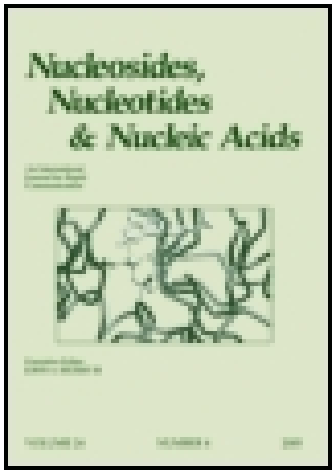

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Synthesis and Antiviral Evaluation of 3′-Deoxy-β-L-erythro-pentofuranosyl Nucleosides of the Five Naturally Occurring Nucleic Acid Bases

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SYNTHESIS AND ANTIVIRAL EVALUATION OF 3'-DEOXY-β-L-*ERYTHRO*-PENTOFURANOSYL NUCLEOSIDES OF THE FIVE NATURALLY OCCURRING NUCLEIC ACID BASES

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Abstract. The hitherto unknown title compounds were stereospecifically synthesized by glycosylation of pyrimidine and purine aglycons with a suitably peracylated 3'-deoxy-β-L-*erythro*-pentofuranose, followed by removal of the protecting groups. All the prepared compounds were tested for their ability to inhibit the replication of a variety of DNA and RNA viruses (including HIV), but they did not show significant antiviral activity.

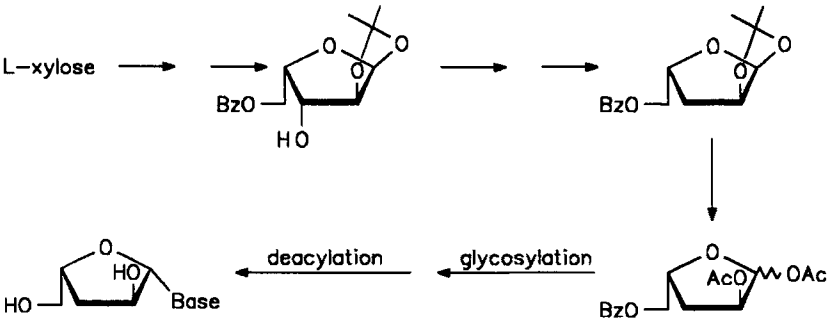
INTRODUCTION

During the last decades there has been some interest in the synthesis and in the biological evaluation of L-nucleoside analogues, but generally the activities of most nucleosides were always associated with the natural D-enantiomers[1]. However, synthetic 2',3'-dideoxy-β-L-cytidine[2] and 2'-deoxy-β-L-thymidine[3] have been recently shown to exert an antiviral activity in cell culture against human immunodeficiency virus (HIV) and herpes simplex virus (HSV), respectively. Moreover, the β-L-isomers of several dioxolanyl[4] and oxathiolanyl[5] nucleoside analogues are more potent and more selective anti-HIV agents than their β-D-enantiomers. All these data provide a strong rationale for studying the mirror images of other D-nucleoside analogues.

SYNTHESIS

The title compounds were stereospecifically synthesized by glycosylation of pyrimidine and purine aglycons with 1,2-di-*O*-acetyl-3-deoxy-5-*O*-benzoyl-

erythro-pentofuranose (prepared from commercial L-xylose in six steps), followed by removal of the acyl protecting groups .



Base= uracil-1-yl, thymine-1-yl, cytosine-1-yl, adenine-9-yl, guanine-9-yl

All the prepared compounds were tested for their ability to inhibit the replication of a variety of DNA and RNA viruses (including HIV), but they did not show significant antiviral activity.

From the present work, it is obvious that a 3'-deoxy-β-L-*erythro*-pentofuranose structure in nucleoside analogues does not lead to inhibition of virus multiplication.

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