Data Sheet (Cat.No.T0216)

TargetMol

Eletriptan hydrobromide

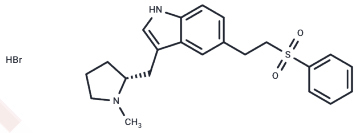
Chemical Properties

CAS No. : 177834-92-3

Formula: C22H27BrN2O2S

Molecular Weight: 463.43

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	Eletriptan hydrobromide (Eletriptan HBr) is an orally active agonist with specific affinity for the 5-hydroxytriptamine1B/1D [5-HT1B/1D] receptor.
Targets(IC50)	5-HT Receptor
In vitro	[3H]Eletriptan has a total number of binding sites (Bmax) of 2478 fmol/mg and 1576 fmol/mg for 5-HT1B and 5-HT1D, respectively. [3H]Eletriptan has a significantly faster association rate (K(on) 0.249/min/nM) than [3H]sumatriptan (K(on) 0.024/min/nM) and a significantly slower off-rate (K(off) 0.027/min compared to 0.037/min for [3H] sumatriptan). [1] Eletriptan induces concentration-dependent contractions of meningeal artery, coronary artery, and saphenous vein. The potency of Eletriptan is higher in meningeal artery than in coronary artery (86-fold) or saphenous vein (66-fold). The predicted contraction by Eletriptan (40 mg and 80 mg) and sumatriptan (100 mg) at free C(max) observed in clinical trials is similar in meningeal artery. [2]
In vivo	The total number of binding sites (Bmax) of [3H]Eletriptan to 5-HT1B and 5-HT1D was 2478 fmol/mg and 1576 fmol/mg, respectively.The binding rate of [3H]Eletriptan (K(on) 0.249/min/nM) was significantly faster than that of [3H]sumatriptan (K(on) 0.024/ min/nM), while the shedding rate (K(off) 0.027/min compared to 0.037/min for [3H] sumatriptan) was significantly slower than [3H]Eletriptan . [1] Eletriptan induces concentration-dependent constriction in meningeal arteries, coronary arteries, and saphenous veins.Eletriptan is more potent in meningeal arteries than in coronary arteries (86-fold) or saphenous veins (66-fold). The predicted contractility of Eletriptan (40 mg and 80 mg) and sumatriptan (100 mg) at free C (max) in meningeal arteries observed in clinical trials is similar. [2]

Solubility Information

Solubility	DMSO: 60 mg/mL (129.47 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 (4.32 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*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1578 mL	10.7891 mL	21.5782 mL
5 mM	0.4316 mL	2.1578 mL	4.3156 mL
10 mM	0.2158 mL	1.0789 mL	2.1578 mL
50 mM	0.0432 mL	0.2158 mL	0.4316 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

Napier C, et al. Eur J Pharmacol, 1999, 368(2-3), 259-268.
Xu C, Zhou Y, Liu Y, et al.Specific pharmacological and Gi/o protein responses of some native GPCRs in neurons. Nature Communications.2024, 15(1): 1990.
MaassenVanDenBrink A, et al. Neurology, 2000, 55(10), 1524-1530.
Gupta P, et al. Eur J Pharmacol, 2000, 398(1), 73-81.
Goadsby PJ, et al. Neurology, 2000, 54(1), 156-163.
Hoskin KL, et al. Brain Res, 2004, 1998(1), 91-99.