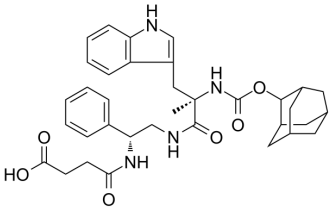
CI-988

Cat. No.:	HY-105226
CAS No.:	130332-27-3
Molecular Formula:	$C_{35}H_{42}N_4O_6$
Molecular Weight:	614.73
Target:	Cholecystokinin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	CI-988 (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 shows >1600-fold selectivity for CCK2 over CCK1 receptor. CI-988 has anxiolytic and anti-tumor effects[1][2][3].
IC_{50} & Target	IC50: 1.7 nM (Mouse cortex CCK2); 2717 nM (Rat pancreas CCK1)[2]
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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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