S. ASPIN, A.-S. GOUTIERRE, P. LARINI, R. JAZZAR, O. BAUDOIN* (UNIVERSITÉ CLAUDE BERNARD LYON 1, FRANCE)

Synthesis of Aromatic α-Aminoesters: Palladium-Catalyzed Long-Range Arylation of Primary Csp^3–H Bonds
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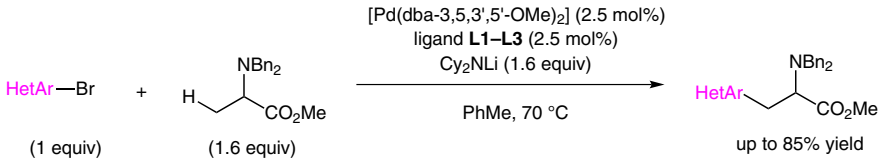
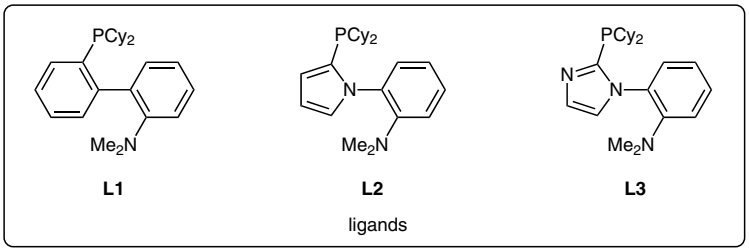
Category
Metal-Mediated Synthesis

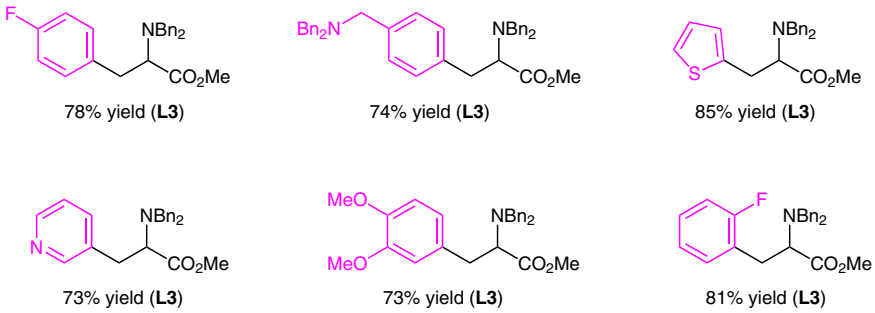
Key words
arylation
palladium
C–C coupling

Palladium-Catalyzed β-Arylation of α-Amino Esters





Selected examples:



Significance: A novel general β-arylation of protected alanine esters to yield synthetically useful (hetero)aryl alanine building blocks has been disclosed. The protocol utilizes a lithium amide to form an enolate that undergoes a palladium-catalyzed C–C coupling with various aromatic bromides.

Comment: Interestingly, the reaction could be extended to α-amino acids bearing other linear alkyl chains. Arylation occurs preferentially at the terminal Csp^3–H bond, thus providing δ-, ε- and even ζ-arylated products. All products could be deprotected via hydrogenolysis to give the respective amines.

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