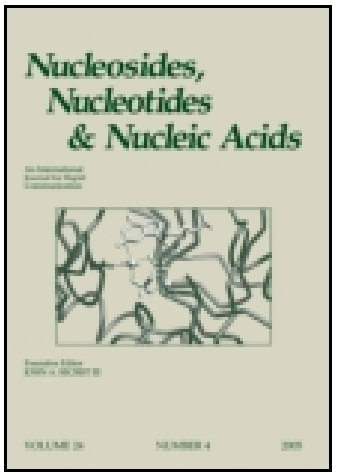


Nucleosides and Nucleotides
Publication details, including instructions for authors and subscription information:
http://www.tandfonline.com/loi/lncn19

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

Jari Hovinen [a] , Alex Azhayev [b] , Harri Salo [c] & Juhani Vilpo [d]
[a] Wallac Oy , P.O. Box 10, FIN-20101, Turku, Finland
[b] Department of Pharmaceutial Chemistry , University of Kuopio , FIN-70211, Kuopio, Finland
[c] Department of Chemistry , University of Turku , FIN-20014, Turku, Finland
[d] Department of Clinical Chemistry , Tampere University , Hospital P.O. Box 2000, FIN-32521, Tampere, Finland
Published online: 04 Oct 2006.

To cite this article: Jari Hovinen , Alex Azhayev , Harri Salo & Juhani Vilpo (1999) Ethyl[2-Deoxy-5-O-(4,4′-dimethoxytrityl)-α- and β-D-erythro-Pentofuranosyl] Acetates as Versatile Intermediates in Nucleic Acid Chemistry, Nucleosides and Nucleotides, 18:6-7, 1263-1264, DOI: 10.1080/07328319908044686

To link to this article: http://dx.doi.org/10.1080/07328319908044686

NUCLEOSIDES & NUCLEOTIDES, 18(6&7), 1263–1264 (1999)

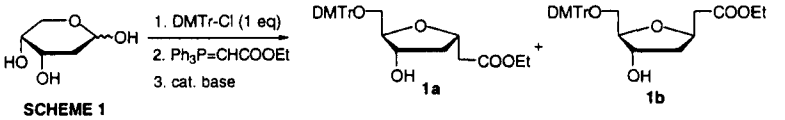
ETHYL[2-DEOXY-5-*O*-(4,4′-DIMETHOXYTRITYL)-α-AND β-D-*ERYTHRO*-PENTOFURANOSYL] ACETATES AS VERSATILE INTERMEDIATES IN NUCLEIC ACID CHEMISTRY

Jari Hovinen,[a]* Alex Azhayev,[b] Harri Salo[c] and Juhani Vilpo[d]

a. Wallac Oy, P.O. Box 10, FIN-20101 Turku, Finland, b. Department of Pharmaceutial Chemistry, University of Kuopio, FIN-70211 Kuopio, Finland, c. Department of Chemistry, University of Turku, FIN-20014 Turku, Finland, d. Department of Clinical Chemistry, Tampere University Hospital P.O. Box 2000, FIN-32521 Tampere, Finland

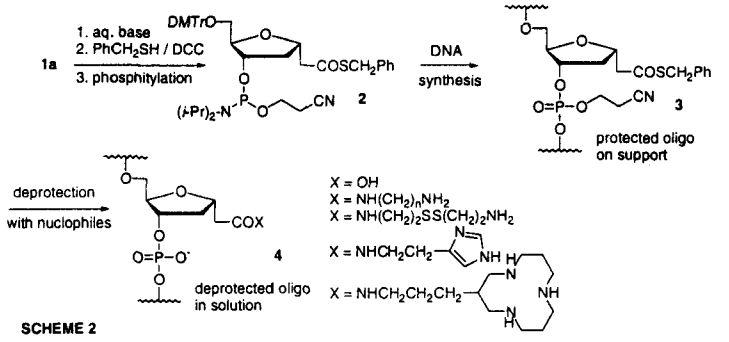
Abstract: The title compounds (**1a,b**) were synthesized in three steps from 2-deoxy-**D**-ribose, and used in the preparation of oligonucleotide conjugates, branched oligonucleotides as well as homo-*N*-nucleosides.

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

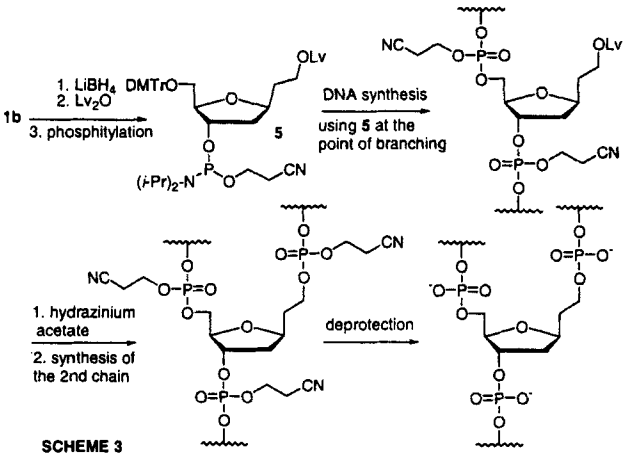
*The use of the α-anomer (**1a**) in the preparation of tethered oligonucletides.* **1a** was converted to the phosphoramidite building block (**3**) according to **Scheme 2**, and incorporated to the oligonucleotide structure. When the chain assembly was completed the desired functionality was introduced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

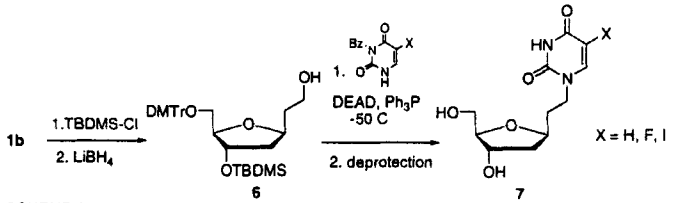
*The use of the β-anomer(**1b**) in the preparation of branched oligonucleotides.*



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

REFERENCES

1. Hovinen, J. and Salo, H. *J. Chem. Soc. Perkin Trans 1*, **1997**, 3017.
2. Hovinen, J. *Bioconjugate Chem.* **1998**, *9*, 132.