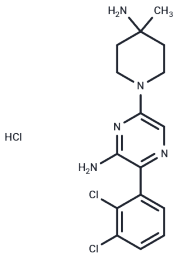
Data Sheet (Cat.No.T3544)

TargetMol

SHP099 hydrochloride

Chemical Properties



CAS No. : 2200214-93-1

Formula: C16H20Cl3N5

Molecular Weight: 388.72

Appearance: no data available

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

Biological Description

Description	SHP099 hydrochloride is a potent, selective, orally bioavailable SHP2 inhibitor with IC50 of 70 nM, which inhibits ERK1/2 activation in cancer cells. [2]
Targets(IC50)	Phosphatase
In vitro	**METHODS**: Cell viability of SMSCs was observed after treatment with different concentrations of SHP099 (5, 10, 15, 20, 25, 30, 40, 50 μM) for 1 week. **RESULTS** SHP099 inhibited the cell viability of SMSCs at concentrations greater than 25 μM. [2]
In vivo	**METHODS**: The imiquimod (IMQ)-induced mouse psoriasis model was treated with SHP0999 (1, 3, 10 mg/kg), and the effect of SHP099 on the psoriasis-like phenotype in the IMQ-induced mouse model was observed. . **RESULTS** SHP099 significantly inhibited IMQ-induced swelling, epidermal acanthosis, keratinocyte proliferation and dermal inflammatory cell infiltration without affecting the skin condition of normal mice; SHP099 also significantly reduced serum IL-23 and IL in the mouse model -17A level. [1] **METHODS**: The ability of SHP099 to cross the BBB in C57BL/6J mice was evaluated after a single oral dose of SHP099 at 100 mg/kg in a 400 μL volume. **RESULTS** SHP099 concentrations were high in plasma and brain tissue early after oral gavage, and SHP099 levels in brain tissue remained at appreciable levels 24 h after oral gavage. [2] **METHODS**: CT-26 and MC-38 cells were subcutaneously inoculated into BALB/c mice to establish a mouse tumor model. The mouse tumor model used SHP099 hydrochloride (5 mg/kg/kg/d, intraperitoneal injection). SHP099 salt was observed. Effects of salt on tumors. **RESULTS** The volume and weight of tumors treated with SHP099 were significantly reduced. [3]
Kinase Assay	The inhibition of SHP2 from the tested compounds (SHP099) concentrations varying from 0.003-100 μM is monitored using an assay in which 0.5 nM of SHP2 is incubated with of 0.5 μM of peptide IRS1_pY1172(dPEG8)pY1222. After 30-60 minutes incubation at the surrogate substrate, DiFMUP is added to the reaction and incubated at 25 °C for 30 minutes. The reaction is then quenched by the addition of 5 μL of a 160 μM solution of bpV(Phen). The fluorescence signal is monitored using a microplate reader using excitation and emission wavelengths of 340 nm and 450 nm, respectively[1].

Cell Research	Cells are plated onto 96-well plates in 100 μL medium. SHP099 with various concentrations (1.25, 2.5, 5, 10, 20 μM) are added 24 h after cell plating. At day 5, 50 μL Celltiter-Glo reagent is added, and the luminescent signal is determined[1].

Solubility Information

Solubility	Methanol: 15 mg/mL (38.59 mM),Sonication is recommended. DMSO: 55 mg/mL (141.49 mM),Sonication and heating are recommended. H2O: 10 mg/mL (25.73 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5725 mL	12.8627 mL	25.7255 mL
5 mM	0.5145 mL	2.5725 mL	5.1451 mL
10 mM	0.2573 mL	1.2863 mL	2.5725 mL
50 mM	0.0515 mL	0.2573 mL	0.5145 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

Zhu Y, et al. Allosteric inhibition of SHP2 uncovers aberrant TLR7 trafficking in aggravating psoriasis. EMBO Mol Med. 2022 Mar 7;14(3):e14455.

Qiu W Q, Ai W, Zhu F D, et al. Polygala saponins inhibit NLRP3 inflammasome-mediated neuroinflammation via SHP-2-Mediated mitophagy. Free Radical Biology and Medicine. 2022, 179: 76-94.

Sang Y, et al. Targeting PDGFRα-activated glioblastoma through specific inhibition of SHP-2-mediated signaling. Neuro Oncol. 2019 Nov 4;21(11):1423-1435.

Zheng M, Liu Y, Wu C, et al. Novel PROTACs for degradation of SHP2 protein. Bioorganic Chemistry. 2021, 110: 104788

Zhao M, et al. SHP2 inhibition triggers anti-tumor immunity and synergizes with PD-1 blockade. Acta Pharm Sin B. 2019 Mar;9(2):304-315.