Recent Advances in Late Stage Fluorination

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The success of Positron Emission Tomography (PET) and renewed interest in [¹⁸F]radiochemistry led to creative methods to incorporate ¹⁸F into molecules of increasing complexity. Despite these advances, clinically useful radiotracers lie within a narrow accessible space with [¹⁸F]fluoroalkanes and [¹⁸F]fluoroarenes at the forefront. Many potentially high value PET ¹⁸F-labeled tracers and drugs lie outside this radiochemical space, and the ability to test tracers not amenable to traditional or newly developed ¹⁸F-labeling intervention would be a major boost for PET imaging. A more diverse range of ¹⁸F-tags could immediately serve medicinal chemists by informing the selection of lead compounds much earlier in the drug discovery pipeline. This lecture will present our general approach to late stage fluorination and the recent contribution we have made to this field of research with the labeling of a range of ¹⁹F- and ¹⁸F-(bio)molecules for applications in medicinal chemistry and PET imaging.