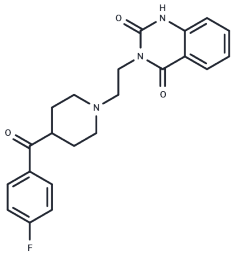
Data Sheet (Cat.No.T1066)

TargetMol

Ketanserin

Chemical Properties



CAS No. : 74050-98-9

Formula: C22H22FN3O3

Molecular Weight: 395.43

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Ketanserin (Ketanserinum) is a quinazoline derivative and serotonin (5-hydroxytryptamine, 5HT) receptor subtype 2 (5-HTR2) antagonist with potential antihypertensive and antiplatelet activities. Following administration, ketanserin binds to and inhibits the signaling mediated by 5-HTR2, which inhibits serotonin-dependent vasoconstriction and platelet activation.
Targets(IC50)	5-HT Receptor,Autophagy,Potassium Channel
In vitro	In the acetic acid-induced writhing and hot plate tests, ketanserin exhibited dose-dependent analgesic effects in rats, with ED50 values of 0.62 mg/kg and 1.51 mg/kg, respectively; however, it showed no effect on the tail flick test.
In vivo	Research has demonstrated that Ketanserin reduces both the α1-adrenergic receptor-mediated responses and the excitation caused by norepinephrine in the lateral geniculate nucleus. This effect is indicative of induced inhibition rather than attenuation of 5-HT-mediated responses. In isolated rat tail and carotid arteries, dog basilar, gastric splenic and coronary arteries, as well as canine hidden veins and gastric splenic veins, Ketanserin dose-dependently inhibits the contraction induced by 5-hydroxytryptamine (5-HT). In rat cardiac myocytes, Ketanserin significantly prolongs the action potential duration, with repolarization reaching 218% at 50% and 256% at 90%, without significantly affecting other action potential parameters. Ketanserin inhibits the contraction of canine hidden veins and rat tail arteries induced by the activation of postsynaptic α-adrenergic receptors. In addition, Ketanserin inhibits the contractile response to 5-HT in perfused guinea pig gastric vessels and can reverse the response in some experiments. Ketanserin inhibits the transient outward potassium current (Ito) in a time- and concentration-dependent manner (EC50: 8.3 μM) and also dose-dependently inhibits both Ito and sustained currents (EC50: 11.2 μM), but does not affect L-type calcium currents or inward rectifier potassium currents.
Cell Research	Ketanserin is dissolved in DMSO to produce a stock solution of 100 mM. Ketanserin stock is diluted in experimental solutions to achieve the final concentrations[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 (IKs) 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

Cell Research	expressed in HEK 293 cells using 10 μL of Lipofectamine 2000 with 4 μg of hERG mutant cDNA in pCDNA3 vector[1].

Solubility Information

Solubility	DMSO: 18.52 mg/mL (46.84 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (2.53 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0506 mL	0.2529 mL	0.5058 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Van Nueten JM, et al. J Pharmacol Exp Ther, 1981, 218(1), 217-230.
Lakoski JM, et al. Neuropharmacology, 1985, 24(4), 265-273.
Zhang ZH, et al. Circ Res, 1994, 75(4), 711-721.
Alhaider AA, et al. Brain Res, 1991, 543(2), 335-340.
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.