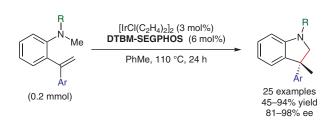
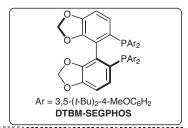
T. TORIGOE, T. OHMURA*, M. SUGINOME* (KYOTO UNIVERSITY, JAPAN)

Asymmetric Cycloisomerization of *o*-Alkenyl-*N*-Methylanilines to Indolines by Iridium-Catalyzed C(sp³)–H Addition to Carbon–Carbon Double Bonds

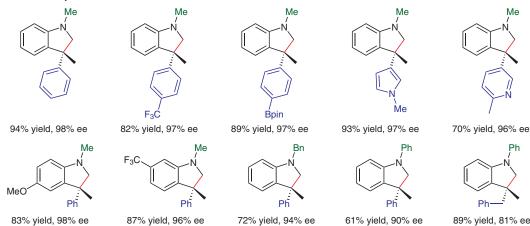
Angew. Chem. Int. Ed. 2017, 56, 14272-14276.

Enantioselective Iridium-Catalyzed Cycloisomerization of *N***-Methylanilines**

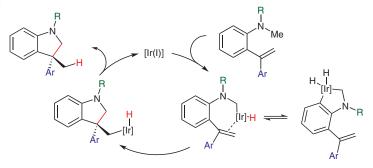








Proposed mechanism:



Significance: Isomerizations with high atomeconomy are attractive strategies to generate complex frameworks from simpler starting materials. The authors use an enantioselective iridiumcatalyzed cycloisomerization to obtain chiral indolines in good yields and excellent enantioselectivities. Mechanistic studies suggest that C–H bond oxidative addition was the rate-determining step. **SYNFACTS Contributors:** Mark Lautens, Andrew Whyte Synfacts 2018, 14(01), 0039 Published online: 15.12.2017

Comment: The reaction was successful with a variety of aryl substituents on the aniline or pendant aryl ring including heteroaromatic aryl groups. The reaction scope was also broad, with various substituents on the nitrogen including removable benzyl groups. The reaction proceeded through a C–H oxidative addition followed by an enantioselective carboiridation and a reductive elimination.

Category

Metal-Catalyzed Asymmetric Synthesis and Stereoselective Reactions

Key words

iridium catalysis indolines C-H functionalization



DOI: 10.1055/s-0036-1591055; Reg-No.: L14917SF