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A new and promising VAChT imaging probe for PET: Radiobromine labeled analogue of decalinvesamicol

◯Mohammad AZIM[1,2], 小阪　孝史[1,2], 鵜野　いずみ[1,3], 三輪　大輔[1,3], 北村　陽二[1,2], 小川　数馬[3], 川井　恵一[3], 清野　泰[4], 柴　和弘[1,2]([1]金沢大学際セ, [2]金沢大院自然科学, [3]金沢大院医薬保, [4]福井大高エネ研セ)

**Objective:** The detection of vesicular acetylcholine transporter (VAChT) is a unique tool for studying the function of cholinergic neurons in AD brain. In efforts to develop VAChT PET tracer, we previously reported the affinity & selectivity of *o*-bromo-*trans*-decalinvesamicol (OBDV). The purpose of the present study is to develop a new radiobromine labeled VAChT PET imaging probe. For this reason, our main interest was to evaluate [$^{76}$Br]OBDV, but $^{77}$Br was used in these *in vivo* studies because of its longer half-life ($t_{1/2}$ = 57.0 h).

**Methods:** [$^{77}$Br]OBDV was synthesized by tin-bromine exchange reaction from the trimethylstannyl precursor, OTDV. *In vivo* biodistribution study of [$^{77}$Br]OBDV in blood, brain regions and major organs of rats was performed at 2, 30 and 60 min post-injection. *In vivo* blocking study was performed to check the selectivity of [$^{77}$Br]OBDV for VAChT. *Ex vivo* autoradiography at 30 min post-injection was also performed to check the regional brain distribution.

**Results:** The accumulation of [$^{77}$Br]OBDV in all brain regions (0.62 ±0.7 % ID/g) at 2 min postinjection confirmed the penetration of blood-brain barrier. In *in vivo* blocking studies, (±)-vesamicol blocked regional brain uptake of [$^{77}$Br]OBDV by 41%. In contrast, no blocking effects by both the (+)-3-PPP ($\sigma_1$R, $\sigma_2$R) and (+)-pentazocine ($\sigma_1$R) revealed the selectivity of [$^{77}$Br]OBDV for VAChT. [$^{77}$Br]OBDV accumulation in VAChT rich brain regions was observed in *ex vivo* autoradiography. *In vivo* evaluations of [$^{77}$Br]OBDV revealed the potentiality of [$^{76}$Br]OBDV as a new VAChT PET imaging probe for the early diagnosis of AD.