

**¹¹C-Labeled 2'-iododiazepam for PET studies of benzodiazepine receptors:
Synthesis and comparison of biodistribution
with its radioiodinated compound**

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For PET studies of benzodiazepine receptors, N-¹¹C-methyl-2'-iododiazepam (2'-IDZ) was synthesized by N-methylation of its desmethyl derivative with ¹¹C-methyl iodide, and was subsequently purified by HPLC. The labeling and purification procedures were completed within 45 min after ¹¹C-methyl iodide trapping, and the radiochemical yield (corrected for decay) was approximately 40% based on the initial trapped radioactivity of ¹¹C-methyl iodide. Biodistribution studies in mice demonstrated that ¹¹C-2'-IDZ was rapidly and noticeably accumulated in the brain, and subsequently decreased with time. Accumulation was greater in the cortex than in other brain regions. When compared with ¹²⁵I-2'-IDZ, the distribution was almost the same until 5 min after injection, but levels were low after 20 min. Metabolic studies indicated that the difference between these two compounds in the time course of brain radioactivity distribution may be due to N-demethylation *in vivo*.

Key words: diazepam derivative, ¹¹C, ¹²⁵I, benzodiazepine receptor, PET