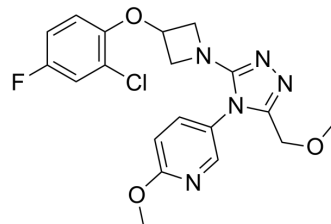


Cligosiban

Cat. No.:	HY-15023		
CAS No.:	900510-03-4		
Molecular Formula:	C ₁₉ H ₁₉ ClFN ₅ O 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 Concentration	Mass		
		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

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 3 mg/mL (7.15 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 3 mg/mL (7.15 mM); Clear solution
- 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), a high oral bioavailability and good brain-penetrant non-peptide oxytocin receptor antagonist, shows a high-affinity ($K_i=9.5$ nM) and an excellent selectivity versus the vasopressin receptors with almost no affinity for the V_{1b} and V_{1a} subtypes. Cligosiban inhibits ejaculatory physiology in rodents^{[1][2]}.

IC₅₀ & Target

Ki: 9.5 nM (oxytocin receptor)^[2]

CUSTOMER VALIDATION

- FASEB J. 2021 Jun;35(6):e21639.
- J Pharm Biomed Anal. 2019 Feb 5;164:725-733.
- Biomed Chromatogr. 2019 Oct;33(10):e4611.

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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]. Karpenko IA, et al. Selective nonpeptidic fluorescent ligands for oxytocin receptor: design, synthesis, and application to time-resolved FRET binding assay. J Med Chem. 2015 Mar 12;58(5):2547-52.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA