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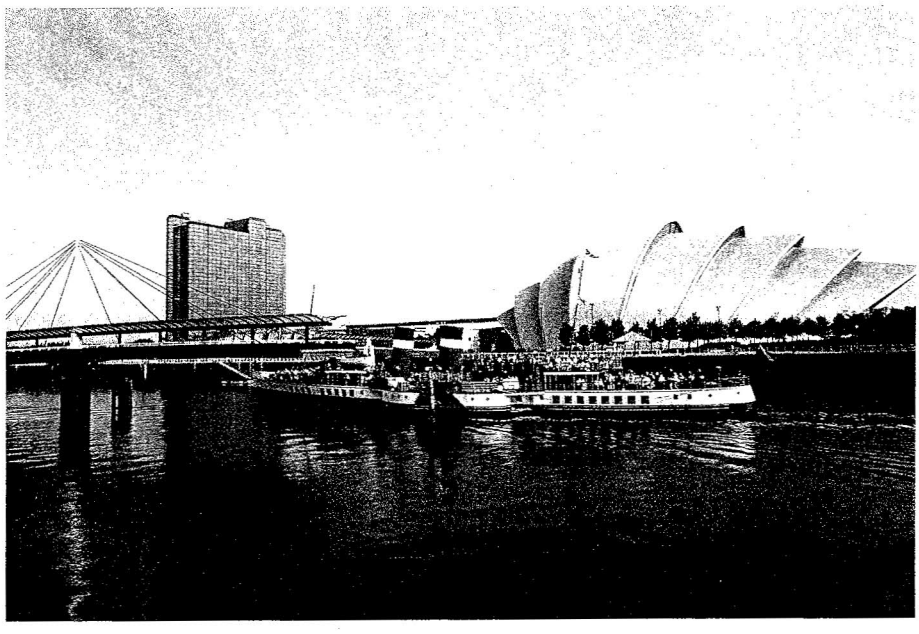

Scottish Exhibition and Conference Centre
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Programme & Abstract Book

Novel Azole-Tethered Carbohydrate Dimers

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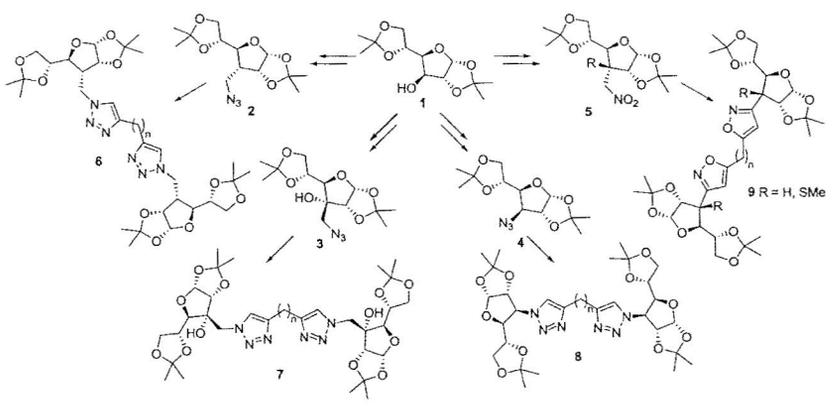
Carbohydrate-heterocycle conjugates exhibit a broad spectrum of biological properties and are widely used as enzyme inhibitors.[1]

Herein, we present a synthetic approach to a variety of carbohydrate-azole conjugates from common starting material **1**. Different azole precursors **2**, **3**, **4** and **5** were synthesized and then converted into disaccharides tethered with 1,4-disubstituted 1,2,3-triazoles or 3,5-disubstituted isoxazoles *via* 1,3-dipolar cycloadditions between terminal alkadiynes and azides or nitrile oxides, respectively.



Commercially available 1,n-diynes or 2,2-dipropargyl dimedone, 5,5-dipropargyl Meldrum's acid, 3,3-dipropargyl barbituric acid and dipropargylethylene glycol were used as dipolarophiles.

References

(1) For a recent example, see e.g.: Ferreira, S. B.; Sodero, A. C. R.; Cardoso, M. F. C.; Lima, E. S.; Kaiser, C. R.; Silva Jr., F. P.; Ferreira, V. F. *J. Med. Chem.* **2010**, *53*, 2364.

Synthesis of Chiral 4-Amino- and 7-Amino-Tetrahydroindazoles and Transformations thereof

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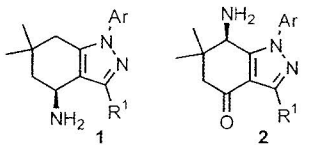
Since the first report on their synthesis in 1903, tetrahydroindazoles (THIs) as a subclass of pyrazoles have caught the interest of organic and medicinal chemists. Indeed, the molecular scaffold of THIs consists of both, the planar pyrazole unit and C_4-tether which is build of tetrahedral carbons. Such a skeleton helps to diversify vectors of pharmacophore orientation in the 3D space. As a consequence, tetrahydroindazole core can be found in many biologically active compounds. By modifying the substituents the applications of THIs can range from novel antituberculosis agents[1] to corticotropin releasing factor (CRF) receptor antagonists.[2]

Hence, we would like to report here synthesis of enantiomerically enriched 4- and 7-amino derivatives of 4,5,6,7-tetrahydroindazoles **1** and **2**. Rich chemistry of amines allows one to transform these compounds into series of valuable products.[3] Thus, approaches toward enantiopure 4- and 7-azido-THIs and corresponding triazoles will be discussed among others.



References

(1) Guo, S.; Song, Y.; Huang, Q.; Yuan, H.; Wan, B.; Wang, Y.; He, R.; Beconi, M. G.; Franzblau, S. G.; Kozikowski, A. P. *J. Med. Chem.* **2010**, *53*, 649.

(2) Beattie, D.; Colson, A.-O.; Culshaw, A. J.; Sharp, L.; Stanley, E.; Sviridenko, L. Int. Pat. Appl. WO2010015655.

(3) Strakova, I.; Turks, M.; Strakovs, A. *Tetrahedron Lett.* **2009**, *50*, 3046.