Data Sheet (Cat.No.T208995)

TargetMol

CI-988 hemihydrate

Chemical Properties

CAS No. :

Formula: C35H42N4O6.1/2H2O

Molecular Weight:

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	CI-988 hemihydrate (PD134308) is a potent and selective orally active CCK2R (cholecystokinin 2 receptor) antagonist, with an IC50 of 1.7 nM for mouse cortical CCK2. It is over 1600 times more selective for CCK2 than for CCK1 receptors. CI-988 hemihydrate exhibits anxiolytic and antitumor properties.
Targets(IC50)	Cholecystokinin Receptor
In vitro	CI-988 demonstrates high affinity (K i of 4.5 nM) in inhibiting specific 125 I-BH-CCK-8 binding to NCI-H727 cells. It significantly blocks ROS increases caused by CCK-8 in these cells. At a concentration of 3 μM, CI-988 inhibits both basal and CCK-8-stimulated growth of NCI-H727 cells. It also prevents CCK-8 from inducing ERK phosphorylation and cytosolic Ca 2+ elevation. CI-988 dose-dependently suppresses CCK-8-induced EGFR transactivation in these cells. At 1 μM and 10 μM, it shows weak to strong inhibition of 0.1μM CCK-8's effect on EGFR tyrosine phosphorylation. Additionally, CI-988 antagonizes CCK-8's ability to cause EGFR or ERK tyrosine phosphorylation associated with lung cancer.
In vivo	Daily oral administration of CI-988 at a dose of 10 mg/kg over 20 days effectively suppresses the growth of colorectal cancer in a xenograft mouse model.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481