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Multigram-scale preparation of sugar-based spiro-oxazolidinones

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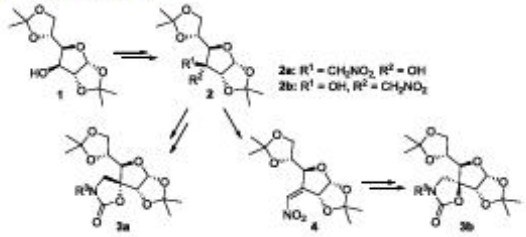
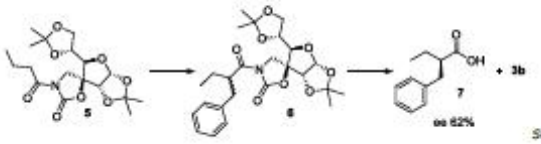
Oxazolidinones and their derivatives have attracted attention in various areas of drug development for antibacterial activity, herbicides, pesticides, and many other applications. They are also used as chiral auxiliaries in asymmetric synthesis. We report a scalable synthesis of two diastereoisomeric series of oxazolidinone-carbohydrate conjugates with spiro-junction **3a,b** [1] (*Scheme 1*) and the study on the diastereoselective alkylation at α-position in resulting *N*-acyl compounds (process **5→6**) (*Scheme 2*).



Scheme 1



Scheme 2

Commercially available diacetone-D-glucose **1** was chosen as a convenient starting material[2] for the preparation of spirooxazolidinones **3** in seven steps with a combined yield of 36% on a 10 g scale. The method of *N*-acylation with acylchlorides for both types of spirooxazolidinones was developed to study the diastereoselective alkylation at α-position. To explore the scope and reactivity of the obtained compounds, a small combinatorial library of novel *N*-alkyl-spirooxazolidinones derivatives was generated with individual product yields reaching up to 88%.

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[2] Ostrovskis, P.; Mackeviča, J.; Kumpiņš, V.; López, O.; Turks, M. Large Scale Synthesis of 1,2:5,6-Di-*O*-isopropylidene-α-d-ribo-3-hexofuranose-3-ulose by Oxidation of Diacetone-α-d-Glucose. In *Carbohydrate Chemistry: Proven Synthetic Methods;* van der Marel, D., Codee, J., Eds.; 2013, Vol 2, in press.