RADICAL FLUOROALKYLATION OF NITROGEN HETEROCYCLES AND AROMATIC AMINO ACID RESIDUES IN PEPTIDES AND PROTEINS

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Fluoroalkylated cyclic λ^3-iodanes are reagents for electrophilic trifluoromethylation [1] or tetrafluoroalkylation [2] of various nucleophiles (Figure 1A). In our previous work [3] we showed application of these reagents in thiol bioconjugation (Figure 1B).

In this study we have shown rapid and mild radical functionalization of small molecules (indoles and pyrroles) and biomolecules (peptides or proteins) on aromatic amino acid residues with high selectivity toward tryptophan, using fluoroalkylated λ^3-iodanes and biocompatible reductant, sodium ascorbate (Figure 1C).

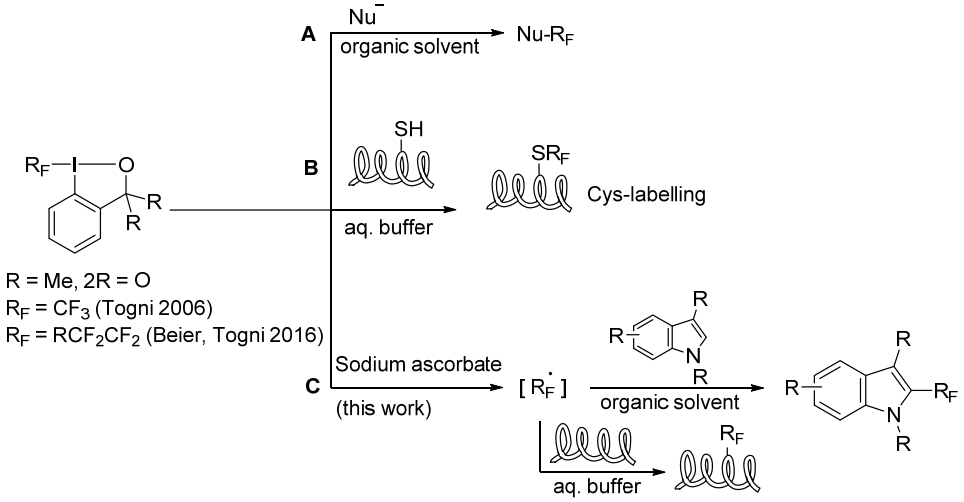

Figure 1: Previously reported (A and B) and this work (C) radical fluoroalkylation of small organic molecules and biomolecules using fluoroalkylated λ^3-iodanes.

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