

アルツハイマー性痴呆の診断を目的とした放射性ヨウ素標識ベサミコールの開発研究

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In vitro and In vivo evaluation of Radioiodinated (-) -m-iodovesamicol : Potential radioligand for diagnosing Alzheimer's disease.

Research Project

Project/Area Number

08671010

Research Category

Grant-in-Aid for Scientific Research (C)

Allocation Type

Single-year Grants

Section

一般

Research Field

Radiation science

Research Institution

KANAZAWA UNIVERSITY

Principal Investigator

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Iodovesamicol / Cholinergic neurons / Acetylcholine Transporter / Alzheimer's Disease

Research Abstract

The purpose of this investigation is to develop a new presynaptic cholinergic neuron mapping agent. We synthesized levorotatory isomer (-)-m-iodovesamicol ((-)-mIV) radioiodinated at the meta position of the 4-phenylpiperidine moiety and evaluated the in vitro and in vivo binding affinity and specificity of [I-125] (-)-mIV for the vesamicol receptor in rat brain, furthermore, evaluated the in vivo characteristics of [I-125] (-)-mIV in the model rat of Alzheimer's disease. In vitro and In vivo binding affinity of [I-125] (-)-mIV for the vesamicol receptor (acetylcholine transporter) was very high and comparable to that of (-)-vesamicol ; the binding affinity for dopamine, serotonin, noradrenaline, acetylcholine and sigma receptors was low. These similar results were derived from saturation binding study ; Kd (18.2nM) and Bmax (660 fmol/mg of protein) of [I-125] (-)-mIV were comparable to those of (-)-vesamicol (Kd=20-30nM,Bmax=334-516fmol/mg of protein). Furthermore, double-tracer autoradiograms using [I-125] (-)-mIV and [Tc-99m] HMPAO in model rat brain of Alzheimer's disease showed a significant 11% decrease of the accumulation of [I-125] (-)-mIV in the projection cortices ipsilateral to the basalforebrain lesion in a unilateral cholinergic denervation model, which is regarded as an experimental model of Alzheimer's disease. The difference between the decrease of the accumulation of [I-125] (-)-mIV (11%) and that of [Tc-99m] HMPAO (4%) in the regions was statistically significant (P<0.01). These results suggest that radioiodinated (-)-mIV may serve as a useful radioligand for mapping presynaptic cholinergic neurons.

Research Products (6 results)

All Other

All Publications (6 results)

[Publications] 柴 和弘: "Radioiodinated(-)-2-[4-(3-iodophenyl) piperidino] cyclohexanol : A potential radioligand for mapping presynaptic cholinergic neurons" Nuclear Medicine Communications. 17. 485-492 (1996) ▼

[Publications] 柴 和弘: "In vitro Characterization of Radioiodinated (-)-m-iodovesamicol in rat cerebral membranes." Life Science. 59. 1039-1045 (1996) ▼

[Publications] 柴 和弘: "シナプス前神経機能診断用の放射線医薬品開発" Radioisotopes. 47. 97-100 (1998) ▼

[Publications] Shiba, K.: "Radioiodinated (-)-2-[4-(3-iodophenyl) piperidino] cyclohexanol : A potential radioligand for mapping presynaptic cholinergic neurons." Nuclear Medicine Communications. 17. 485-492 (1996) ▼

[Publications] Shiba, K.: "In vitro Characterization of radioiodinated (-)-m-iodovesamicol in rat cerebral membranes." Life Sciences. 59. 1039-1045 (1996) ▼

[Publications] Shiba, K.: "Radiopharmaceuticals for mapping presynaptic neurons" Radioisotopes. 47. 97-100 (1997) ▼

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