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Catalytic [3+2] Annulation of Aminocyclopropanes for the Enantiospecific Synthesis of Cyclopentylamines
Angew. Chem. Int. Ed. **2011**, *50*, 12075–12079.

Category

Metal-Catalyzed Asymmetric Synthesis and Stereoselective Reactions

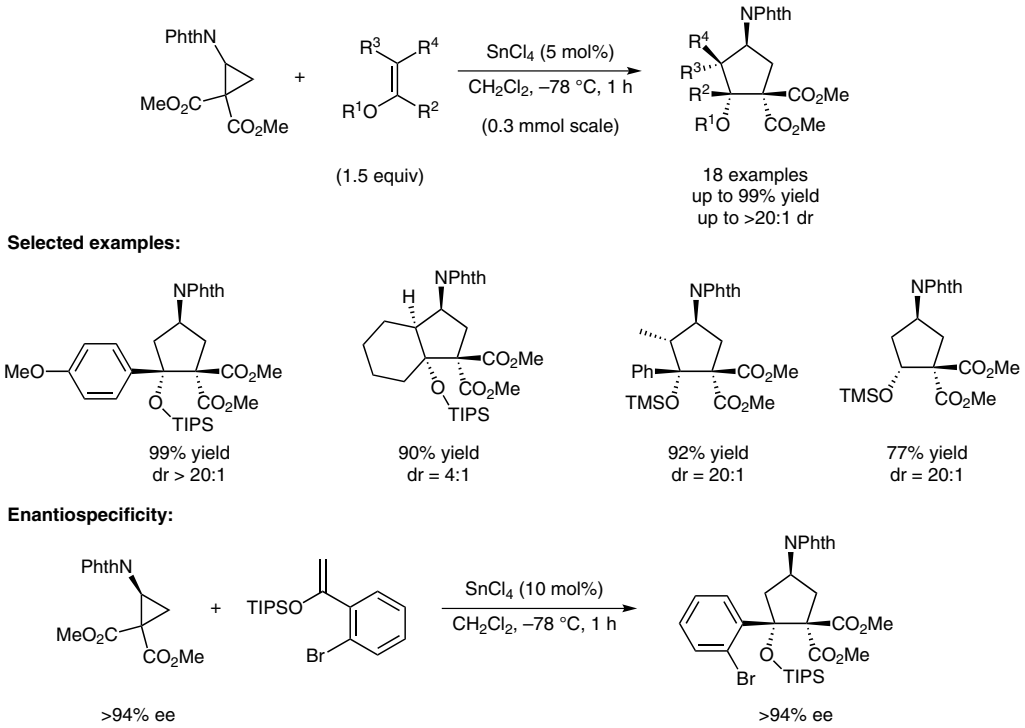
Key words

cyclopropanes

Lewis acid catalysis

chiral cyclopentanes

Diastereoselective Synthesis of Cyclopentylamines from Aminocyclopropanes



Significance: Donor–acceptor cyclopropanes undergo a wide variety of ring-opening annulations to prepare complex carbo- and heterocycles (see Review below). Usually, the 'donor' component of the donor–acceptor cyclopropane is a carbon- or oxygen-based functional group. The use of aminocyclopropanes in [3+2] annulations is surprisingly absent in the literature, despite being readily prepared by cyclopropanation of protected vinyl amines. The authors have explored the reactivity of these substrates in a highly stereoselective annulation with various enol ethers to form complex cyclopropyl amine products in high yields.

Review: T. P. Lebold, M. A. Kerr *Pure Appl. Chem.* **2010**, *82*, 1797-1812.

Comment: The choice of phthalamide-protected cyclopropylamines is critical; lactams, oxazolidinones, and Cbz-protected amines were unsuccessful. The synthetic utility of the products is demonstrated through derivatizations, such as ring opening, amine deprotection, and cyclopentene synthesis. The use of chiral amine starting materials gave chiral products with high enantiospecificity. This useful finding also has mechanistic implications as it rules out the possibility that a unimolecular cyclopropane ring opening to a zwitterionic intermediate may occur. Instead, a concerted attack of the enol ether *anti* to the malonate is proposed.

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