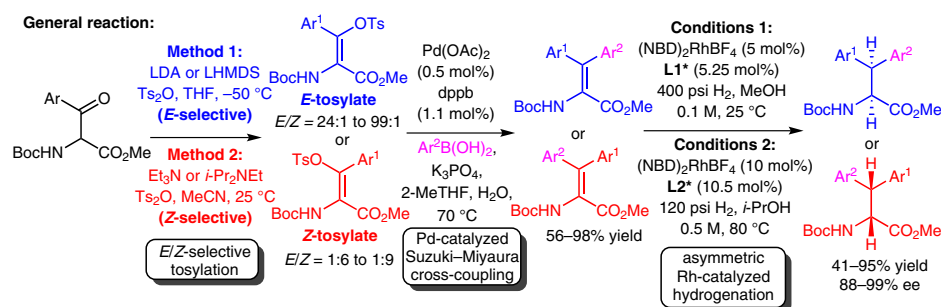


C. MOLINARO,* J. P. SCOTT,* M. SHEVLIN,* C. WISE, A. MÉNARD, A. GIBB, E. M. JUNKER, D. LIEBERMAN (MERCK & CO. INC., RAHWAY, USA AND MERCK SHARP AND DOHME LTD, HODDESDON, UK)

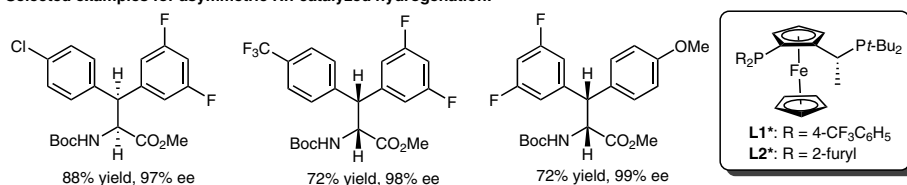
Catalytic, Asymmetric and Stereodivergent Synthesis of Non-Symmetric β,β -Diaryl- α -Amino Acids

J. Am. Chem. Soc. **2015**, *137*, 999–1006.

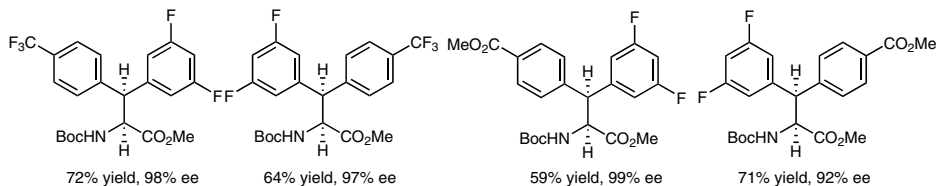
Enantioselective and Stereodivergent Synthesis of β,β -Diaryl- α -Amino Acids



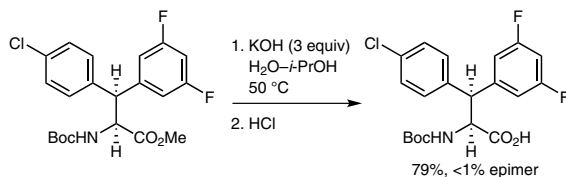
Selected examples for asymmetric Rh-catalyzed hydrogenation:



Selected examples of stereodivergence:



Hydrolysis of N-Boc amino acid ester:



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.

SYNFACTS Contributors: Mark Lautens, Charles C. J. Loh
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Category

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Key words

palladium

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