Palladium-Catalyzed Benzylic Arylation of 2-Methyl Azaarenes

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Significance: The authors report a new direct palladium-catalyzed arylation of benzylic sp³ C–H atoms. The mild reaction conditions of this protocol require only low catalyst loadings (2.5 mol%) and allow a wide functional group tolerance on the benzylic scaffold as well as the aryl moiety. No preactivation of the benzylic position is necessary.

Comment: Aromatics with electron-withdrawing and -donating groups could be introduced in the benzylic position equally well. The palladium source was found to be less important in the reaction; however, the nature of the ligand had a significant effect on the ratio of mono- to bisarylated product. For the same reason equimolar amounts of both coupling partners are used.