Palladium-Catalyzed β-Arylation of α-Amino Esters

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 Csp³–H bond, thus providing δ-, ε- and even ζ-arylated products. All products could be deprotected via hydrogenolysis to give the respective amines.