

## **Radiopharmaceutical Chemistry**

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Radiopharmaceutical chemistry is an essential part for promotion and development of nuclear medicine. This book is written by about one hundred experts in radiopharmaceutical chemistry. The direction and purpose of this book is not just collection of reviews but has educational purpose with a lot of illustrations and tables, and thus this might be best suit for postgraduate students and postdocs in the field of radiopharmaceutical chemistry. Senior class undergraduate students also can read this book. Nuclear medicine physicians who want to get comprehensive view and development trend of radiopharmaceuticals might be helped greatly too. This book is composed of three parts: Part I First Principles, Part II Radiochemistry, and Part III Special Topics.

Part I contains 10 introductory and overview chapters. The first chapter starts with the introduction of nuclear imaging and radiotherapy. And then a short history of nuclear medicine is the second. The successive chapters include theoretical basics about nuclear chemistry, production of radionuclides for nuclear medicine, and overviews of targeted therapy and nuclear imaging using radiopharmaceuticals. And then fundamental concepts of targeting by small molecules, peptides, immunoglobulins, and nanoparticles as radiopharmaceutical vectors are introduced in the four successive chapters.

Part II comprises 14 chapters of radiopharmaceutical chemistries of various radionuclides from basics to some up-to-date information. The chapters basically are divided by radionuclides and synthetic or radiolabeling mechanisms. The first two chapters are about  $^{11}\text{C}$  chemistry. Radiochemistries of  $^{13}\text{N}$  and  $^{15}\text{O}$  are discussed in the third chapter. Although  $^{18}\text{F}$  chemistry is expected, the next chapter is about chemistry of gallium and indium radionuclides interestingly. Because gallium and indium are metallic radionuclides and thus have more common properties with the radionuclides whose chapters coming after

$^{18}\text{F}$ -related chapters. The development and use of  $^{68}\text{Ga}$  is increasing rapidly due to the distribution of  $^{68}\text{Ge}/^{68}\text{Ga}$  generator and the development of Theranostics. Three  $^{18}\text{F}$  chemistry chapters based on the nucleophilic, electrophilic, and next-generation fluorination methods follow. Radiolabeling of  $^{18}\text{F}$  using aluminum complex in aqueous solution and various radiolabeling methods of arenes using  $[\text{}^{18}\text{F}]\text{fluoride}$  are introduced. And then  $^{99\text{m}}\text{Tc}$  radiopharmaceutical chemistries including the  $^{99}\text{Mo}/^{99\text{m}}\text{Tc}$  generator, current radiopharmaceuticals, and next generation radiopharmaceuticals are discussed in one chapter, which seems to be a little bit short compare to the importance of  $^{99\text{m}}\text{Tc}$  in nuclear medicine and radiopharmaceutical sciences. In hospital procedures for radiolabeling of cells such as RBC or WBC and quality control for  $^{99\text{m}}\text{Tc}$ -labeled radiopharmaceuticals are not included. Radiopharmaceutical chemistry of copper radionuclides follows as a separate chapter. The next chapter describes about important beta emitters  $^{90}\text{Y}$ - and  $^{177}\text{Lu}$ -labeled radiopharmaceuticals that are hot issues recently due to Theranostics. A positron emitter  $^{89}\text{Zr}$  in the next chapter might be a promising radionuclide for PET with long-circulating agents such as antibodies. Radioiodination mechanisms and preparation methods of various radioiodine-labeled radiopharmaceuticals are discussed in the next chapter. And then production and radiolabeling methods of various alpha-emitters follows in the next chapter. The last chapter of Part II is radiopharmaceutical chemistry of seldom-used radionuclides such as  $^{38}\text{K}$ ,  $^{82}\text{Rb}$ ,  $^{82\text{m}}\text{Rb}$ ,  $^{82}\text{Sr}$ ,  $^{83}\text{Sr}$ ,  $^{89}\text{Sr}$ ,  $^{223}\text{Ra}$ , and so on.

Some special topics are in Part III. For example, bioconjugation methods and click chemistry are described in the first two chapters of Part III. And then equipment and instrumentation for radiopharmaceutical chemistry follow. Chapters of the topics beside chemistry but important for the practical radiopharmacy such as kinetic modeling, radiation protection, and biostatistics follow successively. Important clinical points that should be considered when developing new radiopharmaceuticals for imaging or therapy are discussed in the next chapter. Target identification and lead discovery requiring in vitro cell binding assay in the process of radiopharmaceutical development are included in the next chapter. Next two chapters discuss about preclinical experimentation in oncology and

neurology. Clinical translation in Europe and in the United States are discussed in the successive two chapters. The final chapter discusses about setting up a successful radiopharmaceutical production facility including facility workflow design, validation of equipment and process, quality control methods, staffing and workflow, and the quality assurance system.

This book contains up-to-date radiopharmaceutical chemistry but not much cutting-edge knowledge which only can be obtained in recent publications in this field. Thus, as mentioned earlier, this book can be adapted as an excellent textbook of postgraduate students or postdocs for radiopharmaceutical chemistry or molecular imaging. And of course this book might be very helpful also to the experienced experts in radiopharmaceutical chemistry to obtain various useful information and to extend their own knowledge in this field. Although this book is almost lack of clinical application of radiopharmaceuticals, this might be helpful to obtain fundamental knowledge and inspirations about radiopharmaceuticals for nuclear medicine physicians. And finally, it's my great pleasure to adapt this new excellent book as the textbook of my next semester postgraduate lecture, and greatly appreciate the editors and authors.

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