SYNFACTS

Highlights in Current
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Thieme

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Asymmetric Synthesis of 1-Heteroaryl-1-arylalkyl Tertiary Alcohols and 1-Pyridyl-1-arylethanes by Lithiation–Borylation Methodology
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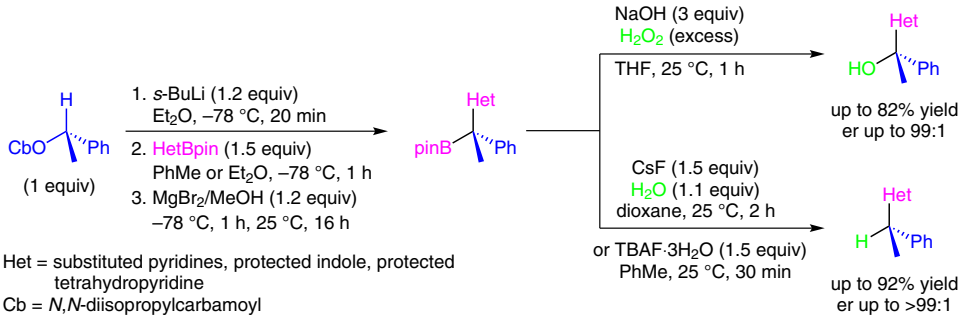
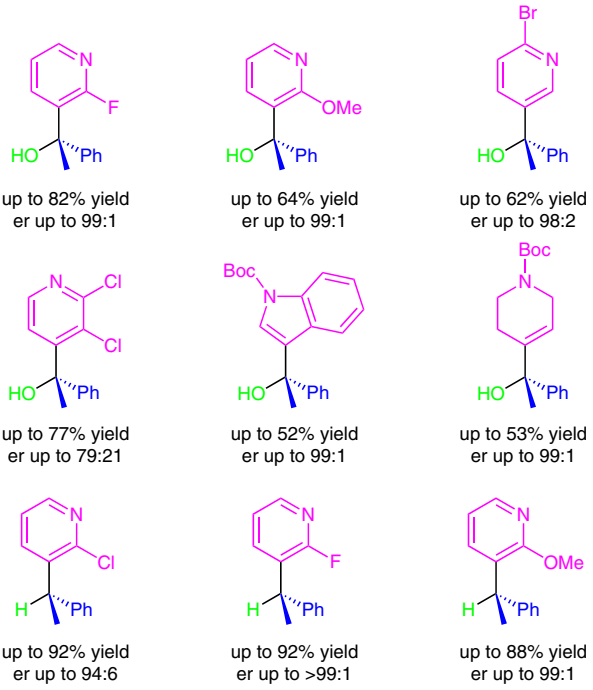
Asymmetric Synthesis of α-Heterocyclic Tertiary Boronic Esters



Het = substituted pyridines, protected indole, protected tetrahydropyridine
Cb = *N*,*N*-diisopropylcarbamoyl

Selected examples:



Significance: A novel and efficient asymmetric synthesis of α-heterocyclic tertiary boronic esters has been disclosed. Subsequent oxidation furnished several α-heterocyclic tertiary alcohols in high yield with excellent enantioselectivity. Moreover, protodeboronation of the boronic esters using TBAF·3H$_2$O or CsF gave highly enantioenriched 1-pyridyl-1-arylethanes.

Comment: The described protocol is very versatile since it has a broad substrate scope and uses easily accessible starting materials. However, depending on the nature of the heterocycle, some intermediate tertiary boronic esters are prone to protodeboronation during oxidation, leading to reduced yields.

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