Simplified One Pot Synthesis of [18F]SFB for Radiolabeling

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SUMMARY

UCLA investigators in the department of Biological Chemistry have developed a high throughput screen to test for novel tyrosine kinase inhibitors that target regulatory protein binding. This assay has the potential to identify lead compounds to treat chronic myelogenous leukemia and other cancers dependent on tyrosine kinase signaling.

BACKGROUND

In the last two decades, N-succinimidyl-4-[18F]fluorobenzoate ([18F]SFB) has been used as a radiolabeling tag for small molecules, peptides, proteins, and other biomolecules to yield radiotracers. These radiotracers can be used for in vitro or in vivo biological assays, such as binding studies or positron emission tomography (PET). An obstacle that prevents this labeling procedure from being widely used is that the radiosynthesis of [18F]SFB is time-consuming and complex. Multiple reaction vessels and several steps are necessary to produce the final tracers. Therefore, there is a need for a simplified synthesis of [18F]SFB that can increase radiochemical yield and facilitate automation.

INNOVATION

UCLA researchers have developed a novel procedure to synthesize [18F]SFB that reduces its inherent complexity, improves radiochemical yields, and reduces the overall reaction time. In contrast to other methods reported in literature, this new process is performed using only one reactor and one solid-phase extraction (SPE) cartridge for final purification. Most importantly, this simplified synthesis is ready to be automated. The development of an automated [18F]SFB synthesizer is critical because it will enable many biologists and clinicians worldwide to label biomolecules of interest with fluorine-18 in order to facilitate fundamental biomedical research or drug development.

APPLICATIONS

- Use of [18F]SFB to radiolabel a variety of biomolecules or small molecules to produce radiotracers for biological and medical applications
- Potential to develop a fully automated system to perform routine [18F]SFB production

ADVANTAGES

- Reduces overall complexity of radiosynthesis
- Reduces the total probe synthesis time
- Increases specific activity of final probe
- Improves radiochemical yields
- Simplifies and speeds up purification process
- Ready to automate

STATE OF DEVELOPMENT

The invention is at the stage of routine use in the inventors laboratories. The current synthesis can be performed reliably.

PATENT STATUS

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