Tandospirone

Cat. No.: HY-14558

CAS No.: 87760-53-0

Molecular Formula: $C_{21}H_{29}N_5O_2$

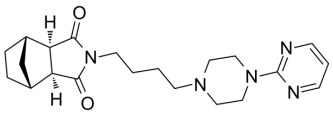
Molecular Weight: 383.49

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 16.67 mg/mL (43.47 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6076 mL	13.0381 mL	26.0763 mL
5 mM	0.5215 mL	2.6076 mL	5.2153 mL
10 mM	0.2608 mL	1.3038 mL	2.6076 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.52 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tandospirone (SM-3997) is a potent and selective 5-HT_{1A} receptor partial agonist, with a K_i of 27 nM. Tandospirone has anxiolytic and antidepressant activities. Tandospirone 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 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 is essentially inactive at 5-HT_{1B} receptors; 5-HT uptake sites; beta-adrenergic, muscarinic cholinergic, and benzodiazepine receptors[1]. Tandospirone 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 (10-80 mg/kg; i.p.) inhibits freezing behavior in the conditioned fear stress-induced freezing behavior rat model[3]. Tandospirone 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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