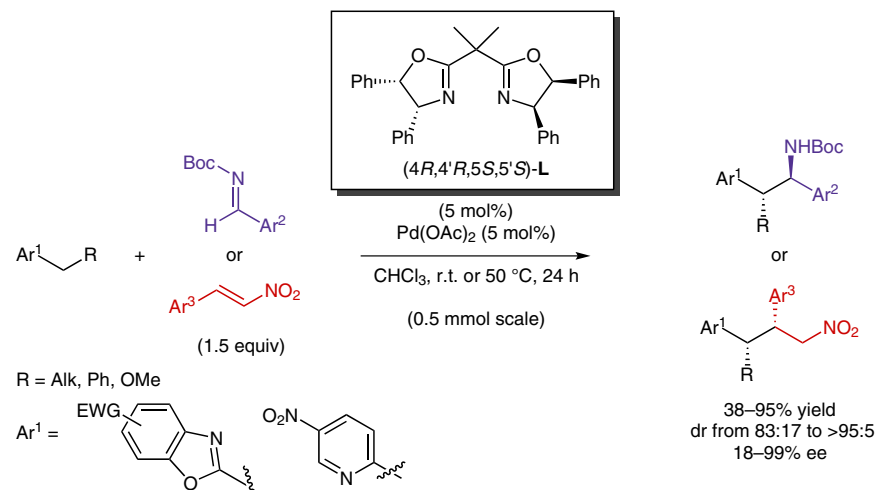


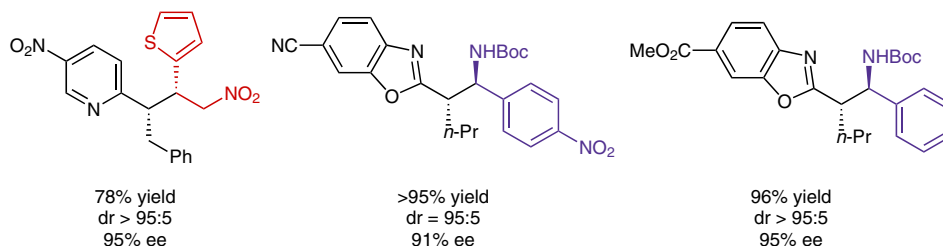
D. BEST, S. KUJAWA, H. W. LAM* (UNIVERSITY OF EDINBURGH, UK)

Diastereo- and Enantioselective Pd(II)-Catalyzed Additions of 2-Alkylazaarenes to *N*-Boc Imines and Nitroalkenes
J. Am. Chem. Soc. **2012**, *134*, 18193–18196.

Palladium-Catalyzed Asymmetric Addition of Alkylazaarenes to Imines and Nitroalkenes



Selected examples:



Significance: While precedence of the direct addition of alkylazaarenes to imines and nitroalkenes in a racemic manner exists, the authors report the use of a chiral palladium(II)-bis(oxazoline) catalyst that can render this reaction highly diastereo- and enantioselective. The reaction proceeds under practical conditions, employing undried solvent at mild temperatures and under an air atmosphere.

Comment: The use of electron-withdrawing groups on the azaarene facilitates the deprotonation of the benzylic position at lower temperatures, which allows the catalyst to exert high stereocontrol. The corollary is, that the scope is limited to electron-poor azaarenes. However, the authors demonstrate the utility of these products with functionalization of the nitro group on the azaarenes. Treatment of the imine-addition products with mild acid readily deprotects the Boc group.

SYNFACTS Contributors: Mark Lautens, Lei Zhang
 Synfacts 2013, 9(1), 0050 Published online: 17.12.2012
 DOI: 10.1055/s-0032-1317750; Reg-No.: L15212SF

2013 © THIEME STUTTGART • NEW YORK