Synthesis of Fluorinated Radiopharmaceuticals via Electrochemical Fluorination

Tech ID: 23802 / UC Case 2013-799-0

SUMMARY

UCLA researchers in the Department of Molecular and Medical Pharmacology have developed efficient and high-yield electrochemical fluorination techniques for aromatic PET tracer synthesis.

BACKGROUND

Positron emission tomography (PET) is a powerful diagnostic imaging tool and is increasingly being used to detect and monitor cancer, and cardiovascular and neurological diseases. Fluorine-18 (^{18}F) labeled compounds are the most common type of radiotracers utilized for PET imaging due to the radioisotope's ideal half-life. However, one of their chief limitations is that few methods exist for incorporation of ^{18}F into aromatic organic molecules. The majority of existing methods for the incorporation of ^{18}F proceed via nucleophilic substitution reactions, which many pharmaceutical aromatic structures are not readily amenable to, thereby limiting the diversity and development of new PET probes. One approach to making nucleophilic substitution more favorable is to use electrophilic fluorination, but current methods require that F_2 gas be used as a carrier. This significantly decreases the radiochemical yield and specific activity, and requires extensive purification and isolation. Therefore, improved synthetic methods are needed for wider access to PET tracers.

INNOVATION

By means of electrochemistry, UCLA researchers have demonstrated electrochemical radiofluorination synthesis that can proceed under mild conditions with higher efficiency and significantly higher radiochemical yield and specific activity. This new technique provides a path for the production of a variety of aromatic organic compound PET tracers. The approaches uses applied electrode potentials that can be tuned precisely according to the nature of the aromatic hydrocarbons, which minimizes the production of side products, thereby improving yield and reducing the need for extensive purification.

APPLICATIONS

- New synthetic method for radiolabeled aromatic substrates with ^{18}F

ADVANTAGES

- Higher efficiency, higher radiochemical yield and specific activity
- Minimize side products, can be easily purified

STATE OF DEVELOPMENT

Proof-of-concept experiments with the electrochemical technique have been successfully conducted on 18F labeling of benzene, catechol and other derivatives.

PATENT STATUS

Country	Type	Number	Dated	Case
United States Of America	Issued Patent	10,597,340	03/24/2020	2013-799

CONTACT

UCLA Technology Development Group
ncd@tdg.ucla.edu
tel: 310.794.0558.

INVENTORS

- Sadeghi, Saman

OTHER INFORMATION

KEYWORDS

radiotracer, Positron emission tomography (PET), radiosynthesis, electrophilic, nucleophilic, aromatic, radiopharmaceutical, fluorine-18 (18F), electrochemistry, radiofluorination

CATEGORIZED AS

- Imaging
- Medical
- Molecular
- **Medical**
- Imaging

RELATED CASES

2013-799-0

ADDITIONAL TECHNOLOGIES BY THESE INVENTORS

- Electrochemical Flash Fluorination and Radiofluorination

UCLA Technology Development Group

10889 Wilshire Blvd., Suite 920,Los Angeles,CA 90095

tdg.ucla.edu

Tel: 310.794.0558 | Fax: 310.794.0638 | ncd@tdg.ucla.edu