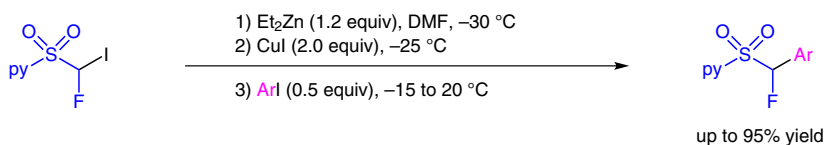


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P. R. OF CHINA)

Copper-Mediated Fluoroalkylation of Aryl Iodides Enables Facile Access to Diverse Fluorinated Compounds: The Important Role of the (2-Pyridyl)sulfonyl Group

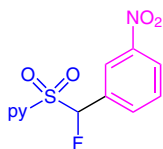
Org. Lett. **2012**, *14*, 6080–6083.

The (2-Pyridyl)sulfonyl Group: Copper-Mediated Fluoroalkylation of Aryl Iodides

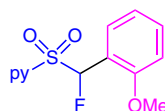


Ar = different *N*- and *O*-heteroaromatics, PMP, 4- $\text{O}_2\text{NC}_6\text{H}_4$, 3- $\text{O}_2\text{NC}_6\text{H}_4$, 2- $\text{O}_2\text{NC}_6\text{H}_4$, 2- NCC_6H_4 , 4- ClC_6H_4 , 3- ClC_6H_4 , 3- Cl -4- ClC_6H_3 , 4- MeOC_6H_4 , 2- MeOC_6H_4 , 2- $\text{Al}(\text{OC}_6\text{H}_4)_2$, 2- $\text{MeC}(\text{O})\text{CH}_2\text{OC}_6\text{H}_4$, 4- F -3- HOCC_6H_3 , 4- $\text{HOH}_2\text{CC}_6\text{H}_4$, 4- $\text{Me}(\text{O})\text{CC}_6\text{H}_4$, 4- $\text{F}_3\text{CC}_6\text{H}_4$

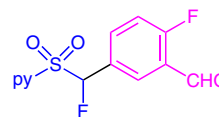
Selected examples:



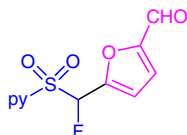
90% yield



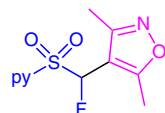
77% yield



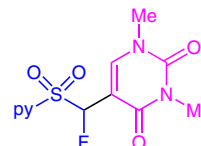
68% yield



67% yield



67% yield



67% yield

Significance: The copper-mediated and -catalyzed fluoroalkylation of various aryl iodides facilitated by fluoriodomethyl 2-pyridyl sulfone is disclosed. The corresponding fluoromethylated aryl compounds are obtained in very good yield and may further be converted into other structurally important fluorinated compounds.

Comment: The (2-pyridyl)sulfone group plays an essential role since, on the one hand, it facilitates the copper-mediated (or -catalyzed) cross-coupling reaction and, on the other hand, it allows further modifications of the fluoroalkylated aryls, such as depyridination, desulfonylation and Julia–Kocienski olefination. Electron-rich and electron-withdrawing substituents as well as sensitive functional groups such as aldehydes, ketones and alcohols are well-tolerated by this protocol.

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