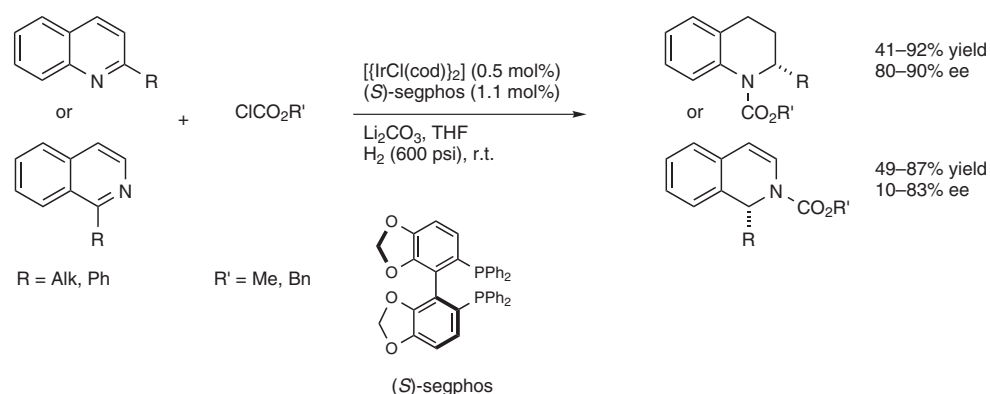


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Asymmetric Hydrogenation of Quinolines and Isoquinolines Activated by Chloroformates

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Catalytic Enantioselective Hydrogenation of Quinolines and Isoquinolines



Significance: Tetrahydroquinolines and dihydroisoquinolines are important building blocks found in a wide variety of biologically relevant alkaloids. The authors describe their access via an efficient catalytic, enantioselective hydrogenation where the nitrogen needs to be activated as an acylammonium species with a chloroformate prior to hydrogenation.

Comment: This method represents an improvement of the iridium/(*R*)-MeO-Biphep/ I_2 -catalyzed asymmetric hydrogenation of quinolines reported by the same group (*J. Am. Chem. Soc.* **2003**, *125*, 10536-10537). Indeed, the new catalytic system based on iridium, (*S*)-segphos and benzylchloroformate gives comparable results in terms of yield and enantioselectivity for various quinolines, and more importantly, could be expanded to isoquinolines to form dihydroisoquinolines. In addition, in the present work, iodine is not required in contrast with the earlier report and the partial hydrogenation of isoquinolines proved to be influenced by the nature of the lithium salt. A variety of 1-alkylated, 1-phenylated compounds were efficiently hydrogenated under optimized conditions with good enantioselectivity (up to 83%).