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Copper-Catalyzed Hydroarylation of Internal Alkynes: Highly Regio- and Diastereoselective Synthesis of 1,1-Diaryl, Trisubstituted Olefins

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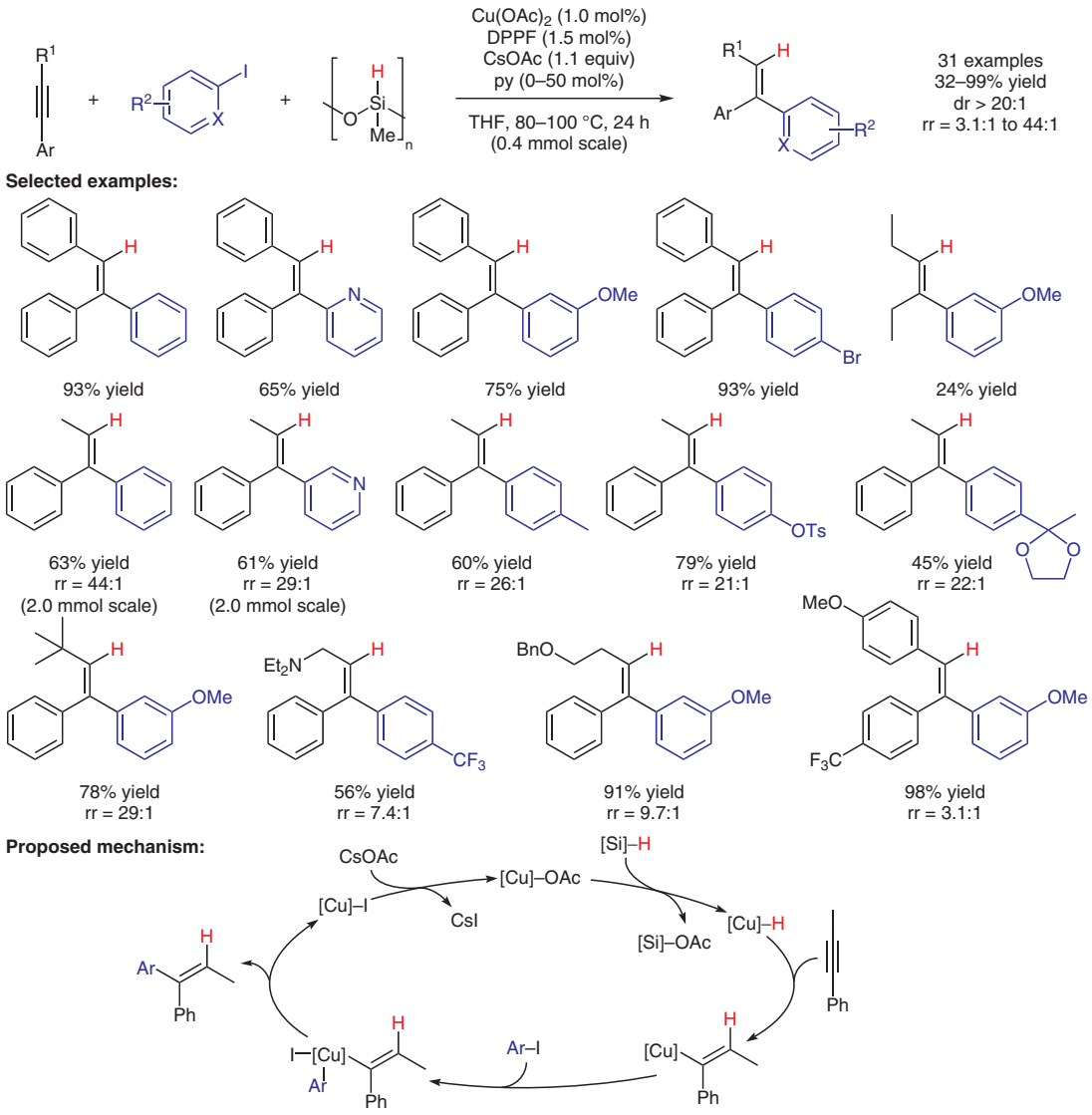
Copper-Catalyzed Hydroarylation Reaction



Significance: The stereoselective synthesis of trisubstituted olefins has attracted considerable attention due to the prevalence of such structural motifs in pharmaceuticals and as valuable synthetic building blocks. In this paper, the authors present a stereoselective copper-catalyzed hydroarylation of internal alkynes for the synthesis of 1,1-diaryl trisubstituted olefins.

Comment: The combination of a copper(II) catalyst, polymethylhydrosiloxane as hydride source, and additives allowed the reaction between internal alkynes and several aryl iodides. The corresponding products were generally obtained in good to excellent yields and with high regioselectivities. Several 2.0 mmol scale reactions were demonstrated.

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