L-368,899 hydrochloride

Cat. No.: HY-108677

CAS No.: 160312-62-9

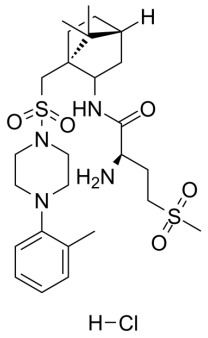
Molecular Formula: $C_{26}H_{43}ClN_4O_5S_2$

Molecular Weight: 591.23

Target: Oxytocin Receptor

Pathway: GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 2 years; -20°C, 1 year (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 130 mg/mL (219.88 mM; Need ultrasonic)
H_2O : 5 mg/mL (8.46 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6914 mL	8.4569 mL	16.9139 mL
5 mM	0.3383 mL	1.6914 mL	3.3828 mL
10 mM	0.1691 mL	0.8457 mL	1.6914 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: ≥ 2.17 mg/mL (3.67 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.17 mg/mL (3.67 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.17 mg/mL (3.67 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

L-368,899 hydrochloride is a potent, selective, orally bioavailable, non-peptide oxytocin receptor antagonist, with IC_{50}s of 8.9 nM and 26 nM for rat uterus and human uterus oxytocin receptor, respectively. L-368,899 hydrochloride used as a tocolytic agent[1].

IC_{50} & Target

IC50: 8.9 nM (rat uterus oxytocin receptor), 26 nM (human uterus oxytocin receptor)[1]

In Vitro

L-368,899 hydrochloride is a potent, orally bioavailable, non-peptide oxytocin receptor antagonist, with IC_{50}s of 8.9 nM and 26 nM for rat uterus and human uterus oxytocin receptor, respectively. L-368,899 is less active on VP receptor in human liver

	and kidney, rat liver and kidney (IC_{50}, 510 nM, 960 nM, 890 nM, 2400 nM, respectively)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	L-368,899 exhibits similar pharmacokinetics in rats and dogs. After a single iv. injection, L-368,899 had a $t_{1/2}$ of 2 hr in both species. Additionally, L-368,899 has a plasma clearance between 23 and 36 ml/min/kg in rats or dogs. L-368,899 exhibits V_{dss} values of 2.0 and 2.6 liters/kg and 3.4 to 4.9 liters/kg for dogs, respectively[2]. L-368,899 is orally available. In the rat, at the 5 mg/kg dose, the oral bioavailabilities are 14% and 18% for female and male rats, respectively. Additionally, the oral bioavailabilities are 17% and 41% for female and male rats, respectively at the dosage of 25 mg/kg[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Front Pharmacol. 2019 Nov 15;10:1380.
- Neurosci Res. 2021 Apr 28;S0168-0102(21)00095-X.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Williams PD, et al. 1-((7,7-Dimethyl-2(S)-(2(S)-amino-4-(methylsulfonyl)butyramido)bicyclo [2.2.1]-heptan-1(S)-yl)methyl)sulfonyl)-4-(2-methylphenyl)piperaz ine (L-368,899): an orally bioavailable, non-peptide oxytocin antagonist with potential utility fo

[2]. Kathryn L. Thompson, et al. Pharmacokinetics and Disposition of the Oxytocin Receptor Antagonist L-368,899 in Rats and Dogs

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