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Preparation of Annulated Nitrogen-Containing Heterocycles via a One-Pot Palladium-Catalyzed Alkylation/Direct Arylation Sequence
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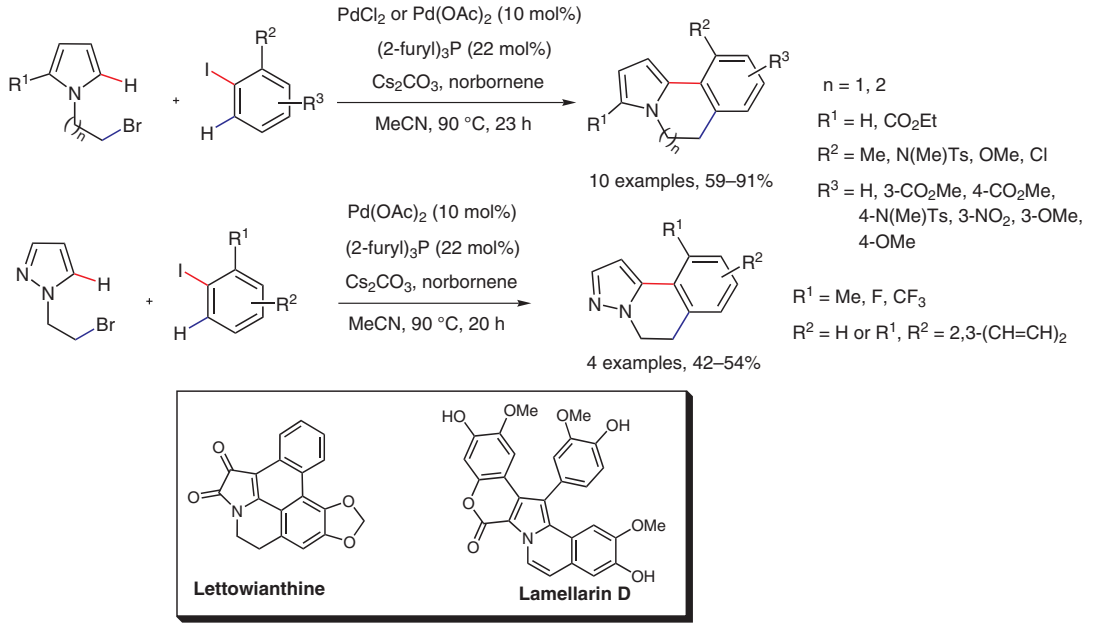
Synthesis of Annulated N-Containing Heterocycles via C–H Activation



Significance: Starting with simple, readily prepared starting materials, a one-step, two-C–C-bond-forming approach to highly substituted six- and seven-membered annulated pyrroles and pyrazoles is described. The efficient palladium-catalyzed synthesis takes advantage of a mechanistically interesting norbornene-mediated sequential aromatic alkylation/aryl-heteroaryl coupling (Catellani-type reaction) and tolerates electron-withdrawing and electron-donating groups at 2-, 3-, and 4-positions of the iodoarenes.

Comment: In comparison with previous methods which involve multi-step syntheses of such annulated heterocycles (e.g., H.-J. Knölker, S. Agarwal *Tetrahedron Lett.* **2005**, *46*, 1173-1175; W. R. Bowman et al. *Tetrahedron* **2005**, *61*, 2689-2696), the present one-step approach is more efficient and powerful. As an expansion of the previous similar annulation with indoles (M. Lautens et al. *J. Am. Chem. Soc.* **2005**, *127*, 13148-13149), this methodology allows rapid construction of unique tricyclic skeletons which are found in natural products and bioactive compounds, such as lettowianthine and lamellarin D.

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Category
Synthesis of Heterocycles

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