L-365260 hemihydrate

Cat. No.: HY-106840A

Molecular Formula: $C_{24}H_{24}N_4O_3$

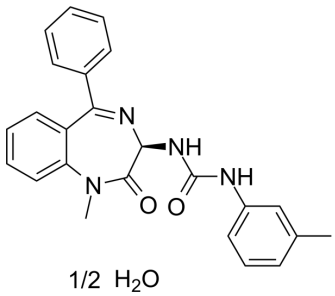
Molecular Weight: 407.47

Target: Cholecystokinin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



BIOLOGICAL ACTIVITY

Description	L-365260 hemihydrate 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 hemihydrate interacts in a stereoselective and competitive manner with guinea pig stomach gastrin and brain CCK receptors[1][2][3].
IC_{50} & Target	Ki: 1.9 nM (gastrin); 2.0 nM (CCK-B)[1].
In Vitro	L-365260 hemihydrate 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]. L-365260 (1 μM) hemihydrate strongly attenuates the CCK8S- and CCK4-mediated depolarization in a different neuron[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	L-365260 hemihydrate (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]. L-365260 hemihydrate (0.01-10 mg/kg; s.c.) enhances analgesia induced by a submaximal dose of Morphine (4 mg/kg) in rats[3]. L-365260 hemihydrate (0.2 mg/kg; s.c. twice daily for 5 days) significantly prolongs the duration of Morphine analgesia in rats[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (300-350 g; Morphine- injected)[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]. 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.

[3]. 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.

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