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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

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 spirooxazolidinones **3** ($R^2 = H$) with *allo*-configuration were obtained by the same general procedure [1].

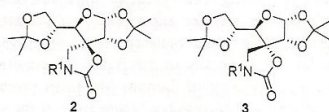


Fig. 1. Target compounds.

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 through intramolecular cyclization under basic conditions.

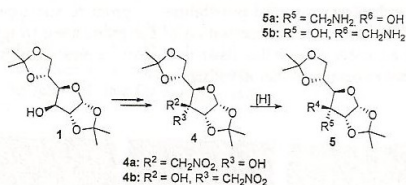


Fig. 2. Acquisition of amino alcohols.

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

TABLE I.
N-ALKYL- AND ACYLCONJUGATES.

2	3	R ¹	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).

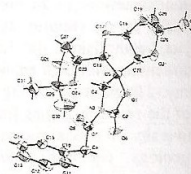


Fig. 3. X-ray structure of compound **3h**.

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

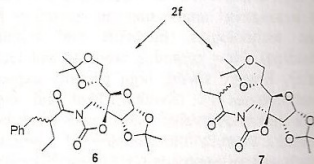


Fig. 4. Carbohydrate-derived spirooxazolidinones as chiral auxiliaries.

III ACKNOWLEDGMENTS

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IV REFERENCES

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

II. THE RESEARCH

Applying qualitative and
analysing methods: graphic
The quantitative data will
acquisition of the statistical
activities within the study p
research process using a
accordance with the princip
researches in the context of
results were obtained: opin
learning research process
questionnaires were given to
designers of the study p
Design" and "Clothing Des
Institution (RHEI). The cont
the development strategy of
in design studies. Discussion
obtain the qualitative data a
identify the existing problem

The question of research
the study environment
sustainability for prospective

III. RESULTS

Exactly creating the research
the conditions for the in
designers' research project
where the search is direct
experience to personally sign

Therefore applying the p
possible to facilitate desig
learning environment ensur