Category

Synthesis of Heterocycles

Key words

alkylation

metal-free

boron

Synfact of the Month

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A General Minisci-Type Alkylation with Organoboron Derivatives Assisted by Catechol

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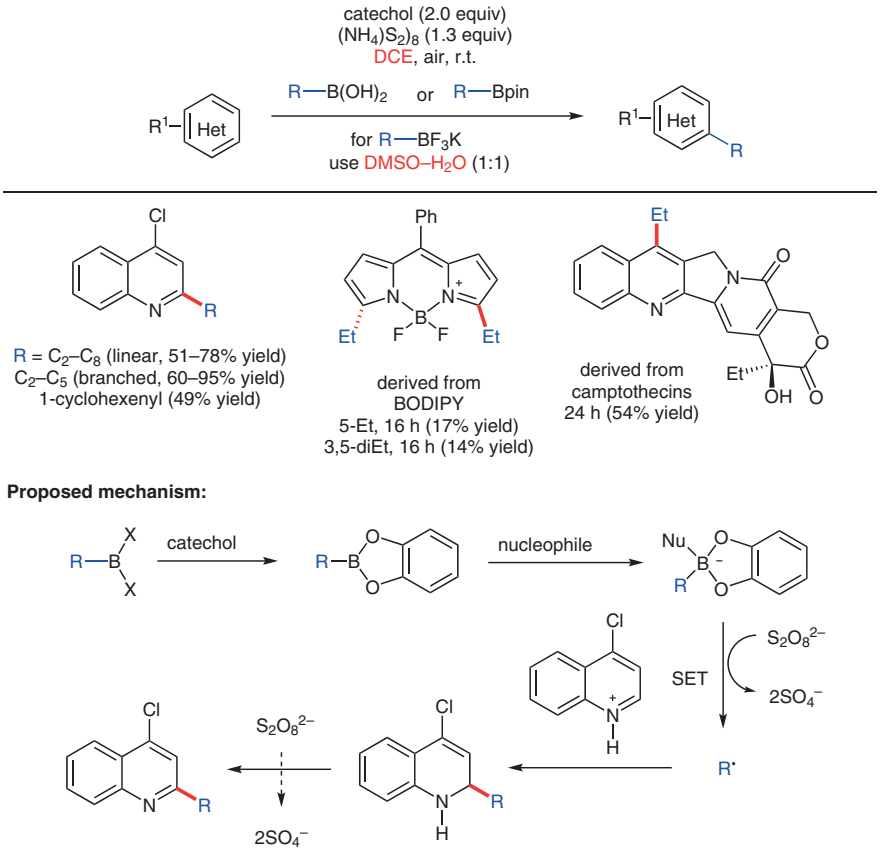
Minisci-Type Alkylation of Heterocycles with Organoboron Derivatives Assisted by Catechol



Significance: A metal-free alkylation strategy of heterocycles using organoboron derivatives is described. It may be useful for late-stage alkylation of heterocycle-containing drug candidates for SAR studies where metal-contamination is a concern. The alkylation provides 4-substituted products, complimentary to C–H activation, which provides 2-substitution.

Comment: The substrate scope suggests preference for alkylation at the 4-position (*para* to the heteroatom), but will alkylate at the *ortho* position if *para* is blocked. Double alkylation will occur if multiple *ortho* positions are present. Isolation of intermediates and radical trapping experiments support the proposed mechanism.

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