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.

**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 enantioselectivity. 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.