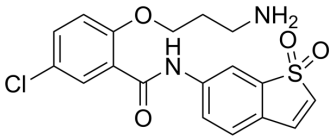
HJC0416

Cat. No.:	HY-12352
CAS No.:	1617518-22-5
Molecular Formula:	$C_{18}H_{17}ClN_2O_4S$
Molecular Weight:	392.86
Target:	STAT; Apoptosis
Pathway:	JAK/STAT Signaling; Stem Cell/Wnt; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	HJC0416 is a potent and orally active STAT3 inhibitor. HJC0416 shows antiprolifeative activity and induces apoptosis. HJC0416 decreases the expression of p-STAT3 (Tyr-705), Cyclin D1 and increases the expression of cleaved caspase-3 protein. HJC0416 shows anti-tumor activity[1].
IC_{50} & Target	STAT3

In Vitro

HJC0416 (0-10 μM; 48 h) shows antiprolifeative activity and induces apoptosis in MDA-MB-231 cells[1].
HJC0416 (0-10 μM; 12 h) decreases the expression of p-STAT3 (Tyr-705), Cyclin D1 and increases the expression of cleaved caspase-3 protein[1].

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

Cell Proliferation Assay[1]

Cell Line:	MCF-7, MDA-MB-231, AsPC1, Panc-1 cells
Concentration:	0-100 μM
Incubation Time:	72 h
Result:	Showed antiproliferative activity with IC_{50}s 1.76, 1.97, 0.04, 1.88 μM for MCF-7, MDA-MB-231, AsPC1, Panc-1 cells, respectively.

Apoptosis Analysis[1]

Cell Line:	MDA-MB-231 cells
Concentration:	1, 5, 10 μM
Incubation Time:	48 h
Result:	Induced cell apoptosis.

Western Blot Analysis[1]

Cell Line:	MDA-MB-231 cells

Concentration:	0, 1, 5, 10 μM
Incubation Time:	12 h
Result:	Suppressed the expression of phosphorylated STAT3 at Tyr-705, Cyclin D1, increased the expression of cleaved caspase-3.

In Vivo

HJC0416 (10 mg/kg for i.p.; 100 mg/kg for p.o.; daily for 7 days) reduces the tumor growth with no significant body weight loss in mice[1].

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Animal Model:	6 weeks, female nude mice (MDA-MB-231 cells)[1]
Dosage:	10 mg/kg for i.p.; 100 mg/kg for p.o.
Administration:	I.p. or p.o.; daily for 7 days
Result:	Decreased the tumor volume for 67% as compared to the control mice for i.p.; the growth of xenograft tumors in mice was also significantly reduced at a dose of 100 mg/kg by 46%.

REFERENCES

[1]. Chen H, et al. Discovery of potent anticancer agent HJC0416, an orally bioavailable small molecule inhibitor of signal transducer and activator of transcription 3 (STAT3). Eur J Med Chem. 2014 Jul 23;82:195-203.

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