Área: ORG

Asymmetric Synthesis of Chalcogen-Morpholines Derivatives

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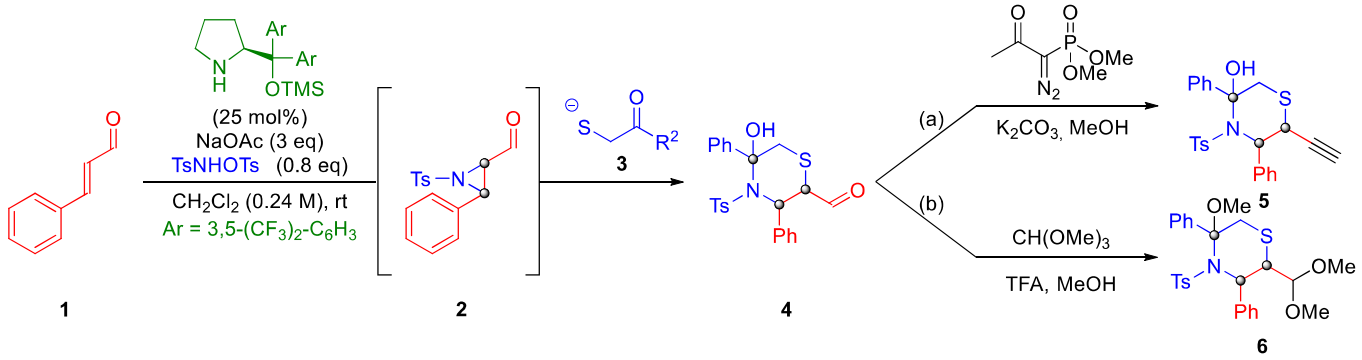
Highlights

Enantiosselective organocatalysis for the synthesis of chiral heterocycles bearing higher chalcogens. Versatile functionalizations of thiomorpholine.

Resumo/Abstract

Morpholines and piperazines are important heterocycles found in numerous drugs, including those targeting the central nervous system and antitumoral acitivity.[1,2] Given their strong pharmacological potential, we are particularly interested in exploring their possible bioisosteres by synthesizing novel variations. Introducing heteroatoms such as sulfur allows the formation of thiomorpholine rings, which may alter and even enhance drug effectiveness and bioavailability.[3] Due to the limited literature on the enantioselective synthesis of these structures,[4] we are developing a methodology that could also enable similar modifications with Se and Te variations.

Based on the methodology described by Jørgensen[5] for the formation of and *α,β-N*-Tosyl aziridines, we are working on utilizing these species as electrophiles in reactions with chalcogenolates *α* to carbonyl groups, leading to cyclization and subsequent functionalization that will allow the isolation of these species. The previously reported enantioselective cyclization, followed by the stereoselective ring opening, is expected to ensure the enantiopurity of the desired product.



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