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Straightforward Synthesis of CF$_3$-Substituted Triarylethenes by Stereoselective Threefold Cross-Coupling Reactions

Stereoselective Synthesis of Fluorinated Triarylethenes

Significance: Fluorinated compounds are an increasingly important group of molecules owing to their application in pharmaceuticals. Hence, the stereoselective synthesis of fluorinated molecules presents a very valuable transformation. While the stereoselective synthesis of tetrasubstituted olefins is known but rare, the stereoselective synthesis of fluorinated olefins is not known at all. Described here is a protocol for the stereoselective formation of highly substituted ethenes with excellent diastereoselectivities. The reaction proceeds smoothly for a series of different aryl boronic acids with comparably high selectivity. The authors have proven the superiority of their protocol with a brief synthesis of panomifene.

Comment: Diastereoselectivity and general reactivity are highly specific for the CF$_3$ group. Remarkable observations regarding this reaction sequence are (a) the primary coupling occurs at the more hindered site, (b) the secondary coupling is completely chemoselective (the Br site shows increased reactivity over tosyloxy), and (c) either isomers of triarylethenes are accessible by simply changing the order of boronic acids utilized. Although the reaction mechanism is not fully disclosed, the authors suggest that the Pd–F interaction during the oxidative addition step is operative. Hopefully more details of the mechanism will be available and other reactions developed.