

Product Data Sheet

Product Name: Tandospirone citrate

Cat. No.: GC37734

Chemical Properties

Cas. No. 112457-95-1

SMILES O=C1N(CCCCN2CCN(C3=NC=CC=N3)CC2)C([C@@]4([H])[C@](C5)([H])CC[C@]5([H])[C@@]14[H])=O.O.O=C(CC(C(O)=O)(O)CC(O)=O)O

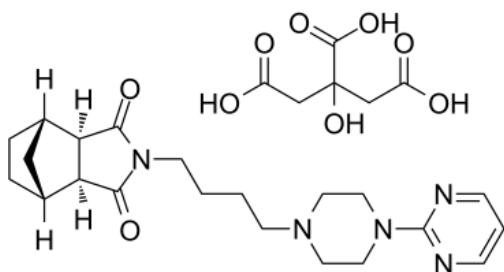
Formula $C_{27}H_{37}N_5O_9$ M.Wt 575.61

Solubility Water: 10.4 mg/mL (18.07 mM) Storage Store at -20°C

General For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the tips ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Evaluation sample solution : ship with blue ice All other available size: ship with RT, or Condition blue ice upon request.

Structure



Background

Tandospirone citrate is a potent and selective 5-HT_{1A} receptor partial agonist ($K_i = 27$ nM) that displays selectivity over SR-2, SR-1C, α_1 , α_2 , D1 and D2 receptors (K_i values ranging from 1300-41000 nM). IC₅₀ Value: 27 ± 5 nM(K_i) [1]Target: 5-HT_{1A}in vitro: Tandospirone is most potent at the 5-HT_{1A} receptor, displaying a K_i value of 27 ± 5 nM. The agent is approximately two to three orders of magnitude less potent at 5-HT₂, 5-HT_{1C}, alpha 1-adrenergic, alpha 2-adrenergic, and dopamine D1 and D2 receptors (K_i values ranging from 1300 to 41000 nM). Tandospirone is essentially inactive

Caution: Product has not been fully validated for medical applications. For research use only.

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at 5-HT_{1B} receptors; 5-HT uptake sites; beta-adrenergic, muscarinic cholinergic, and benzodiazepine receptors [1]. 3H-SM-3997 bound rapidly, reversibly and in a saturable manner with high affinity to rat brain hippocampal membranes ($K_d = 9.4$ nM, $B_{max} = 213$ fmol/mg protein) [2]. in vivo: Chronic treatment with tandospirone, at 0.2 and 1.0mg/kg/day, but not 2.0mg/kg/day, attenuated footshock stress-induced eLAC elevation in the mPFC [3]. Rats were acutely administered tandospirone (0, 0.1, and 1 mg/kg, i.p.). Tandospirone decreased the number of premature responses, an index of impulsive action, in a dose-dependent manner [4]. Toxicity: It is not believed to be addictive but it is known to produce mild withdrawal effects (e.g. anorexia) after abrupt discontinuation.

[1]. Hamik A, et al. Analysis of tandospirone (SM-3997) interactions with neurotransmitter receptor binding sites. Biol Psychiatry. 1990 Jul 15;28(2):99-109. [2]. Shimizu H, et al. Characterization of the putative anxiolytic SM-3997 recognition sites in rat brain. Life Sci. 1988;42(24):2419-27. [3]. Uehara T, et al. Chronic treatment with tandospirone, a 5-HT_{1A} receptor partial agonist, suppresses footshock stress-induced lactate production in the prefrontal cortex of rats. Pharmacol Biochem Behav. 2013 Nov 15;113:1-6. [4]. Ohmura Y, et al. Tandospirone suppresses impulsive action by possible blockade of the 5-HT_{1A} receptor. J Pharmacol Sci. 2013;122(2):84-92.

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