New Synthesis and Tritium Labeling of a Selective Ligand for Studying High-Affinity \(\gamma\)-Hydroxybutyrate (GHB) Binding Sites

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3-Hydroxycyclopent-1-enecarboxylic acid (HOCPCA, 1) is a potent ligand for the high-affinity GHB binding sites in the CNS. An improved synthesis of 1 together with a very efficient synthesis of [3H]-1 is described. The radiosynthesis employs in situ generated lithium trimethoxyborotritide. Screening of 1 against different CNS targets establishes a high selectivity, and we demonstrate in vivo brain penetration. In vitro characterization of [3H]-1 binding shows high specificity to the high-affinity GHB binding sites.

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