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Novel 1,2,3-Triazolyl-Glycoconjugates in *Allo*-, *Galacto*- and *Gulo*-Series

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I. INTRODUCTION

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 were 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

II. RESULTS AND DISCUSSIONS

We would like to report here the synthesis of novel 1,2,3-triazole-linked glycohybrids **2**, **4** and **6**, using a well-known copper(I) catalyzed azide – alkyne cycloaddition (CuAAC) (Fig. 1, Fig. 2, Fig. 3) Starting materials were diacetone-D-allose, diacetone-D-galactose and diacetone-D-gulose derived azides **1**, **3** and **5**, that were synthesized from 1,2:5,6-di-O-isopropylidene- α -D-glucofuranose. Commercially available 1,n-diyne or 2,2-dipropargyl dimedone, 5,5-dipropargyl Meldrum's acid, 3,3-dipropargyl barbituric acid and ether of ethylene glycol were used as precursors for extended linkers.

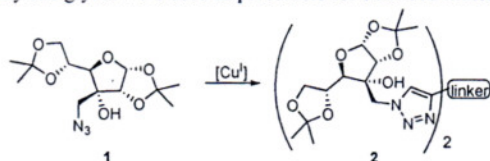


Fig. 1. Synthesis of triazolyl-glycohybrids with *allo*-configuration

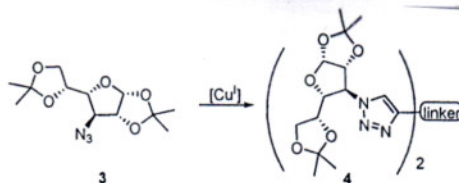


Fig. 2. Synthesis of triazolyl-glycohybrids with *galacto*-configuration

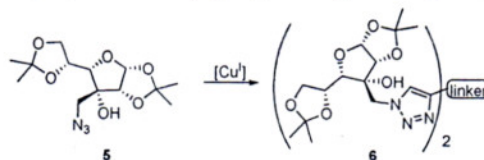


Fig. 3. Synthesis of triazolyl-glycohybrids with *gulo*-configuration

Protecting groups are easily removed by aqueous trifluoroacetic acid (Fig. 4).

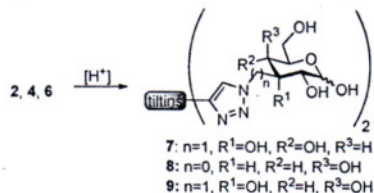


Fig. 4. Deprotected triazolyl-glycohybrids

Biological properties of deprotected derivatives will be discussed.

III. REFERENCES

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