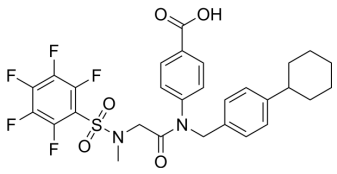
SH-4-54

Cat. No.:	HY-16975
CAS No.:	1456632-40-8
Molecular Formula:	$C_{29}H_{27}F_5N_2O_5S$
Molecular Weight:	610.59
Target:	STAT
Pathway:	JAK/STAT Signaling; Stem Cell/Wnt
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (163.78 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6378 mL	8.1888 mL	16.3776 mL
5 mM	0.3276 mL	1.6378 mL	3.2755 mL
10 mM	0.1638 mL	0.8189 mL	1.6378 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 (4.09 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SH-4-54 is a STAT inhibitor that binds to STAT3 and STAT5 with K_Ds of 300, 464 nM, respectively.

IC_{50} & Target

STAT3	STAT5
300 nM (Kd)	464 nM (Kd)

In Vitro

SH-4-54 potently kills glioblastoma brain cancer stem cells (BTSCs) and effectively suppresses STAT3 phosphorylation and its downstream transcriptional targets at low nM concentrations.SH-4-54 shows unprecedented cytotoxicity in human BTSCs, displays no toxicity in human fetal astrocytes, potently suppresses pSTAT3 with nanomolar IC_{50}s, inhibiting STAT3's downstream targets, and shows no discernible off-target effects at therapeutic doses[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	SH-4-54 exhibits blood-brain barrier permeability potently controls glioma tumor growth, and inhibits pSTAT3 in vivo. SH-4-54 demonstrates the power of STAT3 inhibitors for the treatment of BTSCs and validates the therapeutic efficacy of a STAT3 inhibitor for GBM clinical application.SH-4-54 decreases pSTAT3 expression in tumor cells of treated mice. SH-4-54 appears to decrease proliferation and increase apoptosis of treated tumors[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Rep. 2020 Sep 15;32(11):108158.
- Oncogene. 2020 Sep;39(39):6203-6217.
- Front Immunol. 2021 Apr 1;12:584097.
- Prog Neurobiol. 2021 Feb 23;102028.
- Int J Mol Sci. 2022 Apr 12;23(8):4277.

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REFERENCES

[1]. Haftchenary S, et al. Potent Targeting of the STAT3 Protein in Brain Cancer Stem Cells: A Promising Route for Treating Glioblastoma. ACS Med Chem Lett. 2013 Sep 8;4(11):1102-1107.

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