Asymmetric Synthesis of Chiral Sulfimides through the *O*-Alkylation of Chiral Sulfinamides

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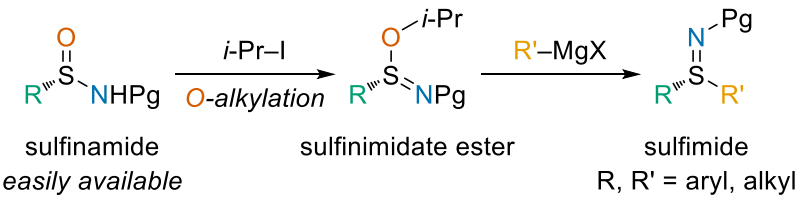
Chiral sulfimides, the aza-analogue of sulfoxides, are valuable compounds in organic synthesis and medicinal chemistry. Conventional methods to access chiral sulfimides involve the asymmetric imidation of sulfides with electrophilic imidating agents.[1] However, most of these methodologies suffer from the use of expensive transition-metal catalysts and imidating agents that are difficult to prepare or handle. Moreover, a significant difference in the steric and/or electronic properties of the two carbon substituents on the sulfur atom is required to achieve high enantioselectivity.

Herein we report an efficient method for preparing sulfimides from easily available chiral sulfinamides.[2] A variety of chiral alkyl or aryl sulfinamides are easily available.[3] The key step of this method is a stereospecific oxygen-selective alkylation of chiral sulfinamides, and it is accomplished by using a suitable alkylating agent, base, and solvent. The obtained chiral sulfinimidate esters are transformed to chiral sulfimides by the nucleophilic addition of the Grignard reagents under simple conditions. This transformation allows for access to the enantioenriched diaryl or dialkyl sulfimides bearing two similar carbon substituents, which are difficult to synthesize by the traditional method. Moreover, kinetic studies implied that aryl sulfimides having ortho-substituents are prone to racemization.



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