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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.

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\begin{align*}
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 &= \text{4-BrC}_6\text{H}_4, \text{4-FC}_6\text{H}_4, \text{Tol, PMP,}  \\
&\quad \text{4-HOC}_6\text{H}_4, \text{1-Naph, 3-thienyl, various alkenyls}
\end{align*}
\]

Selected examples:

- 64% yield
- 74% yield
- 87% yield
- 65% yield
- 75% yield
- 81% yield

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