ORIGINAL ARTICLE

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Evaluation of radioiodinated (–)-*o*-iodovesamicol as a radiotracer for mapping the vesicular acetylcholine transporter

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We evaluated the potencies of radioiodinated (–)-*o*-iodovesamicol [(–)-oIV] as a selective vesicular acetylcholine transporter (VAChT) mapping agent. (–)-[^{125}I]oIV exhibited significant accumulation (about 2.8% of the injected dose) in rat brain. The regional brain distribution of radioactivity was similar for both (–)-[^{125}I]oIV and (–)-[^{3}H]vesamicol. The accumulation of (–)-[^{125}I]oIV in the brain was significant reduced by post-administration of unlabeled vesamicol (0.5 μmol/kg^{-1}) and (–)-oIV (0.5 μmol/kg^{-1}). On the other hand, the post-administration of sigma ligands hardly affected the accumulation of (–)-[^{125}I]oIV in the brain. These studies showed that (–)-[^{125}I]oIV, as well as [^{3}H]vesamicol, bound to VAChT with high affinity in the rat brain. Furthermore, (–)-[^{125}I]oIV binding in the ipsilateral cortex to the lesion was significantly reduced by 17.0%, compared with that in the contralateral cortex in a unilateral NBM-lesioned rat. These results suggested that radioiodinated (–)-oIV may potentially be useful for the diagnosis of cholinergic neurodegenerative disorders.

Key words: (–)-o-iodovesamicol, vesamicol, radioligand, vescular acetylcholine transporter, cholinergic denervation