STAT3-SH2 domain inhibitor 1

Cat. No.: HY-151577

CAS No.: 2816059-41-1

Molecular Formula: $C_{28}H_{28}BF_5N_2O_5S$

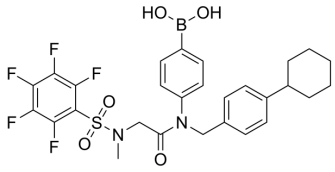
Molecular Weight: 610.4

Target: STAT; Apoptosis

Pathway: JAK/STAT Signaling; Stem Cell/Wnt; 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 : 8.33 mg/mL (13.65 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6383 mL	8.1913 mL	16.3827 mL
	5 mM	0.3277 mL	1.6383 mL	3.2765 mL
	10 mM	0.1638 mL	0.8191 mL	1.6383 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: 0.83 mg/mL (1.36 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 0.83 mg/mL (1.36 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 0.83 mg/mL (1.36 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

STAT3-SH2 domain inhibitor 1 is a potent Src Homology 2 (SH2) Domain of STAT3 (STAT3-SH2 domain) inhibitor with a K_d value of 1.57 μM. STAT3-SH2 domain inhibitor 1 inhibits STAT3 signaling transduction and transcriptional activation. STAT3-SH2 domain inhibitor 1 induces apoptosis in gastric cancer cells. STAT3-SH2 domain inhibitor 1 can be used in research of cancer[1].

In Vitro

STAT3-SH2 domain inhibitor 1 (compound 7; 1-5 μM; 24 h; AGS and MGC-803 cell lines) strongly and selectively inhibits the STAT3 signaling pathway[1].

STAT3-SH2 domain inhibitor 1 (0-10 μM; 72 h) has antiproliferative activity with IC_{50} values of 1.54 and 4.73 μM for AGS and MGC-803 cells, respectively[1].
STAT3-SH2 domain inhibitor 1 (1 and 3 μM; 72 h; AGS and MGC-803 cell lines) induces apoptosis effects in gastric cancer cells [1].

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

Cell Viability Assay[1]

Cell Line:	AGS and MGC-803 cell lines
Concentration:	0-10 μM
Incubation Time:	72 hours
Result:	Suppressed cancer cell proliferation and growth.

Apoptosis Analysis[1]

Cell Line:	AGS and MGC-803 cell lines
Concentration:	1 and 3 μM
Incubation Time:	72 hours
Result:	Induced apoptosis in AGS cells in a concentration-dependent manner, resulting in apoptotic percentages of 13.0 and 26.7% at 1 and 3 μM, respectively. Induced apoptosis of MGC-803 cells in a dose-dependent way, leading to apoptotic percentage of 11.2% at 5 μM.

Western Blot Analysis[1]

Cell Line:	AGS and MGC-803 cell lines
Concentration:	1 and 3 μM
Incubation Time:	24 hours
Result:	Inhibited STAT3 phosphorylation at Tyr705 in a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	HEK-293T cells
Concentration:	1, 3, and 5 μM
Incubation Time:	24 hours
Result:	Disrupted STAT3 dimerization in HEK-293T cells.

In Vivo

STAT3-SH2 domain inhibitor 1 (compound 7; 5 and 15 mg/kg; i.p.; daily, for 20 d) exhibits superior antitumor activity in BALB/c male nude mice with gastric tumor xenografts[1].

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

Animal Model:	BALB/c male nude mice with gastric tumor xenografts[1]
Dosage:	5 and 15 mg/kg
Administration:	Intraperitoneal injection; daily, for 20 days

Result:	Suppressed tumor growth in a dose-dependent manner, with tumor growth inhibition (TGI) of65.14% at 5 mg/kg and 79.13% at 15 mg/kg, respectively.

REFERENCES

[1]. Deng L, et, al. Boronic Acid: A Novel Pharmacophore Targeting Src Homology 2 (SH2) Domain of STAT3. J Med Chem. 2022 Oct 13;65(19):13094-13111.

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