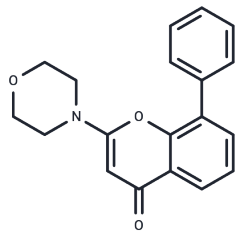
Data Sheet (Cat.No.T4079)

TargetMol

LY-294002 hydrochloride

Chemical Properties



CAS No. : 934389-88-5

Formula: C19H17NO3·HCl

Molecular Weight: 343.81

Appearance: no data available

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

Biological Description

Description	LY-294002 hydrochloride (NSC 697286) is a synthetic molecule inhibitor of PI3Kα/δ/β (IC50: 0.5/0.57/0.97 μM, in cell-free assays); more stable than Wortmannin in solution, and also is a blocker of autophagosome formation.
Targets(IC50)	PI3K
In vitro	LY294002 inactivates Akt/PKB, consequently inhibiting cell proliferation and inducing apoptosis. In these colon cancer cell lines, LY294002 shows a remarkable growth-inhibitory and apoptosis-inducing effect and decreases expression of phosphorylated Akt (Ser473)[2]. LY294002 induces diminished cytoplasmic volume and obvious nuclear pyknosis in the tumor cells. LY294002 induces specific G1 arrest in cell growth, leading to almost complete inhibition of melanoma cell proliferation and partial inhibition of MG-63 (osteosarcoma cell line) proliferation[3].
In vivo	LY294002 can suppress tumor growth and induce apoptosis, especially in the LoVo tumors. Thus, It shows remarkable effectiveness in the mouse peritonitis carcinoma tosa model [2]. LY294002 markedly inhibits growth and ascites formation of ovarian carcinoma [3].
Kinase Assay	PI3K inhibition by LY294002 is determined in a radiometric assay using purified, recombinant enzymes with 1 μM ATP. The kinase reaction is carried out for 1 hour at room temperature (24oC) and is terminated by addition of PBS. IC50 values are subsequently determined using a sigmoidal dose-response curve fit (variable slope). CK2 and GSK3β (glycogen synthase kinase 3β) inhibition are established by kinase selectivity screening. LY294002 is tested against the Upstate panel of kinases in 10 μM ATP.[4]
Cell Research	Cell lines: Colon cancer cell lines DLD-1,LoVo,HCT15,and Colo205. Concentrations: 0-50 μM. Incubation Time: 0-48 hours. Method: 1.0×105 cells (100 μL volume/well) are inoculated into 96-well microtiter plates.LY294002 is added to triplicate wells and cultured at 37oC for 0-48 hours.After treatment,Premix WST-1 (10 μL) is added to each microculture well,and the plates are incubated for 60 minutes at 37oC,after which absorbance at 450 nm is measured with a microplate reader.[2]
Animal Research	Animal Models: Two groups of athymic nude mice (5-7 weeks) are inoculated i.p.with OVCAR-3 cells. Formulation: Dissolved in DMSO plus 0.25 ml of PBS. Dosages: 0-100 mg/kg. Administration: i.p.[3]

Solubility Information

Solubility	DMSO: 50 mg/mL (145.43 mM),Sonication is recommended. Ethanol: 5 mM,Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.9086 mL	14.5429 mL	29.0858 mL
5 mM	0.5817 mL	2.9086 mL	5.8172 mL
10 mM	0.2909 mL	1.4543 mL	2.9086 mL
50 mM	0.0582 mL	0.2909 mL	0.5817 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

Chaussade C, et al. Evidence for functional redundancy of class IA PI3K isoforms in insulin signalling. Biochem J. 2007 Jun 15;404(3):449-58.

Semba S, et al. The in vitro and in vivo effects of 2-(4-morpholinyl)-8-phenyl-chromone (LY2942002), a specific inhibitor of phosphatidylinositol 3'-kinase, in human colon cancer cells. Clin Cancer Res. 2002 Jun;8(6):1957-63.

Hu L, et al. In vivo and in vitro ovarian carcinoma growth inhibition by a phosphatidylinositol 3-kinase inhibitor (LY2942002). Clin Cancer Res. 2000 Mar;6(3):880-6.

Gharbi SI, et al. Exploring the specificity of the PI3K family inhibitor LY2942002. Biochem J. 2007 May 15;404(1):15-21.

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