Iridium-Catalyzed Asymmetric Dearomatization of Pyridines and Pyrazines

Significance: The authors report an Ir-catalyzed enantioselective allylic dearomatization of pyridines and pyrazines. The respective products are obtained in high yields (up to 99%) and with excellent enantioselectivity (up to 99% ee) under very mild reaction conditions. Due to the prevalence of pyridine and pyrazine motifs within biologically active compounds, the derived products could potentially serve as useful intermediates for target-oriented synthesis.

Comment: The presence of an electron-withdrawing group (EWG) acidifies the α-position, enabling a deprotonation which provides electron density to the heteroaromatic ring. The increased electron density of the heterocycle enables the direct nucleophilic attack of the pendant Ir–allyl species.