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Nucleosides, Nucleotides and Nucleic Acids

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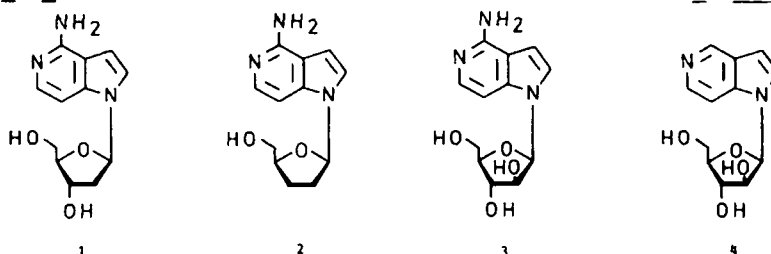
SYNTHESIS OF PYRROLO[3,2-c]PYRIDINE 2'-DEOXY-D-RIBO-, 2',3'-DIDEOXY-D-RIBO-, AND D-ARABINOFURANOSYL NUCLEOSIDES

F. Seela*, W. Bourgeois, and T. Jürgens

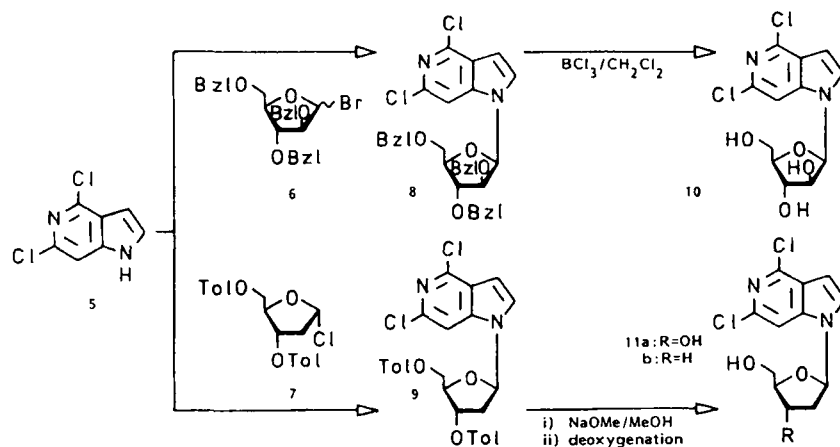
Laboratorium für Organische und Bioorganische Chemie, Fachbereich Biologie/Chemie, Universität Osnabrück, West-Germany

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.

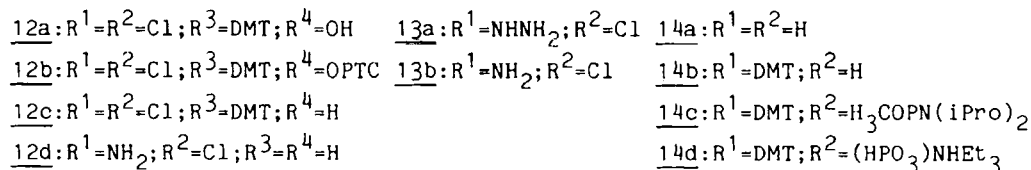
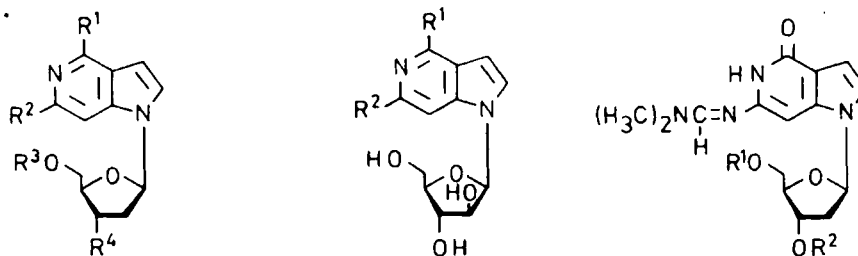
Recently, we have reported on the synthesis of pyrrolo[3,2-c]pyridine 2'-deoxyribofuranosides, e.g. 1 [1,2]. We now describe D-arabinonucleosides (3, 4), as well as 2',3'-dideoxy-D-ribonucleosides (2, 11b).



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.



We have also prepared suitable protected building blocks of $c^{3C}G_d$ [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 (1H -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%.