GLYCOSYLATION OF N^4-BENZOYLCYTOSINE WITH ACETYLATED GLYCALS

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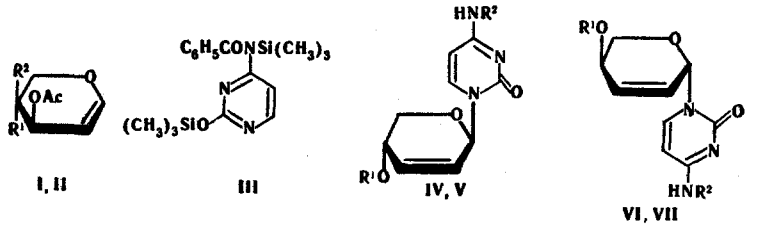
We found that the reaction of 3,4-di-O-D-acetyl-xylal (I) and 3,4-di-O-acetyl-L-arabinal (II) with N^4,O-bis(trimethylsilyl)-N^4-benzoylcytosine (III) in dichloroethane in the presence of $SnCl_4$ leads to the formation of 1-(4-O-acetyl-2,3-didesoxy-β-D-glyceropent-2-enopyranosyl)-N^4-benzoylcytosine (IV) in a yield of ∿40%, mp 225-226° (from methanol), $[\alpha]_D^{20}$ +104° (s, 2, MeOH) and 1-(4-O-acetyl-2,3-didesoxy-β-L-glyceropent-2-enopyranosyl)-N^4-benzoylcytosine (VI), mp 225-226°C (from methanol), $[\alpha]_D^{20}$ −107° (s, 2, MeOH), respectively. Nucleosides IV and VI have identical IR, UV, PMR and mass spectra, which together with the identical in value but opposite in sign Cotton effect on the rotational dispersion curves indicates that they form an enantiomeric pair.



I R^1=OAc, R^2=H; II R^1=H, R^2=OAc; IV R^1=Ac, R^2=C_6H_5CO; V R^1=R^2=H; VI R^1=Ac, R^2=C_6H_5CO; VII R^1=R^2=H.

The treatment of compound IV and VI with a methanolic solution of ammonia gave 1-(2,3-didesoxy-β-D-glyceropent-2-enopyranosyl) cytosine (V), mp 214-215°C (from water), $[\alpha]_D^{20}$ +75° (s 2, H_2O), and 1-2,3-didesoxy-β-L-glyceropent-2-enopyranosyl)cytosine (VII), mp 214-215°C (from water), $[\alpha]_D^{20}$ −68° (s 2, H_2O), respectively. The structure of the compounds obtained was confirmed by the dara of IR, UV, PMR, rotational dispersion and mass spectra, and by elementary analysis.

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