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## Corrigendum: Modular Medical Imaging Agents Based on Azide-Alkyne Huisgen Cycloadditions

Böhmer, Verena I.; Szymanski, Wiktor; van den Berg, Keimpe-Oeds; Mulder, Chantal; Kobauri, Piermichele; Helbert, Hugo; van der Born, Dion; Reeßing, Friederike; Huizing, Anja; Klopstra, Marten

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# CORRIGENDUM

V. I. Böhmer, W. Szymanski,\*  
K.-O. van den Berg, C. Mulder, P. Kobauri,  
H. Helbert, D. van der Born, F. Reeßing,  
A. Huizing, M. Klopstra, D. F. Samplonius,  
I. F. Antunes, J. W. A. Sijbesma,  
G. Luurtsema, W. Helfrich, T. J. Visser,  
B. L. Feringa,\* P. H. Elsinga\* 10871–10881

**Modular Medical Imaging Agents Based on Azide–Alkyne Huisgen Cycloadditions: Synthesis and Pre-Clinical Evaluation of <sup>18</sup>F-Labeled PSMA-Tracers for Prostate Cancer Imaging**

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The published article is lacking a highly relevant reference regarding clickable PSMA-ligands with alkyne-moiety. Hence, the authors would like to acknowledge the work by Kelly et al. (2017), who already presented six alkyne-functionalized PSMA binding motifs, three triazolyl and three triazolylmethoxy variants with subsequent successful radiolabeling with [<sup>18</sup>F]fluoro-azide using azido-ethylene synthons. The authors would like to apologize for the oversight.

The following is the relevant section of the paper with amendments highlighted in italics:

## Results and Discussion

### Design of F-PSMA-MIC01.

PSMA is a well-characterized target in structure-activity-relationship (SAR) studies.<sup>[53]</sup> The natural function of this membrane zinc-metalloproteinase is to cleave glutamate from *N*-acetyl-L-aspartyl-L-glutamate. This antigen has a glutamate-favoring S1'-pocket<sup>[54–56]</sup> and SAR analysis revealed an adaptive, hydrophobic-favoring S1-pocket, created by an arginine patch formed by Arg463, Arg534 and Arg536 that can accommodate a variety of inhibitors.<sup>[57]</sup> PSMA-targeting compounds with the Glu-urea-Lys motif bind to the S1-hydrophobic pocket and the S1'-pocket, as well as to the zinc ions.<sup>[57]</sup> Interestingly, it was found that the presence of a 1,2,3-triazole motif in PSMA inhibitors enables binding to an additional arene-binding site, which has inspired us to use this moiety in developing PSMA-targeting radiotracers with high affinity.<sup>[57]</sup> For this purpose, we designed a modular synthesis approach for PSMA-targeting radiotracers which can potentially be applied to different imaging modalities by adapting the existing Glu-urea-Lys motif<sup>[57]</sup> so that it is able to undergo the Huisgen [3 + 2]-cycloaddition. *Even further, in 2017 it was already shown that clickable PSMA-inhibitors are valuable precursors for potent PET imaging agents.*<sup>[C, 52]</sup>

Here, we introduce the radiotracer [<sup>18</sup>F]PSMA-MIC01 (Figure 2A), which is formed by alkyne-Glu-urea-Lys motif and PET-radionuclide <sup>18</sup>F, spaced from the 1,2,3-triazole by a diethylene-glycol-linker, which was shown to display the right linker length.<sup>[51]</sup> *Compared to the previously published alkyne- PSMA binding motif, <sup>[C]</sup> our PSMA-binding motif modifies the lysine of the existing Glu-urea-Lys motif to a benzamide instead of a phenylurea. Additionally, the synthon used for the [<sup>18</sup>F]fluorinations is based on azido-diethylene-glycol instead of the azido-ethylene synthon used by Kelly et al.<sup>[C]</sup>*

[c] J. Kelly, A. Amor-Coarasa, A. Nikolopoulou, D. Kim, C. Williams Jr., S. Ponnala, J. W. Babich, *Eur. J. Nuc. Med. Mol. Imaging* 2017, 44, 647–661