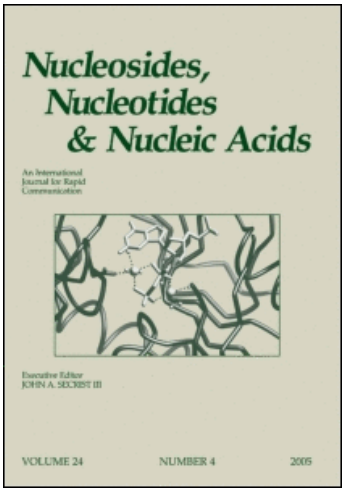

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Synthesis and Antiviral Evaluation of β-D- and β-L-Pentofuranonucleoside Derivatives Bearing 5-Trifluoromethylcytosine as the Base

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ABSTRACT

β-D- and β-L-pentofuranonucleoside derivatives bearing 5-trifluoromethylcytosine as the base have been synthesized. The compounds were tested for their activity against HIV and HBV, but they did not show significant antiviral effect.

Key Words: β-D- and β-L-Nucleoside analogues; 5-Trifluoromethylcytosine; Antiviral evaluations.

INTRODUCTION

Pyrimidine nucleosides bearing a trifluoromethyl group at the 5-position have been shown to have interesting biological activities. Among them, 5-(trifluoromethyl)-β-D-2′-deoxyuridine has been approved by the Food and Drug

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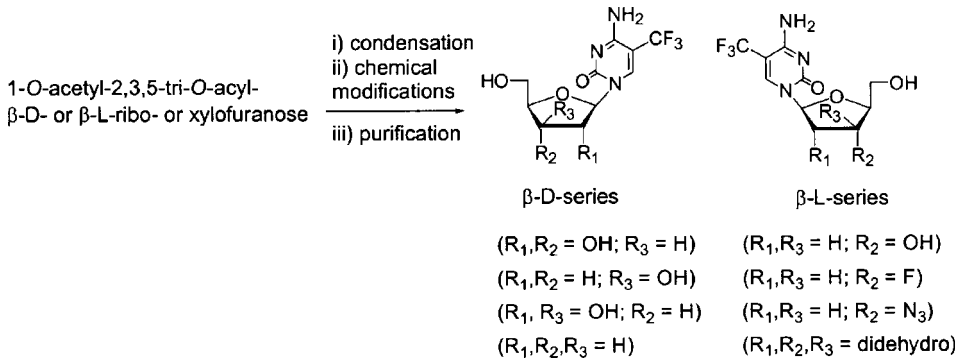

Scheme 1.

Administration as an antiherpetic drug. In order to discover new nucleoside derivatives endowed with potent antiviral activity, modifications of the base and/or the sugar moiety of natural nucleosides can be attempted. As a part of our ongoing research program on 5-(trifluoromethyl)-pyrimidine nucleosides,[1] we have synthesized various β-D- and β-L-pentofuranonucleoside derivatives bearing 5-trifluoromethylcytosine as the base, most of them being hitherto unknown.

SYNTHESIS

The β-D- and β-L-pentofuranonucleoside analogues synthesized in this work are presented in the Sch. 1.

Structural assignments for all the compounds were based on elemental analysis and physicochemical properties (melting point, ^{1}H NMR, ^{13}C NMR, ^{19}F NMR, UV, mass spectra and optical rotation).

BIOLOGICAL EVALUATIONS

The β-D- and β-L-nucleoside analogues were tested for their in vitro inhibitory effects on the replication of HIV-1 and HBV. None of these compounds showed significant antiviral activity.

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