Category

Innovative Drug Discovery and Development

Key words

trifluoromethylation

radiochemistry

PET

fluorine-18

Synfact of the Month

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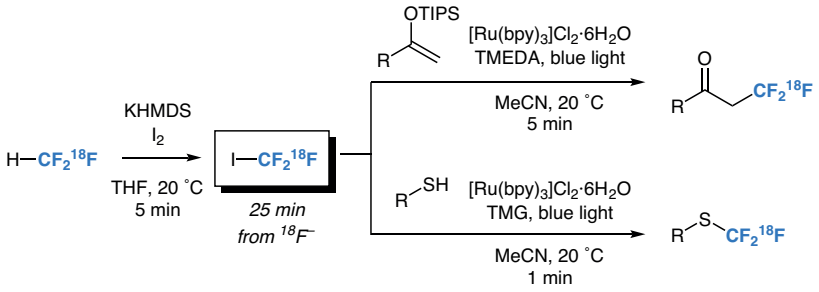
[18F]Trifluoroiodomethane – Enabling Photoredox-Mediated Radical [18F]Trifluoromethylation for Positron Emission Tomography
Angew. Chem. Int. Ed. **2024**, DOI: 10.1002/anie.202416901

Radiosynthesis of [^{18}F]Trifluoroiodomethane and Its Use for Radical ^{18}F-Trifluoromethylation Reactions



Significance: Positron emission tomography (PET) is a molecular imaging technique that visualizes biological processes at the molecular and cellular levels in living organisms. This makes it a powerful tool for early disease diagnosis, monitoring treatment response, and guiding personalized therapy. PET relies on bioactive molecules labeled with a β+ emitting nuclide. However, developing new PET imaging agents is often challenging due to synthetic difficulties and the limitations of existing methods when applied to complex molecules. This study presents a method for the radiosynthesis of $CF_2{}^{18}FI$ and showcases its application in the photoredox-mediated synthesis of novel ^{18}F-labeled α-trifluoromethyl ketones and trifluoromethyl sulfides. This approach effectively expands the toolkit for producing novel PET tracers containing a [^{18}F]CF_3 group.

Comment: A method is described for synthesizing and isolating [^{18}F]trifluoroiodomethane. $CF_2{}^{18}FI$ represents a versatile radiolabelled building block that can, for instance, be used in ruthenium- and photoredox-mediated ^{18}F-trifluoromethylation reactions. The effectiveness of such an approach was demonstrated by producing novel ^{18}F-labeled α-trifluoromethyl ketones and trifluoromethyl sulfides, starting from triisopropylsilyl enol ethers and thiols, respectively. Both procedures are straightforward to perform, tolerate a variety of functional groups, and yield final products with useful molar activity in the range of 8.3–11.1 GBq/μmol. This research sets the foundation for the development of additional ^{18}F-trifluoromethylation methods.

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Synfacts 2025; 21(01), 80 Published online: 20.12.2024
DOI: 10.1055/a-2467-6111; **Reg-No.:** A00125SF