N–Bpin-Directed Borylation of (Hetero)Aryls

Significance: The authors report a regioselective pinacolatoboron (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.