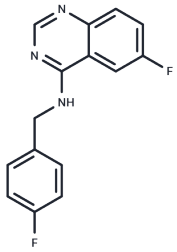
Data Sheet (Cat.No.T1937)

TargetMol

Spautin-1

Chemical Properties



CAS No. : 1262888-28-7

Formula: C15H11F2N3

Molecular Weight: 271.26

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	Spautin-1 is an autophagy inhibitor that suppresses the deubiquitination activity of ubiquitin-specific peptidase USP10 and USP13. Spautin-1 inhibits UPR and exhibits preferential cytotoxicity in glucose-starved cancer cells.
Targets(IC50)	Apoptosis,Autophagy,DUB
In vitro	In Bcap-37 cells, Spautin-1 dramatically enhanced cell death in glucose-free media and induces apoptotic morphology. In Bax-Bak DKO cells, spautin-1 inhibits etoposide induced autophagic cell death. Spautin-1 promots the degradation of Vps34 complexes by regulating the deubiquitination activity of USP10 and USP13, and reduces the levels of PtdIns3P. [1] In PDGF-treated cells, spautin-1 stabilizes α-smooth muscle cell actin and calponin, prevents actin filament disorganization, diminishes production of extracellular matrix, and abrogates VSMC hyperproliferation and migration. [2] In CML cells, spautin-1 markedly inhibits IM-induced autophagy by downregulating Beclin-1, and enhances IM-induced apoptosis by inactivating PI3K/AKT and activating downstream GSK3β. [3] Spautin-1 also specifically reduces infectious dengue virus titers in BHK-21 cells. [4]
In vivo	Spautin-1 effectively mitigates the pathogenesis of acute pancreatitis induced by cerulein or L-arginine. Pretreatment with Spautin-1 significantly reduces elevated serum amylase and lipase levels, markers of trypsin activity, and inhibits the increase of serum TNFα caused by cerulein. Additionally, Spautin-1 treatment alleviates inflammation-induced damage such as edema, degeneration, coagulative necrosis, and the infiltration of inflammatory cells[5].
Kinase Assay	Kinase inhibitory assays in Vitro: The inhibitory ability against each kinase except for MEK1 and Raf-1 is evaluated by examining their ability to phosphorylate various substrate peptides in the presence of CH5424802 using time-resolved fluorescence resonance energy transfer (TR-FRET) assay or fluorescence polarization (FP) assay. The inhibitory activity against MEK1 is evaluated by quantitative analysis of the phosphorylation of a substrate peptide by a recombinant ERK2 protein in the presence of CH5424802. The inhibitory activity against Raf-1 is evaluated by examining the ability of the kinases to phosphorylate MEK1 in the presence of CH5424802.
Cell Research	Spautin-1 is dissolved in DMSO. Cell proliferation is evaluated using CCK-8 kit. K562 cells (1x105/mL) are seeded into 96-well plates in triplicate and then treated with 125 to 4,000 nM IM alone or in combi?nation with spautin-1 (10 μM). After 48 h of incubation, 10 μL of CCK-8 reagent is added to each well. Four hours later, the absorbance is read at

Cell Research	450 nm using a microplate reader[1].

Solubility Information

Solubility	DMSO: 80 mg/mL (294.92 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (7.37 mM),Sonication is recommended. *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	3.6865 mL	18.4325 mL	36.865 mL
5 mM	0.7373 mL	3.6865 mL	7.373 mL
10 mM	0.3687 mL	1.8433 mL	3.6865 mL
50 mM	0.0737 mL	0.3687 mL	0.7373 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

Guo J,et al. Potent USP10/13 antagonist spautin-1 suppresses melanoma growth via ROS-mediated DNA damage and exhibits synergy with cisplatin. J Cell Mol Med. 2020 Apr; 24(7):4324-4340. doi: 10.1111/jcmm.15093. Epub 2020 Mar 4.

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