Synthesis of 4-[^{18}F]Fluorobenzaldehyde in a CPCU for Peptide Labeling

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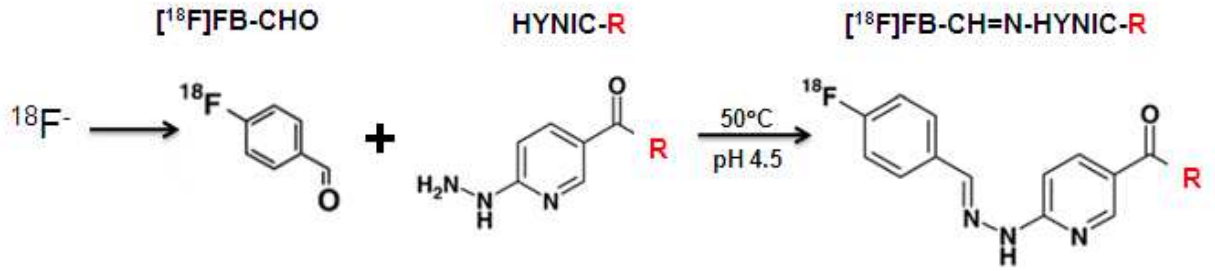
Objetives: Implement the synthesis of 4-[18F]fluorobenzaldehyde ([^{18}F]FB-CHO) in a CTI/Siemens Chemistry Process Control Unit (CPCU) for peptide labeling.

Methods: No-carrier-added [^{18}F]FB-CHO was prepared by radiofluoridation of 4-formyl-*N,N,N*-trimethylanilinium triflate precursor in two reaction vessels. Reagents used in the synthesis are summarized in table below. After elution of $^{18}F^-$ from QMA cartridge and azeotropic distillation at 110°C in reaction vessel #1, precursor was added, bubbled for a few seconds, and transferred to reaction vessel #2. Fluorination reaction was performed at 60°C for 10 min [Speranza et al., Appl. Radiat. Isot. 67 (2009) 1664] and the residue mixture was diluted with 3 mL of H_2O. The product was trapped in a Sep-Pak C18 cartridge and washed with 10 mL of H_2O. [^{18}F]FB-CHO was eluted with 0.5 mL of EtOH. For peptide labeling HYNIC-peptide conjugates were incubated with [^{18}F]FB-CHO at 50°C, 25 min, pH 4.5. Purification was performed by gradient-HPLC in a semi-prep C18 reverse phase column with EtOH/H_2O 10-80% in 20 min [Lee et al., Nucl. Med. Biol. 33 (2006) 667]



Vial #	Reagents Vessel # 1	Reagents Vessel #2
1	K222/K_2CO_3	Vial empty
2	2 mL CH_3CN	Vial empty
3	5 mg precursor in 1 mL DMSO	Vial empty
4	Vial empty	3 mL H_2O
5	Vial empty	10 mL H_2O

Results: [^{18}F]FB-CHO was obtained in a decay corrected RCY of 30% within 50 min with a RCP>95%. The peptides Try^3-Octreotide (TOC) and c-RGDyK (RGD) were labeled with 60-90 efficiencies with RCP>99% after HPLC purification, independently of the peptide used. MicroPET studies were performed with [^{18}F]FB-CH=N-NYNIC-RGD using C6 glioma xenografts in nude mice.

Conclusions: After the CPCU was replaced with a modern FDG-maker in our institution, to this chemistry module was given a second chance for the synthesis of other tracers taking advantage of its simplicity and versatility. In this work, [^{18}F]FB-CHO was successfully prepared and used for peptide labeling with a RCY highly enough for clinical applications.