Tandospirone hydrochloride

Cat. No.: HY-110053

CAS No.: 99095-10-0

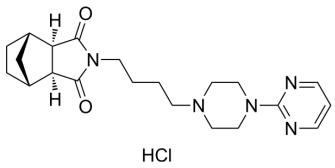
Molecular Formula: $C_{21}H_{30}ClN_5O_2$

Molecular Weight: 419.95

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Tandospirone (SM-3997) hydrochloride is a potent and selective 5-HT_{1A} receptor partial agonist, with a K_i of 27 nM. Tandospirone hydrochloride has anxiolytic and antidepressant activities. Tandospirone hydrochloride can be used for the research of the central nervous system disorders and the underlying mechanisms[1][2][3].
IC_{50} & Target	5-HT_{1A} Receptor 27 nM (Ki)
In Vitro	Tandospirone (SM-3997) hydrochloride is approximately two to three orders of magnitude less potent at 5-HT_2, 5-HT_{1C}, α1-adrenergic, α2-adrenergic and dopamine D1 and D2 receptors (K_i values ranging from 1300 to 41000 nM) than 5-HT_{1A}[1]. Tandospirone hydrochloride is essentially inactive at 5-HT_{1B} receptors; 5-HT uptake sites; beta-adrenergic, muscarinic cholinergic, and benzodiazepine receptors[1]. Tandospirone hydrochloride activates postsynaptic 5-HT_{1A} receptor coupled with G-protein ($G_{i/o}$), resulting in inhibition of protein kinase A (PKA)-mediated protein phosphorylation and neuronal activity[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Tandospirone (SM-3997) hydrochloride (10-80 mg/kg; i.p.) inhibits freezing behavior in the conditioned fear stress-induced freezing behavior rat model[3]. Tandospirone hydrochloride exhibits the anxiolytic effect dependent on the plasma concentration of at 0.5 hours but not 4 hours[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Seven-week-old male Sprague-Dawley rats (260-300 g), conditioned fear stress-induced freezing behavior rat model[3]
Dosage:	10 mg/kg, 20 mg/kg, 40 mg/kg, 80 mg/kg
Administration:	Intraperitoneal injection
Result:	Inhibited freezing behavior in a dose-dependent manner.

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]. Xuefei Huang, et al. Role of tandospirone, a 5-HT1A receptor partial agonist, in the treatment of central nervous system disorders and the underlying mechanisms. Oncotarget. 2017 Nov 24; 8(60): 102705–102720.

[3]. Kyoko Nishitsuji, et al. The pharmacokinetics and pharmacodynamics of tandospirone in rats exposed to conditioned fear stress. Eur Neuropsychopharmacol. 2006 Jul;16(5):376-82.

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