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Title Key: Synthesis and Evaluation Of F-18 Labeled …

Synthesis and Evaluation Of F-18 Labeled Mono- And Di-crgd Peptides *Via* Strain-Promoted Click Chemistry For Micro PET Imaging Study

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1. Introduction

Over the past decade, many F-18 radiolabeled cyclic RGD peptides have been evaluated as radiotracers for imaging tumors by SPECT or PET. ^{18}F is the most widely used positron-emitting radioisotope for PET imaging due to the short half-life of fluorine-18 ($t_{1/2}$ = 109.8 min), and its physical properties and nuclear characteristics are ideally can be incorporated into cyclic RGD peptide via a covalent bond without the need of bifunctional chelator (BFC). We developed ^{18}F labeled mono-, and di-cRGD Peptides using Strain-promoted azide-alkyne cycloadditon reaction for micro PET imaging.

2. Method:

In this method, the strain-promoted azide and alkynes cycloaddition reaction using the mono-and di-cRGD-ADIBO peptide precursors with the ^{18}F-PEG-azide and subsequent chemo-orthogonal purification reaction with azide resin proceeded fast and selectively under physiologically friendly reaction condition (i.e., toxic chemical reagents-free, aqueous medium, room temperature, pH≈7), and provided ^{18}F-labeled mono- and di- cRGD Peptides. In addition, microPET images were acquired using a microPET/CT scanner.

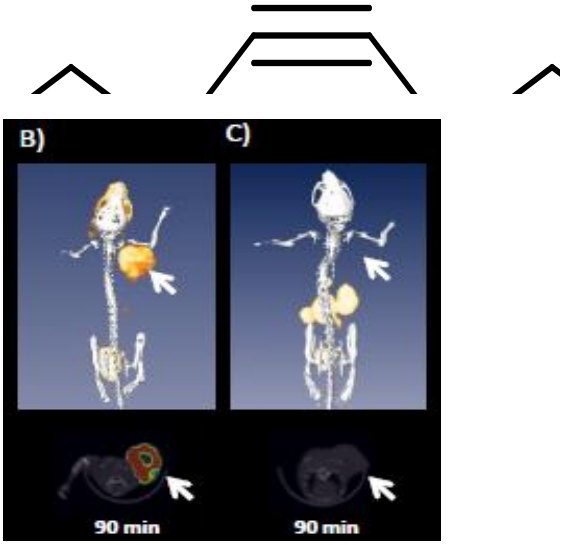

Figure 1. A) Synthesis of F-18 labelled (cRGD)n based on chemo-orthogonal SPAAC reaction protocol; microPET-CT images of U87MG tumor bearing mice at 90 min post-injection of 1.8 MBq of cRGD2-PEG4-ADIBOT-^{18}F without (B) and with (C) (denoted as "Blocking") a co-injection of nonradioactive cRGD2-PEG4-ADIBOT-F. Tumors are indicated by white arrows.

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Results and Discussion:

We synthesized mono-, and di- ^{18}F-labelled tumor targetable bioactive peptides

such as cRGD1-ADIBOT-^{18}F, cRGD1-PEG4-ADIBOT-^{18}F, cRGD2-ADIBOT-^{18}F, and cRGD2-PEG4-ADIBOT-^{18}F in excellent dcRCYs (90-92%) and radiochemical purities (> 98%) within only a 35 min total reaction time with high specific activity and *in vivo* PET molecular imaging study using the ^{18}F-labelled cRGD peptides also demonstrated successful application of our ^{18}F-labeling protocol.

Conclusion:

In summary, this contribution described the advantage of strain-promoted alkyne-azide 1,3-dipolar cycloaddition in comparison to copper-catalyzed version for selective ^{18}F-radiolabeling of mono-, and di-cRGD peptides without apparent physiological harm. This compound showed rapid and higher tracer uptake in U87MG tumors and relatively good metabolic stability, as well as favorable in vivo pharmacokinetics. We expect that the reaction condition presented here will widen the application of the click reaction for the preparation of ^{18}F-labeled peptides to various types of biomolecules for microPET imaging study.

7. References

1. Haubner R, et al (2004) Bioconjugate Chem, 15, 61-69.
2. Mittra ES, et al (2011) Radiology, 260, 182-191.
3. Wu Z, et al (2007) J Nucl Med, 48, 1536–1544.
4. Sachin K, et al (2012) Bioconjugate Chem, 23, 1680– 1686.