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Symposium

Radiolabeled proteins for the development of biopharmaceuticals

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Positron emission tomography (PET) is a powerful tool for drug discovery because it would enable the evaluation of target engagement (proof-of-concept), pharmacokinetics, and therapeutic efficacy of drug candidates in humans using PET radiopharmaceuticals. Recently, biopharmaceuticals are attracting increasing interest because they possess not only high binding affinity and specificity but also creates unique actions to attack pathologic lesions, requiring the radiolabeling methods of proteins to evaluate their pharmacokinetics and efficacy. In this presentation, we review the radiolabeling methods for the biopharmaceutical itself using long-half lived PET radionuclides such as Cu-64 and Zr-89, which are suitable for the evaluation of their pharmacokinetics. Since Cu-64 and Zr-89 showed relatively high radiation, shorter half-lived radionuclides such as F-18 is better from the point view of radiation. Here, we show our recent developed approach for the production of F-18 labeled proteins, which are suitable for the evaluation of their therapeutic efficacy and patient selection with minimum radiation.