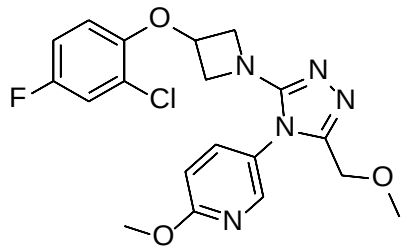
Product data sheet

MedKoo Cat#: 522639 Name: PF-3274167 CAS: 900510-03-4 Chemical Formula: $C_{19}H_{19}ClFN_5O_3$ Exact Mass: 419.116 Molecular Weight: 419.8414	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

PF-3274167, also known as PF-03274167 or PF-327,4167, is a potent and selective, high-affinity nonpeptide oxytocin receptor antagonist. Oxytocin receptor, also known as OXTR, is a protein which functions as receptor for the hormone and neurotransmitter oxytocin. Oxytocin receptors are also present in the central nervous system. These receptors modulate a variety of behaviors, including stress and anxiety, social memory and recognition, sexual and aggressive behaviors, bonding (affiliation) and maternal behavior.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	34.0	80.98

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.38 mL	11.91 mL	23.82 mL
5 mM	0.48 mL	2.38 mL	4.76 mL
10 mM	0.24 mL	1.19 mL	2.38 mL
50 mM	0.05 mL	0.24 mL	0.48 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

Wayman C, Russell R, Tang K, Weibly L, Gaboardi S, Fisher L, Allers K, Jackson M, Hawcock T, Robinson N, Wilson L, Gupta J, Casey J, Gibson KR. Cligosiban, A Novel Brain-Penetrant, Selective Oxytocin Receptor Antagonist, Inhibits Ejaculatory Physiology in Rodents. J Sex Med. 2018 Dec;15(12):1698-1706. doi: 10.1016/j.jsxm.2018.10.008. PMID: 30527053.

In vivo study

Wayman C, Russell R, Tang K, Weibly L, Gaboardi S, Fisher L, Allers K, Jackson M, Hawcock T, Robinson N, Wilson L, Gupta J, Casey J, Gibson KR. Cligosiban, A Novel Brain-Penetrant, Selective Oxytocin Receptor Antagonist, Inhibits Ejaculatory Physiology in Rodents. J Sex Med. 2018 Dec;15(12):1698-1706. doi: 10.1016/j.jsxm.2018.10.008. PMID: 30527053.

7. Bioactivity

Biological target:

Cligosiban (PF-3274167), a high oral bioavailability and good brain-penetrant non-peptide oxytocin receptor antagonist, shows a high-affinity (Ki=9.5 nM) and an excellent selectivity versus the vasopressin receptors with almost no affinity for the V1b and V1a subtypes.

Product data sheet

In vitro activity

Cligosiban is a potent OT receptor antagonist, with a base dissociation constant of 5.7 nmol/L against native human uterine smooth muscle cell OT receptors. Cligosiban displays similar antagonistic potency against human recombinant and rat native OT receptors, including neuronal OT receptors. Cligosiban demonstrates >100-fold selectivity over human V1A, V1B, and V2 vasopressin receptors.

Reference: J Sex Med. 2018 Dec;15(12):1698-1706. https://pubmed.ncbi.nlm.nih.gov/30527053/

In vivo activity

In the electromyography model, cligosiban (0.9 mg/kg, IV bolus) reduced the bulbospongiosum burst pattern and contraction amplitude associated with ejaculation. In the anesthetized CNS neuronal firing model, the same dosing regimen of cligosiban (0.9 mg/kg IV bolus) modulated the OT-mediated response in the nucleus tractus solitarius. After systemic dosing to rats, cligosiban showed good CNS penetration.

Reference: J Sex Med. 2018 Dec;15(12):1698-1706. https://pubmed.ncbi.nlm.nih.gov/30527053/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.