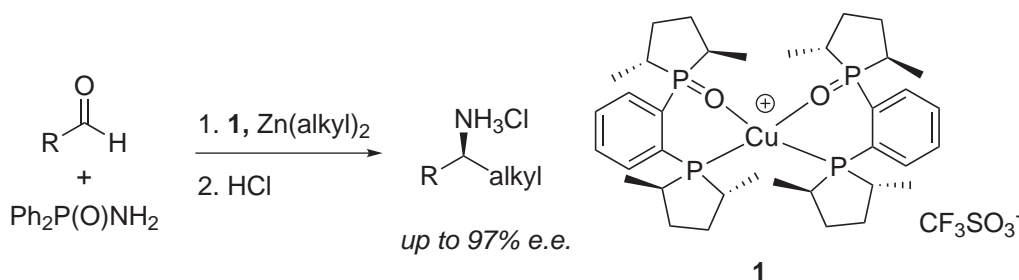


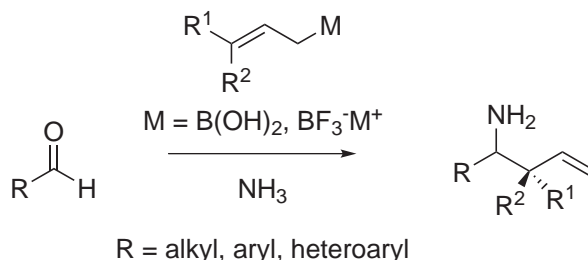
Amination

The amination of aryl halides to produce aryl amines is a convenient route to valuable materials which find application in the pharmaceutical, agrochemical and materials industries. The amination catalyst landscape is overwhelmingly dominated by systems of palladium and protocols which depend upon ammonia surrogates. The cost of palladium along with the requirement for extra process steps associated with the use of ammonia surrogates often means these procedures add significantly to overall process (and product) costs.

KCT maintains a versatile portfolio of amination technologies. The chiral bisphosphine monoxide ligands (BozPHOS) and derived copper catalysts (shown below) which KCT supplies are highly efficient for a range of C-N bond-forming processes.¹ These systems have been shown to be highly effective for the synthesis of α -chiral amines from aldehydes and imines with exceptional enantioselectivities.^{1a-c} They have also been established as highly selective for the synthesis of β -amino alcohols and α -amino acids.^{1d}



KCT also holds several proprietary methods for the preparation of primary, secondary and tertiary carbinamines from aldehydes and ketones using ammonia directly.² In carbonyl additions of allyl boronic acid or trifluoroborate to aldehydes in the presence of ammonia, homoallylic amines are produced. In carbonyl additions of crotyl boronic acids or trifluoroborates to aldehydes in the presence of ammonia, 3,3-disubstituted homoallylic amines are produced with high diastereoselectivities (dr >95:5).



References:

- 1) (a) Côté, A.; Charette, A. B. *J. Org. Chem.* 2005, 70, 10864. (b) Boezio, A. A.; Pytkowicz, J.; Côté, A.; Charette, A. B. *J. Am. Chem. Soc.* 2003, 125, 14260. (c) Lauzon, C.; Charette, A. B. *Org. Lett.* 2006, 8, 2743. (d) Desrosiers, J.-N.; Côté, A.; Charette, A. B. *Tetrahedron* 2005, 61, 6186.
- 2) WO 2008119161, US 20080139847, WO 2008119162.