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(54) Title: CHIRAL PHOSPHINES FOR PALLADIUM-CATALYZED ASYMMETRIC ALPHA-ARYLATION OF ESTER ENOLATES TO PRODUCE TERTIARY STEREOCENTERS IN HIGH ENANTIOSELECTIVITY

(57) Abstract: The disclosure provides new and improved methods for the Pd-catalyzed asymmetric α -arylation of ester compounds, which produce the corresponding α -aryl moiety in high enantioselectivity (generally >90% ee). The present methods utilize a palladium catalyst supported by new (*R*)-H₈-BINOL-derived monophosphine ligands. The method is applicable to a wide variety of aryl triflate substrates having variations in both electronic and steric properties. These aryl triflate substrates react with various α -alkyl (Z)- and/or (*E*)-0-trimethylsilyl ketene acetals in the presence of a Pd catalyst, (*R*)-H₈-BINOL-derived monophosphine ligand, and a mild activator, for example, LiOAC, to provide the asymmetric α -arylation of ester compounds in high ee.



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