CI-988 hemihydrate

Cat. No.: HY-105226B

Molecular Formula: $C_{35}H_{42}N_4O_6 \cdot 1/_2H_2O$

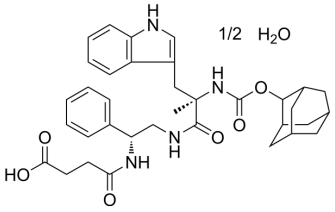
Molecular Weight: 623.74

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)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 62.374 mg/mL (100.00 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6032 mL	8.0162 mL	16.0323 mL
5 mM	0.3206 mL	1.6032 mL	3.2065 mL
10 mM	0.1603 mL	0.8016 mL	1.6032 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

CI-988 hemihydrate (PD134308) is a potent, selective and orally active CCK2R (cholecystokinin 2 receptor) antagonist with an IC_{50} of 1.7 nM for mouse cortex CCK2. CI-988 hemihydrate shows >1600-fold selectivity for CCK2 over CCK1 receptor. CI-988 hemihydrate has anxiolytic and anti-tumor effects[1][2][3].

In Vitro

CI-988 inhibits specific ^{125}I-BH-CCK-8 binding to NCI-H727 cells with high affinity (K_i of 4.5 nM). The increase in ROS caused by CCK-8 addition to NCI-727 cells is blocked significantly by CI-988. CI-988 (3 μM) inhibits the basal growth of NCI-H727 cells or that stimulated by CCK-8. CI-988 inhibits the ability of CCK-8 to cause ERK phosphorylation and elevate cytosolic Ca^{2+}[1]. CI-988 inhibits in a dose-dependent manner the ability of CCK-8 to cause EGFR transactivation in NCI-H727 cells. CI-988 at doses of 1 and 10 μM weakly and strongly, respectively, inhibits the ability of 0.1μM CCK-8 to increase EGFR tyrosine phosphorylation. CI-988 antagonizes the ability of CCK-8 to cause lung cancer EGFR or ERK tyrosine phosphorylation[1].

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

In Vivo

CI-988 (10 mg/kg; p.o.; daily; for 20 days) inhibits the growth of colorectal cancer in xenografts model mice[3].

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Animal Model:	Nude mice injected with LoVo cells[3]
Dosage:	10 mg/kg
Administration:	p.o.; daily; for 20 days
Result:	Inhibited the growth of xenografts by 53%.

REFERENCES

[1]. Terry W Moody, et al. CI-988 Inhibits EGFR Transactivation and Proliferation Caused by Addition of CCK/Gastrin to Lung Cancer Cells. J Mol Neurosci. 2015 Jul;56(3):663-72.

[2]. J Hughes, et al. Development of a class of selective cholecystokinin type B receptor antagonists having potent anxiolytic activity. Proc Natl Acad Sci U S A. 1990 Sep;87(17):6728-32.

[3]. R Romani, et al. Gastrin receptor antagonist CI-988 inhibits growth of human colon cancer in vivo and in vitro. Aust N Z J Surg. 1996 Apr;66(4):235-7.

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