K., De Clercq, E. & Schols, D.
Evaluation of SDF-1/CXCR4-induced Ca²⁺ signaling by fluorometric imaging plate reader (FLIPR) and flow cytometry.
Cytometry, 51A: 35-45 (2003).
2050. Padalko, E., Nuyens, D., De Palma, A., Verbeken, E., Aerts, J.L., De Clercq, E., Carmeliet, P. & Neyts, J.
The interferon inducer amplitgen [poly(I)-poly(C₁₂U)] markedly protects mice against Coxsackie B3 virus-induced myocarditis.
Antimicrob. Agents Chemother., 48: 267-274 (2004).
2051. De Bolle, L., Andrei, G., Snoeck, R., Zhang, Y., Van Lommel, A., Otto, M., Bousseau, A., Roy, C., De Clercq, E. & Naesens, L.
Potent, selective and cell-mediated inhibition of human herpesvirus 6 at an early stage of viral replication by the non-nucleoside compound CMV423
Biochem. Pharmacol., 67: 325-336 (2004).
2052. Fonseca, T., Gigante, B., Marques, M.M., Gilchrist, T.L. & De Clercq, E.
Synthesis and antiviral evaluation of benzimidazoles, quinoxalines and indoles from dehydroabietic acid.
Bioorg. Med. Chem., 12: 103-112 (2004).
2053. Auwerx, J., Esnouf, R., De Clercq, E. & Balzarini, J.
Susceptibility of feline immunodeficiency virus/human immunodeficiency virus type 1 reverse transcriptase chimeras to non-nucleoside RT inhibitors.
Mol. Pharmacol., 65: 244-251 (2004).
2054. Rao, A., Balzarini, J., Carbone, A., Chimirri, A., De Clercq, E., Monforte, A.M., Monforte, P., Pannecouque, C. & Zappalà, M.
Synthesis of new 2,3-diaryl-1,3-thiazolidin-4-ones as anti-HIV agents.
Il Farmaco, 59: 33-39 (2004).
2055. Andrei, G., De Clercq, E. & Snoeck, R.
In vitro selection of drug-resistant varicella-zoster virus (VZV) mutants (OKA strain): differences between acyclovir and penciclovir ?
Antiviral Res., 61: 181-187 (2004).
2056. Padalko, E., Verbeken, E., De Clercq, E. & Neyts, J.
Inhibition of Coxsackie B3 virus induced myocarditis in mice by 2-(3,4-dichlorophenoxy)-5-nitrobenzotrile.
J. Med. Virol., 72: 263-267 (2004).

2057. Raić-Malić, S., Tomašković, L., Mrvoš-Sermek, D., Prugovecki, B., Cetina, M., Grdiša, M., Pavelić, K., Mannschreck, A., Balzarini, J., De Clercq, E. & Mintas, M. Spirobiopyridopyrans, spirobinaphthopyrans, indolinospiropyridopyrans, indolinospironaphthopyrans and indolinospironaphtho-1,4-oxazines: synthesis, study of X-ray crystal structure, antitumoral and antiviral evaluation. *Bioorg. Med. Chem.*, 12: 1037-1045 (2004).
2058. Selvam, P., Vanitha, K., Chandramohan, M. & De Clercq, E. Synthesis and antimicrobial activity of some novel 6-bromo-2-methyl/phenyl-3-(sulphonamido)quinazolin-4(3H)-ones. *Indian J. Pharm. Sci.*, 66: 82-86 (2004).
2059. Vashishtha, S.C., Zello, G.A., Nienaber, K.H., Balzarini, J., De Clercq, E., Stables, J.P. & Dimmock, J.R. Cytotoxic and anticonvulsant aryloxyaryl Mannich bases and related compounds. *Eur. J. Med. Chem.*, 39: 27-35 (2004).
2060. De Bolle, L., Hatse, S., Verbeken, E., De Clercq, E. & Naesens, L. Human herpesvirus 6 infection arrests cord blood mononuclear cells in G₂ phase of the cell cycle. *FEBS Lett.*, 560: 25-29 (2004).
2061. Anastasi, C., Hantz, O., De Clercq, E., Pannecouque, C., Clayette, P., Dereuddre-Bosquet, N., Dormont, D., Gondois-Rey, F., Hirsch, I. & Kraus, J.-L. Potent nonclassical nucleoside antiviral drugs based on the N,N-diarylformamidine concept. *J. Med. Chem.*, 47: 1183-1192 (2004).
2062. De Clercq, E. Non-nucleoside reverse transcriptase inhibitors (NNRTIs): past, present and future. *Chemistry & Biodiversity*, 1: 44-64 (2004).
2063. Gagnard, V., Leydet, A., Morère, A., Montero, J.L., Lefèbvre, I., Gosselin, G., Pannecouque, C. & De Clercq, E. Synthesis and *in vitro* evaluation of S-acyl-3-thiopropyl prodrugs of foscarnet. *Bioorg. Med. Chem.*, 12: 1393-1402 (2004).
2064. Sienaert, R., Andrei, G., Snoeck, R., De Clercq, E., McGuigan, C. & Balzarini, J. Inactivity of the bicyclic pyrimidine nucleoside analogues against simian varicella virus (SVV) does not correlate with their substrate activity for SVV-encoded thymidine kinase. *Biochem. Biophys. Res. Commun.*, 315: 877-883 (2004).
2065. Dimmock, J.R., Chamankhah, M., Das, U., Zello, G.A., Quail, J.W., Yang, J., Nienaber, K.H., Sharma, R.K., Selvakumar, P., Balzarini, J., De Clercq, E. & Stables, J.P. Cytotoxic and topographical properties of 6-arylidene-2-dimethylaminomethylcyclohexanone hydrochlorides and related compounds. *J. Enzyme Inhibition Med. Chem.*, 19: 1-10 (2004).
2066. McGuigan, C., Carangio, A., Snoeck, R., Andrei, G., De Clercq, E. & Balzarini, J. Synthesis and antiviral evaluation of some 3'-fluoro bicyclic nucleoside analogues. *Nucleosides, Nucleotides, and Nucleic Acids*, 23: 1-5 (2004).
2067. Kumar, P., Ohkura, K., Balzarini, J., De Clercq, E., Seki, K.-i. & Wiebe, L.I.

- Synthesis and antiviral activity of novel fluorinated 2',3'-dideoxynucleosides.
Nucleosides, Nucleotides & Nucleic Acids, 23: 7-29 (2004).
2068. Jakša, S., Kralj, B., Pannecouque, C., Balzarini, J., De Clercq, E. & Kobe, J.
How a modification (8-aza-3-deaza-2'-deoxyguanosine) influences the quadruplex structure of Hotoda's 6-mer TGG GAG with 5'- and 3'-end modifications.
Nucleosides, Nucleotides & Nucleic Acids, 23: 77-88 (2004).
2069. De Clercq, E.
Nucleoside analogues exerting antiviral activity through a non-nucleoside mechanism.
Nucleosides, Nucleotides & Nucleic Acids, 23: 457-470 (2004).
2070. Van Griensven, J., Zhan, X., Van Maele, B., Pluymers, W., Michiels, M., De Clercq, E., Cherepanov, P. & Debyser, Z.
Expression of HIV-1 integrase in CEM cells inhibits HIV-1 replication.
J. Gene Med., 6: 268-277 (2004).
2071. Witvrouw, M., Pannecouque, C., Switzer, W., Folks, T.M., De Clercq, E. & Heneine, W.
Susceptibility of HIV-2, SIV and SHIV to various anti-HIV-1 compounds: implications for treatment and postexposure prophylaxis.
Antiviral Ther., 9: 57-65 (2004).
2072. McGuigan, C., Pathirana, R.N., Snoeck, R., Andrei, G., De Clercq, E. & Balzarini, J.
Discovery of a new family of inhibitors of human cytomegalovirus (HCMV) based upon lipophilic alkyl furano pyrimidine dideoxy nucleosides: action via a novel non-nucleosidic mechanism.
J. Med. Chem., 47: 1847-1851 (2004).
2073. Princen, K., Hatse, S., Vermeire, K., De Clercq, E. & Schols, D.
Establishment of a novel CCR5 and CXCR4 expressing CD4⁺ cell line which is highly sensitive to HIV and suitable for high-throughput evaluation of CCR5 and CXCR4 antagonists.
Retrovirology, 1: 2 (on line) (2004).
2074. Gerona-Navarro, G., Pérez de Vega, M.J., García-López, M.T., Andrei, G., Snoeck, R., Balzarini, J., De Clercq, E. & González-Muniz, R.
Synthesis and anti-HCMV activity of 1-acyl- β -lactams and 1-acylazetidines derived from phenylalanine.
Bioorg. Med. Chem. Lett., 14: 2253-2256 (2004).
2075. Holm, G.H., Zhang, C., Gorry, P.R., Peden, K., Schols, D., De Clercq, E. & Gabuzda, D.
Apoptosis of bystander T cells induced by human immunodeficiency virus type 1 with increased envelope/receptor affinity and coreceptor binding site exposure.
J. Virol., 78: 4541-4551 (2004).
2076. De Clercq, E.
New anti-HIV agents in preclinical or clinical development.
Frontiers in Medicinal Chemistry, 1: 543-579 (2004).
2077. De Clercq, E.
Antiviral drugs in current clinical use
J. Clin. Virol., 30: 115-133 (2004).
2078. Friedrichs, C., Neyts, J., Gaspar, G., De Clercq, E. & Wutzler, P.

