

PETによる末梢性ベンゾジアゼピンレセプターの  
インビボ研究を目的とした<sup>11</sup>C-PK 11195の  
合成および評価

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Synthesis and evaluation of <sup>11</sup>C-PK 11195 for *in vivo* study of peripheral  
- type benzodiazepine receptors using positron emission tomography

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**ABSTRACT** The biodistribution of <sup>3</sup>H-PK 11195, an antagonist of the peripheral  
- type benzodiazepine receptors, was studied in mice. High accumulations of  
radioactivity in the heart, lung, spleen, kidney and adrenal were observed after  
intravenous injection of tracer amounts of <sup>3</sup>H-PK 11195 into the mice. The  
radioactivity in the heart, lung, spleen, kidney and adrenal was significantly  
decreased by the coadministration of carrier PK 11195, which indicated that PK  
11195 specifically binds to the receptors. No radioactive metabolites were observed  
in the heart, lung and brain 20 min after intravenous administration of <sup>3</sup>H-PK  
11195. The accumulation of <sup>3</sup>H-PK 11195 in the lung was not affected by  
pretreatment with either  $\alpha$ -methyl benzylamine or imipramine, suggesting that  
<sup>3</sup>H-PK 11195 specifically binds to the receptors. The ratios of radioactivity of the  
kidney, adrenal and spleen to blood increased as a function of time, whereas that  
of the lung and heart rapidly reached to a steady state. <sup>11</sup>C-PK 11195 was  
synthesized by the N-methylation of desmethyl precursor yielding more than 100  
mCi with high specific activity (more than 1.4 Ci/ $\mu$ mol). The labeling and  
purification procedure was completed within 23 min after the end of bombardment  
(EOB). The <sup>11</sup>C-PK 11195 solution for injection seems to have a high potential  
for the *in vivo* study of the peripheral-type benzodiazepine receptors in the living  
human by means of positron emission tomography (PET).

抄録 末梢性ベンゾジアゼピンレセプターのアンタゴニストである <sup>3</sup>H-PK 11195 のマウス

における体内分布を調べた。投与後、心臓、肺、脾臓、腎臓および副腎において高い放射能の集積がみられた。又、キャリア添加により、これらの分布が特異的結合による事が判明した。ポジトロン放出核種でラベルした  $^{11}\text{C}$ -PK 11195 は、PET を用いた生きたヒトにおける末梢性ベンゾジアゼピンレセプターの研究に有用であると思われる。

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