Category

Key words

aryl triflates

aryl fluorides palladium

Metal-Mediated Synthesis

D. A. WATSON, M. SU, G. TEVEROVSKIY, Y. ZHANG, J. GARCÍA-FORTANET, T. KINZEL, S. L. BUCHWALD* (MASSACHUSETTS INSTITUTE OF TECHNOLOGY, CAMBRIDGE, USA) Formation of ArF from LPdAr(F): Catalytic Conversion of Aryl Triflates to Aryl Fluorides *Science* **2009**, *325*, 1661-1664.

Palladium-Catalyzed Conversion of Aryl Triflates to Aryl Fluorides

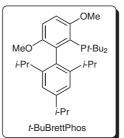
t-BuBrettPhos (6 mol%) [(cinnamyl)PdCl]₂ (2 mol%)

PhMe, 80-130 °C, 12 h

R = Alk, Ar, ketones, esters, amines, ethers, nitro



up to 85% yield



Selected examples:

Significance: The biaryl phosphine ligand t-Bu-BrettPhos in combination with [(cinnamyl)PdCl]₂ is shown to catalyze the fluorination of aromatic and heteroaromatic triflates using CsF as fluorine source. This reaction proceeds under relatively mild conditions and with high functional group tolerance.

Comment: In a few cases, regioisomeric products are observed, but the overall yields remain high. The success of the reaction crucially depends on the sterically demanding *t*-BuBrettPhos ligand, since it prevents the formation of dimeric [LPdAr(F)]₂, but also promotes reductive elimination of the Ar–F bond due to its large size. This method can be expected to be applicable for the preparation of biologically active aryl fluorides.

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