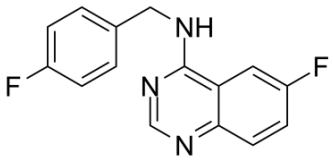
Spautin-1

Cat. No.:	HY-12990
CAS No.:	1262888-28-7
Molecular Formula:	$C_{15}H_{11}F_2N_3$
Molecular Weight:	271.26
Target:	Autophagy; Apoptosis
Pathway:	Autophagy; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (**184.32 mM;** Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
	1 mM	3.6865 mL	18.4325 mL	36.8650 mL
	5 mM	0.7373 mL	3.6865 mL	7.3730 mL
	10 mM	0.3687 mL	1.8433 mL	3.6865 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**
   Solubility: ≥ 2.5 mg/mL (9.22 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 2.5 mg/mL (9.22 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Spautin-1 is a specific and potent **autophagy** inhibitor which inhibits ubiquitin-specific peptidases, USP10 and USP13 with **IC_{50}**s of 0.6-0.7 μM.

In Vitro

Spautin-1 enhances imatinib mesylate (IM)-induced CmL cell apoptosis by reducing the expression of the anti-apoptotic proteins Mcl-1 and Bcl-2. The pro-apoptotic activity of spautin-1 is associated with activation of GSK3β, an important downstream effector of PI3K/AKT. Spautin-1 enhances IM-induced cytotoxicity in CmL cell line K562, decreasing the IC_{50} from 1 to 0.5 μM[1]. The mechanism of spautin-1 acting on acute pancreatitis is associated with impaired autophagy inhibition[2].

In Vivo	Spautin-1 ameliorates the pathogenesis of acute pancreatitis induced by cerulein or L-arginine. Spautin-1 pretreatment significantly diminishes the elevation of serum amylase and lipase levels, which are indicative of trypsin activity. Increasing levels of serum TNFα caused by cerulein are inhibited in the presence of spautin-1. Spautin-1 treatment can ameliorate the inflammation damage induced by cerulein, such as edema, degeneration, coagulative necrosis and infiltration of inflammatory cells[2].

PROTOCOL

Cell Assay [1]	Spautin-1 is dissolved in DMSO. Cell proliferation is evaluated using CCK-8 kit. K562 cells ($1x10^5$/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 μ M). After 48 h of incubation, 10 μL of CCK-8 reagent is added to each well. Four hours later, the absorbance is read at 450 nm using a microplate reader[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Mice: In this study, mice models with acute pancreatitis, including cerulein- and L-arginine-induced models, are constructed. For the cerulein-induced model, four intraperitoneal injections of cerulein (50 μg/kg body weight) are given consecutively at hourly intervals; The L-arginine-induced model received hourly intraperitoneal injections of 1.4 g/kg (optimal dosage for this study) L-arginine three times[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Shao S, et al. Spautin-1, a novel autophagy inhibitor, enhances imatinib-induced apoptosis in chronic myeloid leukemia. Int J Oncol. 2014 May;44(5):1661-1668.

[2]. Xiao J, et al. Spautin-1 Ameliorates Acute Pancreatitis via Inhibiting Impaired Autophagy and Alleviating Calcium Overload. Mol Med. 2016 Aug 18;22.

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