Metal-free Transient Auxiliary Directed C-H Borylation of Benzaldehyde Derivatives

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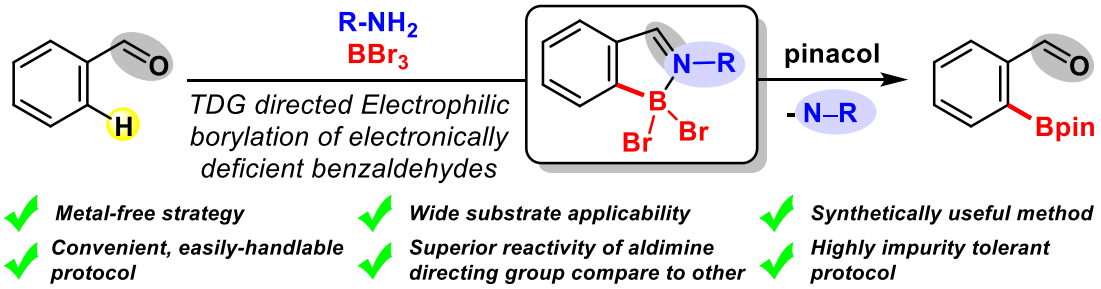
Organoboron reagents are important synthetic intermediates and have wide applications in synthetic organic chemistry.[1] The selective C-H borylation strategies that are currently in use largely rely on the use of transition metal catalysts.[2] Although these transition metal-catalyzed directed-C–H borylation is reliable in terms of regioselectivity,[3] the major concern involves the requirement of a precious metal and the production of metal-containing residues in the final product, which limit the application of this process in a large-scale organic synthesis. Hence, identifying much milder conditions for transition-metal-free borylation would be highly desirable.[4] We herein present a unified strategy for the selective C-H borylation of electron-deficient benzaldehyde derivatives using a simple metal-free approach, utilizing an imine transient directing group. The strategy covers a wide spectrum of reactions and (i) even highly sterically hindered C-H bonds can be borylated smoothly, (ii) despite the presence of other potential directing groups, the reaction selectively occurs at the *ortho*-C-H bond of the benzaldehyde moiety, and (iii) natural products appended to benzaldehyde derivatives can also give the appropriate borylated products. Moreover, the efficacy of the protocol was confirmed by the fact that the reaction proceeds, even in the presence of a series of external impurities.



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