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Palladium-Catalyzed Defluorinative Coupling of 1-Aryl-2,2-Difluoroalkenes and Boronic Acids: Stereoselective Synthesis of Monofluorostilbenes
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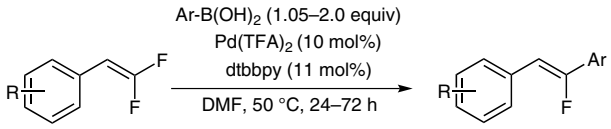
Category
Metal-Mediated Synthesis

Key words
palladium catalysis
boronic acids
fluorine

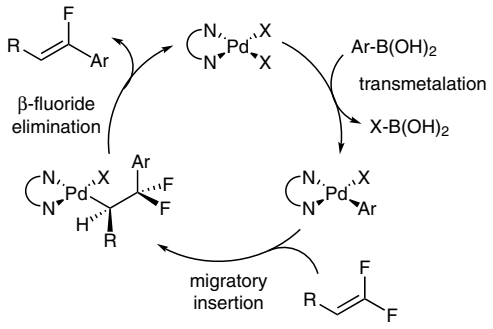
Defluorinative Coupling of 1-Aryl-2,2-Difluoroalkenes and Boronic Acids



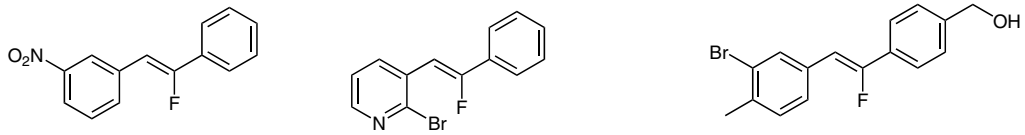
R = *t*-Bu, NO_2, OMe, CF_3, Ar, Br, Cl, Me, CO_2Me

18 examples
40–65% yield

Proposed mechanism:



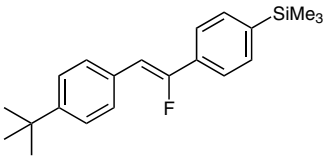
Selected examples:



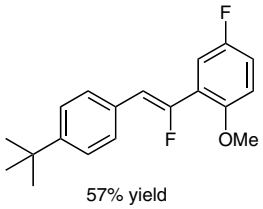
64% yield

40% yield

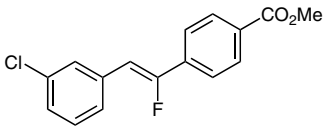
47% yield



56% yield



57% yield



43% yield

Significance: The authors report a palladium-catalyzed defluorinative coupling of 1-aryl-2,2-difluoroalkenes with boronic acids with a broad functional-group tolerance, moderate yields and excellent diastereoselectivity.

Comment: The utility of the reported method was demonstrated by the synthesis of a Gleevec® amide isostere.

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