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NOVEL 3-*C*-MODIFIED 1,2,3-TRIAZOLYL GLYCOHYBRIDS

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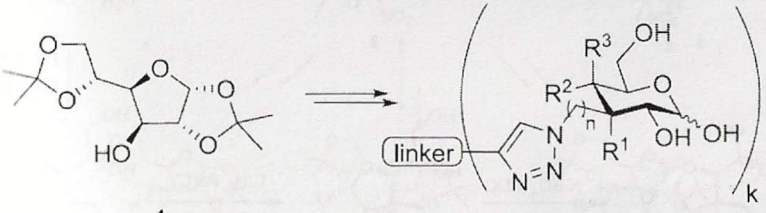
Sugar-heterocycle adducts play important role in synthetic and medicinal chemistry. Among other azoles, 1,2,3-triazole moiety has gained an undivided interest in recent years. Our studies were focused on glycohybrids with triazole heterocycle at C(3) in hexapyranoses, what is not so broadly described in the literature.[1,2,3,4]

We would like to report here the synthesis of novel 1,2,3-triazolyl glycohybrids **2** – **5**, using a well-known copper(I) catalyzed azide – alkyne cycloaddition (CuAAC).

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.



1

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
5: k=3, n=0, R^1=H, R^2=H, R^3=OH

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SYNTHESIS OF NOVEL SUGAR-BASED γ-AMINO ACID

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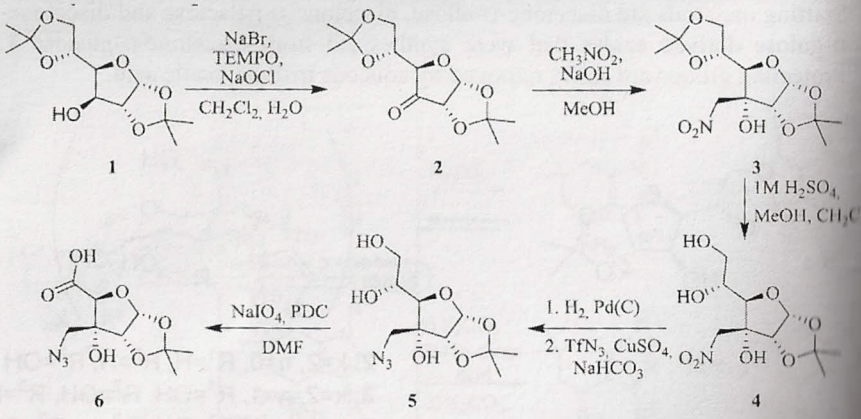
Carbohydrates play important role in many biological processes in living organisms. This reason has aroused considerable interest in design and synthesis of different glycoconjugates, including sugar amino acids.
We would like to report here synthesis of new carbohydrate entity – *allo*-γ-sugar-aminoacid. Target compound was synthesized by sequence of modifications at carbohydrate C(3) position.



Starting material is commercially available diacetone-α-D-glucose **1**. The process starts with TEMPO catalyzed oxidation followed by Henry reaction, which gives mixture of two diastereomers of nitroproduct. After treatment with ammonium sulfate the necessary diastereomer **3** was obtained. Next, nitroproduct **3** was treated with 1M H2SO4 solution to afford deprotected product **4**. Then nitro group was reduced to amino group by hydrogenation. The azide functionality was introduced by diazotransfer reagent TfN3. Finally, sugar-based γ-azido acid was prepared by two-step oxidation reaction with sodium periodate and pyridinium dichromate. Product **6** can be easily transformed to corresponding amino acid and used for synthesis of oligopeptide in the future.