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[¹⁸F]Fluoro-C-glycosides to radiolabel peptides for PET imagingSandrine Lamandé Langle
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The ¹⁸F-labeling of peptides for positron emission tomography (PET) applications has been used for many years. However, the sensitivity of peptides does not allow their direct radiolabelling under harsh conditions, except few recent examples. A solution is to use a prosthetic group, an easily radiolabeled small molecule, subsequently coupled in mild condition to the peptide. In continuation of our previous work, we propose to develop and use new carbohydrate based prosthetic groups. The use of sugar derivatives as prosthetic group would improve bioavailability and pharmacokinetic properties of peptides. Similar in structure to O-glycosides, C-glycosyl derivatives with high chemical and biological stability towards enzymatic hydrolysis will be preferred.



The synthesis and radiosynthesis of 6-[¹⁹F/¹⁸F]fluoro-C-glycosides displaying a three carbon arm terminated with an azide group were optimized. A copper catalyzed azide alkyne cycloaddition (CuAAC) of fluoro-C-glycosides with propargylated model peptides containing a cysteine residue as RGDC and c(RGDfC) gave [¹⁹F/¹⁸F]fluoro-glycopeptides in good yields. [¹⁸F]fluoroglyco” RGD” could become radiotracers for imaging of tumor angiogenesis in PET.

Biography

Sandrine Lamandé Langle has completed his PhD from Université des Sciences et Techniques de Tours and Post-doctoral studies from Commissariat à l'Energie Atomique (CEA) in Orsay. She is Assistant Professor in Université de Lorraine since 2006 and is involved in carbohydrate chemistry and radiochemistry.

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