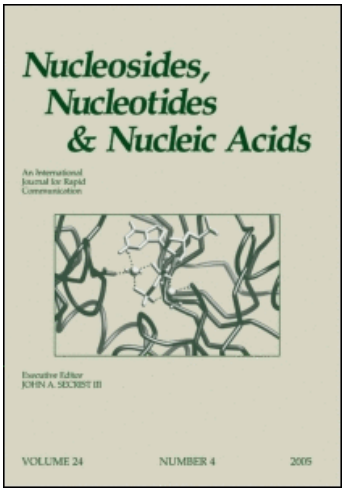

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SYNTHESIS OF PYRROLO[3,2-c]PYRIDINE 2′-DEOXY-D-RIBO-, 2′,3′-DIDEOXY-D-RIBO-, AND D-ARABINOFURANOSYL NUCLEOSIDES

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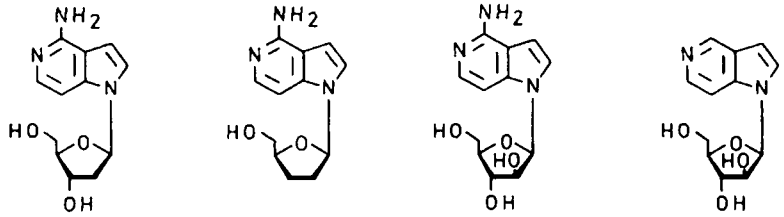
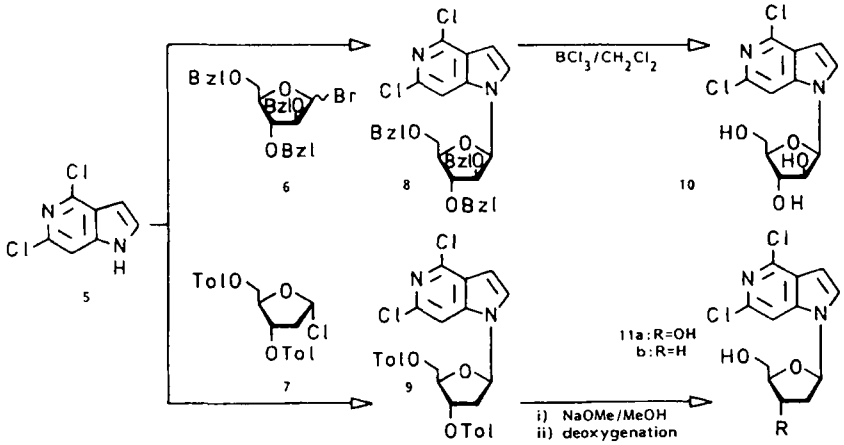
Abstract.- New pyrrolo[3,2-c]pyridine nucleosides (e.g. 2, 3, 4) have been prepared via solid-liquid phase-transfer glycosylation. Additionally, building blocks for oligonucleotide synthesis are described.

Recently, we have reported on the synthesis of pyrrolo[3,2-c]pyridine 2′-deoxyribofuranosides, e.g. 1 [1,2]. We now describe D-arabinonucleosides (3, 4), as well as 2′,3′-dideoxy-D-ribonucleosides (2, 11b).

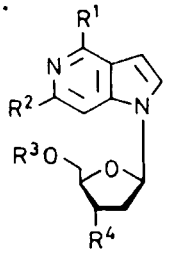


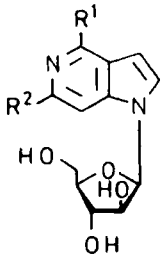
1 2 3 4

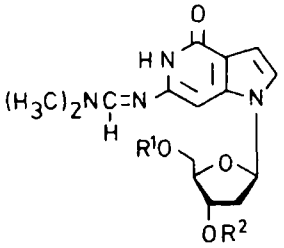
Compound 5 [3] was employed during solid-liquid phase-transfer glycosylation (powdered KOH, TDA-1, MeCN) with the halogenoses 6 or 7, yielding 8 or 9 in 69% and 90% yield, respectively.



After deprotection compounds 10 and 11a were subjected to a number of selective displacement reactions. Hydrogenation of 10 gave 4. Upon nucleophilic substitution only R^1 was replaced (13a, 13b). Later on, R^2 was removed under reductive conditions (3). Conversion of 11a via dimethoxytritylation (12a), phenoxythiocarbonylation (12b), deoxygenation (12c) and deprotection (11b) gave 2 upon amination (12d) and subsequent dehalogenation.







12a: $R^1=R^2=Cl$; R^3=DMT; R^4=OH
12b: $R^1=R^2=Cl$; R^3=DMT; R^4=OPTC
12c: $R^1=R^2=Cl$; R^3=DMT; R^4=H
12d: $R^1=NH_2$; $R^2=Cl$; $R^3=R^4$=H

13a: $R^1=NHNH_2$; $R^2=Cl$
13b: $R^1=NH_2$; $R^2=Cl$

14a: $R^1=R^2$=H
14b: R^1=DMT; R^2=H
14c: R^1=DMT; $R^2=H_3COPN(iPro)_2$
14d: R^1=DMT; $R^2=(HPO_3)NHEt_3$

We have also prepared suitable protected building blocks of $c^3c^7G_d$ [5] (14a-d) for automated oligonucleotide synthesis. Further investigation on this subject is in progress.

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6 Beaucage S.L., Caruthers M.H. (1981), Tetrahedron Lett. 22, 1859.
7 Analytical data of selected compounds (^{1}H-NMR spectra were measured in DMSO-d_6, δ in ppm): 2:[m.p.(H_2O):176.5°C; δ 6.06(s,NH_2),6.12(dd,J=4.7 and 6.5 Hz,H-1′)]. 3:[m.p.(MeOH)236°C(dec.); δ 6.01(s,NH_2),6.08(d,J=4.9 Hz, H-1′)]. 4:[m.p.(H_2O):225°C(dec.); δ 8.79(s,H-4),6.28(d,J=5.2 Hz,H-1′)]. 11b:[m.p.(MeOH/H_2O)128-129°C; δ 7.88(s,H-7),6.32(dd,J=3.9 and 6.5 Hz, H-1′)]. 14a:[δ 6.12(pt,J=6.9 Hz,H-1′),8.00(s,CH=N)]. 14b:[δ 6.17(pt, J=6.1 Hz,H-1′),7.98(s,CH=N)]. 14c:[^{31}P-NMR($CDCl_3$): δ 147.23,147.25]. 14d:[δ 6.61(d,J= 582 Hz,P-H)].

For all compounds described in this communication elemental analyses data were within 0.3%.