L-371,257

Cat. No.: HY-15010

CAS No.: 162042-44-6

Molecular Formula: $C_{28}H_{33}N_3O_6$

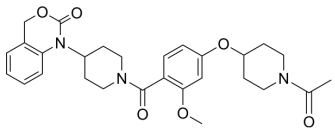
Molecular Weight: 507.58

Target: Oxytocin Receptor; Vasopressin 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 : 8.33 mg/mL (16.41 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9701 mL	9.8507 mL	19.7013 mL
5 mM	0.3940 mL	1.9701 mL	3.9403 mL
10 mM	0.1970 mL	0.9851 mL	1.9701 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: ≥ 0.83 mg/mL (1.64 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.83 mg/mL (1.64 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.83 mg/mL (1.64 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

L-371,257 is an orally bioavailable, non-blood-brain barrier penetrant, selective and competitive antagonist of oxytocin receptor (pA2=8.4) with high affinity at both the oxytocin receptor (K_i=19 nM) and vasopressin V1a receptor (K_i=3.7 nM)[1][2].

IC_{50} & Target

Ki: 19 nM (oxytocin receptor), 3.7 nM (vasopressin V1a receptor)[2]

In Vivo

Systemic administration of nonpenetrant oxytocin receptor antagonist L-371,257 (0.5 and 1.0 mg/kg; i.p. injection) stimulates weight gain in rats[3].

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

Animal Model:	Six-hour fasted rats[3]
Dosage:	0.5 and 1.0 mg/kg
Administration:	Intraperitoneal injection (a single) 30-45 minutes prior to the start of the dark cycle and access to food; Intraperitoneal injection (given repeatedly) over 6 days.
Result:	A single injection significantly stimulated weight gain at 0.5 and 1.0 mg/kg relative to vehicle treatment. When given repeatedly over 6 days, 0.5 mg/kg significantly stimulated body weight gain (10.5±2.2 g) relative to vehicle treatment (4.7±2.7 g).

REFERENCES

[1]. Williams PD, et al. 1-(1-[4-[(N-acetyl-4-piperidinyl)oxy]-2-methoxybenzoyl]piperidin-4- yl)-4H-3,1-benzoxazin-2(1H)-one (L-371,257): a new, orally bioavailable, non-peptide oxytocin antagonist. J Med Chem. 1995 Nov 10;38(23):4634-6.

[2]. Tunstall BJ, et al. Oxytocin blocks enhanced motivation for alcohol in alcohol dependence and blocks alcohol effects on GABAergic transmission in the central amygdala. PLoS Biol. 2019 Apr 16;17(4):e2006421.

[3]. Jacqueline M Ho, et al. Hindbrain oxytocin receptors contribute to the effects of circulating oxytocin on food intake in male rats. Endocrinology. 2014 Aug;155(8):2845-57.

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