Cligosiban

Cat. No.: HY-15023

CAS No.: 900510-03-4

Molecular Formula: $C_{19}H_{19}ClFN_5O_3$

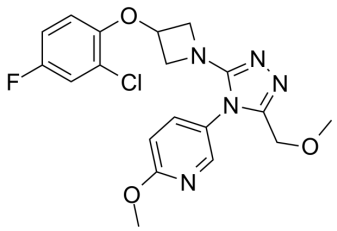
Molecular Weight: 419.84

Target: Oxytocin Receptor

Pathway: GPCR/G Protein

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 : ≥ 50 mg/mL (119.09 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3819 mL	11.9093 mL	23.8186 mL
5 mM	0.4764 mL	2.3819 mL	4.7637 mL
10 mM	0.2382 mL	1.1909 mL	2.3819 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: ≥ 3 mg/mL (7.15 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3 mg/mL (7.15 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (7.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cligosiban (PF-3274167) is an orally active, highly selective, and centrally permeable oxytocin receptor antagonist with good pharmacokinetics in rats and can inhibit physiological ejaculation in rodents[1][2].

IC_{50} & Target

oxytocin receptor[1]

In Vitro

Cligosiban (1 μM) has an antagonistic effect on endogenous release of oxytocin (OT) induced prostate motility in rats[3].

Cligosiban (1, 10 μM) can reduce the frequency of spontaneous bladder contractions in young and old rats[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Cligosiban (0.9 mg/kg; 3 to 5 minutes after injection of Apomorphine (HY-12723); i.v.) shows CNS permeability and inhibits Apomorphine-induced ejaculation in an anesthetized rat CNS neuronal discharge model by modulating oxytocin (OT)-mediated responses in the nucleus tractus solitarius (NTS)[1].
Cligosiban (1 mg/kg; i.v. or p.o.) produces 4 metabolites in rat plasma, with demethylation and glucuronidation being the major metabolic pathways, and the pharmacokinetic profile is favorable[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague Dawley rats (280-350 g), Anesthetized Rat CNS Neuronal Firing Model (Pre injection of Apomorphine (200 mg/kg, intravenous injection) into rats to regulate neuronal firing)[1].
Dosage:	0.9 mg/kg
Administration:	Intravenous injection (i.v.); 3 to 5 minutes after injection of Apomorphine
Result:	Reversed the reduced firing of nucleus tractus solitaries (NTS) neurons induced by Apomorphine and reduced the bulbospongiosum burst pattern and contraction amplitude associated with ejaculation.

Animal Model:	Male Sprague-Dawley rats with body weight of 200-220 g[2].
Dosage:	1 mg/kg
Administration:	Intravenous injection (i.v.) or oral gavage (p.o.)
Result:	Was rapidly absorbed into the plasma after oral administration and reached its maximum blood concentration 1.41 hours after administration, and was quickly eliminated from the plasma after absorption.

CUSTOMER VALIDATION

- Br J Pharmacol. 2024 Apr 27.
- FASEB J. 2021 Jun;35(6):e21639.
- Biomedicines. 2024 Mar 18, 12(3), 674.
- Biomedicines. 2023 Nov 1, 11(11), 2956.
- J Pharm Biomed Anal. 2019 Feb 5;164:725-733.

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REFERENCES

[1]. Wayman C, et al. Cligosiban, A Novel Brain-Penetrant, Selective Oxytocin Receptor Antagonist, Inhibits Ejaculatory Physiology in Rodents. J Sex Med. 2018 Dec;15(12):1698-1706.

[2]. Yue X, et al. Pharmacokinetics, bioavailability and metabolism of cligosiban, an antagonist of oxytocin receptor, in rat by liquid chromatography hyphenated with electrospray ionization tandem mass spectrometry. J Pharm Biomed Anal. 2019 Feb 5;164:725-733.

[3]. Badshah M, et al. The Effects of Age on Prostatic Responses to Oxytocin and the Effects of Antagonists. Biomedicines. 2023 Nov 1;11(11):2956.

[4]. Badshah M, et al. Age-Dependent Effects of Oxytocin and Oxytocin Receptor Antagonists on Bladder Contractions: Implications for the Treatment of Overactive Bladder Syndrome. Biomedicines. 2024 Mar 18;12(3):674.

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