- Evaluation of antiviral activity against human herpesvirus 8 (HHV-8) and Epstein-Barr virus (EBV) by a quantitative real-time PCR assay
Antiviral Res., 62: 121-123 (2004).
2079. Angell, A., McGuigan, C., Garcia Sevillano, L., Snoeck, R., Andrei, G., De Clercq, E. & Balzarini, J.
Bicyclic anti-VZV nucleosides: thieno analogues bearing an alkylphenyl side chain have reduced antiviral activity.
Bioorg. Med. Chem. Lett., 14: 2397-2399 (2004).
2080. He, Y., Chen, F., Sun, G., Wang, Y., De Clercq, E., Balzarini, J. & Pannecouque, C.
5-Alkyl-2-[(aryl and alkyloxycarbonylmethyl)thio]-6-(1-naphthylmethyl) pyrimidin-4(3*H*)-ones as a unique HIV reverse transcriptase inhibitors of *S*-DABO series.
Bioorg. Med. Chem. Lett., 14: 3173-3176 (2004).
2081. Field, H.J. & De Clercq, E.
Antiviral drugs – a short history of their discovery and development.
Microbiology Today, 31: 58-61 (2004).
2082. Neyts, J., Leyssen, P., Verbeken, E. & De Clercq, E.
Efficacy of cidofovir in a murine model for disseminated/progressive vaccinia.
Antimicrob. Agents Chemother., 48: 2267-2273 (2004).
2083. Hocková, D., Holý, A., Masojídková, M., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Synthesis and antiviral activity of 2,4-diamino-5-cyano-6-[2-(phosphonmethoxy)ethoxy] pyrimidine and related compounds.
Bioorg. Med. Chem., 12: 3197-3202 (2004).
2084. Kifli, N., Htar, T.T., De Clercq, E., Balzarini, J. & Simons, C.
Novel bicyclic sugar modified nucleosides: synthesis, conformational analysis and antiviral evaluation.
Bioorg. Med. Chem., 12: 3247-3257 (2004).
2085. Baraldi, P.G., del Carmen Nunez, M., Tabrizi, M.A., De Clercq, E., Balzarini, J., Bermejo, J., Estévez, F. & Romagnoli, R.
Design, synthesis, and biological evaluation of hybrid molecules containing α -methylene- γ -butyrolactones and polypyrrole minor groove binders.
J. Med. Chem., 47: 2877-2886 (2004).
2086. Silvestri, M.A., Nagarajan, M., De Clercq, E., Pannecouque, C. & Cushman, M.
Design, synthesis, anti-HIV activities, and metabolic stabilities of novel alkenyldiarylmethane (ADAM) non-nucleoside reverse transcriptase inhibitors.
J. Med. Chem., 47: 3149-3162 (2004).

2087. De Clercq, E.
HIV-chemotherapy and –prophylaxis: new drugs, leads and approaches.
Int. J. Biochem. Cell Biol., 36: 1800-1822 (2004).
2088. Velázquez, S., Lobatón, E., De Clercq, E., Koontz, D.L., Mellors, J.W., Balzarini, J. & Camarasa, M.-J.
Hybrids of [TSAO-T]-[foscarnet]: the first conjugate of foscarnet with a non-nucleoside reverse transcriptase inhibitor through a labile covalent ester bond.
J. Med. Chem., 47: 3418-3426 (2004).
2089. Snoeck, R., De Clercq, E. & Andrei, G.
Utilisation des antiviraux pour les orthopoxviroses.
Médecine et Maladies Infectieuses, 34: S48-S50 (2004).
2090. De Clercq, E.
Anti-HIV agents to be used in drug combination regimens.
In: “Combination Therapy of AIDS”, E. De Clercq & A.-M. Vandamme (eds.).
Birkhäuser Verlag, Switzerland, pp. 1-23 (2004).
2091. De Clercq, E.
New anti-HIV agents in preclinical or clinical development.
In: “Advances in Antiviral Drug Design”, volume 4, E. De Clercq (ed.), Elsevier Science B.V., London, United Kingdom, pp. 1-62 (2004).
2092. Taourirte, M., Ait Mohamed, L., Rochdi, A., Vasseur, J.J., Fernández, S., Ferrero, M., Gotor, V., Pannecouque, C., De Clercq, E. & Lazrek, H.B.
Chemoenzymatic syntheses of homo- and heterodimers of AZT and d4T, and evaluation of their anti-HIV activity.
Nucleosides, Nucleotides & Nucleic Acids, 23: 701-714 (2004).
2093. Charlier, N., Molenkamp, R., Leyssen, P., Paeshuyse, J., Drosten, C., Panning, M., De Clercq, E., Bredenbeek, P.J. & Neyts, J.
Exchanging the yellow fever virus envelope proteins with Modoc virus prM and E proteins results in a chimeric virus that is neuroinvasive in SCID mice.
J. Virol., 78: 7418-7426 (2004).
2094. Auwerx, J., Stevens, M., Van Rompay, A.R., Bird, L.E., Ren, J., De Clercq, E., Öberg, B., Stammers, D.K., Karlsson, A. & Balzarini, J.
The phenylmethylthiazolylthiourea nonnucleoside reverse transcriptase (RT) inhibitor MSK-076 selects for a resistance mutation in the active site of human immunodeficiency virus type 2 RT.
J. Virol., 78: 7427-7437 (2004).
2095. Liekens, S., Hernández, A.-I., Ribatti, D., De Clercq, E., Camarasa, M.-J., Pérez-Pérez, M.-J. & Balzarini, J.
The nucleoside derivative 5'-*O*-trityl-inosine (KIN59) suppresses thymidine phosphorylase-triggered angiogenesis *via* a non-competitive mechanism of action.
J. Biol. Chem., 279: 29598-29605 (2004).
2096. Kifli, N., De Clercq, E., Balzarini, J. & Simons, C.
Novel imidazo[1,2-*c*]pyrimidine base-modified nucleosides: synthesis and antiviral activity evaluation.
Bioorg. Med. Chem., 12: 4245-4252 (2004).

2097. Margiotta, N., Bergamo, A., Sava, G., Padovano, G., De Clercq, E. & Natile, G.
Antiviral properties and cytotoxic activity of platinum(II) complexes with 1,10-phenanthrolines and acyclovir or penciclovir.
J. Inorg. Biochem., 98: 1385-1390 (2004).
2098. De Clercq, E. & Neyts, J.
Therapeutic potential of nucleoside/nucleotide analogues against poxvirus infections.
Rev. Med. Virol., 14: 289-300 (2004).
2099. Barreca, M.L., Rao, A., De Luca, L., Zappalà, M., Gurnari, C., Monforte, P., De Clercq, E., Van Maele, B., Debyser, Z., Witvrouw, M., Briggs, J.M. & Chimirri, A.
Efficient 3D database screening for novel HIV-1 IN inhibitors.
J. Chem. Inf. Comput. Sci., 44: 1450-1455 (2004).
2100. Delmas, F., Avellaneda, A., Di Giorgio, C., Robin, M., De Clercq, E., Timon-David, P. & Galy, J.-P.
Synthesis and antileishmanial activity of (1,3-benzothiazol-2-yl)amino-9-(10H)-acridinone derivatives.
Eur. J. Med. Chem., 39: 685-690 (2004).
2101. Charlier, N., Leyssen, P., De Clercq, E. & Neyts, J.
Rodent models for the study of therapy against flavivirus infections.
Antiviral Res., 63: 67-77 (2004).
2102. Rao, A., Balzarini, J., Carbone, A., Chimirri, A., De Clercq, E., Monforte, A.M., Monforte, P., Pannecouque, C. & Zappalà, M.
2-(2,6-Dihalophenyl)-3-(pyrimidin-2-yl)-1,3-thiazolidin-4-ones as non-nucleoside HIV-1 reverse transcriptase inhibitors.
Antiviral Res., 63: 79-84 (2004).
2103. Witvrouw, M., Van Maele, B., Vercammen, J., Hantson, A., Engelborghs, Y., De Clercq, E., Pannecouque, C. & Debyser, Z.
Novel inhibitors of HIV-1 integration.
Curr. Drug Metab., 5: 291-304 (2004).
2104. Cutri, C.C.C., Garozzo, A., Pannecouque, C., Castro, A., Guerrero, F. & De Clercq, E.
Isothiazole derivatives as novel HIV replication inhibitors.
Antiviral Chem. Chemother., 15: 201-205 (2004).
2105. De Clercq, E.
Antivirals and antiviral strategies.
Nature Rev. Microbiol., 2: 704-720 (2004).
2106. Balzarini, J., Van Laethem, K., Hatse, S., Vermeire, K., De Clercq, E., Peumans, W., Van Damme, E., Vandamme, A.-M., Böhlstedt, A. & Schols, D.
Profile of resistance of human immunodeficiency virus to mannose-specific plant lectins.
J. Virol., 78: 10617-10627 (2004).
2107. Selvam, P., Rajasekaran, A., Muruges, N., Chandramohan, M. & De Clercq, E.
Pharmacological screening of some novel isatin derivatives.
Indian J. Pharm. Sci., 66: 465-469 (2004).
2108. Balzarini, J., Hatse, S., Vermeire, K., Princen, K., Aquaro, S., Perno, C.-F., De Clercq, E., Egberink, H., Vanden Mooter, G., Peumans, W., Vandamme, E. & Schols, D.

- Mannose-specific plant lectins from the *Amaryllidaceae* family qualify as efficient microbicides for prevention of human immunodeficiency virus infection. *Antimicrob. Agents Chemother.*, 48: 3858-3870 (2004).
2109. De Bolle, L., Manichanh, C., Agut, H., De Clercq, E. & Naesens, L.
Human herpesvirus 6 DNA polymerase: enzymatic parameters: sensitivity to ganciclovir and determination of the role of the A⁹⁶¹V mutation in HHV-6 ganciclovir resistance. *Antiviral Res.*, 64: 17-25 (2004).
2110. De Clercq, E.
Antiviral research at the Rega Institute (K.U.Leuven), now 50 years old. *Antiviral Chem. Chemother.*, 15: 223-233 (2004).
2111. Fikkert, V., Hombrouck, A., Van Remoortel, B., De Maeyer, M., Pannecouque, C., De Clercq, E., Debyser, Z. & Witvrouw, M.
Multiple mutations in human immunodeficiency virus-1 integrase confer resistance to the clinical trial drug S-1360. *AIDS*, 18: 2019-2028 (2004).
2112. Wnuk, S.F., Lewandowska, E., Sacasa, P.R., Crain, L.N., Zhang, J., Borchardt, R.T. & De Clercq, E.
Stereoselective synthesis of sugar-modified enyne analogues of adenosine and uridine. Interaction with S-adenosyl-L-homocysteine hydrolase and antiviral and cytotoxic effects. *J. Med. Chem.*, 47: 5251-5257 (2004).
2113. Vermeire, K., Princen, K., Hatse, S., De Clercq, E., Dey, K., Bell, T.W. & Schols, D.
CADA, a novel CD4-targeted HIV inhibitor, is synergistic with various anti-HIV drugs *in vitro*. *AIDS*, 18: 2115-2125 (2004).
2114. Maslen, H.L., Hughes, D., Hursthouse, M., De Clercq, E., Balzarini, J. & Simons, C.
6-Azapyrimidine-2'-deoxy-4'-thionucleosides: antiviral agents against TK⁺ and TK⁻ HSV and VZV strains. *J. Med. Chem.*, 47: 5482-5491 (2004).
2115. Tabarrini, O., Stevens, M., Cecchetti, V., Sabatini, S., Dell'Uomo, M., Manfroni, G., Palumbo, M., Pannecouque, C., De Clercq, E. & Fravolini, A.
Structure modifications of 6-aminoquinolones with potent anti-HIV activity. *J. Med. Chem.*, 47: 5567-5578 (2004).
2116. He, Y., Chen, F., Yu, X., Wang, Y., De Clercq, E., Balzarini, J. & Pannecouque, C.
Nonnucleoside HIV-1 reverse transcriptase inhibitors ; part 3. Synthesis and antiviral activity of 5-alkyl-2-[(aryl and alkyloxycarbonylmethyl)thio]-6-(1-naphthylmethyl)pyrimidin-4(3H)-ones. *Bioorg. Chem.*, 32: 536-548 (2004).
2117. Hatse, S., Princen, K., Vermeire, K., De Clercq, E. & Schols, D.
Fluorescent CXCL12^{AF647} as a novel probe for nonradioactive CXCL12/CXCR4 cellular interaction studies. *Cytometry*, 61A: 178-188 (2004).
2118. Figueira, M.J., Caamano, O., Fernández, F., Rodríguez-Borges, J.E., Balzarini, J. & De Clercq, E.

