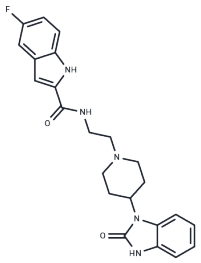
Data Sheet (Cat.No.T3580)

TargetMol

FIPI

Chemical Properties



CAS No. : 939055-18-2

Formula: C23H24FN5O2

Molecular Weight: 421.47

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	FIPI (5-Fluoro-2-indolyl deschlorohalopemide) is a derivative of halopemide which potently inhibits both PLD1 (IC50 = 25 nM) and PLD2 (IC50 = 20 nM); prevents PLD regulation of F-actin cytoskeleton reorganization, cell spreading, and chemotaxis.
Targets(IC50)	Autophagy,Phospholipase
In vitro	FIPI is a potent, concentration-dependent PLD2 inhibitor, and we show here that it inhibits PLD1 equally well under standard in vitro assay conditions. FIPI was added into the cell culture media 1 h before performing an in vivo PLD assay and was found to be a potent inhibitor of PLD2 with an IC50 of 10 nM.? The typical localization of PLD1 to peri-nuclear membrane vesicles and PLD2 to the plasma membrane were not affected by exposure to FIPI and and FIPI did not decrease PIP2 availability on the plasma membrane in PLD1- and PLD2-overexpressing cells as assessed using an enhanced GFP-fused PIP2 sensor. FIPI did not significantly inhibit p38 or ERK phosphorylation in bone marrow-derived macrophages stimulated with lipopolysaccharide. FIPI inhibition of PLD did diminish fMLP-directed chemotaxis($p < 0.01$), validating this role for PLD function and suggesting that PLD regulates chemotaxis via mechanisms distinct from affecting MAKP signaling.
Kinase Assay	Phospholipase D activity is quantified using our established method of measuring the formation of [32P]-radiolabeled PBt. Cellular lipids are extracted and PBt is isolated using our published methods of lipid extraction and thin layer chromatographic separation, respectively. Radioactivity is measured using liquid scintillation counting and quantified as DPM normalized to 106 counts in the total cellular lipid extract or as percentage of control (vehicle-treated cells).
Cell Research	Cytotoxicity in MAECs is determined by assaying the extent of reduction in MTT in intact cells using the commercial MTT reduction assay kit. At the end of the experimental treatments, MTT solution (10% vol/vol in MEM) is added and the cells are incubated for 3 hours, following which MTT solvent is added in an amount equal to the original culture volume. Absorbance of the reduced MTT is determined spectrophotometrically, according to the manufacturer's recommendations.

Solubility Information

Solubility	DMSO: 31.2 mg/mL (74.03 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 3.13 mg/mL (7.43 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.13 mg/mL (7.43 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3726 mL	11.8632 mL	23.7265 mL
5 mM	0.4745 mL	2.3726 mL	4.7453 mL
10 mM	0.2373 mL	1.1863 mL	2.3726 mL
50 mM	0.0475 mL	0.2373 mL	0.4745 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Monovich L, et al. Optimization of halopemide for phospholipase D2 inhibition. Bioorg Med Chem Lett. 2007 Apr 15;17(8):2310-1.

Li J, Yu F, Guo H, et al. Crystal structure of plant PLDα1 reveals catalytic and regulatory mechanisms of eukaryotic phospholipase D. Cell Research. 2020, 30(1): 61-69.

Liu Z, Nan Y, Luo Q, et al. DLGAP1-AS2-Mediated Phosphatidic Acid Synthesis Activates YAP Signaling and Confers Chemoresistance in Squamous Cell Carcinoma. Cancer Research. 2022

Su W, et al. 5-Fluoro-2-indolyl des-chlorohalopemide (FIPI), a phospholipase D pharmacological inhibitor that alters cell spreading and inhibits chemotaxis. Mol Pharmacol. 2009 Mar;75(3):437-46.

Secor JD, et al. Novel lipid-soluble thiol-redox antioxidant and heavy metal chelator, N,N'-bis(2-mercaptoethyl) isophthalamide (NBMI) and phospholipase D-specific inhibitor, 5-fluoro-2-indolyl des-chlorohalopemide (FIPI) attenuate mercury-induced lipid si

Li J, Yu F, Guo H, et al. Crystal structure of plant PLDα1 reveals catalytic and regulatory mechanisms of eukaryotic phospholipase D[J]. Cell Research. 2020, 30(1): 61-69.