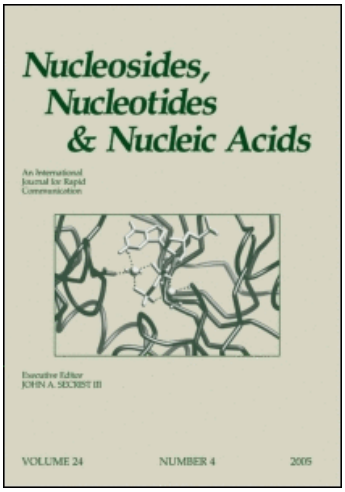

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Ethyl[2-Deoxy-5-*O*-(4,4'-dimethoxytrityl)-α- and β-D-*erythro*-Pentofuranosyl] Acetates as Versatile Intermediates in Nucleic Acid Chemistry

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ETHYL[2-DEOXY-5-*O*-(4,4′-DIMETHOXYTRITYL)-α-AND β-D-*ERYTHRO*-PENTOFURANOSYL] ACETATES AS VERSATILE INTERMEDIATES IN NUCLEIC ACID CHEMISTRY

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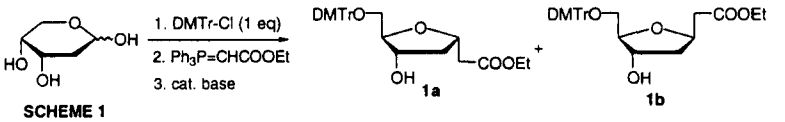
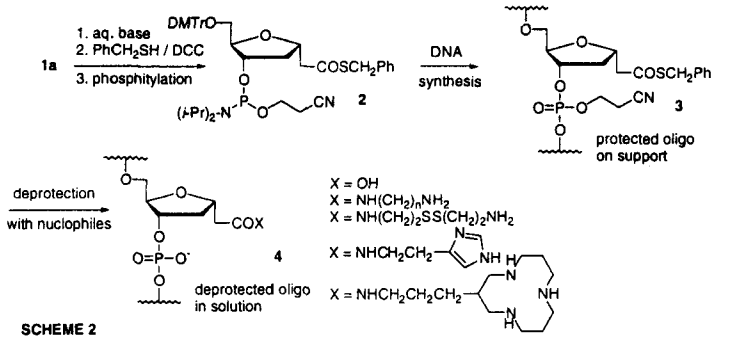
Abstract: The title compounds (**1a,b**) were synthesized in three steps from 2-deoxy-**D**-ribose, and used in the preparation of oligonucleotide conjugates, branched oligonucleotides as well as homo-*N*-nucleosides.

The title compounds (**1a,b**) were easily prepared from 2-deoxy-**D**-ribose according to **Scheme 1**.[1] The anomers were separated on silica gel, and identified in the aid of ^{1}H,^{1}H NOESY NMR.



SCHEME 1

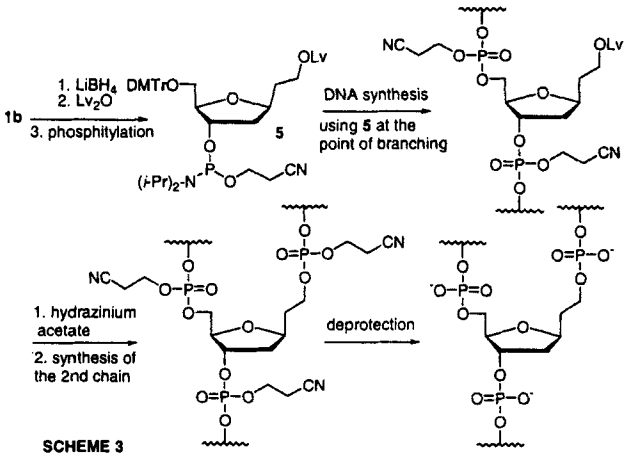
*The use of the α-anomer (**1a**) in the preparation of tethered oligonucletides.* **1a** was converted to the phosphoramidite building block (**3**) according to **Scheme 2**, and incorporated to the oligonucleotide structure. When the chain assembly was completed the desired functionality was introduced by treating the



SCHEME 2

protected oligonucleotide while still immobilized to a solid support with aqueous nucleophiles.[1,2] Deprotection was completed by standard ammonolysis.

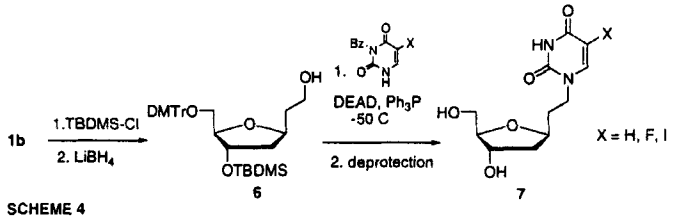
*The use of the β-anomer(**1b**) in the preparation of branched oligonucleotides.*



SCHEME 3

1b was transformed to the block **5** according to **Scheme 3**. It was incorporated to an oligonucleotide at the desired point of branching. Synthesis of the first chain was terminated using a 5′-*O*-benzoylated nucleoside block in the last coupling step. The second oligonucleotide chain was synthesized after selective removal of the levulinyl group. Standard ammonolytic deprotection yielded the desired branched oligonucleotide.

*The use of the β-anomer(**1b**) in the preparation of homo-N-nucleosides.* The β-anomer was easily converted to a *C*-glycoside bearing a primary hydroxyl group in its structure **6** (**Scheme 4**). When it was allowed to react with various 5-substituted *N3*-benzoyluracils under Mitsunobu conditions at low temperature followed by deprotection, the desired homo-*N*-nucleosides (**7**) were obtained. Their cytotoxicity against four human leukemia cell lines and phytochemagglutinin-stimulated human peripheral blood lymphocytes was investigated. In contrast to the parent nucleosides, non of them were found to be cytotoxic.



SCHEME 4

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2. Hovinen, J. *Bioconjugate Chem.* **1998**, *9*, 132.