

SYNTHESIS AND CHEMISTRY OF PSICOFURANOSYL AND FRUCTOFURANOSYL NUCLEOSIDES.

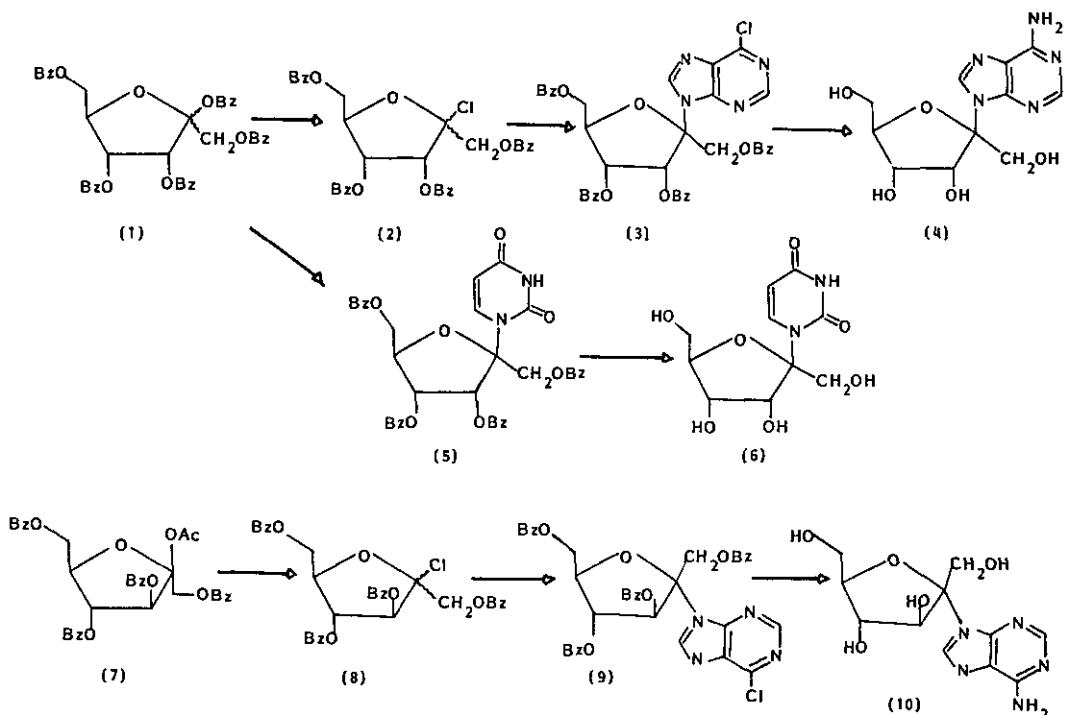
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As we became interested in exploring the biological activities of the unknown β -fructofuranosyl nucleosides especially for the evaluation of their antiviral properties, it occurred to us that the β -psicofuranosyl nucleosides should be appropriate starting material for the synthesis of the corresponding β -fructofuranosyl derivatives. This has led us to reinvestigate the synthesis of some ketose nucleosides, since the literature methods of synthesis of this group of nucleosides gave often mixtures of the α and β anomers in low yields. Thus, the condensation of 6-chloro purine with 1,3,4,6-tetra-*O*-benzoyl- β -psicofuranosyl chloride (2) in nitromethane with mercuric cyanide¹ has provided us selectively with the β -psicofuranosyl-6-chloropurine anomer (3) in 61% yield. It is also worthy to note that the same procedure gave exclusively the α -anomer (9) in 82% yield when 6-chloro purine was reacted with the chlorosugar (8) which was obtained from 2-*O*-acetyl-1,3,4,6-tetra-*O*-benzoyl- β -D-fructofuranose (7). Perhaps the later reaction involves an intermediate like a 2,3-*O*-benzoxonium ion instead of the 1,3-*O*-benzoxonium ion as should be expected in the synthesis of the β -anomer (3).

It should be added that the condensation of the silylated uracil with the perbenzoylated D-psicofuranose (1) in acetonitrile with stannic chloride² gave only the β anomer derivative 5 in 81% yield.

Having obtained the deblocked β -psicofuranosyl-adenine (4) and uracil (6) in high overall yields, we have then proceeded to synthesize the corresponding β -fructofuranosyl isomers through the syntheses of their respective 3',8-*O*- and 3',2-*O*-cyclic nucleosides. The results of these studies will be presented in details.



References:

1. N. Yamaoka, K. Aso and K. Matsuda, J. Org. Chem. **30**, 149 (1965).
2. U. Niedballa and H. Vorbrüggen, J. Org. Chem. **39**, 3654 (1974).