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

Selected examples:

Proposed mechanism:

Significance: Isomerizations with high atom-economy are attractive strategies to generate complex frameworks from simpler starting materials. The authors use an enantioselective iridium-catalyzed 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.

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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

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