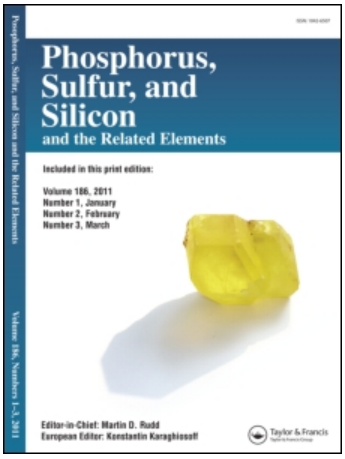

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The Isopropyl- and *tert*-Butylsulfinyl Groups in Asymmetric Synthesis: A Comparative Study

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Keywords Asymmetric aziridination; DAG methodology; isopropylsulfinyl group; *tert*-butylsulfinyl group

INTRODUCTION

Chiral sulfoxides have been demonstrated as efficient chiral controllers in asymmetric synthesis. Mainly because of a lack of synthetic methodologies, the main chemistry with chiral sulfoxides has been carried out using *p*-tolyl sulfoxides.[1] Nevertheless, recent studies have demonstrated the superiority of the *tert*-butylsulfinyl group in different processes.[2] In this communication we report new reaction conditions of the DAG methodology for the synthesis of hindered sulfoxides, and the comparison of the *tert*-butylsulfinyl group and the isopropylsulfinyl group as chiral controllers.

RESULTS

We have recently found that the enantiodivergent synthesis of DAG (R_S)- and (S_S)-*tert*-butylsulfinates can be accomplished in very similar conditions (Scheme 1). The use of NEt_3 with or without a catalytic amount of DMAP allows the synthesis of R_S or S_S isomers in high yield and high selectivity.

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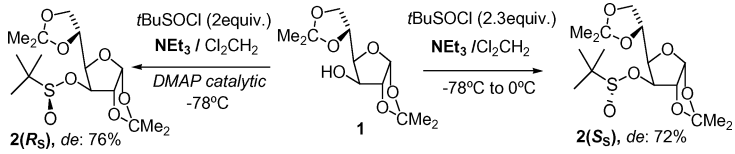
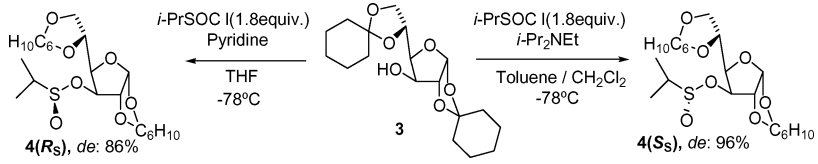


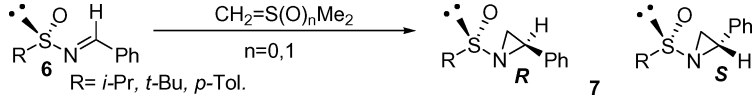
SCHEME 1

In the case of the isopropylsulfinate, we have found that the use of dicyclohexylidene-D-glucose **3** gives better results both in term of chemical yield, diastereoselectivity, and stability of the final products, Scheme 2.



SCHEME 2

Various sulfinylimines with different sulfur substituents were synthesized using known methodologies, and their reactivity toward sulphur ylide was studied, Scheme 3.



SCHEME 3

Using dimethylsulfonium ylide, aziridine **7*R*** was obtained with 70% de in 48 h in the case of *tert*-butylsulfinylimine[3] whereas the same diastereoselectivity has been achieved with the isopropylsulfinylimine in only 1 h.

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