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## Nucleosides, Nucleotides and Nucleic Acids

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### Ethyl[2-Deoxy-5-O-(4,4'-dimethoxytrityl)- $\alpha$ - and $\beta$ -D-erythro-Pentofuranosyl] Acetates as Versatile Intermediates in Nucleic Acid Chemistry

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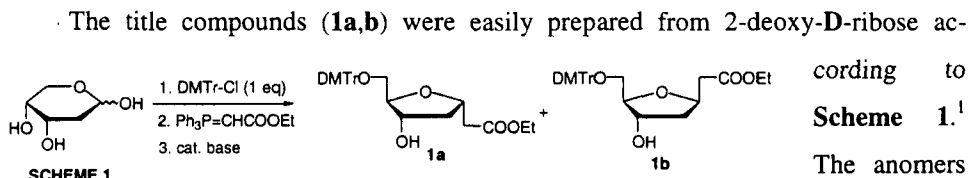
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# ETHYL[2-DEOXY-5-O-(4,4'-DIMETHOXYTRITYL)- $\alpha$ -AND $\beta$ -D-ERYTHRO-PENTOFURANOSYL] ACETATES AS VERSATILE INTERMEDIATES IN NUCLEIC ACID CHEMISTRY

Jari Hovinen,<sup>a\*</sup> Alex Azhayev,<sup>b</sup> Harri Salo<sup>c</sup> and Juhani Vilpo<sup>d</sup>

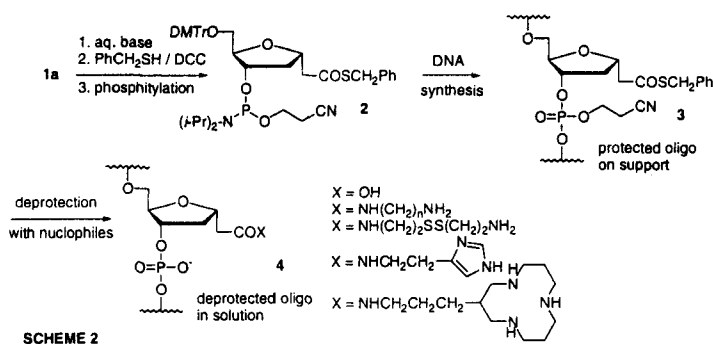
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**Abstract:** The title compounds (**1a,b**) were synthesized in three steps from 2-deoxy-D-ribose, and used in the preparation of oligonucleotide conjugates, branched oligonucleotides as well as homo-N-nucleosides.



were separated on silica gel, and identified in the aid of <sup>1</sup>H, <sup>1</sup>H NOESY NMR.

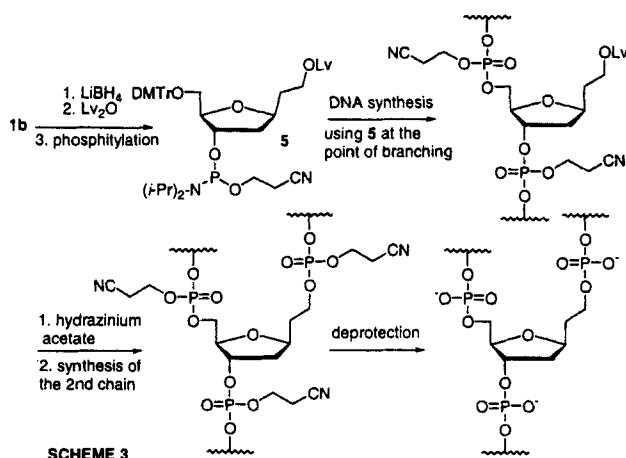
The use of the  $\alpha$ -anomer (**1a**) in the preparation of tethered oligonucleotides. **1a** was converted to the phosphoramidite building block (**2**) according to Scheme 2, and in-



incorporated to the oligonucleotide structure. When the chain assembly was completed the desired functionality was introduced by treating the

protected oligonucleotide while still immobilized to a solid support with aqueous nucleophiles.<sup>1,2</sup> Deprotection was completed by standard ammonolysis.

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

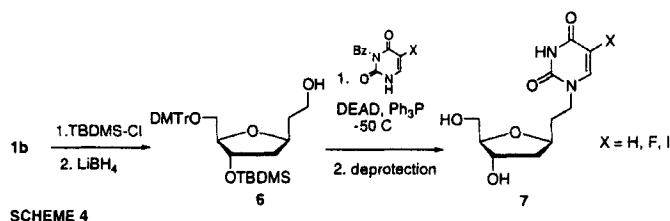


SCHEME 3

**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  $\beta$ -anomer (1b) in the preparation of homo-N-nucleosides.* The  $\beta$ -anomer was easily converted to a C-glycoside bearing a primary hydroxyl group in its



SCHEME 4

structure **6** (**Scheme 4**). When it was allowed to react with various 5-substituted *N*3-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.

## REFERENCES

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