Tandospirone citrate

Cat. No.: HY-B0061

CAS No.: 112457-95-1

Molecular Formula: $C_{27}H_{37}N_5O_9$

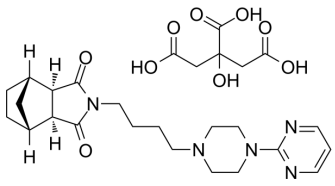
Molecular Weight: 575.61

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 31.25 mg/mL (54.29 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7373 mL	8.6864 mL	17.3729 mL
5 mM	0.3475 mL	1.7373 mL	3.4746 mL
10 mM	0.1737 mL	0.8686 mL	1.7373 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 25 mg/mL (43.43 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

BIOLOGICAL ACTIVITY

Description

Tandospirone citrate is a potent and selective 5-HT1A receptor partial agonist (Ki = 27 nM) that displays selectivity over SR-2, SR-1C, α1, α2, D1 and D2 receptors (Ki values ranging from 1300-41000 nM). IC50 Value: 27±5 nM(Ki) [1]Target: 5-HT1Ain vitro: Tandospirone is most potent at the 5-HT1A receptor, displaying a Ki value of 27 +/- 5 nM. The agent is approximately two to three orders of magnitude less potent at 5-HT2, 5-HT1C, alpha 1-adrenergic, alpha 2-adrenergic, and dopamine D1 and D2 receptors (Ki values ranging from 1300 to 41000 nM). Tandospirone is essentially inactive at 5-HT1B 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 (Kd = 9.4 nM, Bmax = 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.

CUSTOMER VALIDATION

- Pharmacology. 2020;105(7-8):369-376.
- Neurosci Lett. 2022 Jan 15;136459.

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REFERENCES

[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-HT1A 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-HT1A receptor. J Pharmacol Sci. 2013;122(2):84-92.

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