Editorial

Medicinal radiochemistry involves design, synthesis and evaluation of radiotracers for emission tomography imaging. The development of new radiotracers is based on the structure-imaging properties relationships, suitability for radiolabeling and safety properties. Medicinal radiochemistry emerged over the last three decades mainly as a result of the rapid advances in positron-emission tomography (PET) and single photon emission computed tomography (SPECT). Nowadays most medicinal chemistry journals publish PET radiochemistry papers and there are also a number of specialized journals available.

Initially we planned to publish a single special issue in 2010 on recent advances in the development of *in vivo* radiotracers, but, because the enthusiastic response of the authors substantially exceeded the space of a single issue, we divided the articles between two issues. In special issue #15 entitled "Recent advances in the medicinal radiochemistry of radioligands for cerebral *in vivo* imaging" there is a discussion of positron emission tomography radioligands for several receptors of central nervous system. In special issue #16 entitled "Current topics in the development of radioligands for positron-emission tomography imaging", recent progress in the radioprobes for *in vivo* imaging of various non-central targets and advances in synthetic methodologies of PET radioligands is presented.

Recent Advances in the Medicinal Radiochemistry of Radioligands for Cerebral *In Vivo* Imaging

The first review of this issue (Finnema *et al*.) summarizes the present status of the D_2/D_3 receptor agonist PET radioligands. Evaluation of dopaminergic system with PET has grown significantly in the last two decades. Various PET radioligands are available for quantification of enzymes involved in dopamine synthesis and expression and function of reuptake proteins (VMAT and DAT). In addition, these radioligands are valuable research tools in the drug development because they allow, for example, measurement of the target occupancy. On the other side, DA receptor agonist radioligands that may be superior tools for measuring changes in endogenous dopamine levels are still under development.

Another important CNS target, the serotonin transporter (SERT), plays a role in a variety of neuropsychiatric disorders including depression, schizophrenia, substance abuse, alcoholism, and Alzheimer's disease. The comprehensive review of Huang *et al*. describes the history of development and validation of effective SERT radiotracers for PET/SPECT imaging in the last twenty years.

Development of radioligands for a relatively new target for PET imaging, the cannabinoid receptor subtype 2 (CB_2R), is presented in the Evens and Bormans paper. The CB_2R is mainly found in tissues and organs related to the immune system. However, in pathological conditions, including neuroinflammation, a strong upregulation has been observed in brain tissue. If a quality CB2 radioligand for PET becomes available it may provide an opportunity for diagnostic, drug development and therapy evaluation of various neurodegenerative and inflammatory brain disorders.

The paper of Toyohara *et al*. reviews recent development of elusive radioligands for *in vivo* imaging of α7 nicotinic acetylcholine receptors (α7-nAChRs). The PET imaging community is eager to obtain a quality α7-nAChRs radioligand for a number of important applications including schizophrenia, Alzheimer's disease, anxiety, depression, and drug addiction. However, these radioligands have been difficult to develop and only the latest studies have demonstrated progress toward the practical α7-nAChR radioligands.

The paper of the scientists from Zurich (Mu *et al*.) describes the history of radioligands for PET imaging of the metabotropic glutamate receptor subtype 5 (mGluR5). Modulation of mGluR5s has potential for the treatment of variety of psychiatric and neurological diseases such as depression, anxiety, schizophrenia and Parkinson's disease.

The vesicular acetylcholine transporter (VAChT) is a highly attractive target for PET imaging of the earlier stages of Alzheimer's disease. Giboureau *et al*. summarizes the latest attempts to identify a suitable VAChT PET radioligand. Although several promising radioligands have been synthesized, further validation is required to confirm their clinical usefulness.

Andrew G. Horti, *Ph.D.*
Associate Professor
Division of Nuclear Medicine
Department of Radiology
Johns Hopkins Medicine
600 North Wolfe Street, Nelson B1-122,
Baltimore, MD 21287-0816
E-mail: ahorti1@jhmi.edu

Robert F. Dannals, *Ph.D.*
Professor, Radiology
Division of Nuclear Medicine,
Johns Hopkins PET Center
600 North Wolfe Street, Nelson B1-127,
Baltimore, MD 21287-0816
E-mail: rfd@jhu.edu