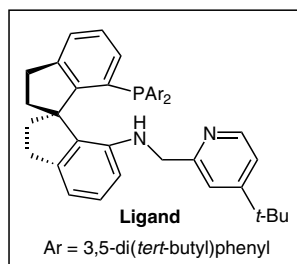
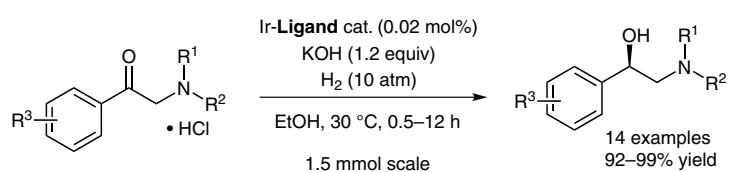


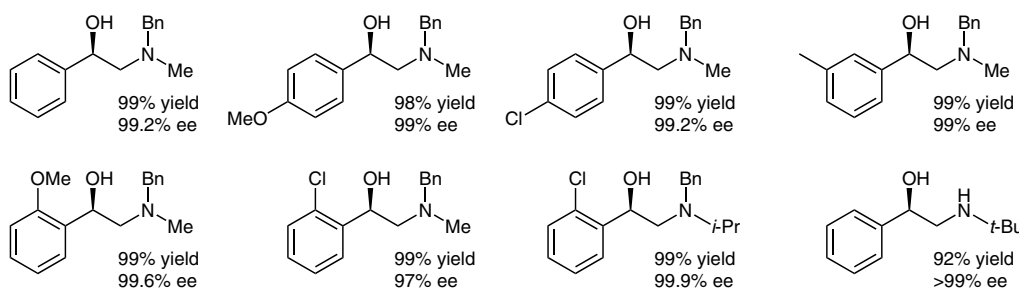
M.-L. YUAN, J.-H. XIE,* X.-H. YANG, Q.-L. ZHOU* (NANKAI UNIVERSITY, TIANJIN, P. R. OF CHINA)

Enantioselective Synthesis of Chiral 1,2-Amino Alcohols via Asymmetric Hydrogenation of α -Amino Ketones with Chiral Spiro Iridium Catalysts
Synthesis 2014, 46, 2910–2916.

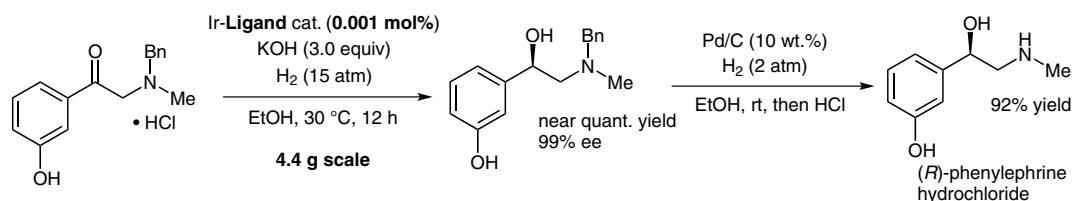
Synthesis of 1,2-Amino Alcohols via Asymmetric Hydrogenation



Selected examples:



Gram-scale synthesis of (*R*)-phenylephrine hydrochloride:



Significance: Chiral 1,2-amino alcohols are very commonly found in pharmaceuticals and natural products. Although many methods exist for their synthesis, the present one, based on asymmetric hydrogenation, is notable for its efficiency in terms of enantioselectivity and turnover number (up to 100 000).

Comment: Excellent yields and enantioselectivities were obtained on a range of aromatic α -amino ketones with a low catalyst loading (0.02 mol%). When an alkyl α -amino ketone was employed, the product was formed in 98% yield, but was nearly racemic. The authors demonstrate the utility and scalability of their method with the synthesis of (*R*)-phenylephrine hydrochloride, using only 0.001 mol% catalyst (TON = 100 000).

SYNFACTS Contributors: Mark Lautens, Thomas Johnson
Synfacts 2015, 11(1), 0069 Published online: 15.12.2014
DOI: 10.1055/s-0034-1379693; Reg-No.: L15914SF