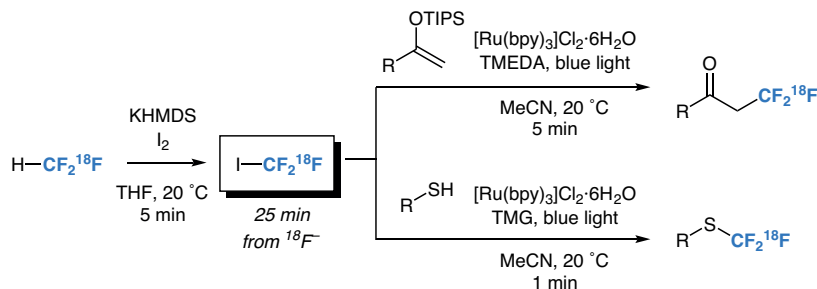


Radiosynthesis of [¹⁸F]Trifluoroiodomethane and Its Use for Radical ¹⁸F-Trifluoromethylation Reactions



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 CF₂¹⁸FI and showcases its application in the photoredox-mediated synthesis of novel ¹⁸F-labeled α-trifluoromethyl ketones and trifluoromethyl sulfides. This approach effectively expands the toolkit for producing novel PET tracers containing a [¹⁸F]CF₃ group.

Comment: A method is described for synthesizing and isolating [¹⁸F]trifluoroiodomethane. CF₂¹⁸FI represents a versatile radiolabelled building block that can, for instance, be used in ruthenium- and photoredox-mediated ¹⁸F-trifluoromethylation reactions. The effectiveness of such an approach was demonstrated by producing novel ¹⁸F-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 ¹⁸F-trifluoromethylation methods.