Development of Bifunctional Radiopharmaceuticals for Targeted Imaging and Therapy

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In vivo radiopharmaceuticals have two different uses − for nuclear diagnostic imaging and for internal radiation therapy. For nuclear diagnostic imaging, it is necessary to make the difference of radioactivity levels between in the target regions and in the other regions at an early time after administration. For internal radiation therapy, a more selective accumulation of the radioactivity to the target regions is required to minimize an adverse effect. In order to achieve the highly selective accumulation of *in vivo* radiopharmaceuticals, it is necessary to find an appropriate target molecule in the first place and design a compound which can recognize the target molecule and stably label it with radionuclide. There are several proposed approaches to chemical design for this purpose. However, even with the specific recognition and stable radiolabel, targeted imaging and therapy are not necessarily achieved. We have been developing *in vivo* radiopharmaceuticals based on a chemical design called "bifunctional radiopharmaceutical". Bifunctional radiopharmaceuticals have the recognition site of the target molecule and binding site for the radionuclide independently in one molecule. In my presentation, I will talk about some examples of chemical design of *in vivo* radiopharmaceuticals to achieve the targeted imaging and therapy through our study results.