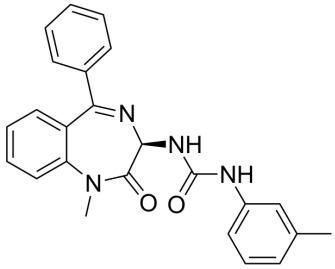
L-365260

Cat. No.:	HY-106840
CAS No.:	118101-09-0
Molecular Formula:	$C_{24}H_{22}N_4O_2$
Molecular Weight:	398.46
Target:	Cholecystokinin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
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 (250.97 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5097 mL	12.5483 mL	25.0966 mL
	5 mM	0.5019 mL	2.5097 mL	5.0193 mL
	10 mM	0.2510 mL	1.2548 mL	2.5097 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 (6.27 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.27 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

L-365260 is an orally active and selective antagonist of non-peptide gastrin and brain cholecystokinin receptor (CCK-B), with K_is of 1.9 nM and 2.0 nM, respectively. L-365260 interacts in a stereoselective and competitive manner with guinea pig stomach gastrin and brain CCK receptors. L-365260 can enhance Morphine analgesia and prevents Morphine tolerance[1][2][3].

IC_{50} & Target

CCKBR

In Vitro

L-365260 (1 μM) strongly attenuates the CCK8S- and CCK4-mediated depolarization in a different neuron[2].
L-365260 exhibits a similar high affinity for brain CCK-B receptors of rats, mice and man, and a lower affinity for gastrin and brain CCK-B (IC_{50}=20-40 nM) receptors in dog tissues[1].

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

In Vivo

L-365260 (0.01-10 mg/kg; s.c.) enhances analgesia induced by a submaximal dose of Morphine (4 mg/kg) in rats[3].
L-365260 (0.2 mg/kg; s.c. twice daily for 5 days) significantly prolongs the duration of Morphine analgesia in rats[3].
L-365260 (0.1-30 mg/kg; p.o.) antagonizes gastrin-stimulated acid secretion in mice (ED_{50}=0.03 mg/kg), rats (ED_{50}=0.9 mg/kg) and guinea pigs (ED_{50}=5.1 mg/kg)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (300-350 g) were injected with Morphine[3]
Dosage:	0.01, 0.05, 0.1, 0.2, 0.75, 1.0, 10.0 mg/kg
Administration:	S.c. 10 min prior to i.p. injection of 4 mg/kg Morphine
Result:	Enhanced morphine analgesia.

REFERENCES

[1]. Lotti VJ, et, al. A new potent and selective non-peptide gastrin antagonist and brain cholecystokinin receptor (CCK-B) ligand: L-365,260. Eur J Pharmacol. 1989 Mar 21;162(2):273-80.

[2]. Chung L, et, al. Cholecystokinin action on layer 6b neurons in somatosensory cortex. Brain Res. 2009 Jul 28;1282:10-9.

[3]. Dourish CT, et, al. The selective CCK-B receptor antagonist L-365,260 enhances morphine analgesia and prevents morphine tolerance in the rat. Eur J Pharmacol. 1990 Jan 25;176(1):35-44.

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