

University of Groningen

Establishing the production of clinically relevant PET tracers via Ru-mediated ^{18}F -deoxyfluorination

Santos Clemente, dos, Gonalo; Rickmeier, Jens; Luurtsema, Gert; Ritter, Tobias; Elsinga, Philip H.

IMPORTANT NOTE: You are advised to consult the publisher's version (publisher's PDF) if you wish to cite from it. Please check the document version below.

Document Version

Publisher's PDF, also known as Version of record

Publication date:
2020

[Link to publication in University of Groningen/UMCG research database](#)

Citation for published version (APA):

Santos Clemente, dos, G., Rickmeier, J., Luurtsema, G., Ritter, T., & Elsinga, P. H. (2020). *Establishing the production of clinically relevant PET tracers via Ru-mediated ^{18}F -deoxyfluorination*. Abstract from Carbon, Fluorine and Organohalogen Radiochemistry 2020, London, United Kingdom.

Copyright

Other than for strictly personal use, it is not permitted to download or to forward/distribute the text or part of it without the consent of the author(s) and/or copyright holder(s), unless the work is under an open content license (like Creative Commons).

Take-down policy

If you believe that this document breaches copyright please contact us providing details, and we will remove access to the work immediately and investigate your claim.

Downloaded from the University of Groningen/UMCG research database (Pure): <http://www.rug.nl/research/portal>. For technical reasons the number of authors shown on this cover page is limited to 10 maximum.

TITLE OF THE ABSTRACT	<i>Establishing the production of clinically relevant PET tracers via Ru-mediated ¹⁸F-deoxyfluorination</i>
AUTHOR(s)	<i>Gonçalo S. Clemente¹, Jens Rickmeier², Gert Luurtsema¹, Tobias Ritter², Philip H. Elsinga¹</i>
AFFILIATION(s)	<i>¹Department of Nuclear Medicine and Molecular Imaging – University Medical Center Groningen, University of Groningen, The Netherlands ²Max-Planck-Institut für Kohlenforschung, Germany</i>
Topic	<i>Fluorine-18 Chemistry</i>

Background (Max 50 words)

In the last decade, several strategies emerged for the ¹⁸F-fluorination of arenes not amenable to aromatic nucleophilic substitution. However, most struggle to be translated efficiently into daily routine or lack multicenter evaluation. Presumably due to some practical drawbacks initially reported^[1,2], ruthenium-mediated ¹⁸F-deoxyfluorination has also remained a dormant radiolabeling strategy.

Aims (Max 50 words)

To try to overcome some of the practical drawbacks of ruthenium-mediated ¹⁸F-deoxyfluorination that may be preventing this technique from being widely used, an optimized, and straightforward approach was developed^[3]. With this, we aim to stimulate a broader application of this strategy to clinically relevant PET tracers throughout radiochemistry laboratories.

Methods (Max 50 words)

To facilitate [¹⁸F]fluoride washing/drying procedures, enhance efficiency, reduce precursor amount, and replace the need for non-commercial additives or different solvent mixtures throughout the radiolabeling process, several modifications to the original report were evaluated. The improved method was then used for ¹⁸F-labeling clinically relevant molecules (see Figure) and was easily automated.

Results and Conclusion (Max 50 words)

The improved procedure overcame previously known hurdles and now allows faster and practical translation to clinical settings. This enhanced method reliably yielded 5-[¹⁸F]fluoro-tryptophan, [¹⁸F]atorvastatin, or [¹⁸F]MC225 in 28% ± 16% (d.c.) with molar activity up to 100 GBq.μmol⁻¹. Additionally, this procedure showed the possibility of direct fluorination with aqueous [¹⁸F]fluoride.

References (Max 3 references)

1. Beyzavi MH *et al.* ¹⁸F-Deoxyfluorination of Phenols via Ru π-Complexes. *ACS Central Science*. 2017;3(9):944-948.
2. Rickmeier J and Ritter T. Site-Specific Deoxyfluorination of Small Peptides with [¹⁸F]Fluoride. *Angewandte Chemie Int. Ed.* 2018;130(43):14403-14407.
3. Clemente GS *et al.* [¹⁸F]Atorvastatin: synthesis of a potential molecular imaging tool for the assessment of statin-related mechanisms of action. *EJNMMI Research*. 2020;10(34)

Figure: Ru-mediated ^{18}F -deoxyfluorination of clinically relevant PET tracers.

