Z. JIANG, L. HOU, C. NI, J. CHEN, D. WANG, X. TONG* (EAST CHINA UNIVERSITY OF SCIENCE AND TECHNOLOGY, SHANGHAI AND CHANGZHOU UNIVERSITY, P. R. OF CHINA)
 Enantioselective Construction of Quaternary Tetrahydropyridines by Palladium-Catalyzed Vinylborylation of Alkenes
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Palladium-Catalyzed Vinylborylation of Alkenes

Significance: The trapping of alkyl–palladium(II) species in situ with various nucleophiles has emerged as an important strategy for the synthesis of complex molecules. The crucial requirement for the success of this approach is the formation of palladium intermediates lacking β -hydrogen atoms. In this work, the authors present an extension of this class of transformations in the synthesis of enantioenriched tetrahydropyridines through palladium-catalyzed vinylborylation of alkenes.

Comment: The combination of alkenyl vinylboronates and bis(pinacolato)diboron in the presence of a chiral palladium catalyst and Ag_2CO_3 allows the synthesis of tetrahydropyridines. An all-carbon quaternary center is formed in moderate to good yields, enantioselectivities, and, in a few examples, high diastereoselectivity. The nature of the linkage between the two alkene moieties was found to deeply affect the enantioselectivity of the transformation. A 5 mmol scale reaction was carried out, providing the desired product in slightly lower yield and with 94% ee.

SYNFACTS Contributors: Mark Lautens, Ivan Franzoni
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