Synthesis of Deuterium- or Tritium-Labeled Acetylcholine

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Abstract—Halogenated acetylcholine precursors were synthesized. The prepared deuterium analogs of acetylcholine were characterized by HPLC and mass spectrometry. Uniformly tritium-labeled acetylcholine with the radiochemical purity of no less than 97–98% and molar radioactivity of 20–40 Ci $mmol^{-1}$ was prepared at 190°C on a Lindlar catalyst. The molar radioactivity of [^{3}H]acetylcholine allows using it for evaluating the specific binding on plasmatic membranes of striatum cells of intact rats by radioligand–receptor binding assay. Two sites of specific binding of acetylcholine, high-affinity (binding constant K_d = 12 nmol L^{-1}, possible number of radioligand specific binding sites B_{max} = 3 pmol mg^{-1}) and low-affinity (binding constant K_d = 72 nmol L^{-1}, possible number of radioligand specific binding sites B_{max} = 13 pmol mg^{-1}), were characterized.

Keywords: acetylcholine, tritium, dehalogenation, radioligand–receptor binding assay

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