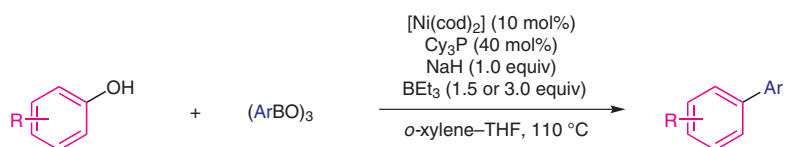


D.-G. YU, Z.-J. SHI* (PEKING UNIVERSITY, BEIJING AND SHANGHAI INSTITUTE OF ORGANIC CHEMISTRY, P. R. OF CHINA)

Mutual Activation: Suzuki–Miyaura Coupling through Direct Cleavage of the sp^2 C–O Bond of Naphtholate
Angew. Chem. Int. Ed. **2011**, *50*, 7097–7100.

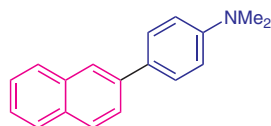
Direct Suzuki–Miyaura Coupling of Phenol Derivatives via Mutual Activation



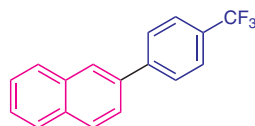
R = Ar, OMe, CO₂Me- and *O*-*t*-Bu-substituted aryls
Ar = *n*-Bu-, Me-, NMe₂-, OMe-, CF₃- and F-substituted aromatics

up to 90% yield

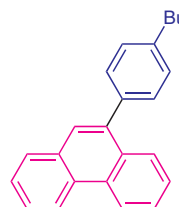
Selected examples:



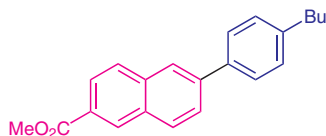
80% yield



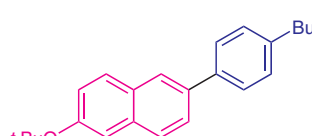
43% yield



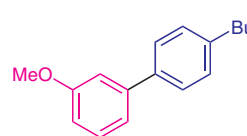
82% yield



62% yield

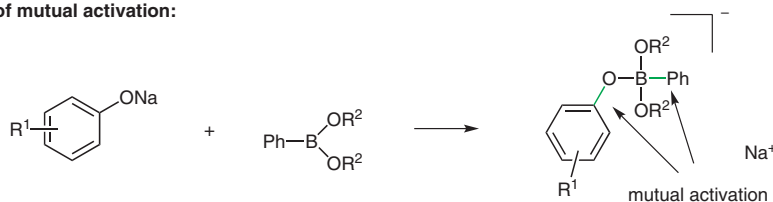


63% yield



18% yield

Concept of mutual activation:



Significance: Herein, a direct Ni-catalyzed Suzuki coupling of in situ generated sodium phenolates with aryl boroxines is reported. Key step is the formation of an aryl borate which simultaneously activates the two coupling partners.

Comment: Traditional preactivation of phenols and boronic acids is not necessary since the in situ generated borate mutually activates both the aryl C–O and the aryl C–B bonds. Nevertheless, it is shown that lack of the Lewis acid BEt₃ as additional activator leads to decreased product yields.

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Category

Metal-Mediated
Synthesis

Key words

nickel

Suzuki coupling

C–O activation

phenols

SYNFACTS
of the month