

Transformations of Sugar-based β -Amino Alcohols

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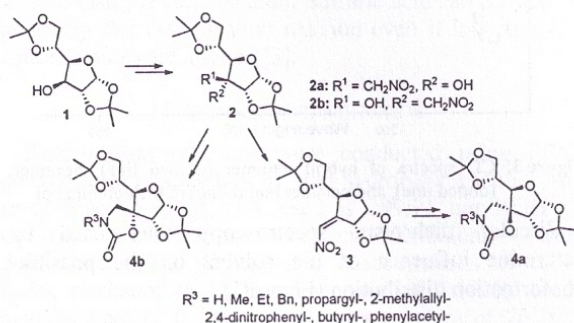
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INTRODUCTION

N-Acyl-oxazolidinones and enantiomerically pure β -amino alcohol derivatives play an increasingly important role as chiral auxiliaries in organic synthesis [1]. Furthermore, only a few examples involve diacetone-D-glucose-based amino alcohols as chiral auxiliaries and catalysts. The research describes an optimized protocol for the synthesis of *allo*- and *gluco*-furanose-derived spirooxazolidinones [2]. Also the diastereoselective alkylation at α -position resulting in *N*-acyl compounds is considered. Special attention is devoted to the preliminary studies of novel urea and thiourea organocatalysts based on these sugar β -amino alcohols [3].

DISCUSSION

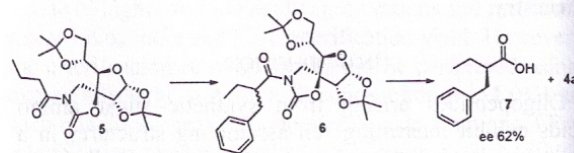
Commercially available diacetone-D-glucose was chosen as a convenient starting material for the preparation of *gluco*-furanose spirooxazolidinone **4a** (R = H) in seven steps with a combined yield of 36% on a 10 g scale (Scheme 1). Complementary spirooxazolidinone **4b** (R = H) with *allo*-configuration was obtained by the same general procedure.



Scheme 1. Target compound acquisition

To study the diastereoselective alkylation at α -position in resulting compounds **4a,b** (R = butyryl-, phenylacetyl), the method of *N*-acylation with acylchlorides for both types of spirooxazolidinones was developed [4]. Relative configuration of *N*-phenylacetyl derivative with *allo*-configuration was confirmed by X-

ray analysis (Scheme 2). Absolute configuration of acid **7** proves the relative configuration of major diastereoisomer **6**.



Scheme 2. Carbohydrate-derived spirooxazolidinones as chiral auxiliaries

Various molecular scaffolds have proven themselves as effective bidentate hydrogen bond donors. In this context urea- and thiourea-derived catalysts are useful for many enantioselective transformations [5]. This fact has attracted our attention to synthesise novel urea and thiourea organocatalysts (**8, 9**) using *allo*- and *gluco*-furanose derivatives (Figure 1).

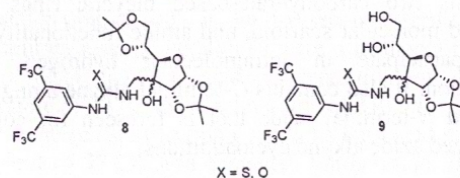


Figure 1. Novel urea and thiourea organocatalysts using carbohydrate scaffolds

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