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The Synthesis of a New Oxazolidinone Chiral Auxiliary Based on D-Glucose

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Key words – Diacetone-α-D-glucose, spirooxazolidinone, asymmetric alkylation, chiral auxiliaries

I Introduction

Oxazolidinones and their derivatives have attracted attention in various areas of drug development for antibacterial activity, as protecting groups in organic synthesis. They are also used as chiral auxiliaries in asymmetric synthesis. Present work describes an optimized protocol for the synthesis of *allo*- and *gluco*-furanose-derived spirooxazolidinones and the study of the diastereoselective alkylation at α-position in resulting *N*-acyl compounds.

II Results and Discussions

Commercially available diacetone-D-glucose **1** was chosen as a convenient starting material for the preparation of gluco-furanose spirooxazolidinones **2** (R^1 = H) in seven steps with a combined yield of 36% on a 10 g scale (Fig. 1). Complementary *s*pirooxazolidinones **3** (R^2 = H) with allo-configuration were obtained by the same general procedure [1].

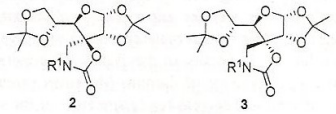


Fig. 1. Target compounds.

Oxidation of **1** followed by Henry reaction provides diastereomeric mixture of nitroalcohols **4a** and **4b** from which the major component **4a** can be crystallized (Fig. 1). The key intermediates for spirooxazolidinones are aminols **5a** and **5b**, which are transformed into phenyl- or benzylcarbamates. The target molecules **2** and **3** are obtained throught intramolecular cyclization under basic conditions.

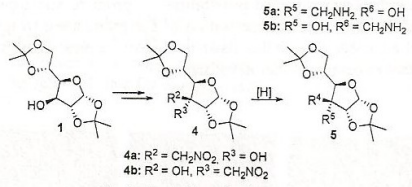
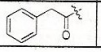


Fig. 2. Acquisition of amino alcohols.

Compounds **2** and **3** were successfully used as substrates for novel alkyl and acyl conjugates wich were obtained in good to excellent isolated yields (Table 1).

TABLE 1.

N-ALKYL- AND ACYLCONJUGATES.

2	3	R^1	Yield, %	Yield, %
			2	3
a		Me	84	80
b		Et	68	82
c			88	95
d			87	99
e			83	91
f			96	90
g			-	94
h			53	93

Relative configuration of compound **3h** was confirmed by X-ray analysis (Fig. 3).

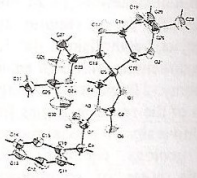


Fig. 3. X-ray structure of compound **3h**.

N-Acyloxazolidinones can be used in diastereoselective alkylation at α-position through their lithium *Z*-enolates (Fig. 4.) [3].

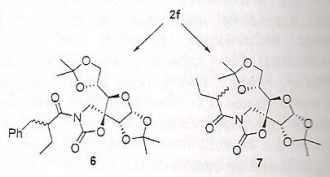


Fig. 4. Carbohydrate-derived spirooxazolidinones as chiral auxiliaries.

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IV References

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[2] Kunz, H.; Rück, K. *Angew. Int. Ed. Engl.* 1993, *32*, 336-358.