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Diastereomerically Pure Spirocyclic Bis-Sulfinyl Oxiranes and their Application to the Asymmetric Synthesis of α -Amino Amides

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Optically pure spirocyclic bis-sulfinyl oxiranes **4** have been prepared and converted in a single step into α -amino amides.

Keywords: Asymmetric oxidation; sulfinyl oxiranes; amino acids

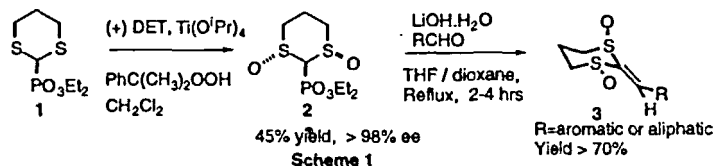
INTRODUCTION

Stereospecific transformation of a general chiral precursor can provide a route into a wide range of α -heterosubstituted carbonyl compounds. Herein we present our results using spirocyclic epoxides **4**.

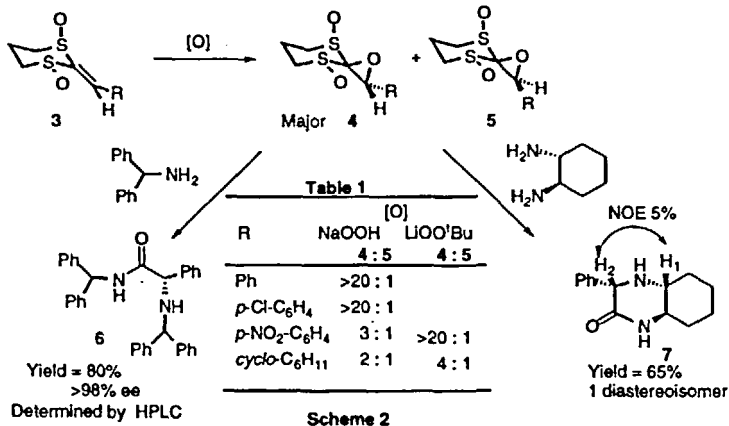
RESULTS AND DISCUSSION

Spirocyclic epoxides **4** in diastereomerically pure form are versatile precursors to a range of α -heterosubstituted carbonyl compounds. Synthesis of these molecules was envisaged by diastereoselective epoxidation of optically pure ketene thioacetals **3**. Asymmetric oxidation of **1**^[1] using Modena oxidation conditions^[2] yielded the required *trans*-

dioxide **2** in excellent enantiomeric excess. Wadsworth-Emmons reaction of **2** with a variety of aldehydes gave good yields of the ketene thioacetals **3**, (Scheme 1).



Epoxidation of the aromatic ketene thioacetals **3** using the nucleophilic oxidising agents^[3] yielded essentially one diastereoisomer **4** (Scheme 2, Table 1).



Ring opening of the epoxide (R=Ph) using benzhydrylamine and (1*R*, 2*R*)-1,2-diaminocyclohexane yielded the amino amides **6** and **7** with complete control over the new stereocentre generated, Scheme 2.

Acknowledgements:

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