SFU SIMON FRASER UNIVERSITY

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Novel 18F-Fluorinated Amino Acids as Oncological PET Radiotracers

New process for creating radiolabeled compounds with short radiosynthesis time without racemization of starting material or products

Request an introduction

Reference: 2016-010

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IP Status

Patent application submitted

Seeking

Development partner

Background

Radiolabeled amino acids have been used for PET imaging of tumors for decades. Of the naturally occurring amino acids, leucine is particularly important to cancer biology and shows significant uptake in many types of primary and metastatic tumor sites. However, traditional strategies for incorporating radionuclides into leucine require difficult-to-synthesize precursors and chemically harsh conditions (high temperatures, harsh reagents) that are incompatible with radiotracer production. Hence, there is a real need for simple and robust synthesis processes for the preparation of radiolabeled amino acids, and particularly derivatives of leucine, that can be used for detection and treatment monitoring of cancer.

Tech Overview

SFU researchers in collaboration with radiochemists at TRIUMF have developed a novel process for synthesizing 18F-fluorinated amino acids by direct fluorination of unprotected amino acids (Figure 1). This process does not require precursor synthesis or use of protecting/prosthetic groups. The innovative and convenient process that comprises the present invention can be carried out at room temperature in aqueous solution, thereby not requiring drying of reagents or solvent switches. Therefore, the reaction products require minimal purification and material manipulation, and the products can be isolated as suitable formulation for intravenous (IV) injection. Importantly, this new process involves a short radiosynthesis time and does not lead to racemization of starting material or products, providing substantially enantio-pure products.

Benefits

The mild and robust 18F-labeling process of the present invention can provide ready/easy access to radiolabeled amino acids for rapid proof-of-feasibility and/or activity studies and enable the high throughput production and screening of radiotracers and accelerate discovery.

Applications

- Radiolabeled compounds that can be used as imaging agents for detection and treatment monitoring of cancer using positron emission tomography (PET) applications (Figure 2)
- New and improved way to create PET radiotracers by direct fluorination of unprotected amino acids, allowing easy access to a family of chemicals that show potential for development of oncological imaging agents

Opportunity

- Development partner to evaluate promising lead radiotracers for oncological PET imaging applications

Patents

- PCT/CA2017/050996

Appendix 1

Figure 1

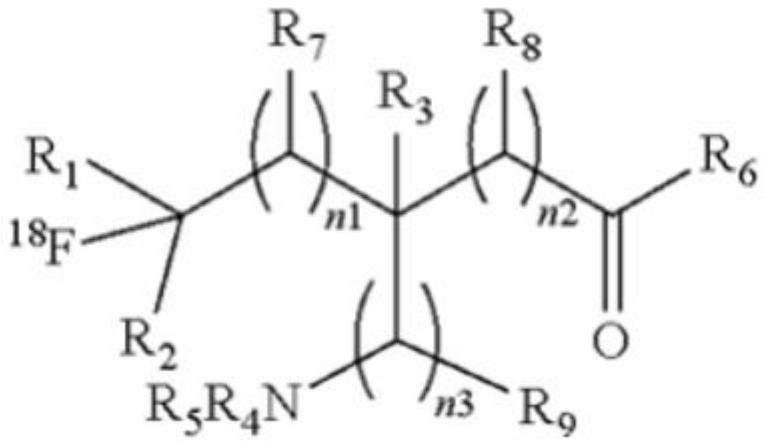
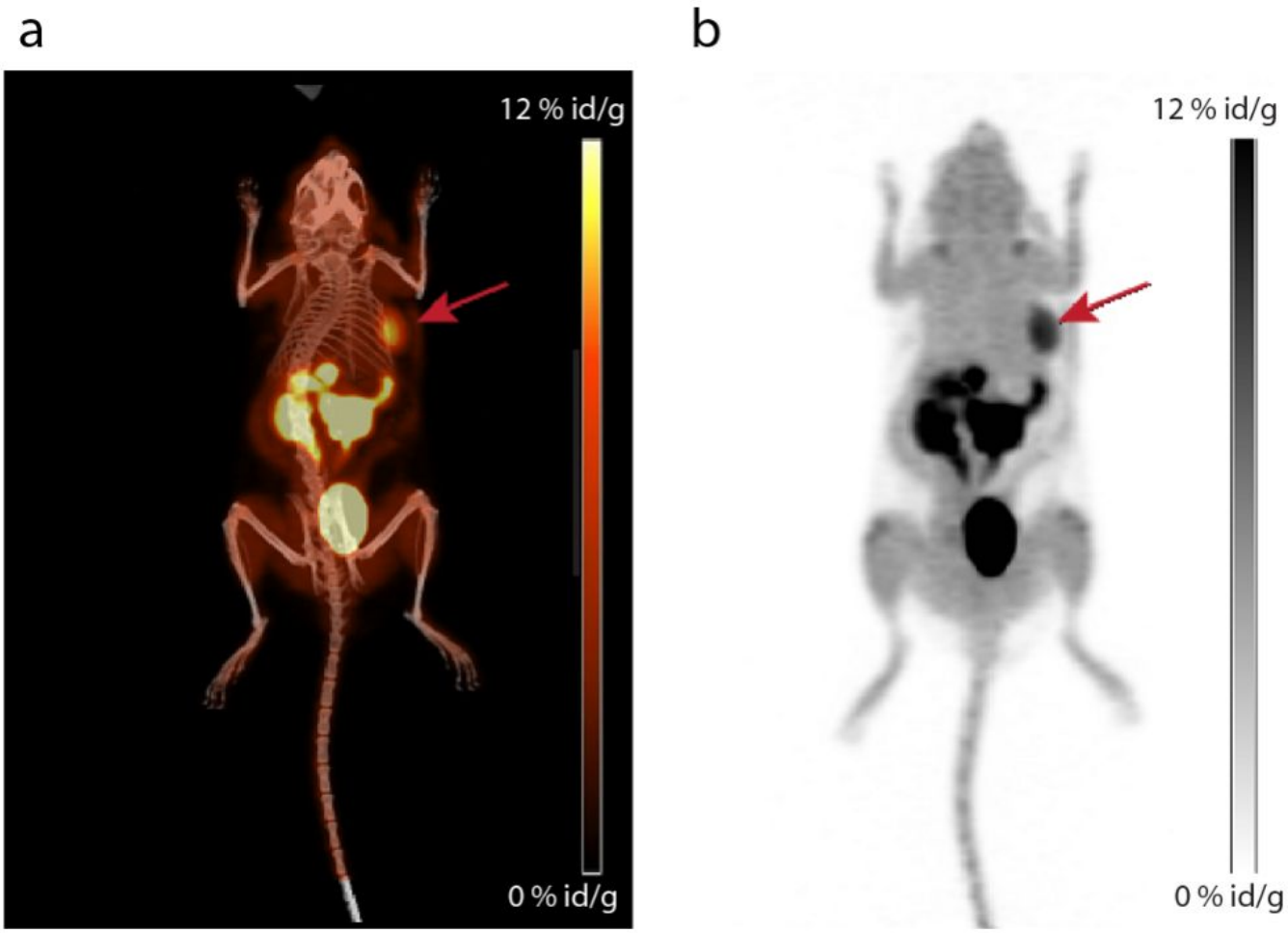


Figure 2



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