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SUGAR ISOXAZOLE CONJUGATE SYNTHESIS VIA GLUCOSE C(3) MODIFICATION

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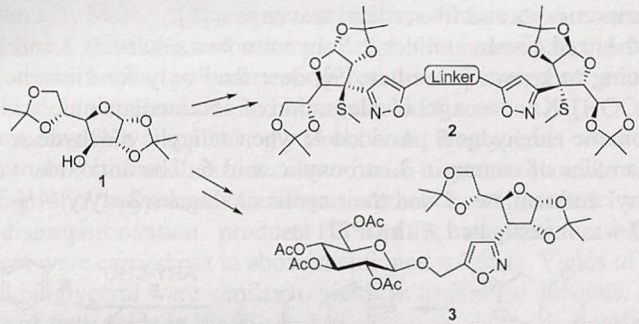
Modification of C(3) position in glucose leads to discovery of new previously unknown isoxazole containing sugar conjugates. Isoxazoles as a subclass of azoles have gained a wide attraction due to their broad spectrum of biological activities.[1] Recently, few interesting sugar-isoxazole conjugates were reported.[2]



As a starting material we have used inexpensive and commercialy available diacetone-D-glucose **1**. Oxydation of **1** followed by Henry reaction with nitromethane provided diasteromerical mixture of nitroalcohols. Moffatt dehydration gave us nitromethylene intermediate. The latter was reduced to C(3)-nitromethyl derivate. Modification of work-up conditions allowed us to isolate also a product arising from Pummerer-Michael addition sequence. In this case diasteroselective introduction of MeS-group at C(3) of glucose is possible.

Further, both compounds were successfully used as substrates for syntheses of isoxazoles by using dehydrating agents and alkynes. Variations of either 1,n-diynes, or terminal alkynes produces symmetric sugar dimers **2** or mono isoxazoles of type **3**, respectively.

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