

Synthesis and in vitro growth inhibitory activity of novel silyl- and trityl-modified nucleosides

Jenny-Lee Panayides ^{a,b}, Véronique Mathieu ^c, Laetitia Moreno Y. Banuls ^c, Helen Apostolellis ^d, Nurit Dahan-Farkas ^d, Hajierah Davids ^{d,e}, Leonie Harmse ^d, M. E. Christine Rey ^f, Ivan R. Green ^g, Stephen C. Pelly ^g, Robert Kiss ^c, Alexander Kornienko ^h, Willem A. L. van Otterlo ^{a,g,†}

a Molecular Sciences Institute, School of Chemistry, University of the Witwatersrand, PO Wits, Johannesburg 2050, South Africa

b Pioneering Health Sciences, CSIR Biosciences, PO Box 395, Pretoria 0001, South Africa

c Laboratoire de Cancérologie et de Toxicologie Expérimentale, Faculté de Pharmacie, Université Libre de Bruxelles, Brussels, Belgium

dDepartment of Pharmacy and Pharmacology, Faculty of Health Sciences, University of the Witwatersrand, PO Wits, Johannesburg 2050, South Africa

eDepartment of Biochemistry and Microbiology, Nelson Mandela Metropolitan University, PO Box 77000, Port Elizabeth 6031, South Africa

fSchool of Molecular and Cellular Biology, University of the Witwatersrand, PO Wits, Johannesburg 2050, South Africa

gDepartment of Chemistry and Polymer Science, Stellenbosch University, Stellenbosch, Matieland 7602, South Africa

hDepartment of Chemistry and Biochemistry, Texas State University, San Marcos, TX 78666, USA

Abstract

Seventeen silyl- and trityl-modified (5'-O- and 3',5'-di-O-) nucleosides were synthesized with the aim of investigating the in vitro antiproliferative activities of these nucleoside derivatives. A subset of the compounds was evaluated at a fixed concentration of 100 μ M against a small panel of tumor cell lines (HL-60, K-562, Jurkat, Caco-2 and HT-29). The entire set was also tested at varying concentrations against two human glioma lines (U373 and Hs683) to obtain GI(sub50) values, with the best results being values of 25 μ M.