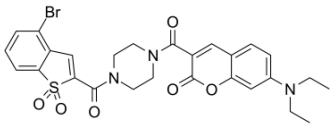
STAT3-IN-3

Cat. No.:	HY-128588
CAS No.:	2361304-26-7
Molecular Formula:	$C_{27}H_{26}BrN_3O_6S$
Molecular Weight:	600.48
Target:	STAT
Pathway:	JAK/STAT Signaling; Stem Cell/Wnt
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 : 5 mg/mL (8.33 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6653 mL	8.3267 mL	16.6533 mL
	5 mM	0.3331 mL	1.6653 mL	3.3307 mL
	10 mM	---	---	---

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

BIOLOGICAL ACTIVITY

Description

STAT3-IN-3 is a potent and selective inhibitor of signal transducer and activator of transcription 3 (STAT3), with anti-proliferative activity. STAT3-IN-3 induces apoptosis in breast cancer cells. STAT3-IN-3 acts as a promising mitochondria-targeting STAT3 inhibitor for cancer research[1].

IC_{50} & Target

STAT3

In Vitro

STAT3-IN-3 has no influence on the phosphorylation levels of STAT1, JAK2, Src and Erk1/2[1].
STAT3-IN-3 down-regulates the expression of STAT3 target genes Bcl-2 and Cyclin D1[1].
STAT3-IN-3 increases ROS production and remarkably reduces the mitochondrial membrane potential to induce mitochondrial apoptotic pathway[1].
STAT3-IN-3 inhibits the growth of MDA-MB-231, HCT-116, HepG2, and MCF-7cells with IC_{50}s of 1.43, 1.89, 2.88, and 3.33 μM, respectively[1].
STAT3-IN-3 exhibits selective inhibitory activity against cancer cells (IC_{50}=14.62 μM for MCF-10A cells and IC_{50}=35.60 μM for human normal cells, L02 cells)[1].
STAT3-IN-3 markedly inhibits the proliferation of MDA-MB-231cells and there was almost a cessation of colony formation at

2 μM[1].
STAT3-IN-3 (1-4 μM ;24 hours) induces apoptosis in cancer cells via the mitochondrial pathway[1].
STAT3-IN-3 (1-4 μM ;24 hours) can induce the cleavage of Caspase-9, Caspase-3 and PARP[1].
STAT3-IN-3 inhibits STAT3 tyrosine phosphorylation and serine phosphorylation[1].
STAT3-IN-3 inhibits STAT3 DNA-binding activity[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	MDA-MB-231 cells, HCT-116 cells, HepG2 cells, MCF-7cells
Concentration:	MTT assay
Incubation Time:	48 hours
Result:	Exhibited anti-proliferative activity.

Apoptosis Analysis[1]

Cell Line:	MDA-MB-231cells
Concentration:	0 μM, 1 μM, 2 μM, 4 μM
Incubation Time:	24 hours
Result:	Induced the apoptosis of MDA-MB-231 cells dose-dependently and the apoptosis rates.

Western Blot Analysis[1]

Cell Line:	MDA-MB-231cells
Concentration:	0 μM, 1 μM, 2 μM, 4 μM
Incubation Time:	24 hours
Result:	Induced the cleavage of Caspase-9, Caspase-3 and PARP.

In Vivo

STAT3-IN-3 (10mg/kg-20 mg/kg; i.p.; daily, for 14 days) possesses potent antitumor activity against implanted 4T1 breast tumors growth[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult female BALB/c mice (6 weeks of age)[1]
Dosage:	10 mg/kg, 20 mg/kg
Administration:	Intraperitoneal injection, daily, for 14 days
Result:	Significantly inhibited tumor volume.

REFERENCES

[1]. Cai GX et al. Discovery of fluorescent coumarin-benzo[b]thiophene 1, 1-dioxide conjugates as mitochondria-targeting antitumor STAT3 inhibitors. Eur J Med Chem. 2019 Jul 15;174:236-251.

Caution: Product has not been fully validated for medical applications. For research use only.

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