C. BLASZYKOWSKI, E. AKTOUDIANAKIS, C. BRESSY, D. ALBERICO, M. LAUTENS* (UNIVERSITY OF TORONTO, CANADA)

Preparation of Annulated Nitrogen-Containing Heterocycles via a One-Pot Palladium-Catalyzed Alkylation/Direct Arylation Sequence

Org. Lett. 2006, 8, 2043-2045.

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 sixand 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.

SYNFACTS Contributors: Victor Snieckus, Yigang Zhao Synfacts 2006, 11, 1099-1099 Published online: 24.10.2006 **DOI:** 10.1055/s-2006-949420; **Reg-No.:** V13006SF

Synthesis of Heterocycles

Key words

palladium catalysis

pyrroles

pyrazoles

C-H activation

