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Stereoselective Access to Alkylidene cyclobutanes through γ-Selective Cross-Coupling Strategies


Palladium-Catalyzed Synthesis of Alkylidene cyclobutanes

Significance: Alkylidene cyclobutanes are useful strained building blocks that can also be found in a number of natural compounds. In this work, the authors disclose the use of a γ-selective Suzuki–Miyaura cross-coupling for the synthesis of this class of compounds containing a quaternary stereocenter.

Comment: Achiral cyclobutenylmethylboronic esters reacted with aryl halides in the presence of a palladium catalyst and potassium hydroxide. The corresponding products were obtained in moderate to excellent yields and essentially with perfect γ-selectivity. In the case of δ-substituted substrates, high levels of diastereoselectivity were obtained. The use of (chiral) α-substituted starting materials generated the corresponding products containing a trisubstituted double bond functionality in high stereoselectivity (and enantioselectivity).