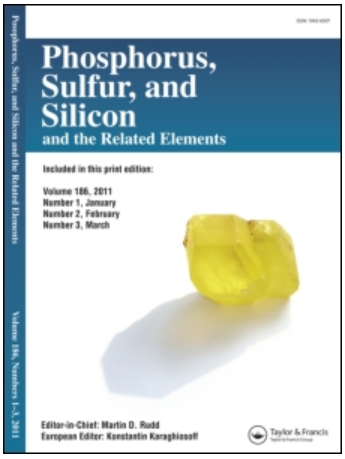

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Diastereomerically Pure Spirocyclic *Bis*-Sulfinyl Oxiranes and their Application to the Asymmetric Synthesis of α-Amino Amides

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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: Asymmetrric oxidation; sulfinyl oxiranes; amino acids

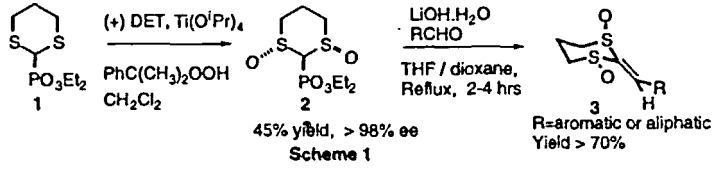
INTRODUCTION

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

RESULTS AND DISCUSSION

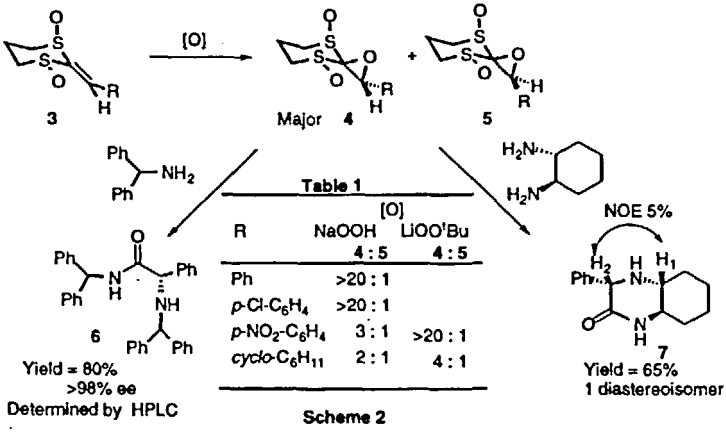
Spirocyclic epoxides **4** in diastereomerically pure form are versatile precursors to a range of α-heterosubstitued carbonyl compounds. Synthesis of these molecules was envisaged by diastereoselective epoxidation of optically pure ketene thioacetals **3**. Asymmeric oxidation of **1**[1] using Modena 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).



Scheme 1

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



Scheme 2

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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