Copper-Catalyzed Asymmetric Synthesis of Piperidines by a [6+3] Cycloaddition

Significance: Hong et al. (Org. Lett. 2003, 5, 1689) reported a [6+3] cycloaddition of azomethine ylides with fulvenes, thus leading to the synthesis of racemic six-membered piperidine derivatives. However, there is a lack of catalytic asymmetric variants with high functional group tolerability.

Comment: A highly efficient asymmetric copper(I)–TF-BiphamPhos-catalyzed [6+3] cycloaddition was developed, which shows very good yields, high regioselectivity and excellent enantioselectivity. Due to its high functional group tolerance, the products can be easily modified.