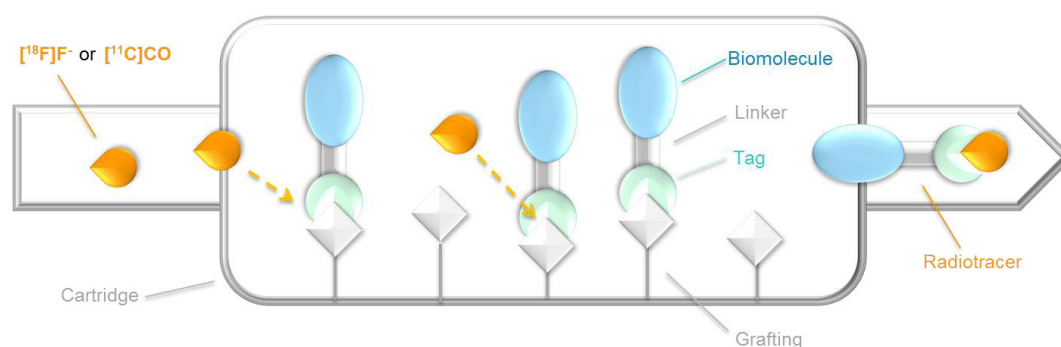


^{18}F - and ^{11}C -labelled bioconjugates for positron emission tomography (PET): from design to developing new synthetic tools

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Positron Emission Tomography (PET) has become a powerful tool for medical diagnostic over the last decades, and incorporation of ^{18}F ($t_{1/2} = 109.8$ min) and ^{11}C ($t_{1/2} = 20.4$ min) isotopes into molecules of biological interest has been extremely investigated by organic chemists.^[1] However, regarding their extremely short half-lives, the time to prepare the injected sample (i.e. synthesis *and* purification) has to be reduced to the minimum to achieve an efficient procedure, and the overall operating mode should be manageable by non-chemists technicians. Such constraints can explain the difficulty to transfer new synthetic methods to clinical applications.^[2] Indeed, standard strategies often imply complex chemical preparations and/or need a time-consuming HPLC purification at the end of the synthesis to remove the large excess of starting material (usually 10^3 to 10^5 fold). In this context, we will describe the designs and the syntheses of new biomolecule-based conjugates, which allow a last-step labelling by $[^{18}\text{F}]\text{fluoride}$ ^[3] or $[^{11}\text{C}]\text{CO}$.^[4,5] Moreover, exploratory researches to prepare solid-phase supported precursors with a labelling-triggered release will be also presented, aiming fully automated and user-friendly procedures for the versatile production of PET tracers.^[6,7]



References

1. S. M. Ametamey, M. Honer, P. Schubiger, *Chem. Rev.* **2008**, *108*, 1501.
2. M. G. Campbell, J. Mercier, C. Genicot, V. Gouverneur, J. M. Hooker, T. Ritter, *Nat. Chem.* **2017**, *9*, 1.
3. M. Tisseraud, J. Schulz, D. Vimont, M. Berlande, P. Fernandez, P. Hermange, E. Fouquet, *Chem. Commun.* **2018**, *54*, 5098.
4. T. Cornilleau, H. Audrain, A. Guillemet, P. Hermange, E. Fouquet, *Org. Lett.* **2015**, *17*, 354.
5. T. Cornilleau, M. Simonsen, M. Vang, N. Taib-Maamar, J. Dessolin, H. Audrain, P. Hermange, E. Fouquet, *Bioconjugate Chem.* **2017**, *28*, 2887.
6. S. Boldon, I. S. R. Stenhagen, J. E. Moore, S. K. Luthra, V. Gouverneur, *Synthesis* **2011**, *24*, 3929.
7. A. Tabey, H. Audrain, E. Fouquet, P. Hermange, *Chem. Commun.* **2019**, *55*, 7587.