

Synthesis of Heterocyclic Compounds of Biological Interest from Carbohydrate Derivatives

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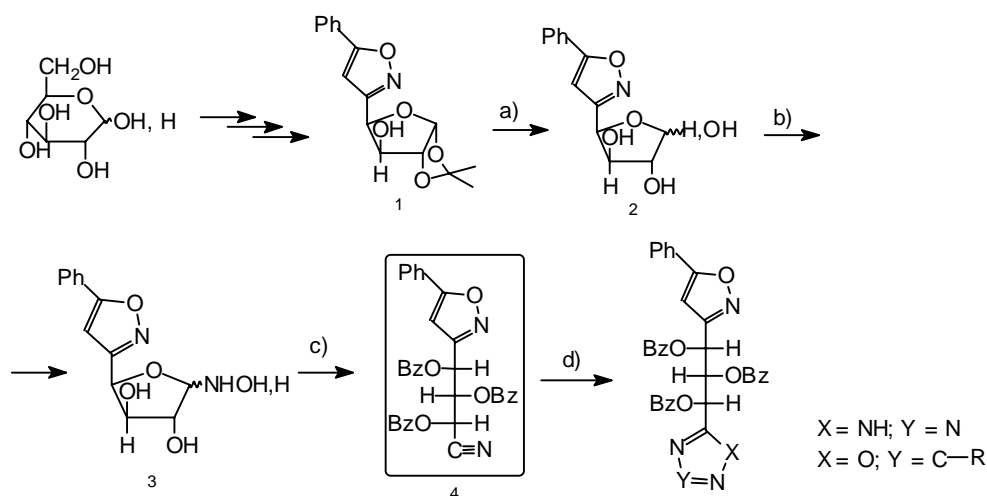
Abstract: The synthesis of some isoxazolic compounds from carbohydrate derivatives is described. These products are obtained by 1,3-dipolar cycloaddition reaction and their functionalization leads to derivatives with potential biological activities.

Introduction

The isoxazoles derivatives are a family of interesting compounds due to their biological activities. Some of these are used as muscle relaxants [1] and for the treatment of hypercholesteremia, arteriosclerosis, and hyperlipidemia [2].

In previous papers we performed the synthesis of 3-glycosyl-5-substituted-2-isoxazoles by 1,3-dipolar cycloaddition, where the N-oxide came from protected carbohydrate derivatives [3]. In this work we describe the deprotection and functionalization of the polihydrated moiety as synthetic precursors of new di-heterocyclic compound.

Experimental part



The following synthetic route is applied.

Results and discussion

The 3-(1',2'-*O*-isopropylidene- α -D-xilofuranos-4'-il)-5-phenyl-2-isoxazol (**1**) was obtained by 1,3-dipolar cycloaddition, where the N-oxide was a glucose derivative and the dipolarophile was phenylacetylene. The treatment of compound **1** with acetic acid (10%) yielded compound **2**. The reaction of **2** with hydroxylamine gave the oxime (**3**). The benzylation of the oxime allowed us to obtain the nitrile **4**, which is the suitable synthetic intermediate to prepare different heterocyclic compound with biological interest.

All the compounds were characterized for ^1H -MNR, ^{13}C y mass spectrometry.

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References and Notes

1. Mitsui Toatsu Chemicals; Mitsui Seiyaku Kogyoo, K.K; Toyama Chemical Co. Ltd. *Jpn. Kokai* **1994**, *06*, 116-146.
2. Marquis, E. T.; Sanderson, J. R. *US Pat.* 52833356 (1994) (*Chem. Abstr.*, **1994**, *120*, 217 649).
3. Fascio, M. L.; Montesano, V. J.; D'Accorso, N. B. *J. Carbohydrate Chem.*, accepted.