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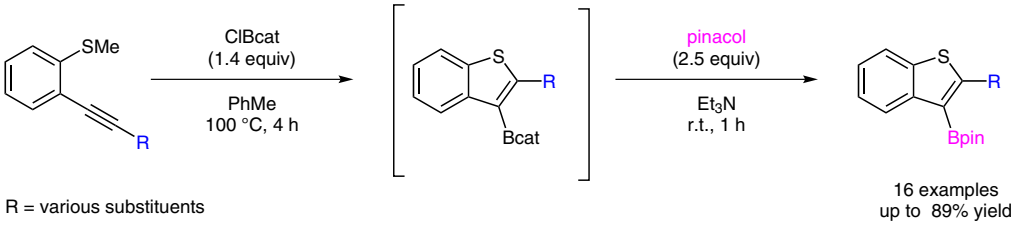
Catalyst-Free Formal Thioboration to Synthesize Borylated Benzothiophenes and Dihydrothiophenes
Angew. Chem. Int. Ed. **2016**, *55*, 14286–14290.

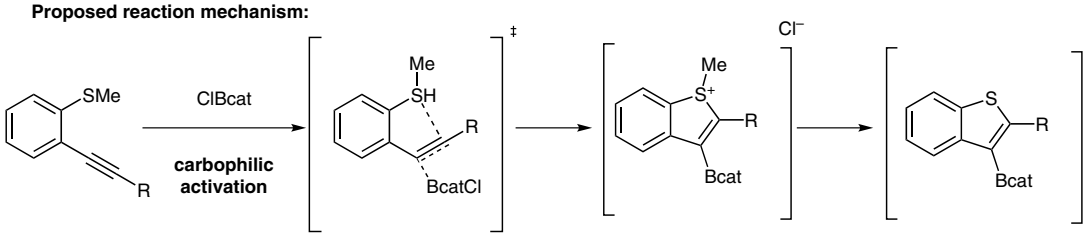
Ring-Forming Thioboration of C–C π-Bonds



R = various substituents

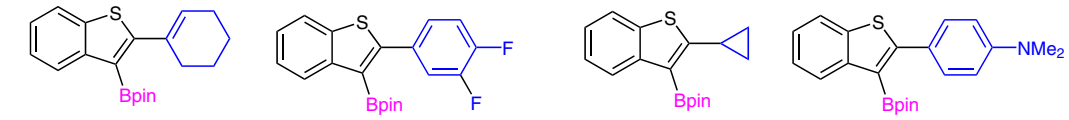
16 examples
up to 89% yield

Proposed reaction mechanism:

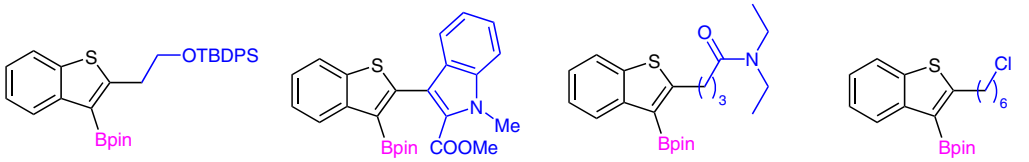


Ad_E2

Selected examples:



86% yield | 86% yield | 80% yield | 86% yield



48% yield | 86% yield | 80% yield | 86% yield

Significance: Blum and co-workers developed a catalyst-free formal thioboration to produce borylated benzothiophenes and dihydrothiophenes in good to high yields.

Comment: The authors propose a reaction mechanism in which a boron-induced activation of the alkyne is followed by electrophilic cyclization to generate the borylated benzothiophene after demethylation of the sulfonium intermediate. Boron acts here as a carbophilic Lewis acid.

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