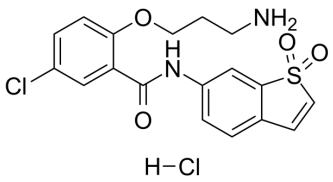
HJC0416 hydrochloride

Cat. No.:	HY-12352A
CAS No.:	2415263-08-8
Molecular Formula:	$C_{18}H_{18}Cl_2N_2O_4S$
Molecular Weight:	429.32
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 hydrochloride is a potent and orally active STAT3 inhibitor with an enhanced anticancer profile than Stattic (HY-13818). HJC0416 hydrochloride is a promising anti-cancer agent for breast cancer study[1].
IC_{50} & Target	STAT3

In Vitro

HJC0416 hydrochloride inhibits the proliferation of both ER-positive, and ER-negative (triple negative) breast cancer cells with IC_{50} values of 1.76 μM and 1.97 μM, respectively. However, it displays a marked antiproliferative effect against pancreatic cancer cell line AsPC1 and Panc-1 with IC_{50} values of 40 nM and 1.88 μM, respectively[1].

HJC0416 hydrochloride (1-10 μM; 48 hours) inhibits cell growth and induced apoptosis accompanying cellular morphological changes in MDA-MB-231 breast cancer cells[1].

HJC0416 hydrochloride (5 μM; 24 hours) decreases the STAT3 promoter activity by approximately 51%, while stattic (HY-13818) only decreases the STAT3 promoter activity by 39% in MDA-MB-231 cells after transient transfecting with pSTAT3-Luc vector[1].

HJC0416 hydrochloride (1-10 μM; 12 hours) has a comparable potency in downregulating STAT3 protein production and phosphorylation at Tyr-705 site when compares with Stattic (HY-13818). Additionally, it also induces cleaved caspase-3 and downregulated cyclin D1 levels in MDA-MB-231 cells[1].

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

Cell Cycle Analysis[1]

Cell Line:	MDA-MB-231 breast cancer cells
Concentration:	1-10 μM
Incubation Time:	48 hours
Result:	Induced cell apoptosis in cancer cells.

Apoptosis Analysis[1]

Cell Line:	MDA-MB-231 breast cancer cells
Concentration:	1 μM; 5 μM; 10 μM
Incubation Time:	12 hours

Result:	Decreased p-STAT3 phosphorylation expression and cyclin D1 level.

In Vivo

HJC0416 hydrochloride (intraperitoneal injection; 10 mg/kg; 7 days) shows a 67% decrease of tumor volume as compared to the control mice. Similarly, HJC0416 hydrochloride (oral administration; 100 mg/kg; 14 days) also significantly reduces tumor volume at a dose of 100 mg/kg by 46%. The i.p. route appeared to have a better reduction of tumor volume. It is also noteworthy that HJC0416 does not show significant signs of toxicity at a dose of 100 mg/kg[1].

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Animal Model:	Mice with MDA-MB-231 cells[1]
Dosage:	10 mg/kg (i.p.); 100 mg/kg (oral)
Administration:	Intraperitoneal injection, 7 days; oral administration, 14 days
Result:	Exhibited antitumor effects in the MDA-MB-231 triple-negative breast cancer murine xenograft model.

REFERENCES

[1]. Haijun Chen, 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.

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

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