

## Preclinical studies on [ $^{11}\text{C}$ ]MPDX for mapping adenosine $A_1$ receptors by positron emission tomography

Kiichi ISHIWATA,\* Tadashi NARIAI,\*\* Yuichi KIMURA,\* Keiichi ODA,\* Kazunori KAWAMURA,\*  
Kenji ISHII,\* Michio SENDA,\*<sup>1</sup> Shinichi WAKABAYASHI\*\*\*<sup>2</sup> and Junichi SHIMADA\*\*\*

\*Positron Medical Center, Tokyo Metropolitan Institute of Gerontology

\*\*Department of Neurosurgery, Tokyo Medical and Dental University

\*\*\*Pharmaceutical Research Institute, Kyowa Hakko Kogyo Co., Ltd.

In previous *in vivo* studies with mice, rats and cats, we have demonstrated that [ $^{11}\text{C}$ ]MPDX ([1-methyl- $^{11}\text{C}$ ]8-dicyclopropylmethyl-1-methyl-3-propylxanthine) is a potential radioligand for mapping adenosine  $A_1$  receptors of the brain by positron emission tomography (PET). In the present study, we performed a preclinical study. The radiation absorbed-dose by [ $^{11}\text{C}$ ]MPDX in humans estimated from the tissue distribution in mice was low enough for clinical use, and the acute toxicity and mutagenicity of MPDX were not found. The monkey brain was clearly visualized by PET with [ $^{11}\text{C}$ ]MPDX. We have concluded that [ $^{11}\text{C}$ ]MPDX is suitable for mapping adenosine  $A_1$  receptors in the human brain by PET.

**Key words:** adenosine  $A_1$  receptor, [ $^{11}\text{C}$ ]MPDX, central nervous system, positron emission tomography