- Synthesis and biological evaluation of carbocyclic nucleosides with 2',3'-dihomo-*xylo*-carbocyclic or carbocyclic fused to a tetrahydrofuran ring.
Synthesis, 1991-1995 (2004).
2119. Fernández, F., García-Mera, X., Morales, M., Vilarino, L., Caamano, O. & De Clercq, E.
Synthesis of new 6-substituted purinyl-5'-nor-1'-homocarbonyl nucleosides based in indanol.
Tetrahedron, 60: 9245-9253 (2004).
2120. Zachariadis, P.C., Hadjikakou, S.K., Hadjiliadis, N., Skoulika, S., Michaelides, A., Balzarini, J. & De Clercq, E.
Synthesis, characterization and *in vitro* study of the cytostatic and antiviral activity of new polymeric silver (I) complexes with ribbon structures derived from the conjugated heterocyclic thioamide 2-mercapto-3,4,5,6-tetrahydropyrimidine.
Eur. J. Inorg. Chem., 1420-1426 (2004).
2121. Princen, K., Hatse, S., Vermeire, K., Aquaro, S., De Clercq, E., Gerlach, L.-O., Rosenkilde, M., Schwartz, T.W., Skerlj, R., Bridger, G. & Schols, D.
Inhibition of human immunodeficiency virus replication by a dual CCR5/CXCR4 antagonist.
J. Virol., 78: 12996-13006 (2004).
2122. De Clercq, E.
Discovery and development of BVDU (brivudin) as a therapeutic for the treatment of herpes zoster.
Biochem. Pharmacol., 68: 2301-2315 (2004).
2123. Balzarini, J., Pannecouque, C., Naesens, L., Andrei, G., Snoeck, R., De Clercq, E., Hocková, D. & Holý, A.
6-[2-Phosphonomethoxy]alkoxy]-2,4-diaminopyrimidines: a new class of acyclic pyrimidine nucleoside phosphonates with antiviral activity.
Nucleosides, Nucleotides and Nucleic Acids, 23: 1321-1327 (2004).
2124. Caamano, O., Gómez, G., Fernández, F., García, M.D., García-Mera, X. & De Clercq, E.
A convenient synthesis of new purinyl-*homo*-carbonucleosides on a cyclopentane ring fused with pyridazine.
Synthesis, 2855-2862 (2004).
2125. Chatgililoglu, C., Ferreri, C., Gimisis, T., Gimisis, T., Roberti, M., Balzarini, J. & De Clercq, E.
Synthesis and biological evaluation of novel 1'*C*-branched and spironucleoside analogues.
Nucleosides, Nucleotides & Nucleic Acids, 23: 1565-1581 (2004).
2126. Voss, J., Wirsching, J., Schulze, O., Adiwidjaja, G., Giesler, A., Balzarini, J. & De Clercq, E.
Thiosugars. XII. Synthesis of new 3'-*O*-substituted 2',5'-anhydro-2'-thio- α -D-pentofuranosyl nucleoside analogues.
Nucleosides, Nucleotides & Nucleic Acids, 23: 1609-1623 (2004).

2127. Raić-Malić, S., Johayem, A., Ametamey, S.M., Batinac, S., De Clercq, E., Folkers, G. & Scapozza, L.
Synthesis, ¹⁸F-radiolabelling and biological evaluations of C-6 alkylated pyrimidine nucleoside analogues.
Nucleosides, Nucleotides and Nucleic Acids, 23: 1707-1721 (2004).
2128. Nawrot, B., Michalak, O., De Clercq, E. & Stec, W.J.
Analogues of acyclic nucleosides derived from tris-(hydroxymethyl)phosphine oxide or bis-(hydroxymethyl)phosphinic acid coupled to DNA nucleobases.
Antiviral Chem. Chemother., 15: 319-328 (2004).
2129. Bidet, O., McGuigan, C., Snoeck, R., Andrei, G., De Clercq, E. & Balzarini, J.
Non-nucleoside structures retain full anti-HCMV potency of the dideoxy furanopyrimidine family.
Antiviral Chem. Chemother., 15: 329-332 (2004).
2130. Luoni, G., McGuigan, C., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Bicyclic nucleoside inhibitors of varicella-zoster virus modified on the sugar moiety: 3' and 5' derivatives.
Antiviral Chem. Chemother., 15: 333-341 (2004).
2131. Sinha, S., Srivastava, R., De Clercq, E. & Singh, R.K.
Synthesis and antiviral properties of arabino and ribonucleosides of 1,3-dideazaadenine, 4-nitro-1,3-dideazapurine and diketopiperazine.
Nucleosides, Nucleotides & Nucleic Acids, 23: 1815-1824 (2004).
2132. Selvam, P., Chennama, B., Muruges, N., Chandramohan, M. & De Clercq, E.
Synthesis and antiviral activity of some novel 2-substituted, 3-(6-ethyl, 4-amino, 5-(4-chlorophenyl)-pyrimidin-2-yl)quinazolin-4(3H)-ones.
Int. J. Chem. Sci., 2: 627-631 (2004).
2133. Rosen, T.C., De Clercq, E., Balzarini, J. & Haufe, G.
Synthesis and antiviral activity of monofluorinated cyclopropanoid nucleosides.
Org. Biomol. Chem., 2: 229-237 (2004).
2134. De Clercq, E.
Profiles of prototype antiviral agents interfering with the initial stages of HIV infections.
In: "Drug Discovery Strategies and Methods", A. Makriyannis & D. Biegel (eds.). Marcel Dekker, Inc., New York, Basel, pp. 309-336 (2004).
2135. De Clercq, E.
(*E*)-5-(2-Bromovinyl)-2'-deoxyuridine (BVDU)
Med. Res. Rev., 25: 1-20 (2005).
2136. Gazivoda, T., Plevnik, M., Plavec, J., Kraljević, S., Kralj, M., Pavelić, K., Balzarini, J., De Clercq, E. Mintas, M. & Raić-Malić, S.
The novel pyrimidine and purine derivatives of L-ascorbic acid: synthesis, one- and two-dimensional ¹H and ¹³C NMR study, cytostatic and antiviral evaluation.
Bioorg. Med. Chem., 13: 131-139 (2005).
2137. De Bolle, L., Van Loon, J., De Clercq, E. & Naesens, L.
Quantitative analysis of human herpesvirus 6 cell tropism.
J. Med. Virol., 75: 76-85 (2005).

2138. de Bilderling, G., Bodart, E., Lawson, G., Tuerlinckx, D., Remacle, M., Naesens, L., De Clercq, E. & Snoeck, R.
Successful use of intralesional and intravenous cidofovir in association with indole-3-carbinol in an 8-year-old girl with pulmonary papillomatosis.
J. Med. Virol., 75: 332-335 (2005).
2139. Leyssen, P., Balzarini, J., De Clercq, E. & Neyts, J.
The predominant mechanism by which ribavirin exerts its antiviral activity in vitro against flaviviruses and paramyxoviruses is mediated by inhibition of IMP dehydrogenase.
J. Virol., 79: 1943-1947 (2005).
2140. De Bolle, L., Naesens, L. & De Clercq, E.
Update on human herpesvirus 6 biology, clinical features, and therapy.
Clin. Microbiol. Rev., 18: 217-245 (2005).
2141. Balzarini, J., Stevens, M., De Clercq, E., Schols, D. & Pannecouque, C.
Pyridine N-oxide derivatives: unusual anti-HIV compounds with multiple mechanisms of antiviral action.
J. Antimicrob. Chemother., 55: 135-138 (2005).
2142. Barral, K., Courcambeck, J., Pèpe, G., Balzarini, J., Neyts, J., De Clercq, E. & Camplo, M.
Synthesis and antiviral evaluation of cis-substituted cyclohexenyl and cyclohexanyl nucleosides.
J. Med. Chem., 48: 450-456 (2005).
2143. Opačić, N., Barbarić, M., Zorc, B., Cetina, M., Nagl, A., Frković, D., Kralj, M., Pavelić, K., Balzarini, J., Andrei, G., Snoeck, R., De Clercq, E., Raić-Malić, S. & Mintas, M.
The novel L- and D-amino acid derivatives of hydroxyurea and hydantoins: synthesis, X-ray crystal structure study, and cytostatic and antiviral activity evaluations.
J. Med. Chem., 48: 475-482 (2005).
2144. Ostrowski, T., Golankiewicz, B., De Clercq, E. & Balzarini, J.
Fluorosubstitution and 7-alkylation as prospective modifications of biologically active 6-aryl derivatives of tricyclic acyclovir and ganciclovir analogues.
Bioorg. Med. Chem., 13: 2089-2096 (2005).
2145. Naesens, L., Lenaerts, L., Andrei, G., Snoeck, R., Van Beers, D., Holý, A., Balzarini, J. & De Clercq, E.
Antiadenovirus activities of several classes of nucleoside and nucleotide analogues.
Antimicrob. Agents Chemother., 49: 1010-1016 (2005).
2146. Andrei, G., Sienaert, R., McGuigan, C., De Clercq, E., Balzarini, J. & Snoeck, R.
Susceptibilities of several clinical varicella-zoster virus (VZV) isolates and drug-resistant VZV strains to bicyclic furano pyrimidine nucleosides.
Antimicrob. Agents Chemother., 49: 1081-1086 (2005).
2147. Ying, C., Holý, A., Hocková, D., Havlas, Z., De Clercq, E. & Neyts, J.
Novel acyclic nucleoside phosphonate analogues with potent anti-hepatitis B virus activities.
Antimicrob. Agents Chemother., 49: 1177-1180 (2005).
2148. Barbarić, M., Ursić, S., Pilepić, V., Zorc, B., Hergold-Brundić, A., Nagl, A., Grdisa, M., Pavelić, K., Snoeck, R., Andrei, J., Balzarini, J., De Clercq, E. & Mintas, M.

