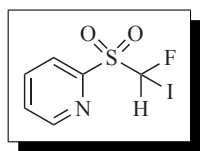


## 2-[(Fluoroiodomethyl)sulfonyl]-pyridine



[1415115-02-4] C<sub>6</sub>H<sub>5</sub>FINO<sub>2</sub>S (MW 301.08)

InChI = 1S/C6H5FINO2S/c7-6(8)12(10,11)5-3-1-2-4-9-5/h1-4,6H

InChIKey = XXSXBHEYUENKPJO-UHFFFAOYSA-N

(monofluoroalkylating reagent for aryl iodides<sup>1</sup>)

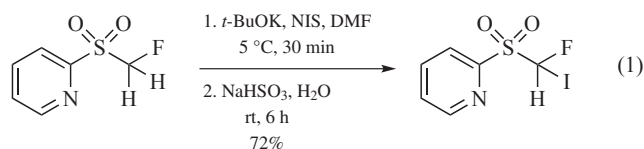
**Physical Data:** mp 68–70 °C.<sup>1</sup>

**Solubility:** insol H<sub>2</sub>O; sol organic solvents.

**Form Supplied in:** white solid; prepared by selective C–H electrophilic monoiodination of 2-[(fluoromethyl)sulfonyl]pyridine.<sup>1</sup>

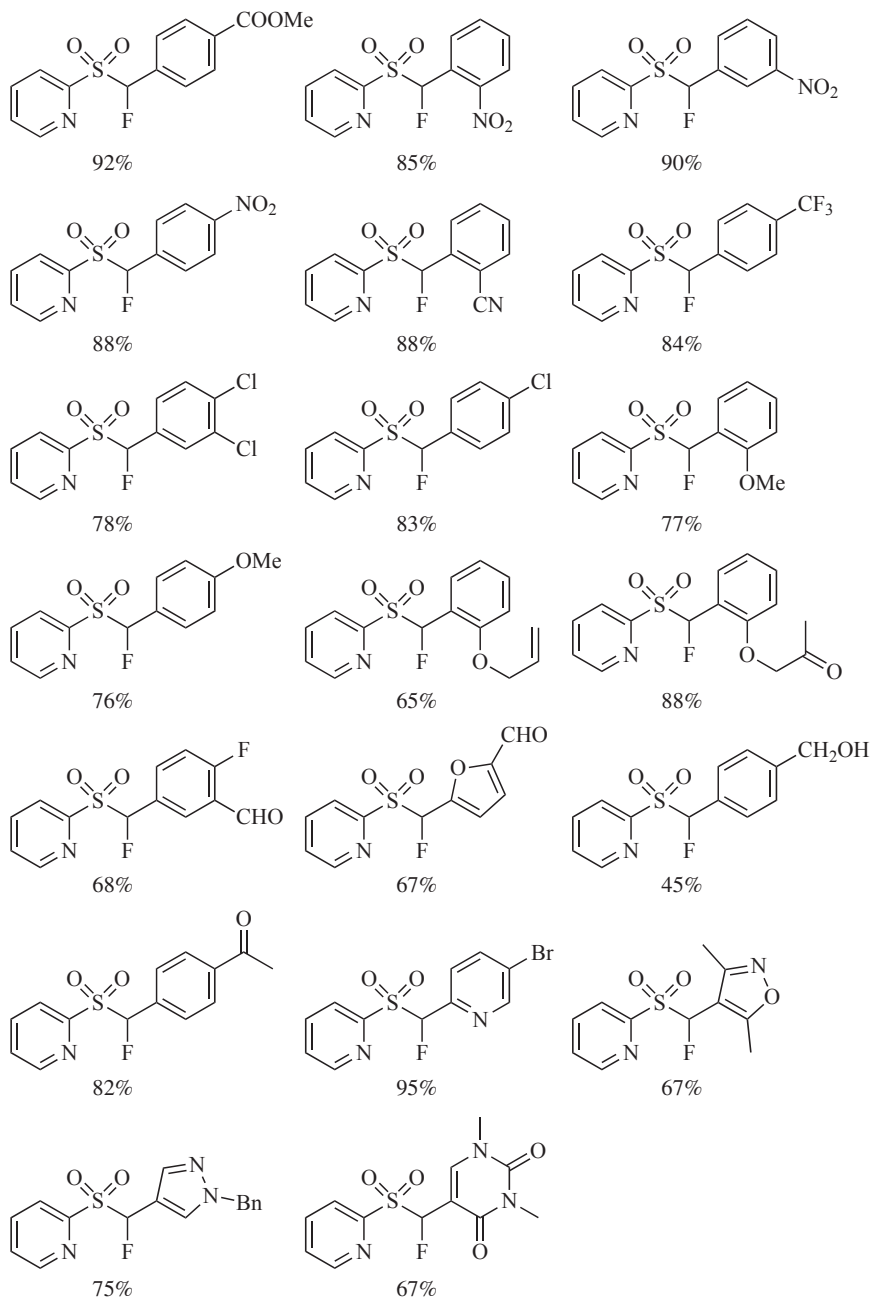
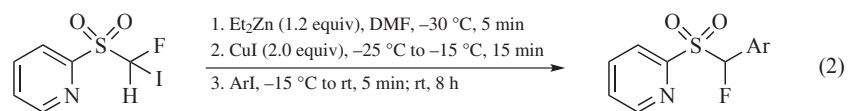
### Preparation of 2-[(Fluoroiodomethyl)sulfonyl]-pyridine.

