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Nucleosides, Nucleotides and Nucleic Acids

Publication details, including instructions for authors and subscription information:

<http://www.informaworld.com/smpp/title~content=t713597286>

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

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To cite this Article Goya, P. , Martinez, A. , Jimeno, M. L. and Pfeleiderer, W. (1987) 'Glycosides Derived from Pyrazino[2,3-C]-1,2,6-Thiadiazine 2,2-Dioxides', *Nucleosides, Nucleotides and Nucleic Acids*, 6: 1, 375 — 377

To link to this Article: DOI: 10.1080/07328318708056226

URL: <http://dx.doi.org/10.1080/07328318708056226>

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

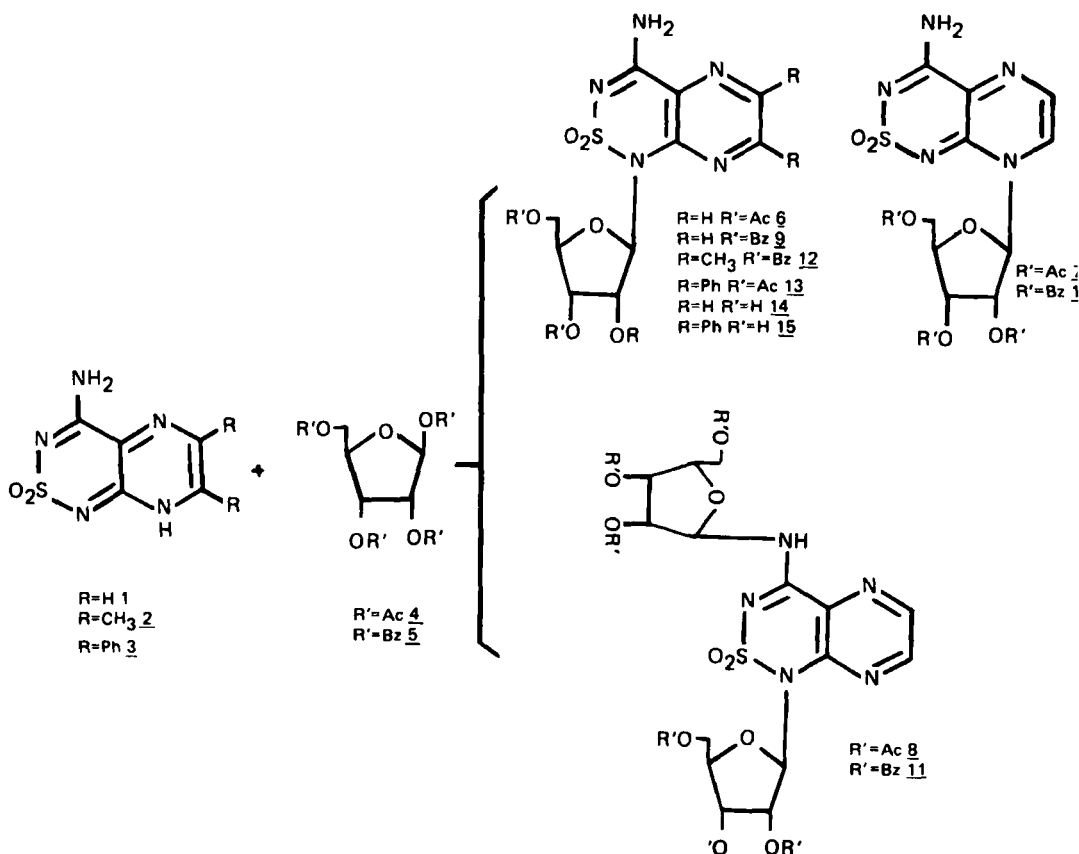
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ABSTRACT. Pyrazino[2,3-c]-1,2,6-thiadiazine 2,2-dioxides have been glycosylated with 1-O-acetyl derivatives of riboside and glucose. In deblocked N-1 glucosides a syn-anti rotamer equilibrium could be observed at room temperature.

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?

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

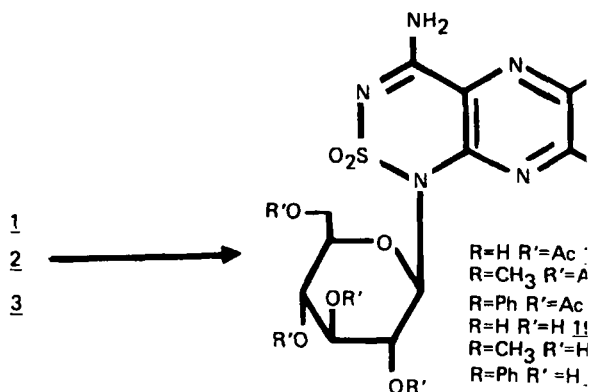
In similar reactions, the 6,7-disubstituted compounds (2) and (3), afforded only the N-1 monoribosides (12) and (13) in good yields. N-8 ribosides were not obtained probably due to the steric interaction of the adjacent 7-alkyl groups.



When glucose pentaacetate 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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