- Synthesis, X-ray crystal structure study, and cytostatic and antiviral evaluation of the novel cycloalkyl-*N*-aryl-hydroxamic acids.
J. Med. Chem., 48: 884-887 (2005).
2149. González-Díaz, H., Cruz-Monteagudo, M., Vina, D., Santana, L., Uriarte, E. J. & De Clercq, E.
 QSAR for anti-RNA-virus activity, synthesis, and assay of anti-RSV carbonucleosides given a unified representation of spectral moments, quadratic, and topologic indices.
Bioorg. Med. Chem. Lett., 15: 1651-1657 (2005).
2150. de Castro, S., Lobatón, E., Pérez-Pérez, M.-J., San-Félix, A., Cordeiro, A., Andrei, G., Snoeck, R., De Clercq, E., Balzarini, J., Camarasa, M.-J. & Velázquez, S.
 Novel [2',5'-bis-*O*-(*tert*-butyldimethylsilyl)- β -D-ribofuranosyl]-3'-spiro-5''-(4''-amino-1'',2''-oxathiole-2'',2''-dioxide) derivatives with anti-HIV-1 and anti-human-cytomegalovirus activity.
J. Med. Chem., 48: 1158-1168 (2005).
2151. Wu, T., Froeyen, M., Kempeneers, V., Pannecouque, C., Wang, J., Busson, R., De Clercq, E. & Herdewijn, P.
 Deoxythreosyl phosphonate nucleosides as selective anti-HIV agents.
J. Am. Chem. Soc., 127: 5056-5065 (2005).
2152. De Clercq, E.
 New approaches toward anti-HIV chemotherapy.
J. Med. Chem., 48: 1297-1313 (2005).
2153. Gerona-Navarro, G., Pérez de Vega, M.J., García-López, M.T., Andrei, G., Snoeck, R., De Clercq, E., Balzarini, J. & González-Muniz, R.
 From 1-acyl- β -lactams human cytomegalovirus protease inhibitors to 1-benzyloxycarbonylazetidines with improved antiviral activity. A straightforward approach to convert covalent to noncovalent inhibitors.
J. Med. Chem., 48: 2612-2621 (2005).
2154. Selvam, P., Rajasekaran, A., Dharamsi, A., Ahmed, K.L., Musthafa, S.M., Poornima, K., Pournami, K.A., Muruges, N., Chandramohan, M. & De Clercq, E.
 Synthesis and antiviral activity of some novel isatin derivatives.
Asian J. Chem., 17: 443-448 (2005).
2155. Andrei, G., Balzarini, J., Fiten, P., De Clercq, E., Opendakker, G. & Snoeck, R.
 Characterization of herpes simplex virus type 1 thymidine kinase mutants selected under single round of high-dose brivudin.
J. Virol., 79: 5863-5869 (2005).
2156. Auwerx, J., Van Nieuwenhove, J., Rodríguez-Barrios, F., de Castro, S., Velázquez, S., Ceccherini-Silberstein F, De Clercq, E., Camarasa, M.-J., Perno, C.-F., Gago, F. & Balzarini, J.
 The N137 and P140 amino acids in the p51 and the P95 amino acid in the p66 subunit of human immunodeficiency virus type 1 (HIV-1) reverse transcriptase are instrumental to maintain catalytic activity and to design new classes of anti-HIV-1 drugs.
FEBS Lett., 579: 2294-2300 (2005).
2157. Balzarini, J., Van Laethem, K., Hatse, S., Froeyen, M., Van Damme, E., Bolmstedt, A., Peumans, W., De Clercq, E. & Schols, D.

- Marked depletion of glycosylation sites in HIV-1 gp120 under selection pressure by the mannose-specific plant lectins of *Hippeastrum* hybrid and *Galanthus nivalis*.
Mol. Pharmacol., 67: 1556-1565 (2005).
2158. Daelemans, D., Pannecouque, C., Pavlakis, G.N., Tabarrini, O. & De Clercq, E.
A novel and efficient approach to discriminate between pre- and post-transcription HIV inhibitors.
Mol. Pharmacol., 67: 1574-1580 (2005).
2159. Prekupec, S., Kalokira, B., Grdisa, M., Pavelić, K., De Clercq, E., Mintas, M. & Raić-Malić, S.
Synthesis and comparative cytostatic activity of the new N-7 acyclic purine nucleoside analogues with natural N-9 regioisomers.
Heterocycles, 65: 787-796 (2005).
2160. Barreca, M.L., Rao, A., De Luca, L., Zappalà, M., Monforte, A.-M., Maga, G., Pannecouque, C., Balzarini, J., De Clercq, E., Chimirri, A. & Monforte, P.
Computational strategies in discovering novel non-nucleoside inhibitors of HIV-1 RT.
J. Med. Chem., 48: 3433-3437 (2005).
2161. van Griensven, J., De Clercq, E. & Debyser, Z.
Hematopoietic stem cell-based gene therapy against HIV infection: promises and caveats.
AIDS Rev., 7: 44-55 (2005).
2162. McGuigan, C., Harris, S.A., Daluge, S.M., Gudmundsson, K.S., McLean, E.W., Burnette, T.C., Marr, H., Hazen, R., Condreay, L.D., Johnson, L., De Clercq, E. & Balzarini, J.
Application of phosphoramidate pronucleotide technology to abacavir leads to a significant enhancement of antiviral potency.
J. Med. Chem., 48: 3504-3515 (2005).
2163. Printsevskaya, S.S., Solovieva, S.E., Olsufyeva, E.N., Mirchink, E.P., Isakova, E.B., De Clercq, E., Balzarini, J. & Preobrazhenskaya, M.N.
Structure-activity relationship studies of a series of antiviral and antibacterial aglycon derivatives of the glycopeptide antibiotics vancomycin, eremomycin and dechloroeremomycin.
J. Med. Chem., 48: 3885-3890 (2005).
2164. De Clercq, E.
Emerging anti-HIV drugs.
Expert Opin. Emerging Drugs, 10: 241-274 (2005).
2165. Witvrouw, M., Fikkert, V., Hantson, A., Pannecouque, C., O'Keefe, B.R., McMahon, J., Stamatatos, L., De Clercq, E. & Bolmstedt, A..
Resistance of human immunodeficiency virus type 1 to the high-mannose binding agents Cyanovirin N and Concanavalin A.
J. Virol., 79: 7777-7784 (2005).

2166. Balzarini, J., Auwerx, J., Rodríguez-Barrios, F., Chedad, A., Farkas, V., Ceccherini-Silberstein, F., García-Aparicio, C., Velázquez, S., De Clercq, E., Perno, C.-F., Camarasa, M.-J. & Gago, F.
The amino acid Asn136 in HIV-1 reverse transcriptase (RT) maintains efficient association of both RT subunits and enables the rational design of novel RT inhibitors. *Mol. Pharmacol.*, 68: 49-60 (2005).
2167. De Clercq, E.
Anti-HIV chemotherapy: current state of the art.
Proceedings of the Second International Symposium on Current Trends in Drug Discovery and Research (CTDDR), Central Drug Research Institute, Lucknow, India. *Med. Chem. Res.*, 13: 439-478 (2005).
2168. De Clercq, E. & Herdewijn, P.
Strategies in the design of antiviral drugs.
In: "Drug Discovery Handbook", S. Gad (ed.). John Wiley & Sons, New York, pp. 1135-1190 (2005).
2169. Nguyen Van Nhien, A., Tomassi, C., Len, C., Marco-Contelles, J.L., Balzarini, J., Pannecouque, C., De Clercq, E. & Postel, D.
First synthesis and evaluation of the inhibitory effects of aza analogues of TSAO on HIV-1 replication.
J. Med. Chem., 48: 4276-4284 (2005).
2170. Rawal, R.K., Solomon, V.R., Prabhakar, Y.S., Katti, S.B. & De Clercq, E.
Synthesis and QSAR studies on thiazolidinones as anti-HIV agents.
Combinatorial Chemistry & High Through-put Screening, 8: 439-443 (2005).
2171. De Clercq, E.
Antiviral drugs: triphosphates of nucleoside analogues active as antiviral drugs
In: "Nucleoside Triphosphate and their Analogs: Chemistry, Biotechnology and Biological Applications", M. Vaghefi (ed.), Taylor & Francis, Boca Raton, FL, USA, pp. 329-341 (2005).
2172. Luoni, G., McGuigan, C., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Bicyclic nucleoside inhibitors of varicella-zoster virus: the effect of branching in the *p*-alkylphenyl side chain.
Bioorg. Med. Chem. Lett., 15: 3791-3796 (2005).
2173. Giriya, K., Selvam, P., Nagarajan, R., De Clercq, E. & Gopal, V.
Synthesis and cytostatic activity of some 3-[5-amino-6-(2,3-dichlorophenyl)-[1,2,4]triazin-3-yl]-6,8-dibromo-2-substituted-3*H*-quinazolin-4-ones.
Indian J. Heterocyclic Chem., 14: 255-256 (2005).
2174. Hatse, S., Princen, K., De Clercq, E., Rosenkilde, M.M., Schwartz, T.W., Hernandez-Abad, P.E., Skerlj, R.T., Bridger, G.J. & Schols, D.
AMD3465, a monomacrocyclic CXCR4 antagonist and potent HIV entry inhibitor.
Biochem. Pharmacol., 70: 752-761 (2005).
2175. Janeba, Z., Balzarini, J., Andrei, G., Snoeck, R., De Clercq, E. & Robins, M.J.
Synthesis and biological evaluation of acyclic 3-[(2-hydroxyethoxy)methyl] analogues of antiviral furo- and pyrrolo[2,3-*d*]pyrimidine nucleosides.
J. Med. Chem., 48: 4690-4696 (2005).

