

# Palladium-Catalyzed Coupling of Aryl Halides and Sulfonates with Ammonia: A General Method for the Preparation of Primary Arylamines

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The coupling of aryl halides and tosylates with ammonia at atmospheric pressure in the presence of a highly active palladium catalyst to give primary arylamines in high yields is described. This method has broader substrate scope and employs much lower catalyst loading than previous methods. Aryl iodides, bromides and chlorides are all effective coupling partners. Substrates containing alkyl, alkenyl and aryl substituents coupled efficiently with ammonia. In addition, aryl halides containing base-sensitive functional groups are tolerated under the reaction conditions in the presence of a weak base. For the first time, aryl sulfonates couple with ammonia in high yields. Observed solvent effects on the selectivity for the formation of primary arylamine versus diarylamine products are discussed. Catalyst loadings as low as 0.5 mol% have been employed. This reaction development is enabled by the use of a highly active palladium catalyst precursor in combination with the electron-rich and sterically bulky Josiphos ligand (CyPF-*t*-Bu). Studies that compare reactions conducted with this catalyst with those conducted with other precursors are discussed.

