A Novel ^{18}F Scaffold for Preparing Targeted PET Imaging Probes

This technology is a novel scaffold for quickly preparing ^{18}F positron emission tomography (PET) probes by labeling peptides, proteins or other disease-specific biomolecules. The most commonly used PET probe (FDG), which is a glucose analog, has limitations when used to detect some small tumors particularly in regions with high metabolic activity so that it may be difficult to discern a signal given the noise. FDG also takes approximately 80 minutes to produce which wastes short-lived ^{18}F radioactivity. In contrast, our probes can be produced in approximately 10 minutes and are extremely stable.

COMMERCIAL OPPORTUNITY

- In 2011, 1.85 million PET scans were performed in the US, and the commonly used PET probe (FDG) takes approximately 80 minutes to synthesize compared to the half life of ^{18}F radioactivity of approximately 110 minutes, using a large fraction of the half-life of ^{18}F for the synthesis.
- The preparation time for probes using our scaffold is10 minutes (vs. 80 minutes for FDG), and it is stable for at least 52 hours. It is cheap to produce as the main reagent is tris—an inexpensive buffer, and it can be attached to a variety of glucose-independent biomolecules, including peptides and proteins.
- While FDG remains a “gold standard” of PET probes, it’s low specificity may produce suboptimal results in cancer imaging aimed at detection of small tumors and micro-metasteses, especially in the metabolically active tissues (brain, liver, spleen, lung, breast etc). Our targeted PET probes may circumvent these limitations.
- This market for targeted PET imaging probes is attractive as evidenced by several novel PET probes currently in development. ^{18}F-FLT (SNMMI) is in the active Phase 4 clinical trial for targeted breast cancer imaging, ^{18}F-FCH (SNMMI) is in the Phase 0 clinical trial for prostate cancer staging, and ^{18}F-FAC (Sofie Biosciences) is in the early clinical trials involving cancer patients. In non-cancer indications, Flurpiridaz F-18 (Lantheus) is in a phase 3 clinical trial for myocardial perfusion imaging for the detection of coronary artery disease.

TECHNOLOGY

Our technology is a 1-bora-2,6,7-trioxabicyclo[2.2.2]octane scaffold prepared from inexpensive Tris buffer, that can be conjugated to peptides, proteins, or other biomolecules. PET probes can be created by attaching a fluoride anion, and are stable in water for 52 hours. We have a patent application covering a modified method to decrease the preparation time to 10 minutes and 2 steps (compared to 80 minutes and three steps for FDG). Unlike common methods that utilize heteroatoms to capture fluoride, our scaffold is a super Lewis Acid. Hence, it reacts with aqueous fluoride very rapidly to form a stable compound. The synthesis route was developed using cold fluorine to minimize cost and radioactivity exposure, but there is no reason to believe that the reaction will be any different (more complicated or time-consuming) for radioactive fluorine (^{18}F).

PUBLICATION/PATENT

- Provisional patent application filed on 01/24/2013 for Dr. Mark Mclaughlin.

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