Lerisetron

Cat. No.: HY-105090

CAS No.: 143257-98-1

Molecular Formula: $C_{18}H_{20}N_4$

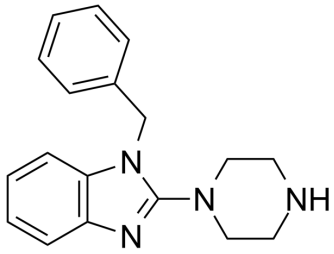
Molecular Weight: 292.38

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: -20°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (85.51 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4202 mL	17.1010 mL	34.2021 mL
	5 mM	0.6840 mL	3.4202 mL	6.8404 mL
	10 mM	0.3420 mL	1.7101 mL	3.4202 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 (8.55 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.55 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.55 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lerisetron is a potent 5-HT_3 antagonists and possess high-affinity binding for the 5-HT_3 receptors with pK_i value of 9.2.
Lerisetron has a potent ability to inhibit the 5-HT-evoked reflex bradycardia in urethane-anesthetized rats[1].

IC_{50} & Target

pK_i: 9.2 (5-HT_3)[1]

In Vivo

Lerisetron (50-200 μg/kg; IV; single) exhibits CL of 0.004-0.005 L/min, Vd_s of 0.88-0.96 L, MRT_{0-LAST} of 224-337.1 min and AUC_{∞} of 57.7-66.1 μg·min/L in rats[2].
Lerisetron (2-10 μg/kg; IV; single) causes rapid recovery from bradycardia[2].
Pharmacokinetic Parameters of Lerisetron in Sprague-Dawley rats[2].

	IV (50 μg/kg)	IV (100 μg/kg)	IV (200 μg/kg)
CL (L/min)	0.005	0.004	0.004
Vd_s (L)	0.9	0.88	0.96
$MRT_{0\text{-}LAST}$ (min)	224	337.1	226.3
AUC_∞ (μg·min/L)	66.1	57.7	58.1

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Orjales A, Mosquera R, Labeaga L, Rodes R. New 2-piperazinylbenzimidazole derivatives as 5-HT3 antagonists. Synthesis and pharmacological evaluation. J Med Chem. 1997;40(4):586-593.

[2]. Jauregizar N, Calvo R, Suarez E, Quintana A, Raczka E, Lukas JC. Pharmacokinetics and pharmacological effect of lerisetron, a new 5-HT3 antagonist, in rats. J Pharm Sci. 2002;91(1):41-52.

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