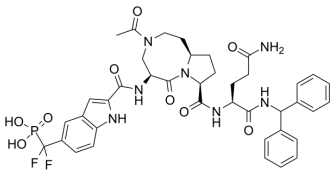
SI-109

Cat. No.:	HY-129603
CAS No.:	2429877-30-3
Molecular Formula:	$C_{40}H_{44}F_2N_7O_9P$
Molecular Weight:	835.79
Target:	STAT; Ligands for Target Protein for PROTAC
Pathway:	JAK/STAT Signaling; Stem Cell/Wnt; PROTAC
Storage:	-20°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 150 mg/mL (179.47 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.1965 mL	5.9824 mL	11.9647 mL
5 mM	0.2393 mL	1.1965 mL	2.3929 mL
10 mM	0.1196 mL	0.5982 mL	1.1965 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: ≥ 7.5 mg/mL (8.97 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 7.5 mg/mL (8.97 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 7.5 mg/mL (8.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SI-109 is a potent STAT3 SH2 domain inhibitor (K_i=9 nM) with antitumor activity. SI-109 effectively inhibits the transcriptional activity of STAT3 (IC_{50}=3 μM). SI-109 and an analog of CRBN ligand lenalidomide have been used to design PROTAC STAT3 degrader SD-36[1].

IC_{50} & Target

STAT3
9 nM (Ki)

In Vitro

SI-109 exerts a moderate growth inhibitory activity in MOLM-16 cells (IC_{50}=3 μM)[1].
SI-109 is ineffective in inhibition of STAT3 Y705 phosphorylation and in suppression of c-Myc expression at concentrations as

high as 10 μM[1].

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

REFERENCES

[1]. Bai L, et al. A Potent and Selective Small-Molecule Degrader of STAT3 Achieves Complete Tumor Regression In Vivo. Cancer Cell. 2019 Nov 11;36(5):498-511.e17.

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