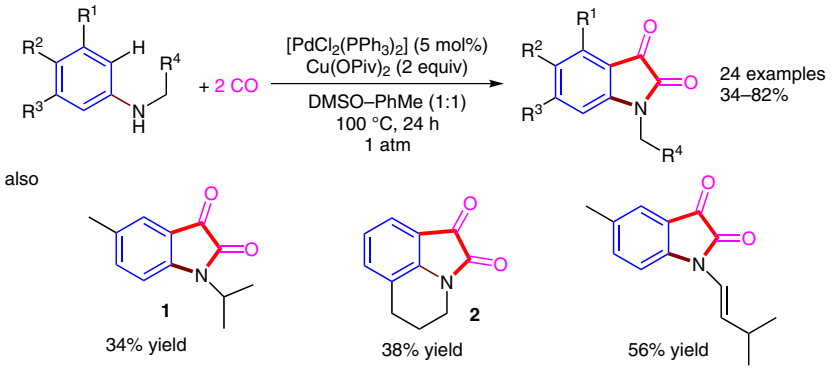
W. LI, Z. DUAN, X. ZHANG, H. ZHANG, M. WANG, R. JIANG, H. ZENG, C. LIU, A. LEI*
(WUHAN UNIVERSITY, LANZHOU INSTITUTE OF CHEMICAL PHYSICS, AND LESHAN NORMAL UNIVERSITY, P. R. OF CHINA)
From Anilines to Isatins: Oxidative Palladium-Catalyzed Double Carbonylation of C–H Bonds
Angew. Chem. Int. Ed. **2015**, *54*, 1893–1896.

Category
Synthesis of Heterocycles

Key words
isatins
palladium catalysis
carbonylation
anilines

Palladium-Catalyzed Synthesis of Isatins from Anilines by Double Carbonylation



R^1 = H, Me
R^2 = Me, *t*-Bu, Ph, F
R^3 = Me, Cl, F
R^4 = H, Me, *n*-C_5H_{11}, *c*-Pr, Ph, 3-$F_3CC_6H_4$, 2-$F_3CC_6H_4$, 4-$F_3CC_6H_4$, 2-FC_6H_4, $(CH_2)_2Ph$, CH_2OPh, 2-Naph

Significance: Lei and co-workers report a palladium-catalyzed synthesis of isatins by double carbonylation and *ortho* C–H bond activation of aniline derivatives. Poor to good substrate scope was observed under the optimized reaction conditions. A mechanism is suggested, in which palladium C–H bond insertion is followed by the two consecutive CO insertion reactions.

Comment: The isatin structure has been given privileged status because of the generation of a large number of structurally diverse derivatives which inhibit cancer cell proliferation and tumor growth by interaction with a variety of intracellular targets such as DNA, telomerase, tubulin, P-glycoprotein, protein kinases, and phosphatases (K. L. Vine, J. M. Locke, M. Ranson, K. Benkendorff, S. G. Pyne, J. B. Bremner *Bioorg. Med. Chem.* **2007**, *15*, 931). In the present methodology, substrates and catalysts were inadequately studied. The origin of poor yields (e.g., **1** and **2**) were also unidentified.

SYNFACTS Contributors: Victor Snieckus, M. Selim Hossain (Snieckus Innovations)
Synfacts 2015, 11(3), 0241 Published online: 16.02.2015
DOI: 10.1055/s-0034-1380234; **Reg-No.:** V00115SF

2015 © THIEME STUTTGART • NEW YORK

This document was downloaded for personal use only. Unauthorized distribution is strictly prohibited.