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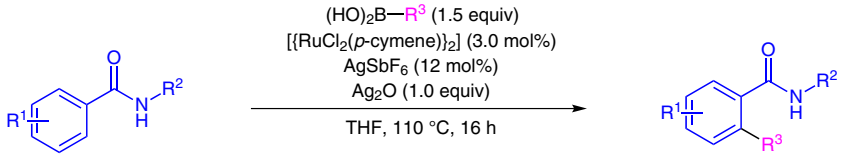
Regioselective *Ortho*-Arylation and Alkenylation of *N*-Alkyl Benzamides with Boronic Acids via Ruthenium-Catalyzed C–H Bond Activation: An Easy Route to Fluorenones Synthesis
Org. Lett. **2012**, *14*, 5246–5249.

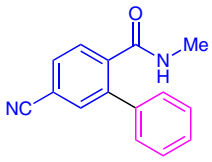
Ruthenium-Catalyzed *ortho*-Arylation and Alkenylation of *N*-Alkyl Benzamides

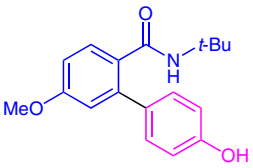


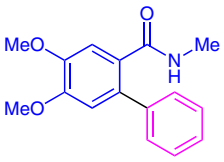
up to 87% yield

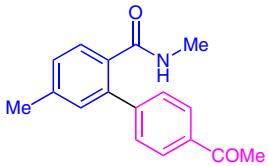
R^1 = OMe, Me, I, Br, NO_2, CN, 1,3-dioxolane, Naph, thienyl
R^2 = Me, Et, *t*-Bu
R^3 = 4-BrC_6H_4, 4-FC_6H_4, Tol, PMP, 4-HOC_6H_4, 1-Naph, 3-thienyl, various alkenyls

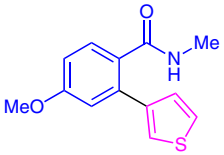
Selected examples:

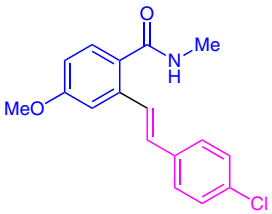

64% yield


74% yield


87% yield


65% yield


75% yield


81% yield

Significance: The authors report a highly regioselective ruthenium-catalyzed *ortho*-arylation and alkenylation of various *N*-alkyl benzamides with different (hetero)aromatic and alkenyl boronic acids in the presence of silver salts. The corresponding benzamides are obtained in good to very good yield.

Comment: Noteworthy, this methodology may be applied to the synthesis of fluorenones by treatment of the biarylic coupling products with trifluoroacetic anhydride and hydrogen chloride.

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Category

Metal-Mediated Synthesis

Key words

ruthenium

boronic acids

***ortho*-arylation**