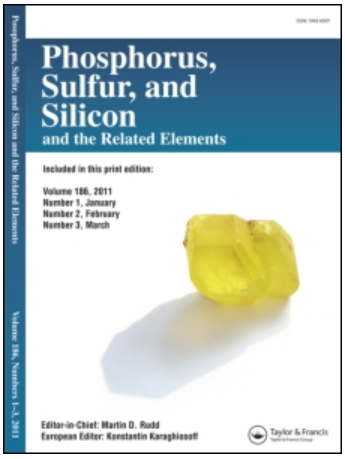

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Efficient Enantioselective Synthesis of Cyclopropanes from Sulfonylpyrazolines

José L. García Ruano[a]; Ana M. Martín Castro[a]; Esther Torrente[a]
[a] Departamento de Química Orgánica, Universidad Autónoma de Madrid, Madrid, Spain

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Efficient Enantioselective Synthesis of Cyclopropanes from Sulfonylpyrazolines

José L. García Ruano
Ana M. Martín Castro
Esther Torrente
Departamento de Química Orgánica, Universidad Autónoma de Madrid, Madrid, Spain

INTRODUCTION

Sulfinyl acrylonitriles have been shown to be the best monoactivated vinylsulfoxides in asymmetric Diels–Alder reaction,[1] and very efficient as chiral dipolarophiles in their reactions with diazoalkanes,[2] which afford sulfinylpyrazolines in a completely regioselective and stereoselective manner in high yields.

RESULTS

We herein report the use of our previously synthesized enantiopure sulfinyl cyanopyrazolines (**1**)[2] as the starting products for the preparation of enantiomerically pure cyclopropane derivatives in a short synthetic sequence. The transformation involves the completely stereoselective extrusion of the nitrogen from sulfonyl pyrazolines as the key step.

Reaction of compounds **1** with *m*-CPBA readily afforded sulfones **2**. When they were refluxed in toluene, they evolved into cyclopropanes **3** in almost quantitative yields. These reactions took place with a complete retention of the configuration at all the chiral centers, even in case of the *tert*-butyl derivatives. The presence of both sulfonyl and cyano groups seems to be necessary to complete this reaction successfully. Elimination of the sulfonyl group with Mg/MeOH provided optically pure cyanocyclopropyl derivatives **4**. The presence of the SO_2Tol moiety in **3** was applied to the synthesis of alkylidene cyclopropanes such as **5** by treatment of **3** under the conditions reported by Julia.

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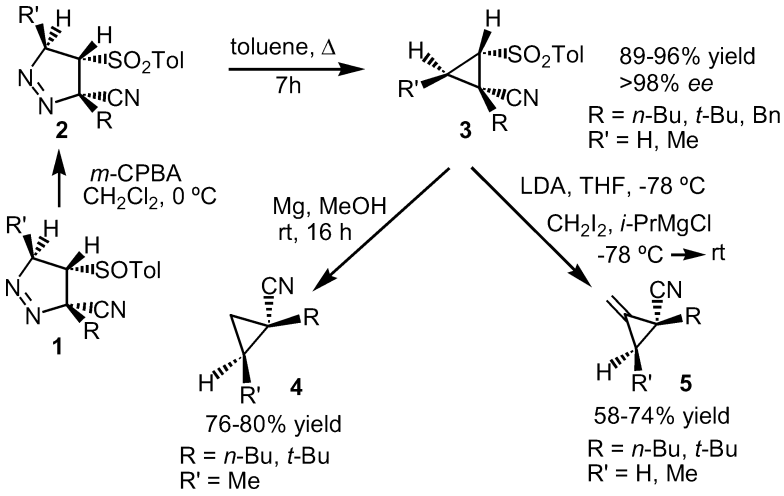
Address correspondence to Esther Torrente, Departamento de Química Orgánica, Universidad Autónoma de Madrid, Cantoblanco, 28049 Madrid, Spain. E-mail: esther.torrente@uam.es



Both transformations of cyclopropanes **3** proceeded in moderate to high yields with complete retention of the configuration at all the chiral centers of the resulting molecules.[3]

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