Key words

furans pyrroles

cuprous iodide thiophenes

N-fluorobenzenesulfonimide amidation

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Copper-Catalyzed Amidation of π -Excessive Heterocycles

Representative examples:

Significance: Amino-substituted heterocycles are prevalent as subunits in a large number of pharmaceutical drug targets. As a result, transition-metal-catalyzed aryl C–N bond formation has been studied extensively. Traditionally, these compounds are synthesized by coupling heteroaryl halides with amine surrogates. Pan and co-workers report an efficient method for direct amidation of π -electron-rich heterocycles with N-fluorobenzenesulfonimide (NFSI). Interestingly, even though NFSI is typically used as a fluorinating reagent, no fluorinated byproducts are observed in this reaction. The procedure uses mild conditions to provide the desired functionalized products in high yields.

Comment: A variety of electron-deficient and electron-rich thiophenes, furans, and pyrroles undergo regioselective amidation under these reaction conditions. Although the reaction does proceed at ambient temperature, higher yields are obtained at slightly elevated temperature (60 °C). A mechanistic pathway involving copper(II), copper(III), and copper(IIII) species is proposed, and further studies to investigate the mechanism of this transformation are underway. For a recent method of 2-aminothiophene and other π -excessive heterocycle synthesis, see the copper(III)-catalyzed synthesis of 2-aminothiophenes from alkynoates and thioamides (*Org. Biomol. Chem.* **2014**, *12*, 8473; *Synfacts* **2015**, *11*, 24).

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