2176. He, Y., Kuang, Y., Chen, F., Wang, S., Ji, L., De Clercq, E., Balzarini, J. & Pannecouque, C.
Nonnucleoside HIV-1 reverse transcriptase inhibitors, Part 4[1]. Synthesis and anti-HIV activity of *N*-1- β -carbonyl-6-naphthylmethyl analogues of HEPT.
Monatshefte für Chemie, 136: 1233-1245 (2005).
2177. Sun, G.-F., Chen, X.-X., Chen, F.-E., Wang, Y.-P., De Clercq, E., Balzarini, J. & Pannecouque, C.
Nonnucleoside HIV-1 reverse-transcriptase inhibitors, Part 5. Synthesis and anti-HIV-1 activity of novel 6-naphthylthio HEPT analogues.
Chem. Pharm. Bull., 53: 886-892 (2005).
2178. Tsoinias, A., Vlachou, M., Eleutheriades, A., Garratt, P.J., Ibbett, A.J., Ng, Y.-F., Pannecouque, C., Witvrouw, M. & De Clercq, E.
Aromatic polycationic molecules with restricted conformations: an alternative approach to antiherpes agents.
Lett. Drug Design & Discovery, 2: 424-427 (2005).
2179. De Clercq, E.
Antiviral drug discovery and development: where chemistry meets with medicine.
Antiviral Res., 67: 56-75 (2005).
2180. Romagnoli, R., Baraldi, P.G., Jung, M.K., Iaconinoto, M.A., Carrion, M.D., Remusat, V., Preti, D., Tabrizi, M.A., Francesca, F., De Clercq, E., Balzarini, J. & Hamel, E.
Synthesis and preliminary biological evaluation of new anti-tubulin agents containing different benzoheterocycles.
Bioorg. Med. Chem. Lett., 15: 4048-4052 (2005).
2181. De Clercq, E.
Antiviral drug targets and strategies for emerging viral diseases and bioterrorism threats".
In: "Antiviral Drug Discovery for Emerging Diseases and Bioterrorism", Torrence, P.F. ed. John-Wiley & Sons, Inc., Hoboken, New Jersey, USA, pp. 83-113 (2005).
2182. Hantson, A., Fikkert, V., Van Remoortel, B., Pannecouque, C., Cherepanov, P., Matthews, B., Holan, G., De Clercq, E., Vandamme, A.-M., Debyser, Z. & Witvrouw, M.
Mutations in both *env* and *gag* genes are required for HIV-1 resistance to the polysulfonic dendrimer SPL2923, as corroborated by chimeric virus technology.
Antiviral Chem. Chemother., 16: 253-266 (2005).
2183. De Clercq, E.
Potential clinical applications of the CXCR4 antagonist bicyclam AMD3100.
Mini-Reviews in Medicinal Chemistry, 5: 805-824 (2005).
2184. Auwerx, J., Rodríguez-Barrios, F., Ceccherini-Silberstein, F., San-Félix, A., Velázquez, S., De Clercq, E., Camarasa, M.-J., Perno, C.-F., Gago, F. & Balzarini, J.
The role of Thr139 in the human immunodeficiency virus type 1 reverse transcriptase sensitivity to (+)-calanolide A.
Mol. Pharmacol., 68: 652-659 (2005).

2185. Otshudi, A.L., Apers, S., Pieters, L., Claeys, M., Pannecouque, C., De Clercq, E., Van Zeebroeck, A., Lauwers, S., Frédérick, M. & Foriers, A.
Biologically active bisbenzylisoquinoline alkaloids from the root bark of *Epinetrum villosum*.
J. Ethnopharmacol., 102: 89-94 (2005).
2186. De Clercq, E.
Recent highlights in the development of new antiviral drugs.
Curr. Opin. Microbiol., 8: 552-560 (2005).
2187. Deng, B.-L., Hartman, T.L., Buckheit, R.W. Jr., Pannecouque, C., De Clercq, E., Fanwick, P.E. & Cushman, M.
Synthesis, anti-HIV activity, and metabolic stability of new alkenyldiarylmethane HIV-1 non-nucleoside reverse transcriptase inhibitors.
J. Med. Chem., 48: 6140-6155 (2005).
2188. Yang, G., Pevear, D.C., Davies, M.H., Collett, M.S., Bailey, T., Rippen, S., Barone, L., Burns, C., Rhodes, G., Tohan, S., Huggins, J.W., Baker, R.O., Buller, R.L.M., Touchette, E., Waller, K., Schriewer, J., Neyts, J., De Clercq, E., Jones, K., Hruby, D. & Jordan, R.
An orally bioavailable antipoxvirus compound (ST-246) inhibits extracellular virus formation and protects mice from lethal orthopoxvirus challenge.
Antimicrob. Agents Chemother., 79: 13139-13149 (2005).
2189. Prekupec, S., Makuc, D., Plavec, J., Kraljević, S., Kralj, M., Pavelić, K., Andrei, G., Snoeck, R., Balzarini, J., De Clercq, E., Raic-Malic, S. & Mintas, M.
Antiviral and cytostatic evaluation of the novel 6-acyclic chain substituted thymine derivatives.
Antiviral Chem. Chemother., 26: 327-338 (2005).
2190. Sun, G.-F., Kuang, Y.-Y., Chen, F.-E., De Clercq, E., Balzarini, J. & Pannecouque, C.
Non-nucleoside HIV reverse transcriptase inhibitors, Part 6[1]: Synthesis and anti-HIV activity of novel 2-[(arylcabonylmethyl)thio]-6-arylthio DABO analogues.
Arch. Pharm. Chem. Life Sci., 338: 457-461 (2005).
2191. De Clercq, E., Andrei, G., Balzarini, J., Leyssen, P., Naesens, L., Neyts, J., Pannecouque, C., Snoeck, R., Ying, C., Hocková, D. & Holý, A.
Antiviral potential of a new generation of acyclic nucleoside phosphonates, the 6-[2-(phosphonomethoxy)alkoxy]-2,4-diaminopyrimidines.
Proceedings of the XVIth International Round Table on Nucleosides, Nucleotides and Nucleic Acids, Minneapolis, Minnesota, USA, 12-16 September 2004.
Nucleosides, Nucleotides & Nucleic Acids, 24: 331-341 (2005).
2192. Goslinski, T., Januszczyk, P., Wenska, G., Golankiewicz, B., De Clercq, E. & Balzarini, J.
Synthesis and fluorescent properties of the tricyclic analogues of acyclovir linked with nitrogen heterocyclic units.
Proceedings of the XVIth International Round Table on Nucleosides, Nucleotides and Nucleic Acids, Minneapolis, Minnesota, USA, 12-16 September 2004.
Nucleosides, Nucleotides & Nucleic Acids, 24: 571-575 (2005).

2193. Kelleher, M.R., McGuigan, C., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Synthesis and biological evaluation of N- and O-alkylated bicyclic furanopyrimidines as non-nucleosidic inhibitors of human cytomegalovirus.
Proceedings of the XVIth International Round Table on Nucleosides, Nucleotides and Nucleic Acids, Minneapolis, Minnesota, USA, 12-16 September 2004.
Nucleosides, Nucleotides & Nucleic Acids, 24: 639-641 (2005).
2194. Kelleher, M.R., McGuigan, C., Bidet, O., Carangio, A., Weldon, H., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
The journey towards elucidating the anti-HCMV activity of alkylated bicyclic furano pyrimidines.
Proceedings of the XVIth International Round Table on Nucleosides, Nucleotides and Nucleic Acids, Minneapolis, Minnesota, USA, 12-16 September 2004.
Nucleosides, Nucleotides & Nucleic Acids, 24: 643-645 (2005).
2195. Scagliarini, A., Dal Pozzo, F., Gallina, L., Guercio, A., De Clercq, E., Snoeck, R. & Andrei, G.
Ovine skin organotypic cultures applied to the *ex vivo* study of orf virus infection.
Vet. Res. Comm., 29, Suppl. 2: 245-247 (2005).
2196. Stevens, M., Balzarini, J., Tabarrini, O., Andrei, G., Snoeck, R., Cecchetti, V., Fravolini, A., De Clercq, E. & Pannecouque, C.
Cell-dependent interference of a series of new 6-aminoquinolone derivatives with viral (HIV/CMV) transactivation.
J. Antimicrob. Chemother., 56: 847-855 (2005).
2197. Andrei, G., van den Oord, J., Fiten, P., Opdenakker, G., De Wolf-Peeters, C., De Clercq, E. & Snoeck, R.
Organotypic epithelial raft cultures as a model for evaluating compounds against alphaherpesviruses.
Antimicrob. Agents Chemother., 49: 4671-4680 (2005).
2198. Lenaerts, L., Verbeken, E., De Clercq, E. & Naesens, L.
Mouse adenovirus type 1 infection in SCID mice: an experimental model for antiviral therapy of systemic adenovirus infections.
Antimicrob. Agents Chemother., 49: 4689-4699 (2005).
2199. Rawal, R., Prabhakar, Y.S., Katti, S.B. & De Clercq, E.
2-(Aryl)-3-furan-2-ylmethyl-thiazolidin-4-ones as selective HIV-RT inhibitors.
Bioorg. Med. Chem., 13: 6771-6776 (2005).
2200. De Clercq, E. & Holý, A.
Acyclic nucleoside phosphonates: a key class of antiviral drugs.
Nature Rev. Drug Discovery, 4: 928-940 (2005).
2201. Bonache, M.-C., Chamorro, C., Velázquez, S., De Clercq, E., Balzarini, J., Barrios, F.R., Gago, F., Camarasa, M.-J. & San-Félix, A.
Improving the antiviral efficacy and selectivity of HIV-1 reverse transcriptase inhibitor TSAO-T by the introduction of functional groups at the N-3 position.
J. Med. Chem., 48: 6653-6660 (2005).

2202. De Clercq, E.
Interferon: ten stories in one. A short review of some of the highlights in the history of an almost quinquagenarian
Acta Microbiol. Immunol. Hung., 52: 273-289 (2005).
2203. De Clercq, E.
Zoektocht naar nieuwe antivirale middelen aan het Rega Instituut.
Azimuz, 1: 4-13 (2005).
2204. Selvam, P., Girija, K., Nagarajan, G. & De Clercq, E.
Synthesis, antibacterial and antiHIV activities of 3-[5-amino-6-(2,3-dichloro-phenyl)-[1,2,4]triazin-3-yl]-6,8-dibromo-2-substituted-3H-quinazolin-4-one.
Indian J. Pharm. Sci., 484-487 (2005).
2205. Dal Pozzo, F., Andrei, G., Holý, A., Van Den Oord, J., Scagliarini, A., De Clercq, E. & Snoeck, R.
Activity of acyclic nucleoside phosphonates against orf virus in human and ovine cell monolayers and organotypic ovine raft cultures.
Antimicrob. Agents Chemother., 49: 4843-4852 (2005).
2206. Zhou, L., Amer, A., Korn, M., Burda, R., Balzarini, J., De Clercq, E., Kern, E.R. & Torrence, P.F.
Synthesis and antiviral activities of 1,2,3-triazole functionalized thymidines: 1,3-dipolar cycloaddition for efficient regioselective diversity generation.
Antiviral Chem. Chemother., 16: 375-383 (2005).
2207. De Clercq, E.
John Montgomery's legacy: carbocyclic adenosine analogues as SAH hydrolase inhibitors with broad-spectrum antiviral activity
Nucleosides, Nucleotides & Nucleic Acids, 24: 1395-1415 (2005).
2208. Mallants, R., Van Oosterwyck, K., Van Vaeck, L., Mols, R., De Clercq, E. & Augustijns, P.
Multipledrug resistance-associated protein 2 (MRP-2) affects hepatobiliary elimination but not the intestinal disposition of tenofovir disoproxil fumarate and its metabolites.
Xenobiotica, 35: 1055-1066 (2005).
2209. Fanourgiakis, P., Georgala, A., Vekemans, M., Triffet, A., De Bruyn, J.-M., Duchateau, V., Martiat, P., De Clercq, E., Snoeck, R., Wollants, E., Rector, A., Van Ranst, M. & Aoun, M.
Intravesicular instillation of cidofovir in the treatment of hemorrhagic cystitis caused by adenovirus type 11 in a bone marrow transplant recipient.
Clin. Infect. Dis., 40: 199-201 (2005).
2210. Paeshuyse, J., Leyssen, P., Mabery, E., Boddeker, N., Vrancken, R., Froeyen, M., Ansari, I.H., Dutartre, H., Rozenski, J., Gil, L.H.V.G., Letellier, C., Lanford, R., Canard, B., Koenen, F., Kerkhofs, P., Donis, R.O., Herdewijn, P., Watson, J., De Clercq, E., Pürstinger, G. & Neyts, J.
A novel, highly selective inhibitor of pestivirus replication that targets the viral RNA-dependent RNA polymerase.
J. Virol., 80: 149-160 (2006).

