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Efficient Enantioselective Synthesis of Cyclopropanes from Sulfonylpyrazolines

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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.

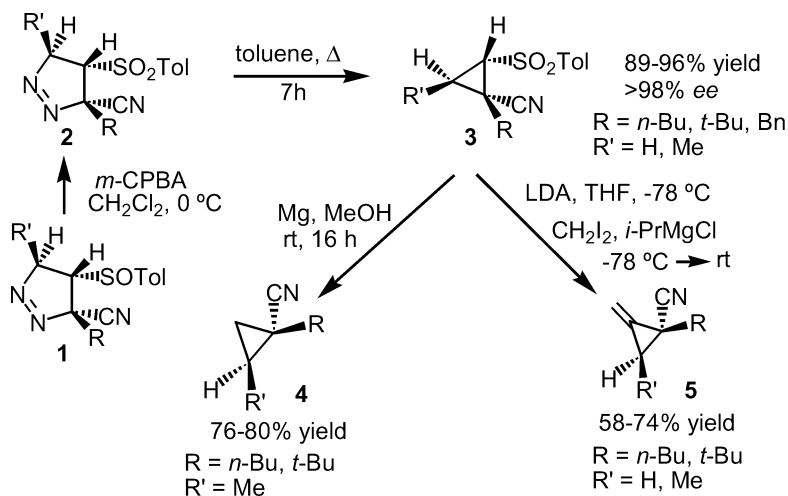
RESULTS

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 SO₂Tol 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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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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