

[¹⁸F]Ethenesulfonyl Fluoride: Making Radiofluorination Reactions Accessible

Background

¹⁸F fluorinations currently rely on a time-consuming, multi-step process to prepare a suitable labelling solution. This is typically achieved by the removal of bulk water that precludes efficient nucleophilic fluorinations and through complexation with counter-ions. This aspect, as well as the significant inconvenience of transporting such labelling solutions, has negated the possibility for development of “shake & bake” ¹⁸F fluorination procedures.

Aims

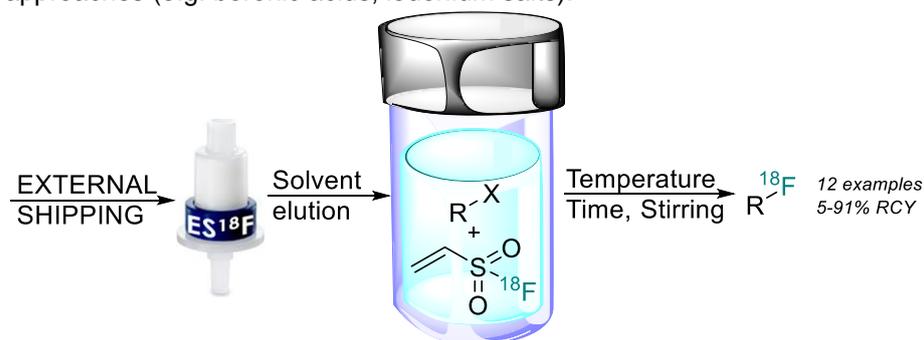
We aim to identifying a process that could make radiofluorination reactions accessible to a wider range of hospital settings including minimally equipped Nuclear Medicine departments.

Methods

We have synthesized a new radiomolecule, [¹⁸F]ethenesulfonyl fluoride (ESF) and purified it by distillation and trapping into a standard silica cartridge as an absorbed gas. The cartridge can be shipped off-site and subsequently eluted with any solvent into a single-use vial containing the radiolabelling precursor, Et₄NHCO₃ and additional catalysts (where needed). The radiofluorination reaction is then performed using a standard heating block with magnetic stirring for 5-30 min depending upon the desired radiotracer.

Results

We have tested this “radiofluoride relay” species in the radiolabelling of 7 widely utilized PET tracers (e.g. FDG, FLT, Fallypride) and 5 model substrates. In all cases, we obtained RadioChemical Yields comparable to or higher than those obtained using traditionally dried [¹⁸F]Et₄NF complex. We have also tested [¹⁸F]ESF on more recently published precursor approaches (e.g. boronic acids, iodonium salts).



Conclusion

We believe that [¹⁸F]ESF has significant potential to be a game changer in the radiochemistry field due to its straight-forward and reliable synthesis, ease of transport and user friendly radioabelling process. This innovative approach could represent the basis for operationally easy to use kits for the synthesis of ¹⁸F PET tracer, thus realizing an accessibility like the one of ^{99m}Tc radiopharmaceuticals.