2211. De Clercq, E.
A guided tour through the antiviral drug field.
Future Virology, 1: 19-35 (2006).
2212. Chiacchio, U., Saita, M.G., Crispino, L., Gumina, G., Mangiafico, S., Pistarà, V., Romeo, G., Piperno, A. & De Clercq, E.
Enantioselective synthesis of homocarbocyclic-2'-oxo-3'-azanucleosides.
Tetrahedron, 62: 1171-1181 (2006).
2213. Terzioğlu, N., Karali, N., Gürsoy, A., Pannecouque, C., Leyssen, P., Paeshuyse, J., Neyts, J. & De Clercq, E.
Synthesis and primary antiviral activity evaluation of 3-hydrazono-5-nitro-2-indolino derivatives.
ARKIVOC, 109-118 (2006).
2214. Barral, K., Balzarini, J., Neyts, J., De Clercq, E., Hider, R.C. & Camplo, M.
Synthesis and antiviral evaluation of cyclic and acyclic 2-methyl-3-hydroxy-4-pyridinone nucleoside derivatives.
J. Med. Chem., 49: 43-50 (2006).
2215. Robins, M.J., Miranda, K., Rajwanshi, V.K., Peterson, M.A., Andrei, G., Snoeck, R., De Clercq, E. & Balzarini, J.
Synthesis and biological evaluation of 6-(alkyn-1-yl)furo[2,3-*d*]pyrimidin-2(3*H*)-one base and nucleoside derivatives.
J. Med. Chem., 49: 391-398 (2006).
2216. González-Díaz, H., Vina, D, Santana, L., De Clercq, E. & Uriarte, E.
Stochastic entropy QSAR for the in silico discovery of anticancer compounds: prediction, synthesis, and in vitro assay of new purine carbanucleosides.
Bioorg. Med. Chem., 14: 1095-1107 (2006).
2217. De Clercq, E. & Field, H.J.
Antiviral prodrugs – the development of successful prodrug strategies for antiviral chemotherapy.
Brit. J. Pharmacol., 147: 1-11 (2006).
2218. Rescifina, A., Chiacchio, U., Corsaro, A., De Clercq, E., Iannazzo, D., Mastino, A., Piperno, A., Romeo, G., Romeo, R. & Valveri, V.
Synthesis and biological activity of isoxazolidinyl polycyclic aromatic hydrocarbons: potential DNA intercalators.
J. Med. Chem., 49: 709-715 (2006).
2219. Stittelaar, K.J., Neyts, J., Naesens, L., van Amerongen, G., van Lavieren, R.F., Holý, A., De Clercq, E., Niesters, H.G.M., Fries, E., Maas, C., Mulder, P.G.H, van der Zeijst, B.A.M. & Osterhaus, A.D.M.E.
Antiviral treatment is more effective than smallpox vaccination upon lethal monkeypox virus infection.
Nature, 439 : 745-748 (2006).

2220. Guillerme, G., Muzard, M., Glapski, C., Pilard, S. & De Clercq, E.
Inactivation of *S*-adenosyl-L-homocysteine hydrolase by 6'-cyano-5',6'-didehydro-6'-deoxyhomoadenosine and 6'-chloro-6'-cyano-5',6'-didehydro-6'-deoxyhomoadenosine. Antiviral and cytotoxic effects.
J. Med. Chem., 49: 1223-1226 (2006).
2221. Deng, B.-L., Cullen, M.D., Zhou, Z., Hartman, T.L., Buckheit, R.W. Jr., Pannecouque, C., De Clercq, E., Fanwick, P.E. & Cushman, M.
Synthesis and anti-HIV activity of new alkenyldiarylmethane (ADAM) non-nucleoside reverse transcriptase inhibitors (NNRTIs) incorporating benzoxazolone and benzisoxazole ring.
Bioorg. Med. Chem., 14: 2366-2374 (2006).
2222. An, J., Liu, J.-Z., Wu, C.-F., Li, J., Dai, L., Van Damme, E., Balzarini, J., De Clercq, E., Chen, F. & Bao, J.-K.
Anti-HIV I/II activity and molecular cloning of a novel mannose-sialic acid-binding lectin from rhizome of *Polygonatum cyrtonema* hua.
Acta Biochim. Biophys. Sinica, 38: 70-78 (2006).
2223. Balzarini, J., Keyaerts, E., Vijgen, L., Vandermeer, F., Stevens, M., De Clercq, E., Egberink, H. & Van Ranst, M.
Pyridine *N*-oxide derivatives are inhibitory to the human SARS and feline infectious peritonitis coronavirus in cell culture.
J. Antimicrob. Chemother., 57: 472-481 (2006).
2224. Maruyama, T., Kozai, S., Demizu, Y., Witvrouw, M., Pannecouque, C., Balzarini, J., Snoeck, R., Andrei, G. & De Clercq, E.
Synthesis and anti-HIV-1 and anti-HCMV activity of 1-substituted 3-(3,5-dimethylbenzyl)uracil derivatives.
Chem. Pharm. Bull., 54: 325-333 (2006).
2225. Stevens, M., Pannecouque, C., De Clercq, E. & Balzarini, J.
Pyridine *N*-oxide derivatives inhibit viral transactivation by interfering with NF- κ B binding.
Biochem. Pharmacol., 71: 1122-1135 (2006).
2226. Paeshuyse, J., Kaul, A., De Clercq, E., Rosenwirth, B., Dumont, J.-M., Scalfaro, P., Bartenschlager, B. & Neyts, J.
The non-immunosuppressive cyclosporin DEBIO-025 is a potent inhibitor of hepatitis C virus replication *in vitro*.
Hepatology, 43: 761-770 (2006).
2227. Farghaly, A.-R., De Clercq, E. & El-Kashef, H.
Synthesis and antiviral activity of novel [1,2,4]triazolo[3,4-*b*][1,3,4]thiadiazoles, [1,2,4]triazole[3,4-*b*][1,3,4]thiadiazines and [1,2,4]triazolo[3,4-*b*][1,2,3]thiadiazepines.
ARKIVOC, 137-151 (2006).
2228. Zoidis, G., Fytas, C., Papanastasiou, I., Foscolos, G.B., Fytas, G., Padalko, E., De Clercq, E., Naesens, L., Neyts, J. & Kolocouris, N.
Heterocyclic rimantadine analogues with antiviral activity.
Bioorg. Med. Chem., 14: 3341-3348 (2006).

2229. Ostrowski, T., Golankiewicz, B., De Clercq, E. & Balzarini, J.
Synthesis and biological activity of tricyclic analogues of 9- $\{[cis-1',2']$ -
bis(hydroxymethyl)cycloprop-1'-yl)methyl} guanine.
Bioorg. Med. Chem., 14: 3535-3542 (2006).
2230. Leyssen, P., De Clercq, E. & Neyts, J.
The anti-yellow fever virus activity of ribavirin is independent of error-prone replication.
Mol. Pharmacol., 69: 1461-1467 (2006).
2231. De Clercq, E.
Potential antivirals and antiviral strategies against SARS coronavirus infections.
Expert Rev. Anti Infect. Ther., 4: 291-302 (2006).
2232. Tabarrini, O., Manfroni, G., Fravolini, A., Cecchetti, V., Sabatini, S., De Clercq, E.,
Rozenski, J., Canard, B., Dutartre, H., Paeshuysse, J. & Neyts, J.
Synthesis and anti-BVDV activity of acridones as new potential antiviral agents.
J. Med. Chem., 49: 2621-2627 (2006).
2233. Küçükgülzel, G., Kocatepe, A., De Clercq, E., Sahin, F. & Güllüce, M.
Synthesis and biological activity of 4-thiazolidinones, thiosemicarbazides derived from
diflunisal hydrazide.
Eur. J. Med. Chem., 41: 353-359 (2006).
2234. Biot, C., Daher, W., Chavain, N., Fandeur, T., Khalife, J., Dive, D. & De Clercq, E.
Design and synthesis of hydroxyferroquine derivatives with antimalarial and antiviral
activities.
J. Med. Chem., 49: 2845-2849 (2006).
2235. Grison, C., Joliez, S., De Clercq, E. & Coutrot, P.
Monoglycosyl, diglycosyl, and dinucleoside methylenediphosphonates: direct synthesis
and antiviral activity.
Carbohydrate Res., 341: 1117-1129 (2006).
2236. Das, U., Gul, H.I., Alcorn, J., Shrivastav, A., George, T., Sharma, R.K., Nienaber, K.H.,
De Clercq, E., Balzarini, J., Kawase, M., Kan, N., Tanaka, T., Tani, S., Werbovets, K.A.,
Yakovich, A.J., Manavathu, E.K., Stables, J.P. & Dimmock, J.R.
Cytotoxic 5-aryl-1-(4-nitrophenyl)-3-oxo-1,4-pentadienes mounted on alicyclic scaffolds.
Eur. J. Med. Chem., 41: 577-585 (2006).
2237. De Clercq, E.
Influenza virus inhibitors available for the chemotherapy and/or chemoprophylaxis of
influenza virus infections.
Verh. K. Acad. Geneesk. Belg., 68: 121-137 (2006).
2238. Jha, A., Zhao, J., Cameron, T.S., De Clercq, E., Balzarini, J., Manavathu, E.K. & Stables,
J.P.
Design, synthesis and biological evaluation of novel curcumin analogs as anti-neoplastic
agents.
Lett. Drug Design & Discovery, 3: 304-310 (2006).

