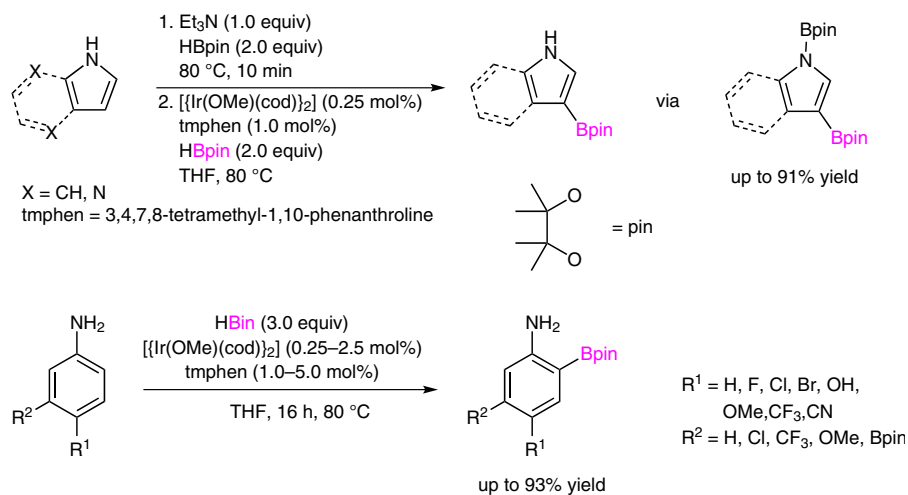


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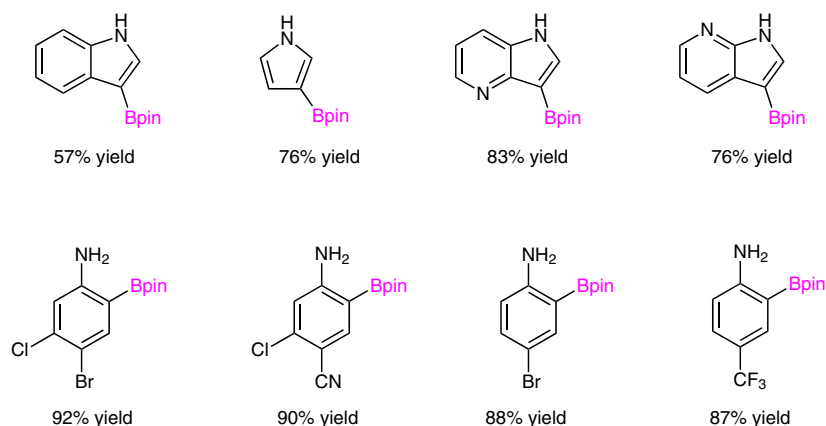
A Traceless Directing Group for C–H Borylation

Angew. Chem. Int. Ed. **2013**, *52*, 12915–12919.

N-Bpin-Directed Borylation of (Hetero)Aryls



Selected examples:



Significance: The authors report a regioselective pinacoloboron (Bpin) functionalization of C–H bonds of nitrogen heterocycles and anilines. Traceless Bpin installation does not require the installation and removal of a directing group. This methodology clearly opens a new route to complex unsaturated boronic esters.

Comment: For nitrogen heterocycles with less acidic NH groups, the addition of a tertiary amine is critical for successful borylation. For azaindoles, this preparation enables the formation of borylated heterocycles that are inaccessible with Boc-directed methods.

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Category

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of the month