ORIGINAL ARTICLE

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Preclinical studies on [^{11}C]TMSX for mapping adenosine A_{2A} receptors by positron emission tomography

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In previous *in vivo* studies with mice, rats and monkeys, we have demonstrated that [^{11}C]TMSX ([7-methyl-^{11}C]-(*E*)-8-(3,4,5-trimethoxystyryl)-1,3,7-trimethylxanthine) is a potential radioligand for mapping adenosine A_{2A} receptors of the brain by positron emission tomography (PET). In the present study, we performed a preclinical study. A suitable preparation method for [^{11}C]TMSX injection was established. The radiation absorbed-dose by [^{11}C]TMSX in humans estimated from the tissue distribution in mice was low enough for clinical use, and the acute toxicity and mutagenicity of TMSX were not found. The striatal uptake of [^{11}C]TMSX in mice was reduced by pretreatment with theophylline at the dose of 10 and 100 mg/kg, suggesting that the [^{11}C]TMSX PET should be carefully performed in the patients received with theophylline. We have concluded that [^{11}C]TMSX is suitable for mapping adenosine A_{2A} receptors in the human brain by PET.

Key words: Adenosine A_{2A} receptor, [^{11}C]TMSX, central nervous system, positron emission tomography