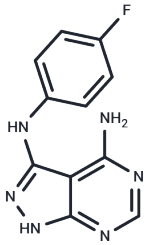
Data Sheet (Cat.No.T6440)

TargetMol

CGP 57380

Chemical Properties



CAS No. : 522629-08-9

Formula: C11H9FN6

Molecular Weight: 244.23

Appearance: no data available

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

Biological Description

Description	CGP 57380 (MNK1 Inhibitor) is a potent MNK1 inhibitor with IC50 of 2.2 μM, exhibiting no inhibitory activity on p38, JNK1, ERK1 and -2, PKC, or c-Src-like kinases.
Targets(IC50)	Apoptosis,MNK
In vitro	CGP57380 inhibits phosphorylation of eIF4E in vitro with IC50 of about 3 μM. CGP57380 causes dephosphorylation of eIF4E, and induces a further increase in the cap-dependent reporter in 293 cells. [1] CGP57380 results in dose-dependent decreases in Ang II-stimulated phosphorylation of eIF4E, protein synthesis, and VSMC hypertrophy. [2] CGP57380 sensitizes wild-type cells for serum-withdrawal induced apoptosis in mouse embryo fibroblasts (MEFs). [3] CGP57380 prevents the serial replating function of BC progenitors. [4]
In vivo	CGP57380 (40 mg/kg/d i.p.) potently abrogates the ability of BC CML cells to serially transplant-immunodeficient mice and function as LSCs. [4]
Kinase Assay	Recombinant p38 isoforms are activated by Mkk6(E) under the following conditions: p38 (100 ng/mL), Mkk6(E) (30 ng/mL), ATP (100 mM) are mixed in kinase buffer (25 mM Hepes, 25 mM b-glycerophosphate, 0.1 mM sodium orthovanadate, 25 mM MgCl2, 2.5 mM DTT, pH 7.4) and incubated for 30 min at 30°C. A typical assay reaction for Mnk1 activity contained Mnk1 (2 ng/mL), HA-eIF4E (10 ng/mL), ATP (300 mM) in kinase buffer. The reaction is started by addition of activated p38 (0.03-3 ng/mL) and stopped after 30 min at 30°C by addition of SDS loading buffer. Inhibitors of Mnk1 are identified under the same assay conditions, except that Mnk1 is pre-activated using active p38a before exposure to the substrate and inhibitors.

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 45 mg/mL (184.25 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.0945 mL	20.4725 mL	40.945 mL
5 mM	0.8189 mL	4.0945 mL	8.189 mL
10 mM	0.4095 mL	2.0473 mL	4.0945 mL
50 mM	0.0819 mL	0.4095 mL	0.8189 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.

Reference

Knauf U, et al. Mol Cell Biol. 2001, (16), 5500-5511.
Ishida M, et al. Circ Res. 2003, 93(12), 1218-1224.
Chrestensen CA, et al. Genes Cells. 2007, 12(10), 1133-1140.
Lim S, et al. Proc Natl Acad Sci U S A. 2013, 110(25), E2298-E2307.