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Enantioselective Heck-Matsuda Reaction Coupled to Organotin Transmetalations

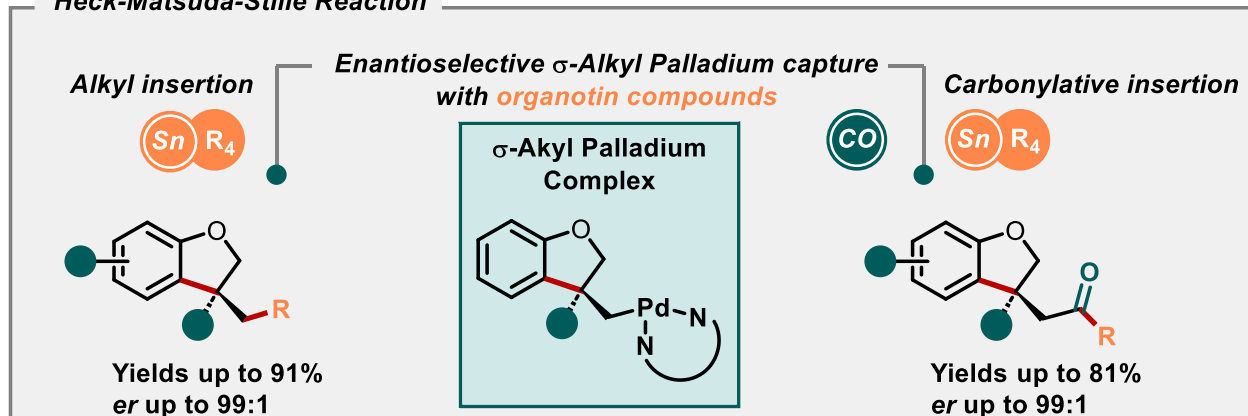
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ABSTRACT

Enantioselective Heck-Matsuda Reaction is a powerful method for building high valued natural products and building blocks in organic synthesis.¹ The intramolecular version of this reaction is still underexplored and remains a challenge in the field with few examples of success in the literature.^{2,3} In this work, an efficient asymmetric one-pot synthesis of 3,3-disubstituted-dihydrobenzofuran has been developed through a palladium-catalyzed Heck-Matsuda reaction, followed by subsequent carbonylation and/or organotin transmetalation employing chiral *N,N* ligands. The Heck-Matsuda-Stille reaction is performed under mild conditions from readily available starting materials and tolerates a wide range of functional groups. This methodology provides straightforward access to a diverse array of enantioenriched dihydrobenzofuran bearing either a carbonyl or a side alkyl chain adjacent to a quaternary stereogenic center in yields up to 81% and er up to 99:1.

Heck-Matsuda-Stille Reaction



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