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Stereoconvergent Amine-Directed Alkyl–Alkyl Suzuki Reactions of Unactivated Secondary Alkyl Chlorides

Amine-Directed Alkyl–Alkyl Suzuki Reactions

Significance: This work reports the development of a stereoconvergent aryl amine directed alkyl–alkyl Suzuki coupling. In this protocol, unactivated secondary alkyl chlorides serve as substrates and the desired products are generally obtained with good enantioselectivity using a C2-symmetric 1,2-diamine ligand.

Comment: Structure–enantioselectivity studies indicated that the aryl amine is the primary coordination site to the catalyst. Consistently, the introduction of an additional methylene unit between the aryl amine moiety and the chloride leads to a product with essentially no enantiomeric excess.

Ph\[NMeCl]+ (9-BBN) n-Hex
Ph\[NMe\]n-Hex
84% yield (88% ee)

NiBr2·diglyme (10 mol%) ligand (12 mol%) t-BuOK (1.2 equiv) n-hexanol (2.0 equiv)

Ni PrO, 25 °C

ligand: Ar = 1-Naph

MeO\[NMe\]78% yield (84% ee)
63% yield (91% ee)
70% yield (92% ee)
70% yield (83% ee)
57% yield (92% ee)

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