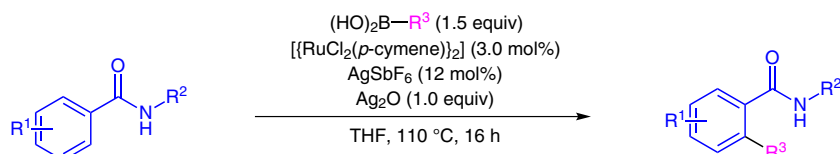


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Regioselective *Ortho*-Arylation and Alkenylation of *N*-Alkyl Benzamides with Boronic Acids via Ruthenium-Catalyzed C–H Bond Activation: An Easy Route to Fluorenones Synthesis

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



R¹ = OMe, Me, I, Br, NO₂, CN, 1,3-dioxolane, Naph, thienyl

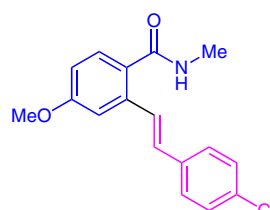
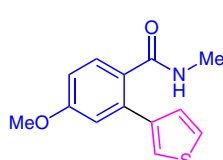
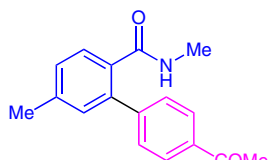
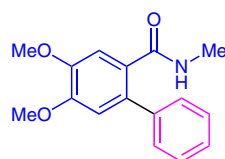
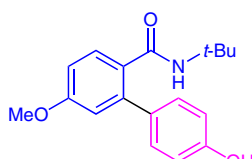
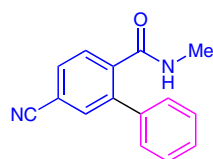
R² = Me, Et, *t*-Bu

R³ = 4-BrC₆H₄, 4-FC₆H₄, Tol, PMP,

4-HOC₆H₄, 1-Naph, 3-thienyl, various alkenyls

up to 87% yield

Selected examples:



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 biaryllic coupling products with trifluoroacetic anhydride and hydrogen chloride.

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