

SpiroBipyridine: A Turbo Ligand for Iridium-Catalyzed C–H Borylation of Arenes

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Iridium-catalyzed C–H borylation of aromatic compounds is one of the most efficient methods for the direct preparation of aryl boron compounds. However, the conventionally utilized di-*tert*-butylbipyridine (dtbpy) ligand shows low reactivity when electron-rich arenes are used as substrates, which limits the synthetic application of this reaction. We have developed a SpiroBipyridine ligand that boosts the reactivity of the iridium-catalyzed C–H borylation of electron-rich. This method is expected to expand the toolbox of this reaction to more diverse applications.

As shown in the scheme, when an electron-rich arene such as 1,3-dimethoxybenzene was applied as the substrate for the iridium-catalyzed C–H borylation with pinacolborane (HBpin), the borylated product was obtained in 80% yield by using SpiroBipyridine as the ligand. In contrast, the borylation proceeded in much lower yield (26%) with the commonly used ligand dtbpy under similar conditions. Various electron-rich arenes, such as anilines, alkylbenzenes, etc., were also efficiently borylated by employing our SpiroBipyridine ligand to provide the corresponding borylated products in good to high yields. Notably, the SpiroBipyridine ligands exhibited high reactivity when HBpin was used as the borylation reagent, although HBpin is usually less reactive than B₂pin₂ (bis(pinacolato)diboron) in transition-metal-catalyzed borylations.

