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ABSTRACTS

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Synthesis of Monosaccharide Isoxazolyl Derivatives

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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]. Hence, we proced to the synthesis of novel furanose-based monoisoxazoles.

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 diastereomerical mixture of nitroalcohols. Moffatt dehydration gave us nitromethylene intermediate. Modification of work-up conditions allowed us to isolate also a product **2** arising from Pummerer-Michael addition sequence. In this case diasteroselective introduction of MeS-group at C(3) of glucose is possible.

Nitromethylene was also reduced using sodium borohydride to C(3)-nitromethyl derivative **3**. Further, both compounds **2** and **3** were successfully used as substrates for syntheses of isoxazoles **4** and **5** by using dehydrating agents and alkynes (1. Fig.).

1. a) Wankhede, K. S.; Vaidya, V. V.; Sarang, P. S.; Salunkhe, M. M.; Trivedi, G. K. *Tetrahedron Lett.* **2008**, *49*, 2069; b) Vaidya, V. V.; Wankhede, K. S.; Salunkhe, M. M.; Trivedi, G. K. *Can. J. Chem.* ***2008***, *86, 138.*
2. Pérez-Balderas, F.; Hernández-Mateo, F.; Santouo-González, F. *Tetrahedron* **2005**, *61*, 9338.

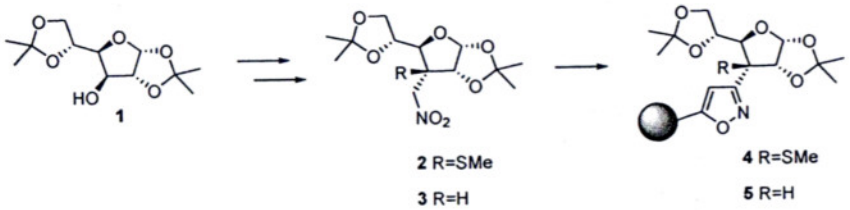

Fig.1 Synthesis of monoisoxazole-monosaccaride conjugates