



## Nucleosides and Nucleotides

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### Synthesis and Antiviral Evaluation of 3'-Deoxy- $\beta$ -L-erythro-pentofuranosyl Nucleosides of the Five Naturally Occurring Nucleic Acid Bases

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## SYNTHESIS AND ANTIVIRAL EVALUATION OF 3'-DEOXY- $\beta$ -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- $\beta$ -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.

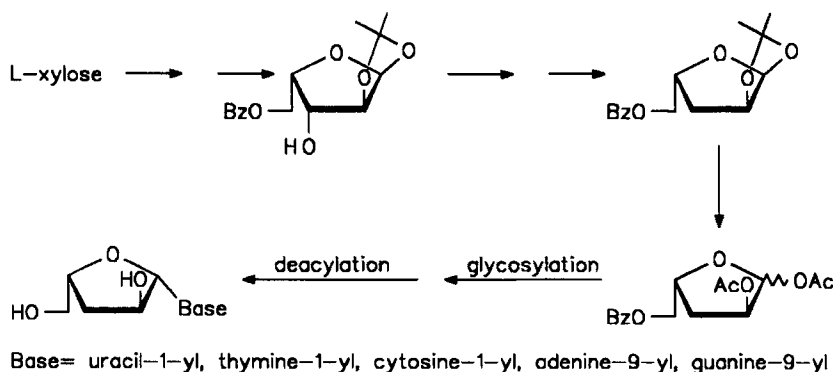
### INTRODUCTION

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<sup>1</sup>. However, synthetic 2',3'-dideoxy- $\beta$ -L-cytidine<sup>2</sup> and 2'-deoxy- $\beta$ -L-thymidine<sup>3</sup> 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  $\beta$ -L-isomers of several dioxolanyl<sup>4</sup> and oxathiolanyl<sup>5</sup> nucleoside analogues are more potent and more selective anti-HIV agents than their  $\beta$ -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 .



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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