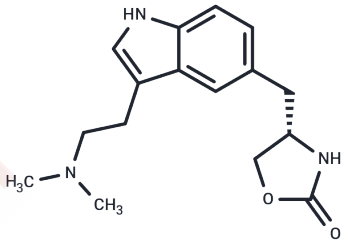
Data Sheet (Cat.No.T1092)

TargetMol

Zolmitriptan

Chemical Properties



CAS No. : 139264-17-8

Formula: C16H21N3O2

Molecular Weight: 287.36

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	Zolmitriptan (311C90) selectively binds to and activates serotonin (5-HT) 1B receptors expressed in intracranial arteries and 5-HT 1D receptors located on peripheral trigeminal sensory nerve terminals in the meninges and central terminals in brainstem sensory nuclei. Zolmitriptan is a member of the triptan class of agents with anti-migraine properties. Receptor binding results in constriction of cranial vessels, reduction of vessel pulsation and inhibition of nociceptive transmission, thereby providing relief of migraine headaches. Zolmitriptan may also relieve migraine headaches by inhibition of pro-inflammatory neuropeptide release.
Targets(IC50)	5-HT Receptor,Endogenous Metabolite
In vitro	Zolmitriptan produces concentration-dependent contractions of primate basilar artery and human epicardial coronary artery rings. Zolmitriptan displays high affinity at human recombinant 5-HT1D (formerly 5-HT1D alpha) and 5-HT1B (formerly 5-HT1D beta) receptors in transfected CHO-K1 cell membranes. [1] Zolmitriptan increases I(K) in a concentration-dependent manner (maximum increase 16.3%) with a pD(2) value of 7.03 in C6 glioma cells expressing recombinant human 5-HT(1B) receptor. Zolmitriptan-induced increases in I(K) are prevented by the calcium chelator, EGTA (5 mM) when included in the patch pipette in C6 cells expressing cloned human 5-HT(1B) receptors. [2]
In vivo	Zolmitriptan (3-30 mg/kg, i.v.) administrated ten minutes before unilateral electrical stimulation of the trigeminal ganglion causes a dose-dependent inhibition of [125I]-albumin extravasation within the ipsilateral dura mater in anaesthetized guinea-pigs. [1] Zolmitriptan (10-1000 mg/kg, i.v.) selectively reduces arteriovenous-anastomotic (AVA) conductance producing a maximum decrease of 92.5%. Zolmitriptan also produces a modest reduction in extra-cerebral conductance (23.9% maximum reduction at 30 mg/kg, i.v.), but is without effect on cerebral conductance. Zolmitriptan (1-30 mg/kg, i.v.) produces dose-dependent decreases in ear microvascular conductance (15% to 60%) which mirror decreases in carotid arterial conductance in anaesthetised cats. [3] Zolmitriptan exerts behaviorally specific anti-aggressive effects in mice. Zolmitriptan also decreases alcohol-heightened aggression with equal efficacy in mice. [4]

Solubility Information

Solubility	DMSO: 55 mg/mL (191.4 mM),Sonication is recommended. Ethanol: 28.7 mg/mL (99.87 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: 2 mg/mL (6.96 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	3.480 mL	17.3998 mL	34.7996 mL
5 mM	0.696 mL	3.480 mL	6.9599 mL
10 mM	0.348 mL	1.740 mL	3.480 mL
50 mM	0.0696 mL	0.348 mL	0.696 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

Martin GR, et al. Br J Pharmacol,1997, 121(2), 157-164.
Le Grand B, et al. Eur J Pharmacol, 2000, 397(2-3), 297-302.
MacLennan SJ, et al. Eur J Pharmacol,1998, 361(2-3), 191-197.
de Almeida RM, et al. Psychopharmacology (Berl),2001, 157(2), 131-141.