Enantioselective and Stereodivergent Synthesis of β,β-Diaryl-α-Amino Acids

Significance: The β,β-diarylalanine core is a synthetically useful motif due to its prevalence in bioactive molecules. Merck process chemists demonstrate the elegant utility of asymmetric Rh-catalyzed hydrogenation to access such challenging structures.

Comment: The authors report a three-step sequence with potential access to all four stereoisomers of the β,β-diarylalanines. A difficult to achieve hydrogenation on a tetrasubstituted olefin was effected using Rh catalysis with a chiral Josiphos ligand.

General reaction:

Selected examples for asymmetric Rh-catalyzed hydrogenation:

Selected examples of stereodivergence:

Hydrolysis of N-Boc amino acid ester:

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