Direct and highly efficient aromatic ^{18}F-labeling for macromolecular systems

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Introduction: Cancer is quickly becoming the second largest cause of death in the EU. Predictions are that worldwide there will be 17.5 million deaths and 27 million new cases annually by 2050. In this research project radionuclides, for diagnosis and treatment, will be incorporate in newly developed molecular and supramolecular carriers. These carriers will then be evaluated in pre-clinical studies. The research work is done in close cooperation with the partners in the TRACEnTREAT consortium. The last step of all research within the consortium is radiotherapeutic evaluation which will be limited to neuroendocrine tumours.

The project: These partners will provide us with new radionuclides when available and molecular and supramolecular carriers. These carriers are then to be labeled with radionuclides for therapy or imaging. Labeling will be primarily done using chelators and radiometals or 18F. The project is split up in two parts where one person will focus on the labeling using radiometals and one person will focus on ^{18}F labeling. The here described part relates to ^{18}F labeling.

Due to the relatively short half life of 18F it is important to have labeling done as quickly as possible in order to have the best radiochemical yield possible. Often labeling using 18F is done via a prosthetic group.
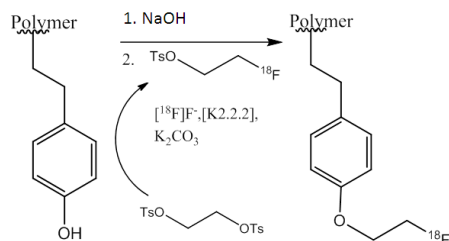

Figure 1 Labeling using prosthetic groups ([^{18}F]FETos)

This labeling though often efficient goes in two steps. During this project novel methods for direct labeling will be developed by which valuable time can be saved.
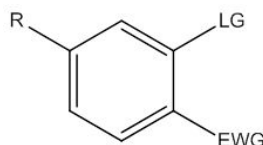

Figure 2 a standard layout for an aromatic system activated for direct labelling

In the above shown molecule R represent a linker group which can be or is coupled to the compound to be labelled. EWG is a strong electron withdrawing group e.g. CN, NO_2, CHO. LG is a good leaving group e.g. Br, I, NO_2, NMe_3^+.