USC Stevens Center for Innovation

USC University of Southern California

One-Pot Synthesis of 18F FMAU

USC Case #10-591

Market Opportunity:

Increased cellular proliferation is an integral part of the cancer phenotype. Several in-vitro assays have been developed to measure the rate of tumor growth, but these require biopsies, which are particularly difficult to obtain over time and in different areas of the body in patients with multiple metastatic lesions. Most of the effort to develop imaging methods to noninvasively measure the rate of tumor cell proliferation has focused on the use of Positron Emission Tomography (PET) in conjunction with tracers for the thymidine salvage pathway of DNA synthesis. Amongst the cell proliferation monitoring PET-Probes 18F FMAU is considered to be one of the best. However, multi-step approach for the synthesis of 2′-18F labeled nucleosides involves bromination. Unfortunately, the highly corrosive nature of HBr/HOAc can result in sugar hydrolysis and a shortened shelf-life of automated equipment employed in the synthesis. Further, the overall yield for this approach was low, considering 3–5 hours were needed for the 4-step radiosynthesis.

USC Solution:

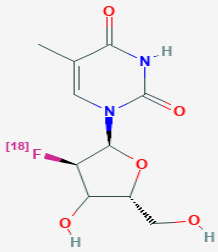
USC researchers were successful in eliminating the bromination process and synthesizing 18F-FMAU using one-pot reaction conditions in the presence of Friedel-Crafts catalysts. The one-pot reaction conditions are incorporated into a fully automated cGMP-compliant radio synthesis module, which results in a reduction in synthesis time and simplifies reaction conditions. The products from the one-pot reaction can be used as probes for imaging tumor proliferative activity. More specifically, these [18F]-labeled thymidine or cytidine analogs can be used as a PET tracer for cancer disease, autoimmunity inflammation, and bone marrow transplant.

Value Proposition

- Simplifies the reaction procedures
- Increases yield
- Reduces synthesis time by half
- This method can be used to synthesize other 5-substituted thymidine or cytidine analogs

Keywords:

Positron Emission Tomography, nuclear medicine, cell proliferation, imaging



Applications

- PET Imaging
- Nuclear Medicine
- Diagnostics
- Theranostics

Stage of Development

- Under Clinical Trial - **NCT02079181; NCT02809690**
- Available for exclusive and non-exclusive licenses

Intellectual Property

Status:

US Patent no: 8,912,319
Europe Patent: Under Prosecution

Key Publication:

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