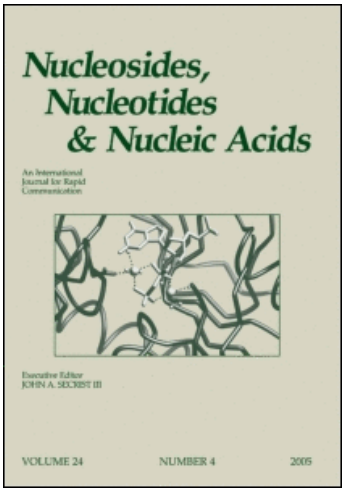

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Glycosides Derived from Pyrazino[2,3-C]-1,2,6-Thiadiazine 2,2-Dioxides

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GLYCOSIDES DERIVED FROM PYRAZINO[2,3-c]-1,2,6-THIADIAZINE 2,2-DIOXIDES

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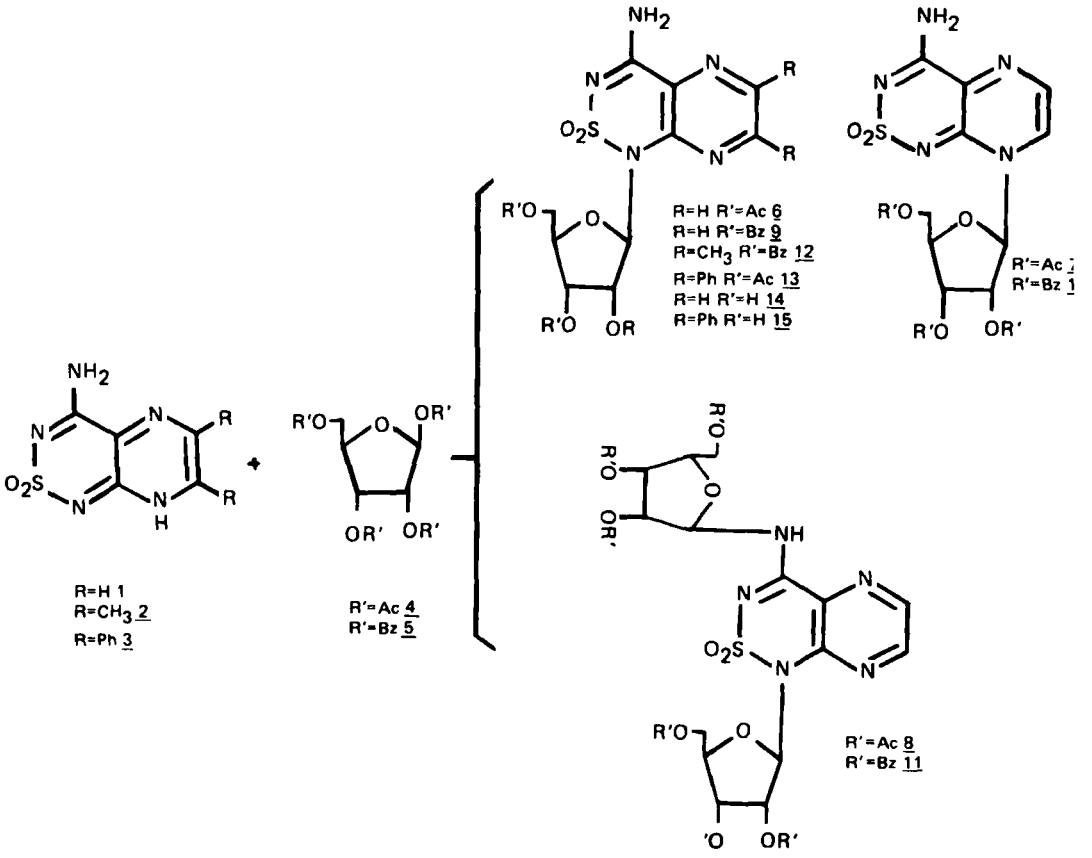
ABSTRACT. Pyrazino[2,3-c]-1,2,6-thiadiazine 2,2-dioxides have been glycosylated with 1-O-acetyl derivatives of riboside and glucose. In deblocked N-1 glucosides a syn-anti rotamer equilibrium could be observed at room temperature.

The synthesis of ribosyl and glucosyl derivatives of 4-amino-8H (1), 4-amino-6,7-dimethyl-8H (2), and 4-amino-6,7-diphenyl-8H-pyrazino[2,3-c]-1,2,6-thiadiazine 2,2-dioxide (3)[1] has been carried out following the silylation method. Mixtures of N-1 and N-8 monoriboside (6), (7), (9), and (10) and N-1,N-4 diribosides (8) and (11) were obtained in different ratios depending on the nature of the solvent used[2].

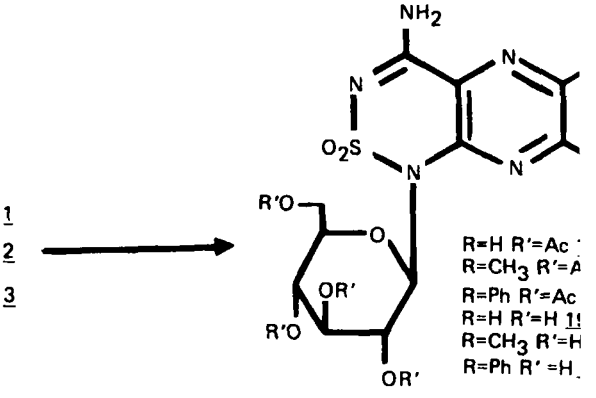
The structures of the diribosides (8) and (11) were established on the basis of 2D-homonuclear ^{1}H-nmr data.

In similar reactions, the 6,7-disubstituted compounds (2) and (3), afforded only the N-1 monoribosides (12) and (13) in good yields. N-8 ribosides were not obtained probably due to the steric interaction of the adjacent 7-alkyl groups.



When glucose pentacetate was used only the N-1 glucosides (16), (17) and (18) were obtained.

In order to prepare the corresponding free nucleosides (6), (13), (16), (17), and (18) were treated with methanolic ammonia and thus (14), (15), (19), (20), and (21) were obtained. The deblocked glucosides (19), (20), and (21) existed, at room temperature as a mixture of rotationally restricted syn-anti rotamers, the proportions being different depending on the nature of the 6,7 substituent. The free energies of activation have values around 16 Kcal/mol.



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2. P. Goya, A. Martínez, M.L. Jimeno and W. Pfleiderer, Liebigs Ann. Chem., in press.