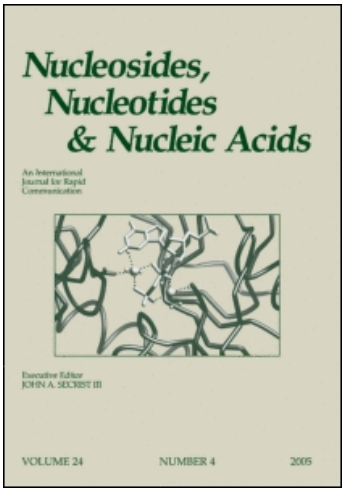

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2'-Deoxy-2'-*C*-trifluoromethyl β-D-Ribonucleoside Analogues: Synthesis and Antiviral Evaluations

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2′-Deoxy-2′-*C*-trifluoromethyl β-D-Ribonucleoside Analogues: Synthesis and Antiviral Evaluations

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ABSTRACT

Hitherto unknown 2′-deoxy-2′-*C*-trifluoromethyl-β-D-ribonucleoside derivatives bearing the five naturally occurring nucleic acid bases have been synthesized. The compounds were tested for their activity against HIV, HBV and several RNA viruses, but they did not show significant antiviral effect.

Key Words: β-D-Ribonucleosides; 2′-Deoxy-2′-*C*-trifluoromethyl; Antiviral evaluations.

INTRODUCTION

Nucleoside analogues represent one of the main class of therapeutic agents in antiviral chemotherapy,[1] and to date, near of twenty nucleoside analogues have been approved for the treatment of various viral diseases including Herpes viruses,

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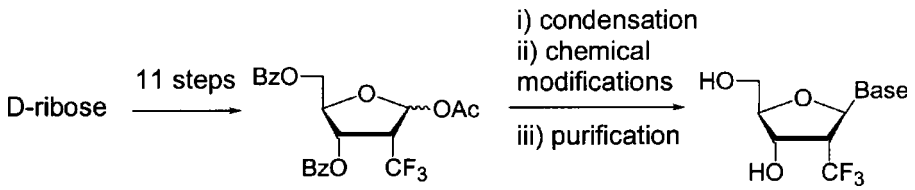

Scheme 1.

Human Immunodeficiency Virus (HIV) and Hepatitis B virus (HBV) infections. 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 trifluoromethyl nucleosides,[2] we have synthesized, from a trifluoromethyl sugar precursor, hitherto unknown 2′-deoxy-2′-*C*-trifluoromethyl-β-D-ribonucleoside derivatives bearing the five naturally occurring nucleic acid bases.

SYNTHESIS

The 2′-deoxy-2′-*C*-trifluoromethyl-β-D-ribonucleoside 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 2′-deoxy-2′-*C*-trifluoromethyl-β-D-ribonucleoside analogues were tested for their in vitro inhibitory effects on the replication of HIV, HBV and several RNA viruses. None of these compounds showed significant antiviral activity.

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