

Synthesis and Characterization of Some N-Heterocyclic Carbohydrate Derivatives

M.A. Martins Alho and N.B. D'Accorso

CIHIDECAR - Centro de Investigaciones de Hidratos de Carbono. Departamento de Química Orgánica, Facultad de Ciencias Exactas y Naturales - UBA - 3º P - Pab. II.- Ciudad Universitaria (1428) - Buenos Aires, Argentina
E-mail: alho@qo.fcen.uba.ar

Abstract: The nucleophilic bimolecular substitution on 1,2:3,4-di-*O*-isopropylidene- α -D-galactopyranose with NH₂-heterocyclic derivatives allows us to obtain some new compounds with potential biological activities. The characterization of them as well as a discussion of their reactivities toward sulfur analogues are present.

Introduction

The synthesis of heterocyclic compounds containing a carbohydrate moiety has been of great interest due to the possibility to obtain nucleosides and their analogues, which have, in some cases, therapeutic importance [1]. Due to this interest, in our laboratory we had carried out researches on S-alkylation of bioactive heterocycles [were the alkyl group is the 6-(1,2:3,4-di-*O*-isopropylidene- α -D-galactopyranose)] [2]. Following with those experiences, we decided perform the synthesis of N-alkyl heterocycles. In this work we present the obtained results.

Experimental

The S-alkylation of sulfur heterocycles was carried out by reaction of thiol group on 6-*O*-tosyl-1,2:3,4-di-*O*-isopropylidene- α -D-galactopyranose. However, when this procedure was applied to amino heterocycles it did not provide the desired results. To achieve the substitution we must to modify the nature of living group on C-6. Using a better nucleophile and treated this intermediate product *in situ* with some amino heterocycles we could obtain the N-alkylated products with moderated yields.

Results and Discussion

According with the obtained results, it is evident that the nucleophilicity of sulfur is higher than the nitrogen. This behavior could be attributed to a better superposition of *n* orbital of nitrogen with the aromatic ring, so, the non bonding electrons are disable to make the nucleophilic attack, and their re-

activity decreases. In order to accomplish an experimental comprobation, we performed the substitution using an aliphatic amine on tosyl derivative. As was expected, we can isolate the N-substitution product but with moderated yield. When we used 2-amino-1,3,4-thiadiazol-5-tiol, we could isolate only the S-alkyated product, and anomalous results with 2-amino-1,3,4-thiadiazol were obtained.

Acknowledgements: Authors thank to UBA and CONICET for financial support for this research and to UMYMFOR for mass spectra.

References and Notes

1. Hardman, J.G.; Limbrid, L.E.; Goodman Gilman, A. *Las bases Farmacológicas de la Terapéutica*; McGraw-Hill - Interamericana, 9th. Edn.
2. (a) Martins Alho, M.A.; D'Accorso, N.B.; Thiel, I.M.E. *J. of Heterocyclic Chem.* **1996**, 33, 1339;
(b) Martins Alho, M.A.; Ochoa, C.; Chana, A.; D'Accorso, N.B. *Anales de la Asociación Química Argentina* **1998**, 89, 1907.