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Program and Abstracts

TRIAZOLE-LINKED GLYCOCONJUGATES IN *ALLO*-, *GALACTO*- AND *GULO*-SERIES

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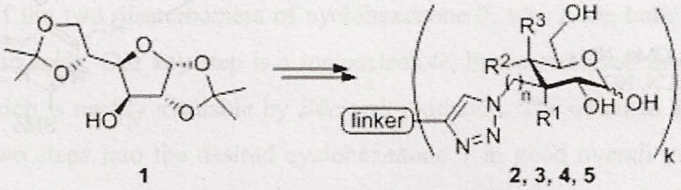
Since discovery of synthetic nucleoside tiazofurin and its analogs ribavirin, eicar and bredin that show antiviral and antitumour activities sugar-heterocycle adducts have drawn substantial synthetic interest in medical chemistry. Among other azoles, 1,2,3-triazole moiety has gained an undivided interest in recent years.

Our studies was focused on glycohybrids with triazole heterocycle at C(3) in hexapyranoses, what is not so broadly described in the literature. There are only few examples dealing with C-3-triazolylglycoconjugates.[1]

We would like to report here the synthesis of novel 1,2,3-triazole-linked disaccharides **2** – **4** (**2**: k=2, n=0, R^1=H, R^2=H, R^3=OH; **3**: k=2, n=1, R^1=OH, R^2=OH, R^3=H; **4**: k=2, n=1, R^1=OH, R^2=H, R^3=OH), using a well-known copper(I) catalyzed azide – alkyne cycloaddition.



1 **2, 3, 4, 5**

Starting materials are diacetone-D-allose, diacetone-D-galactose and diacetone-D-gulose derived azides that were synthesized from diacetone-D-glucose **1**. Protecting groups are easily removed by aqueous trifluoroacetic acid. In the same way carbohydrate trimer **5** (k=3, n=0, R^1=H, R^2=H, R^3=OH) was obtained. Biological activities of deprotected derivatives will be discussed.

1) a) Salameh, B. A.; Cumpstey, I.; Sundin, A.; Leffler, H.; Nilsson, U. J. *Bioorg. Med. Chem.* **2010**, *18*, 5367. b) Cosyn, L.; Gao, Z-G.; Rompaey, P. V.; Lu, C.; Jacobson, K. A.; Calenberh, S. V. *Bioorg. Med. Chem.* **2006**, *14*, 1403. c) Ferreira, S. B.; Sodero, A. C. R.; Cardoso, M. F. C.; Lima, E. S.; Kaiser, C. R.; Silva, F. P.; Ferreira, Jr.; Ferreira, V. F. *J. Med. Chem.* **2010**, *53*, 2364. d) Rjabova, J.; Rjabovs, V.; Moreno Vargas, A. J.; Moreno Clavijo, E.; Turks, M. *Cent. Eur. J. Chem.* **2012**, *10*, 386.

SYNTHESIS AND STUDY OF DIASTEREOMERICALLY PURE SPIRO-OXAZOLIDINONE DERIVATIVES IN *ALLO*- AND *GLUCO*-SERIES

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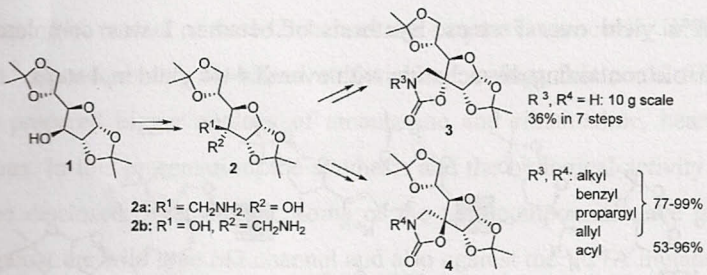
Oxazolidinones are an important class of heterocyclic compounds that are used as chiral auxiliaries in asymmetric synthesis and as biologically active pharmaceutical agents. Moreover, carbohydrates are versatile tools because they are ideal scaffolds to generate libraries of bioactive compounds due the presence of defined configuration. We report here the scalable synthesis of two diastereoisomeric series of oxazolidinone-carbohydrate conjugates with spiro-junction.[1]



Spirocycles **3** and **4** were obtained from commercially available diacetone-D-glucose. Oxidation[2] of the latter followed by Henry reaction produces separable diastereomeric mixture of nitroalcohols. The key intermediates for spiro-oxazolidinones are aminols **2a** and **2b**, which are transformed into phenyl- or benzylcarbamates. Further intramolecular cyclization of the latter under basic conditions provide spiro-oxazolidinones **3** and **4**.

The present approach allows to prepare the target spiro-oxazolidinones in a multi-gram scale within excellent isolated yields. The use of the title products in asymmetric synthesis will be discussed.

1. Gasch, C.; Illangua, J. M.; Merino-Montiel, P.; Fuentes, J. *Tetrahedron* **2009**, *65*, 4149-4155.

2. Ostrovskis, P.; Mackeviča, J.; Kumpiņš, V.; López, O.; Turks, M. Large Scale Synthesis of 1,2:5,6-Di-*O*-isopropylidene-α-D-ribo-3-hexofuranose-3-ulose by Oxidation of Diacetone-α-D-Glucose. In *Carbohydrate Chemistry: Proven Synthetic Methods;* van der Marel, D., Codee, J., Eds.; 2012, Vol 2, in press.