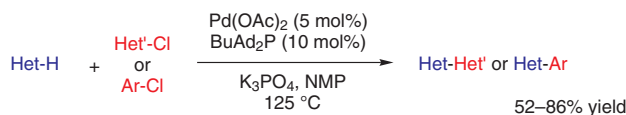
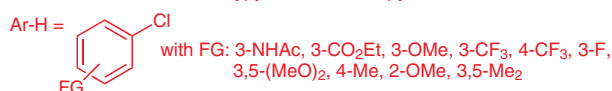


Arylation of Heterocycles via C–H Activation and Cross-Coupling with Aryl Chlorides

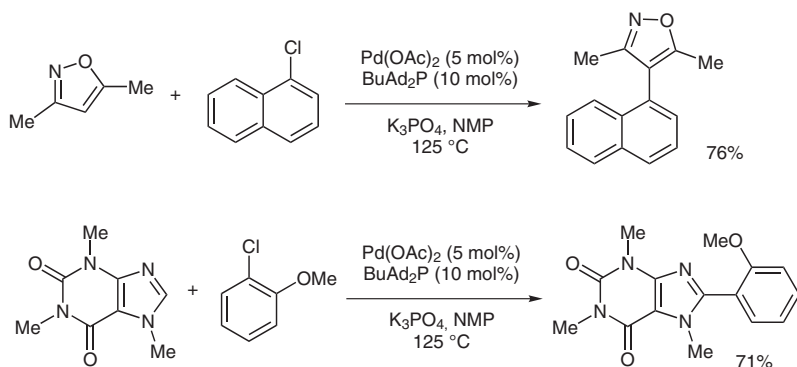


Het-H = thiophene, benzothiophene, 3,5-dimethylisoxazole, benzoxazole, benzothiazole, 2-isobutylthiazole, 2-pivaloylaminothiazole, 1-butylimidazole, 1-methyl-1,2,4-triazole, caffeine

Het'-H = 2-chloro-6-methoxypyridine, 2-chloropyridine



Selected examples:



Significance: A new cheap and general method for the cross-coupling of heterocycles is presented in this article. A wide range of heterocycles was subjected to Pd-catalyzed C–H activation with subsequent cross-coupling to aryl and heteroaryl chlorides. This method was shown to be applicable to both electron-rich and electron-poor aryl chlorides furnishing good yields in almost all cases.

Comment: This new C–H activation–cross-coupling sequence has a very high practical value for the syntheses of biologically active compounds. A number of heterocycles are shown to be directly functionalizable by C–H activation. The possibility of their cross-coupling with the readily available aryl chlorides which are cheaper than their bromide or iodide equivalents is of considerable economic interest.