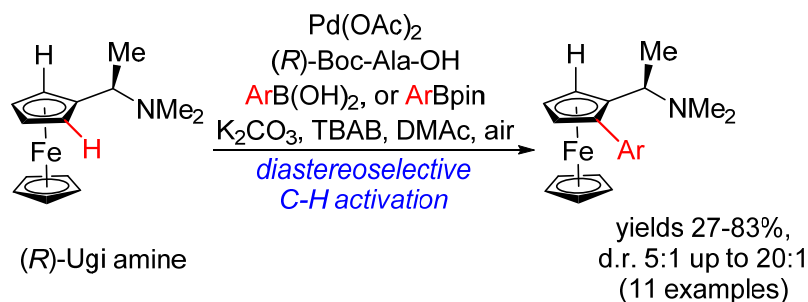


DIASTEREOSELECTIVE PALLADIUM-CATALYZED C–H ARYLATION OF FERROCENYLMETANEAMINES WITH ARYLBORONIC ACIDS AND PINACOL ESTERS

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We studied chiral-ligand-enhanced Pd-catalyzed diastereoselective C–H arylation of (*R*)-Ugi amine with arylboronic acids. You et al. described the enantioselective C–H activation of achiral ferrocenyl methylamines via arylboronic acids by using *N*-Boc protected amino acids as chiral ligands [1]. The aim of our work was to develop chiral-ligand-enhanced diastereoselective C–H activation of chiral ferrocenyl amines. At first, we have optimized reaction conditions for maximum yield and diastereoselectivity. The reaction was performed under mild conditions using commercially available achiral or chiral amino acids as ligands. The best results were obtained by using (*R*)-Boc-alanine, which yielded products in 27-83% yield with diastereoselectivities ranging from 5:1 to 20:1. We synthesized 11 new planar chiral ferrocenes. Diastereoisomeric products can also be obtained using (*S*)-Boc-alanine as a ligand. Stereoinduction of the reaction was explained by DFT calculations of possible transition states and absolute configuration confirmed by X-ray crystallography.



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[1] Gao, D.-W.; Shi, Y.-C.; Gu, Q.; Zhao, Z.-L.; You, S.-L. *J. Am. Chem. Soc.* 2013, 135, 86-89.