2239. de Castro, S., García-Aparicio, C., Van Laethem, K., Gago, F., Lobatón, E., De Clercq, E., Balzarini, J., Camarasa, M.-J. & Velázquez, S.
Discovery of TSAO derivatives with an unusual HIV-1 activity/resistance profile.
Antiviral Res., 71: 15-23 (2006).
2240. Lebeau, I., Andrei, G., Dal Pozzo, F., Beadle, J.R., Hostetler, K.Y., De Clercq, E., van den Oord, J. & Snoeck, R.
Activities of alkoxyalkyl esters of cidofovir (CDV), cyclic CDV, and (S)-9-(3-hydroxy-2-phosphonylmethoxypropyl)adenine against orthopoxviruses in cell monolayers and in organotypic cultures.
Antimicrob. Agents Chemother., 50: 2525-2529 (2006).
2241. Lenaerts, L., Daelemans, D., Geukens, N., De Clercq E. & Naesens, L.
Mouse adenovirus type 1 attachment is not mediated by the Coxsackie-adenovirus receptor.
FEBS Lett., 580: 3937-3942 (2006).
2242. Torii, T., Onishi, T., Izawa, K., Maruyama, T., Demizu, Y., Neyts, J. & De Clercq, E.
Synthesis of 6-arylthio analogs of 2',3'-dideoxy-3'-fluoroguanosine and their effect against hepatitis B virus replication.
Nucleosides, Nucleotides, Nucleic Acids, 25: 655-665 (2006).
2243. De Clercq, E.
Hope and hype. Book review on "Interferon. The Science and Selling of a Miracle Drug", Pieters, T., Ed., Routledge, Taylor & Francis Group, 2005, 264 pp.
Nature Medicine, 12: 727 (2006).
2244. Janeba, Z., Balzarini, J., Andrei, G., Snoeck, R., De Clercq, E. & Robins, M.J.
Synthesis and biological evaluation of 5-(alkyn-1-yl)-1-(*p*-toluenesulfonyl)uracil derivatives.
Can. J. Chem., 84: 580-586 (2006).
2245. Al-Masoudi, N.A., Al-Soud, Y.A., Kalogerakis, A., Pannecouque, C. & De Clercq, E.
Nitroimidazoles. Part 2. Synthesis, antiviral and antitumor activity of new 4-nitroimidazoles.
Chemistry & Biodiversity, 3: 515-526 (2006).
2246. Naesens, L., De Bolle, L. & De Clercq, E.
Therapeutic approaches to HHV-6 infection.
In: Human Herpesvirus-6. General Virology, Epidemiology and Clinical Pathology. Krueger, G. & Ablashi, D.V., eds. Perspectives in Medical Virology, vol. 12. Elsevier, Amsterdam, pp. 291-301 (2006).
2247. Paeshuyse, J., Coelmont, L., Vlieghe, I., Van hemel, J., Vandekerckhove, J., Peys, E., Sas, B., De Clercq, E. & Neyts, J.
Hemin potentiates the anti-hepatitis C virus activity of the antimalarial drug artemisinin.
Biochem. Biophys. Res. Commun., 348: 139-144 (2006).
2248. Stevens, M., De Clercq, E. & Balzarini, J.
The regulation of HIV-1 transcription: molecular targets for chemotherapeutic intervention.
Med. Res. Rev., 26: 595-625 (2006).

2249. Deng, B.-L., Hartman, T.L., Buckheit, R.W. Jr., Pannecouque, C., De Clercq, E. & Cushman, M.
Replacement of the metabolically labile methyl esters in the alkenyl-diarylmethane series of non-nucleoside reverse transcriptase inhibitors with isoxazolone, isoxazole, oxazolone, or cyano substituents.
J. Med. Chem., 49: 5316-5323 (2006).
2250. De Clercq, E.
Interferon and its inducers - a never-ending story: "old" and "new" data in a new perspective.
J. Infect. Dis., 194, Suppl. 1: S19-S26 (2006).
2251. Balzarini, J., Keyaerts, E., Vijgen, L., Egberink, H., De Clercq, E., Van Ranst, M., Printsevskaya, S.S., Olsufyeva, E.N., Solovieva, S.E. & Preobrazhenskaya, M.N.
Inhibition of feline (FIPV) and human (SARS) coronavirus by semisynthetic derivatives of glycopeptide antibiotics.
Antiviral Res., 72: 20-33 (2006).
2252. Naesens, L., Stephens, C.E., Andrei, G., Loregian, A., De Bolle, L., Snoeck, R., Sowell, J.W. & De Clercq, E.
Antiviral properties of new arylsulfone derivatives with activity against human betaherpesviruses.
Antiviral Res., 72: 60-67 (2006).
2253. Ji, L., Chen, F.-E., Feng, X.Q., De Clercq, E., Balzarini, J. & Pannecouque, C.
Non-nucleoside HIV-1 reverse transcriptase inhibitors, Part 7. Synthesis, antiviral activity, and 3D-QSAR investigations of novel 6-(1-naphthoyl) HEPT analogues.
Chem. Pharm. Bull. (Tokyo), 54: 1248-1253 (2006).
2254. Moukha-chafiq, O., Taha, M.L., Lazrek, H.B., Vasseur, J.-J. & De Clercq, E.
Synthesis and antiviral activity of some C₂-, C₄-, and C₆-substituted pyrazolo[3,4D]pyrimidine acyclonucleosides with the alkylating chains of ACV, HBG, and iso-DHPG.
Nucleosides, Nucleotides, and Nucleic Acids, 25: 849-860 (2006).
2255. De Clercq, E., Brancale, A., Vere Hodge, A. & Field, H.J.
Antiviral chemistry & chemotherapy's current antiviral agents FactFile 2006 (1st edition).
Antiviral Chem. Chemother., 17: 113-166 (2006).
2256. Puerstinger, G., Paeshuyse, J., Herdewijn, P., Rozenski, J., De Clercq, E. & Neyts, J.
Substituted 5-benzyl-2-phenyl-5*H*-imidazo[4,5-*c*]pyridines: a new class of pestivirus inhibitors.
Bioorg. Med. Chem. Lett., 16: 5345-5349 (2006).
2257. Andrei, G., Gammon, D.B., Fiten, P., De Clercq, E., Opdenakker, G., Snoeck, R. & Evans, D.H.
Cidofovir resistance in vaccinia virus is linked to diminished virulence in mice.
J. Virol., 80: 9391-9401 (2006).

2258. Setaki, D., Tataridis, D., Stamatou, G., Kolocouris, A., Foscolos, G.B., Fytas, G., Kolocouris, N., Padalko, E., Neyts, J. & De Clercq, E.
Synthesis, conformational characteristics and anti-influenza virus A activity of some 2-adamantylsubstituted azacycles.
Bioorg. Chem., 34: 248-273 (2006).
2259. Koszytkowska-Stawińska, M., Sas, W. & De Clercq, E.
Synthesis of aza-analogues of ganciclovir.
Tetrahedron, 62: 10325-10331 (2006).
2260. De Clercq, E.
The role of tenofovir in the prevention of HIV infections.
AIDS, 20: 1990-1991 (2006).
2261. Canoa, P., González, M.J., Teijeira, M., Terán, C., Uriarte, E., Pannecouque, C. & De Clercq, E.
Synthesis and anti-HIV activity of novel cyclopentenyl nucleoside analogues of 8-azapurine.
Chem. Pharm. Bull., 54: 1418-1420 (2006).
2261. Coelmont, L., Paeshuyse, J., Windisch, M.P., De Clercq, E., Bartenschlager, R. & Neyts, J.
Ribavirin antagonizes the *in vitro* anti-hepatitis C virus activity of 2'-C-methylcytidine, the active component of valopicitabine.
Antimicrob. Agents Chemother., 50: 3444-3446 (2006).
2262. Valks, G.C., McRobbie, G., Lewis, E.A., Hubin, T.J., Hunter, T.M., Sadler, P.J., Pannecouque, C., De Clercq, E. & Archibald, S.J.
Configurationaly-restricted bismacrocylic CXCR4 receptor antagonists.
J. Med. Chem., 49: 6162-6165. (2006).
2263. Tušek-Božić, Lj., Cmrečki, V., Balzarini, J. & De Clercq, E.
Cytotoxicity and antiviral activity of palladium(II) quinolylmethylphosphonate complexes. Synthesis of acetate complexes.
Lett. Drug Design & Discovery, 3: 528-533 (2006).
2261. De Clercq, E.
Highly potent and selective inhibition of varicella-zoster virus replication by bicyclic furo[2,3-*d*]pyrimidine nucleoside analogues (BCNAs).
In: "Herpes Zoster. Recent Aspects of Diagnosis and Control", Monographs in Virology, vol. 26. G. Gross & H.W. Doerr (eds.), Karger AG, Basel, Switzerland, pp. 131-142 (2006).
2262. Hořejší, K., Andrei, G., De Clercq, E., Snoeck, R., Pohl, R. & Holý, A.
Tricyclic etheno analogs of PMEG and PMEDAP. Synthesis and biological activity.
Bioorg. Med. Chem., 14: 8057-8065 (2006).
2270. Krištafor, V., Raić-Malić, S., Cetina, M., Kralj, M., Suman, L., Pavelić, K., Balzarini, J., De Clercq, E. & Mintas, M.
Synthesis, X-ray crystal structural study, antiviral and cytostatic evaluations of the novel unsaturated acyclic and epoxide nucleoside analogues.
Bioorg. Med. Chem., 14: 8126-8138 (2006).

