

L-365260 hemihydrate

Chemical Properties

CAS No. :

Formula: C₂₄H₂₄N₄O₃

Molecular Weight: 407.47

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	L-365260 hemihydrate is a selective, orally active non-peptide gastrin and brain cholecystokinin receptor (CCK-B) antagonist, demonstrating competitive interaction with guinea pig stomach gastrin and brain CCK receptors and exhibiting inhibition constants (K _i s) of 1.9 nM and 2.0 nM, respectively.
In vitro	L-365260 hemihydrate demonstrates a high affinity for the CCK-B receptors in the brains of rats, mice, and humans, while showing a reduced affinity for both gastrin and CCK-B (IC ₅₀ = 20-40 nM) receptors in dog tissues [1]. At a concentration of 1 μM, L-365260 hemihydrate significantly diminishes the depolarization induced by CCK8S and CCK4 in distinct neurons [2].
In vivo	L-365260 hemihydrate, administered orally (0.1-30 mg/kg), inhibits gastrin-induced 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]. When given subcutaneously (0.01-10 mg/kg), it potentiates analgesic effects of a submaximal dose of Morphine (4 mg/kg) in rats, and a dosage of 0.2 mg/kg administered twice daily for five days markedly extends Morphine analgesia duration in the same species [3]. These effects were assessed using male Sprague-Dawley rats weighing 300-350 g and pre-injected with Morphine [3], with the compound administered subcutaneously 10 minutes before an intraperitoneal Morphine injection of 4 mg/kg, showing significant enhancement in Morphine-induced analgesia at dosages ranging from 0.01 to 10.0 mg/kg.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4542 mL	12.2708 mL	24.5417 mL
5 mM	0.4908 mL	2.4542 mL	4.9083 mL
10 mM	0.2454 mL	1.2271 mL	2.4542 mL
50 mM	0.0491 mL	0.2454 mL	0.4908 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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