Ketanserin

Cat. No.: HY-10562

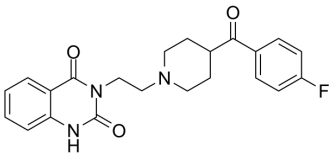
CAS No.: 74050-98-9

Molecular Formula: $C_{22}H_{22}FN_3O_3$

Molecular Weight: 395.43

Target: 5-HT Receptor; Potassium Channel; Autophagy

Pathway: GPCR/G Protein; Neuronal Signaling; Membrane Transporter/Ion Channel; Autophagy



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 (42.16 mM; Need ultrasonic)
DMF : 5 mg/mL (12.64 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5289 mL	12.6445 mL	25.2889 mL
5 mM	0.5058 mL	2.5289 mL	5.0578 mL
10 mM	0.2529 mL	1.2644 mL	2.5289 mL

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 6.25 mg/mL (15.81 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.67 mg/mL (4.22 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.67 mg/mL (4.22 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.67 mg/mL (4.22 mM); Clear solution
5. Add each solvent one by one: 10% DMF >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.5 mg/mL (1.26 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ketanserin is a selective 5-HT2 receptor antagonist. Ketanserin also blocks hERG current (I_{hERG}) in a concentration-dependent manner (IC_{50}=0.11 μM).

IC_{50} & Target	5-HT_2 Receptor	hERG current 0.11 μM (IC_{50})
In Vitro	Ketanserin at 0.3 μM inhibits the voltage-dependent step current ($I_{hERG.step}$) and tail current ($I_{hERG.tail}$) of hERG channels with a 5-min exposure[1]. The synergistic effect observed for AA with 5-HT is, also, blocked by the 5-HT receptor blockers cyproheptadine (IC_{50}=22.0±7 μM), Ketanserin (IC_{50}=152±23 μM). Ketanserin (50-350 μM) inhibits the synergism by blocking the receptor in a dose-dependent manner. The IC_{50} value of Cyproheptadine is 22±7 μM and Ketanserin is 152±23 μM[2]. Ketanserin inhibits platelet aggregation with an IC_{50} of 240 (169-339) nM[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	Ketanserin is a 5-HT2A receptor antagonist. Ketanserin significantly reduces BDNF protein levels in numerous brain regions (CA1 and CA3 of the hippocampus, prefrontal cortex, central amygdaloid nucleus, dorsomedial hypothalamic nucleus, dentate gyrus, shell of the nucleus accumbens and midbrain periaqueductal gray). 5-HT_{2A} antagonist Ketanserin can significantly reduce BDNF mRNA levels in various brain regions[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

PROTOCOL

Cell Assay [1]	The established HEK 293 cell line stably expressing hERG channels is cultured in Dulbecco's modified Eagle's medium (DMEM) supplemented with 10% foetal bovine serum, 400 μg/mL G418. The HEK 293 cell line stably expressing recombinant human cardiac KCNQ1/KCNE1 channel current (I_{Ks}) is maintained in DMEM containing 10% foetal bovine serum and 100 μg/mL hygromycin. Cells used for electrophysiology are seeded on a glass coverslip. The mutant hERG channels are constructed, and are transiently expressed in HEK 293 cells using 10 μL of Lipofectamine 2000 with 4 μg of hERG mutant cDNA in pCDNA3 vector[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [4]	Rat[4] A total of 155 specific-pathogen-free 2-month-old male Sprague-Dawley rats, weighing 180-220 g, are used. The rats are randomly divided into the following six groups: 5-HT_{1A} receptor agonist (8-OH-DPAT) PS group (DPAT-PS group, n=30); 5-HT_{1A} receptor antagonist (MDL73005) PS group (MDL-PS group, n=30); 5-HT_{2A} receptor agonist (DOI) PS group (DOI-PS group, n=30); 5-HT_{2A} receptor antagonist (Ketanserin) PS group (Ketan-PS group, n=30); the solvent control no-stress group (0.9% physiological saline group, CON group); and the PS only group (PS group, n=30). The DPAT-PS, MDL-PS, DOI-PS, Ketan-PS and PS groups are further divided into six subgroups (n=5 each) according to the time between the stress and analysis; immediately after stress, and 0.5, 1, 2, 6 and 24 hours after stress. The CON group (n=5) receive normal feed. For the Ketan-PS group, Ketanserin, dissolved in 0.9% physiological saline, is injected intraperitoneally at 5 mg/kg at 1 hour before each stress exposure. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Int J Mol Sci. 2023, 24(1), 655.
- J Ethnopharmacol. 2024 Jan 5:117703.
- bioRxiv. 2024 Jan 20.
- Patent. US20230203070A1.
- Patent. US20220324889A1.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Tang Q, et al. The 5-HT2 antagonist Ketanserin is an open channel blocker of human cardiac ether-à-go-go-related gene (hERG) potassium channels. Br J Pharmacol. 2008 Oct;155(3):365-73.

[2]. Khan N, et al. Investigation of cyclooxygenase and signaling pathways involved in human platelet aggregation mediated by synergistic interaction of various agonists. Drug Des Devel Ther. 2015 Jul 6;9:3497-506.

[3]. Kekewska A, et al. Antiserotonergic properties of terguride in blood vessels, platelets, and valvular interstitial cells. J Pharmacol Exp Ther. 2012 Feb;340(2):369-76.

[4]. Jiang DG, et al. Serotonin regulates brain-derived neurotrophic factor expression in select brain regions during acute psychological stress. Neural Regen Res. 2016 Sep;11(9):1471-1479.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA