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A Broadly Applicable $[^{18}\text{F}]$ Trifluoromethylation of Aryl Iodides and Heteroaryl Iodides for PET Imaging
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$[^{18}\text{F}]$ Trifluoromethylation of Aryl and Heteroaryl Iodides

R = NO₂, CO₂Et, CHO, Ac, CO₂H, CN, Br, Ph, OAc, OPiv, OH, OBn, OMe, CONH₂, NH₂, NHC(O)Me, NHBoc, chiral dipeptide, chiral carbohydrate

HetAr = pyridyl, pyrazyl, quinolyl, benzothiazolyl, thienyl, uracilyl and indolyl derivatives

Selected examples:

Significance: The authors disclose the easy and broadly applicable late-stage $[^{18}\text{F}]$ trifluoromethylation of various aryl and heteroaryl iodides using methyl chlorodifluoroacetate, CuI, TMEDA, and $[^{18}\text{F}]$ fluoride. The $[^{18}\text{F}]$ trifluoromethylated (hetero)aryls, which serve as $[^{18}\text{F}]$ -PET (positron emission tomography) tracers, are obtained in good yields.

Comment: Usually, access to $[^{18}\text{F}]$ -labelled probes is limited by the short half-life of ^{18}F and the small availability of parent ^{18}F sources that show a suitable reactivity, such as $[^{18}\text{F}]\text{F}^-$ and $[^{18}\text{F}]\text{F}_2$. Furthermore, this operational simple $[^{18}\text{F}]\text{CuCF}_3$ -based strategy excludes the tedious preparation of complex organometallic precursors and may be performed on air. The active $[^{18}\text{F}]\text{CF}_3\text{Cu}$ is generated in situ.

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