Novel Method of Radiofluorination

Tech ID: 24560 / UC Case 2014-792-0

SUMMARY

UCLA researchers have developed a novel method for rapidly radiolabeling molecules with fluorine-18.

BACKGROUND

Positron emission tomography (PET) is an extremely powerful diagnostic tool used to visualize functional processes in the body and is commonly used for clinical diagnosis and oncology treatment. A biologically active molecule is first labeled with a fluorine-18 tracer and then introduced into the body, where a computer reconstruction analysis can render three-dimensional images of the tissue. Typically, PET tracers are synthesized by nucleophilic radiofluorination of activated precursors using fluorine-18 produced in a cyclotron, followed by a necessary step of water removal. During this process, however, there is a substantial loss of initial radioactivity owing to radioactive decay due to the short half-life of fluorine-18, as well as other losses. Several approaches for elimination of the drying step have been reported, but all have narrow specificity and cannot be applied to producing diverse types of tracers. Thus, there is a great need to reduce this lengthy drying process and develop radiofluorination methods that allow direct use of aqueous fluorine-18 with diverse classes of organic molecules.

INNOVATION

Researchers in the UCLA Department of Molecular and Medical Pharmacology have developed a method for radiolabeling molecules with fluorine-18. This highly efficient method is suitable for a range of organic molecules, including aromatic, aliphatic, and cycloaliphatic compounds that may be used as precursors for PET tracers. By this method, transition metal oxides are used as catalysts for nucleophilic SN2-type radiofluorination reactions of organic substrates in solution. Using these catalysts, a much higher water content is tolerable than normally possible and the need for extensive water removal is eliminated, thus reducing overall synthesis time, increasing radioactive yield, and simplifying the synthesis apparatus. The catalysts required for this simple process are commercially available at low cost.

APPLICATIONS

- Preparation of radiolabeled molecules as tracers for positron emission tomography (PET) research or clinical studies
- Production of fluorine-containing pharmaceuticals

ADVANTAGES

- Short radiosynthesis time of fluorine-18 containing compounds, leading to decreased radioactive decay and increase in yield
- Simple, straightforward method
- Inexpensive, readily available catalyst
- Broad chemical substrate scope

STATE OF DEVELOPMENT

A method of radiofluorination has been developed and optimized, and has exhibited high radiochemical yields within a range of chemical substrates.

PATENT STATUS

Country	Type	Number	Dated	Case

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INVENTORS

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OTHER INFORMATION

KEYWORDS

Positron emission tomography (PET), PET tracers/probes, radiosynthesis, radiofluorination, fluoride drying, catalysis, aqueous [18F], [F-18], fallypride

CATEGORIZED AS

- **Imaging**
  - Medical
  - Molecular
- **Medical**
  - Imaging
  - Research Tools

RELATED CASES

2014-792-0

United States Of America	Issued Patent	9,895,454	02/20/2018	2014-792

RELATED MATERIALS

- High specific activity using lower starting radioactivity for 18F-labeled PET tracers synthesized in the microscale compared to the macroscale
- Titania-catalyzed radiofluorination of tosylated precursors in highly aqueous medium. J Am Chem Soc. (2015)

ADDITIONAL TECHNOLOGIES BY THESE INVENTORS

- Device and Method for Microscale Chemical Reactions
- Microscale Device and Method for Purification of Radiopharmaceuticals
- Digital Microfluidic Platform for Radiochemistry
- Method for Concentration and Formulation of Radiopharmaceuticals

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