2271. De Clercq, E.
Editorial to Antiviral Research
Antiviral Res., 72: 167-170 (2006).
2272. Liekens, S., Balzarini, J., Hernández, A.I., De Clercq, E., Priego, E.M., Camarasa, M.J. & Pérez-Pérez, M.J.
Thymidine phosphorylase is noncompetitively inhibited by 5'-*O*-trityl-inosine (KIN59) and related compounds.
Nucleosides, Nucleotides, and Nucleic Acids, 25: 975-980 (2006).
2273. De Clercq, E.
Antiviral agents active against influenza A viruses.
Nature Rev. Drug Discovery, 5: 1015-1025 (2006).
2274. De Clercq, E.
From adefovir to Atripla™ via tenofovir, Viread™ and Truvada™.
Future Virology, 1: 709-715 (2006).
2275. Selvam, P., Abileshini, B., Alagarsamy, V., Pannecouque, C. & De Clercq, E.
Synthesis, antiviral and cytotoxicity of some new sulphonamides.
Indian J. Heterocyclic Chem., 16: 73-74 (2006).
2276. Yan, R.Z., Liu, X.Y., Xu, W.F., Pannecouque, C., Witvrouw, M. & De Clercq, E.
Synthesis and anti-HIV evaluation of the novel 2-(*m*-chlorobenzyl)-4-substituted-7-methyl-1,1,3-trioxo-pyrazolo[4,5-*e*][1,2,4]thiadiazines.
Arch. Pharm. Res., 29: 957-962 (2006).
2277. Rajić, Z., Zorc, B., Raić-Malić, S., Ester, K., Kralj, M., Pavelić, K., Balzarini, J., De Clercq, E. & Mintas, M.
Hydantoin derivatives of L- and D-amino acids: synthesis and evaluation of their antiviral and antitumoral activity.
Molecules, 11: 837-848 (2006).
2278. Naesens, L., Bonnafous, P., Agut, H. & De Clercq, E.
Antiviral activity of diverse classes of broad-acting agents and natural compounds in HHV-6-infected lymphoblasts.
J. Clin. Virol., 37, Suppl. 1: S69-S75 (2006).
2279. De Clercq, E. & Naesens, L.
In search of effective anti-HHV-6 agents.
J. Clin. Virol., 37, Suppl. 1: S82-S86 (2006).
2280. Snoeck, R., Andrei, G. & De Clercq, E.
Therapy of poxvirus infections.
In: *Poxviruses*. Mercer, A., Schmidt, A. & Weber, O., eds. Birkhäuser Verlag, Basel, Switzerland, pp. 375-395 (2007).
2281. Gazivoda, T., Raić-Malić, S., Marjanović, M., Kralj, M., Pavelić, K., Balzarini, J., De Clercq, E. & Mintas, M.
The novel C-5 aryl, alkenyl and alkynyl substituted uracil derivatives of L-ascorbic acid: synthesis, cytostatic and antiviral activity evaluations.
Bioorg. Med. Chem., 15: 749-758 (2007).

2282. Balzarini, J., Schols, D., Van Laethem, K., De Clercq, E., Hocková, D., Masojdkova, M. & Holý, A.
Pronounced *in vitro* and *in vivo* antiretroviral activity of 5-substituted 2,4-diamino-6-[2-(phosphonomethoxy)ethoxy]pyrimidines.
J. Antimicrob. Chemother., 59, 80-86 (2007).
2283. De Palma, A.M., Heggermont, W., Leyssen, P., Pürstinger, G., Wimmer, E., De Clercq, E., Rao, A., Monforte, A.-M., Chimirri, A. & Neyts, J.
Anti-enterovirus activity and structure-activity relationship of a series of 2,6-dihalophenyl-substituted 1*H*,3*H*-thiazolo[3,4-*a*]benzimidazoles.
Biochem. Biophys. Res. Commun., 353: 628-632 (2007).
2284. Puerstinger, G., Paeshuyse, J., De Clercq, E. & Neyts, J.
Antiviral 2,5-disubstituted imidazo[4,5-*c*]pyridines: from anti-pestivirus to anti-hepatitis C virus activity.
Bioorg. Med. Chem. Lett., 17: 390-393 (2007).
2285. Rawal, R.K., Tripathi, R.K., Katti, S.B., Pannecouque, C. & De Clercq, E.
Design, synthesis, and evaluation of 2-aryl-3-heteroaryl-1,3-thiazolidin-4-ones as anti-HIV agents.
Bioorg. Med. Chem., 15: 1725-1731 (2007).
2286. Tataridis, D.; Fytas, G.; Kolocouris, A.; Fytas, C.; Kolocouris, N.; Foscolos, G.B.; Padalko, E.; Neyts, J., De Clercq, E.
Influence of an additional 2-amino substituent of the 1-aminoethyl pharmacophore group on the potency of rimantadine against influenza virus A.
Bioorg. Med. Chem. Lett., 17: 692-696 (2007).
2287. Koszytkowska-Stawinska, M., Kaleta, K., Sas, W. & De Clercq, E.
Synthesis and antiviral properties of aza-analogues of acyclovir.
Nucleosides, Nucleotides, Nucleic Acids, 26: 51-64 (2007).
2288. De Clercq, E.
Viruses and viral diseases
In: "Comprehensive Medicinal Chemistry II", vol. 7. Taylor, J.B. & Triggle, D.J., eds.
Elsevier Science Ltd, United Kingdom, pp. 253-293 (2007).
2289. Das, U., Alcorn, J., Shrivastav, A., Sharma, R.K., De Clercq, E., Balzarini, J. & Dimmock, J.R.
Design, synthesis and cytotoxic properties of novel 1-[4-(2-alkylaminoethoxy)-phenylcarbonyl]-3,5-bis(arylidene)-4-piperidones and related compounds.
Eur. J. Med. Chem., 42: 71-80 (2007).
2290. Bonatti, H., Aigner, F., De Clercq, E., Boesmüller, C., Widschwendner, A., Larcher, C., Margreiter, R. & Schneeberger, S.
Local administration of cidofovir for human papilloma virus associated skin lesions in transplant recipients.
Transpl. Int., 20: 238-246 (2007).
2291. Siddiqui, A.A., Rajesh, R., Majahid-Ul I., Alagarsamy, V. & De Clercq, E.
Synthesis, antiviral, antituberculous, and antibacterial activities of some novel, 4-(4-substituted phenyl)-6-(4-nitrophenyl)-2-(substituted imino) pyrimidines.
Arch. Pharm., 340: 95-102 (2007).

2292. Liekens, S., Gijsbers, S., Vanstreels, E., Daelemans, D., De Clercq, E. & Hatse, S.
The nucleotide analog cidofovir suppresses basic fibroblast growth factor (FGF2) expression and signaling, and induces apoptosis in FGF2-overexpressing endothelial cells. *Mol. Pharmacol.*, 71: 695-703 (2007).
2293. Ying, C., Colonna, R.J., De Clercq, E. & Neyts, J.
Ribavirin and mycophenolic acid markedly potentiate the anti-hepatitis B virus activity of entecavir. *Antiviral Res.*, 73: 192-196 (2007).
2294. Pati, H.N., Das, U., De Clercq, E., Balzarini, J. & Dimmock, J.R.
Molecular modifications of 2-arylidene-1-indanones leading to increased cytotoxic potencies. *J. Enzyme Inhibition Med. Chem.*, 22: 37-42 (2007).
2295. Ji, L., Chen, F.-E., Xie, B., De Clercq, E., Balzarini, J. & Christophe, C.
Synthesis and anti-HIV activity evaluation of 1-[(alkenyl or alkynyl or alkyloxy)methyl]-5-alkyl-6-(1-naphthoyl)-2,4-pyrimidinediones as novel non-nucleoside HIV-1 reverse transcriptase inhibitors. *Eur. J. Med. Chem.*, 42: 198-204 (2007).
2296. De Clercq, E.
Acyclic nucleoside phosphonates: past, present and future. Bridging chemistry to HIV, HBV, HCV, HPV, adeno-, herpes-, and poxvirus infections: the phosphonate bridge. *Biochem. Pharmacol.*, 73: 911-922 (2007).
2297. Harinantenaina, L., Asakawa, Y. & De Clercq, E.
Cinnamacrins A-C, cinnafragin D, and cytostatic metabolites with α -glucoside inhibitory activity from *Cinnamosma macrocarpa*. *J. Nat. Products*, 70: 277-282 (2007).
2298. Krečmerová, M., Holý, A., Pískala, A., Masojídková, Andrei, G., Naesens, L., Neyts, J., Balzarini, J., De Clercq, E. & Snoeck, R.
Antiviral activity of triazine analogues of 1-(S)-[3-hydroxy-2-(phosphonomethoxy)-propyl]cytosine (cidofovir) and related compounds. *J. Med. Chem.*, 50: 1068-1077 (2007).
2299. Küçükgülzel, S.G., Küçükgülzel, I., Tatar, E., Rollas, S., Şahin, F., Güllüce, M., De Clercq, E. & Kabasaka, L.
Synthesis of some novel heterocyclic compounds derived from diflunisal hydrazide as potential anti-infective and anti-inflammatory agents. *Eur. J. Med. Chem.*, in press (2007).
2300. De Clercq, E.
The discovery of antiviral agents: ten different compounds, ten different stories. *In: "Chemistry and Genomics Driven Drug Discovery"*, P.F. Torrence (ed.), in press (2007).
2301. Lagoja, I.M. & De Clercq, E.
Anti-influenza agents: synthesis and mode of action. *Med. Res. Rev.*, in press (2007).
2302. De Clercq, E.

- The acyclic nucleoside phosphonates from their inception to their clinical use: an historical perspective.
Antiviral Res., in press (2007).
2303. Ramajayam, R., Giridhar, R., Yadav, M.R., De Clercq, E., Pannecouque, C. & Prajapati, D.G.
Identification of novel non-nucleoside reverse transcriptase inhibitors using fragment-based lead generation.
Med. Chem. Res., in press (2007).
2304. Dal Pozzo, F., Andrei, G., Lebeau, I., Beadle, J.R., Hostetler, K.Y., De Clercq, E. & Snoeck, R.
In vitro evaluation of the anti-ORF virus activity of alkoxyalkyl esters of CDV, cCDV and HPMPA.
Antiviral Res., in press (2007).
2305. Daelemans, D., Lu, R., De Clercq, E. & Engelman, A.
Characterization of a replication-competent, integrase-defective human immunodeficiency virus (HIV)/simian virus 40 chimera as a powerful tool for the discovery and validation of HIV integrase inhibitors.
J. Virol., in press (2007).
2306. Stevens, M., Balzarini, J., Lagoja, I., Noppen, B., François, K., Van Aerschot, A., Herdewijn, P., De Clercq, E. & Pannecouque, C.
Inhibition of human immunodeficiency virus type 1 transcription by *N*-aminoimidazole derivatives.
Antimicrob. Agents Chemother., in press (2007).
- 2307 De Clercq, E., Karlsson, A. & Balzarini, J.
Thiocarboxanilides: a new class of non-nucleoside reverse transcriptase inhibitors (NNRTIs) with great potential for the treatment of human immunodeficiency virus type 1 (HIV-1) infections.
Proceedings of the Fourth Conference in Advanced Medicinal Chemistry, Modern Approaches in Drug Design, School of Pharmacy, Aristotelian University of Thessaloniki, Thessaloniki, Greece, 19-20 May 1995
In: "Medicinal Chemistry: Chemical and Molecular Aspects of Drug Design and Action. Taylor Francis/CRC Publishing Company, in press (2007).