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Fulvenes as Effective Dipolarophiles in Copper(I)-Catalyzed [6+3] Cycloaddition of Azomethine Ylides:

Asymmetric Construction of Piperidine Derivatives

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

$R^1 = R^2 =$ cyclobutyl, cyclopentyl, Cy,
cycloheptenyl, tetrahydropyranyl, Et

$R^1 =$ H

$R^2 =$ Ph, 4-ClC₆H₄, PMP, Et

$R^3 =$ Ph, 4-ClC₆H₄, PMP, 4-BrC₆H₄

Selected examples:

Selected further transformations:

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.

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