NITRO ALCOHOLS AS VERSATILE INTERMEDIATES IN THE SYNTHESIS OF CARBOHYDRATE-AZOLE CONJUGATES

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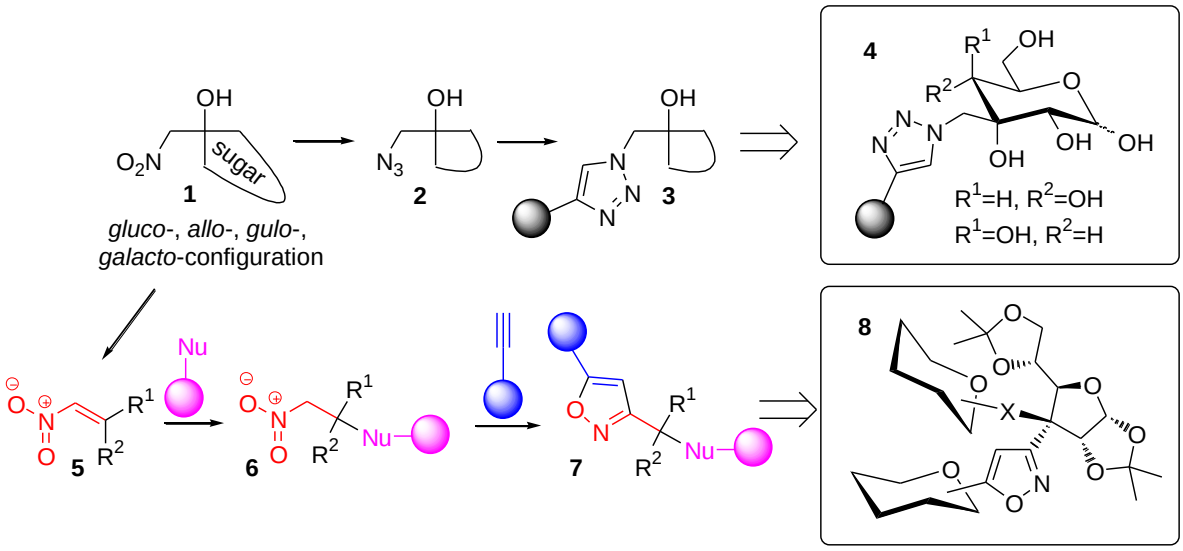
The rich chemistry of sugar-derived nitro alcohols still continues to fascinate carbohydrate chemists [1] even if the first examples of this type of products are known since early 1970-ties [2].

Here we present useful transformations of glucose-, allose-, galactose- and gulose-derived nitro alcohols **1** to corresponding azido alcohols **2** that are further converted into carbohydrate-triazole conjugates **3**. These latter are of great interest due to their various biological activities. Several combinatorial libraries of type **4** have been prepared by this method.

On the other hand, we have developed a methodology that uses nitromethylene moiety as a linking fragment in trisaccharide synthesis. Approach where nitro ene – type carbohydrates (e.g. 2-nitroglucals) are applied as Michael acceptors is well-established [3]. In our hands this technique is expended by a successive isoxazole formation. Thus, the overall process includes sequential addition of *O*-, *N*- and *S*-nucleophiles to nitromethylene functionality in carbohydrate **5** (process **5**→**6**) followed by 1,3-dipolar cycloaddition with suitable terminal alkyne (process **6**→**7**). In this way trisaccharides of type **8** can be assembled in a straightforward manner. It should be noted that isoxazoles have been successfully used to link sugars with other molecules of medicinal interest [4].



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