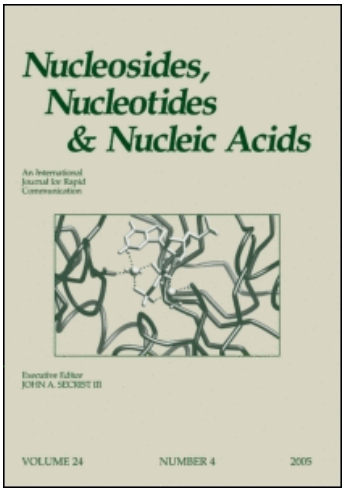

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SECO C-NUCLEOSIDE ANALOGS OF THE 1,2,4-TRIAZOLE

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SECO C-NUCLEOSIDE ANALOGS OF THE 1,2,4-TRIAZOLE

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ABSTRACT

The *seco* C-nucleosides 3-(1,2,3,4,5-penta-O-acetyl-D-gluco- and D-galacto-pentitol-1-yl)-1*H*-1,2,4-triazoles (**6** and **7**) were obtained in one pot by deamination and dethiolation of 4-amino-3-(D-gluco- and D-galacto-pentitol-1-yl)-5-mercapto-1,2,4-triazoles (**1** and **2**), respectively, using sodium nitrite in orthophosphoric acid and subsequent acetylation. The structures were confirmed by using ^{1}H, ^{13}C and 2D NMR spectra, DQFCOSY, HMQC and HMBC experiments. The favored conformational structures were deduced from the vicinal coupling constants of the protons.

The biological activity of naturally occuring C-nucleosides has stimulated extensive research for the synthesis of their analogues (1–3). Some acyclic C-nucleosides of the 1,2,4-triazole have potential biological activities as antiviral, herbicides, fungicides or insecticides. A part of our ongoing research program has been devoted to the synthesis of acyclo N- and C-nucleosides (4,5). Thus, a novel synthesis of acyclo C-nucleosides of the 1,2,4-triazole is the subject of this work. The synthesis has been achieved by reaction of 3-(D-alditol-1-yl)-4-amino-5-mercapto-1,2,4-triazole (4) (**1**,**2**) at O°C with sodium nitrite in orthophosphoric acid followed by neutralization with sodium hydroxide. The products of the triazole type **4** and **5** have been obtained which could be isolated upon acetylation with acetic anhydride in pyridine whereby the respective crystalline products **6** and **7** were obtained in 52 and 48% yield, respectively.

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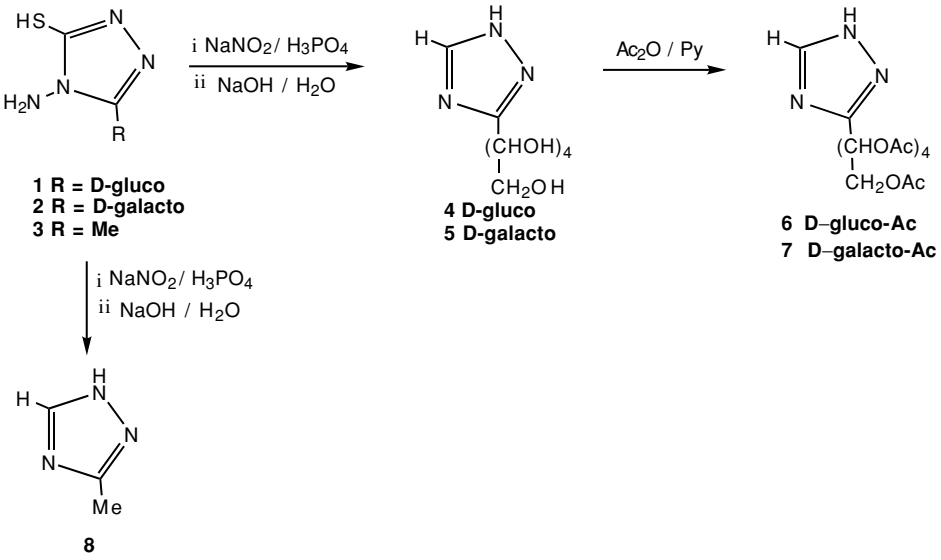
In order to establish that the formation of 3-substituted-1*H*-1,2,4-triazole from 4-amino-5-mercapto-3-substituted-1,2,4-triazole has taken place via the diazotization step and not under the condition of acetylation, the model compound 4-amino-5-mercapto-3-methyl-1,2,4-triazole (**3**) was diazotized under the same reaction conditions whereby it gave **8** which was identical with an authentic sample.



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