

HJC0152 hydrochloride

Chemical Properties

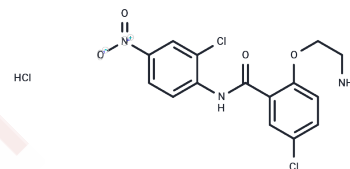
CAS No. : 1420290-99-8

Formula: C₁₅H₁₄Cl₃N₃O₄

Molecular Weight: 406.64

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	HJC0152 hydrochloride (HJC0152) is a signal transducer and activator of transcription 3 (STAT3) inhibitor.
Targets(IC50)	Apoptosis,STAT
In vitro	HJC0152 inhibits STAT3 promoter activity in MDA-MB-231 cells in a dose-dependent manner. It has a comparable potency in downregulating STAT3 protein production and phosphorylation at the Tyr-705 site. HJC0152 induces cleaved caspase-3 and downregulated cyclin D1 in MDA-MB-231 cells, inhibits cell cycle progression and promotes apoptosis. HJC0152 treatment efficiently suppresses HNSCC cell proliferation, arrests the cell cycle at the G0/G1 phase, induces apoptosis, and reduced cell invasion in both SCC25 and CAL27 cell lines. Moreover, HJC0152 inhibits nuclear translocation of phosphorylated STAT3 at Tyr705 and decreases VHL/ β -catenin signaling activity via regulation of microRNA-21.
In vivo	HJC0152 significantly suppresses MDA-MB-231 xenograft tumor growth in vivo (ip and po), indicating its great potential as efficacious and orally bioavailable therapeutics for human cancer. It has an improved oral bioavailability and an enhanced suppression of tumor growth in mice. HJC0152 does not show significant signs of toxicity at a dose of 75 mg/kg. In SCC25-derived orthotopic mouse models, HJC0152 treatment significantly abrogates STAT3/ β -catenin expression in vivo, which leading to a global decrease of tumor growth and invasion.

Solubility Information

Solubility	DMSO: < 1 mg/mL, insoluble or slightly soluble,Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4592 mL	12.2959 mL	24.5918 mL
5 mM	0.4918 mL	2.4592 mL	4.9184 mL
10 mM	0.2459 mL	1.2296 mL	2.4592 mL
50 mM	0.0492 mL	0.2459 mL	0.4918 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Chen H, et al. Discovery of O-Alkylamino Tethered Niclosamide Derivatives as Potent and Orally Bioavailable Anticancer Agents. ACS Med Chem Lett. 2013 Feb 14;4(2):180-185.

Chen C, Lu M, Lin S, et al. The nuclear gene rpl18 regulates erythroid maturation via JAK2-STAT3 signaling in zebrafish model of Diamond-Blackfan anemia. Cell Death & Disease. 2020, 11(2): 1-11

Wang Y, et al. Suppression of the Growth and Invasion of Human Head and Neck Squamous Cell Carcinomas via Regulating STAT3 Signaling and the miR-21/ β -catenin Axis with HJC20152. Mol Cancer Ther. 2017, 16(4):578-590.

Chen C, Lu M, Lin S, et al. The nuclear gene rpl18 regulates erythroid maturation via JAK2-STAT3 signaling in zebrafish model of Diamond-Blackfan anemia[J]. Cell Death & Disease. 2020, 11(2): 1-11.

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