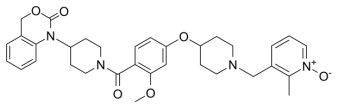
L-372662

Cat. No.:	HY-15011
CAS No.:	162045-26-3
Molecular Formula:	$C_{33}H_{38}N_4O_6$
Molecular Weight:	586.68
Target:	Oxytocin Receptor
Pathway:	GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (170.45 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7045 mL	8.5225 mL	17.0451 mL
5 mM	0.3409 mL	1.7045 mL	3.4090 mL
10 mM	0.1705 mL	0.8523 mL	1.7045 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 (4.26 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.26 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.26 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

L-372662 is a potent and orally active non-peptide oxytocin antagonist with a K_i value of 4.8. The K_d value of L-372662 for wild-type hOTR and [A318G]OTR is 5.8 nM and 73 nM. L-372662 shows selectivity to OTR:V_{1a}R[1][2].

IC_{50} & Target

Ki: 4.8 (oxytocin)[1][2]

In Vivo

L-372662 is an antagonist of oxytocin-induced uterine contractions in late gestation pregnant rhesus monkeys (AD50 = 36 micrograms/kg), oral bioavailability (F = 90% in dogs), and aqueous solubility (10 mg/mL).

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

REFERENCES

[1]. Bell, I. M., Erb, J. M., Freidinger, R. M., Gallicchio, S. N., Guare, J. P., Guidotti, M. T., … Woyden, C. J. (1998). Development of Orally Active Oxytocin Antagonists: Studies on 1-(1-{4-[1-(2-Methyl-1-oxidopyridin-3-ylmethyl)piperidin-4-yloxy]-2- metho

[2]. Hawtin SR, et al. A Gly/Ala switch contributes to high affinity binding of benzoxazinone-based non-peptide oxytocin receptor antagonists. FEBS Lett. 2005;579(2):349-356.

[3]. Williams PD, et al. Progress in the development of oxytocin antagonists for use in preterm labor. Adv Exp Med Biol. 1998;449:473-479.

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