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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


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

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.

\[ \text{(HO)B}_{\text{R}^3} (1.5 \text{ equiv}) \]
\[ [\text{RuCl}_2(p\text{-cymene})]_2 (3.0 \text{ mol\%}) \]
\[ \text{AgSbF}_6 (12 \text{ mol\%}) \]
\[ \text{Ag}_2\text{O} (1.0 \text{ equiv}) \]
\[ \text{THF, 110 °C, 16 h} \]

up to 87% yield

\( R^1 = \text{OMe, Me, I, Br, NO}_2, \text{CN, 1,3-dioxolane, Naph, thienyl} \)
\( R^2 = \text{Me, Et, } \text{t-Bu} \)
\( R^3 = 4-\text{BrC}_6\text{H}_4, 4-\text{FC}_6\text{H}_4, \text{Tol, PMP, 4-HOC}_6\text{H}_4, 1-\text{Naph, 3-thienyl, various alkenyls} \)

Selected examples:

64% yield

74% yield

87% yield

65% yield

75% yield

81% yield