2-[(Fluoroiodomethyl)sulfonyl]-pyridine (2-PySO<sub>2</sub>CHFI) is prepared from 2-[(fluoromethyl)sulfonyl]-pyridine and *N*-iodosuccinimide in the presence of potassium *tert*-butoxide. The resulting diiodination product can be selectively converted to 2-PySO<sub>2</sub>CHFI with aqueous NaHSO<sub>3</sub> (eq 1).<sup>1</sup>

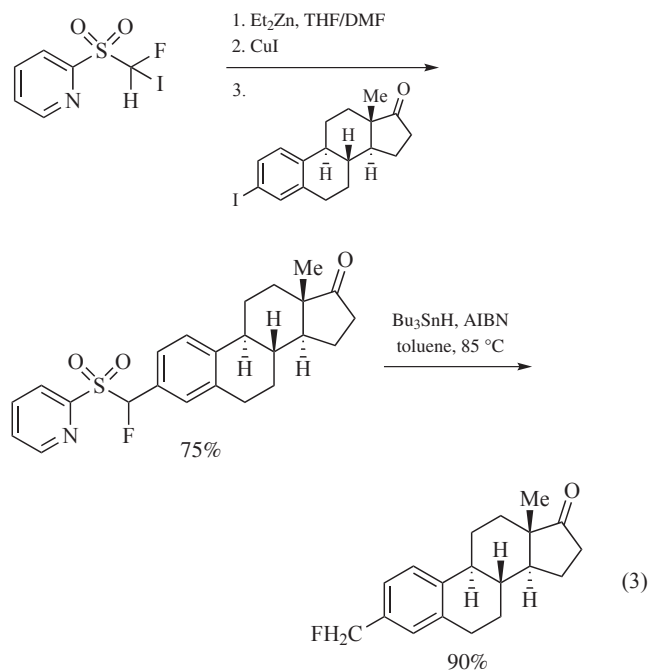


**Cross-coupling Reactions with Aryl Iodides.** The title reagent can be used in copper-mediated fluoroalkylation reactions of aryl iodides. Diethylzinc is first added to a solution of 2-PySO<sub>2</sub>CHFI in DMF to give the corresponding organozinc species (2-PySO<sub>2</sub>CHF)ZnX via metal-halide exchange reaction. Subsequently, a transmetalation between the formed (2-PySO<sub>2</sub>CHF)ZnX and copper(I) iodide furnishes the reactive organocopper reagent [(2-PySO<sub>2</sub>CHF)Cu]. This reagent can be used in cross-coupling processes with aryl iodides bearing various electron-donating and electron-withdrawing groups. This reaction is also compatible with several sensitive functional groups, such as aldehydes, ketones, and hydroxyl. Furthermore, the cross-coupling reactions with chloro- and bromo-substituted iodoarenes show a preference for aryl-I bonds (eq 2).<sup>1</sup>

**Conversion of the 2-Pyridylsulfonyl Group.** Owing to the chemical versatility of 2-pyridylsulfonyl group, synthesis of the precursor (2-PySO<sub>2</sub>CHFAr) via the copper-mediated fluoroalkylation reaction promises to achieve various fluorinated building blocks. Firstly, desulfonylation of 2-PySO<sub>2</sub>CHFAr with Bu<sub>3</sub>SnH can generate monofluoromethylarenes indirectly through the aryl iodides (eq 3). Secondly, 2-PySO<sub>2</sub>CHFAr with a formyl group will enable an intramolecular Julia-Kocienski olefination in the presence of *t*-BuOK. This reaction renders an easy access to the cyclomonofluoroalkene product (eq 4). In addition, treatment of 2-PySO<sub>2</sub>CHFAr with *t*-BuSNa can give the depyridination intermediate, which can be captured by CH<sub>3</sub>I to obtain the corresponding methylsulfone (eq 5).<sup>1</sup>

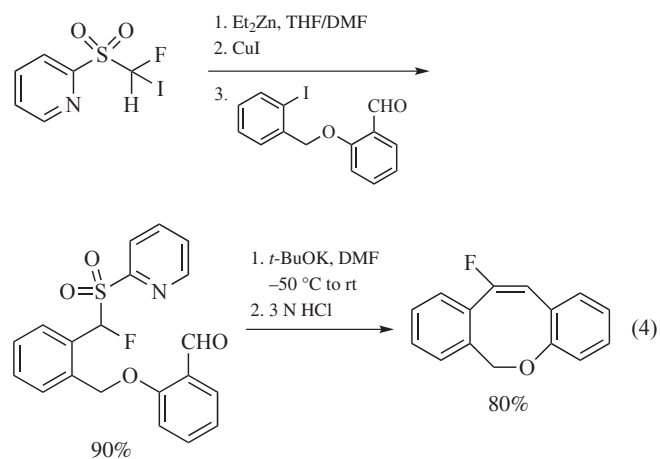


## Monofluoromethylation

1. Zhao, Y.; Gao, B.; Ni, C.; Hu, J., *Org. Lett.* **2012**, *14*, 6080.

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## Intramolecular Julia-Kocienski olefination



## Depyridination and alkylation

