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# Expanding the Applicability of the Metal Labeling of Biomolecules by the RIKEN Click Reaction: A Case Study with Gallium-68 Positron Emission Tomography

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## Abstract

© 2018 Wiley-VCH Verlag GmbH & Co. KGaA, Weinheim Radiolabeled biomolecules with short half-life times are of increasing importance for positron emission tomography (PET) imaging studies. Herein, we demonstrate an improved and generalized method for synthesizing a [radiometal]-unsaturated aldehyde as a lysine-labeling probe that can be easily conjugated into various biomolecules through the RIKEN click reaction. As a case study, <sup>68</sup>Ga-PET imaging of U87MG xenografted mice is demonstrated by using the <sup>68</sup>Ga-DOTA-RGDyK peptide, which is selective to  $\alpha$ V $\beta$ 3 integrins.

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## Keywords

biomolecules, click chemistry, gallium, positron emission tomography, radiopharmaceuticals

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