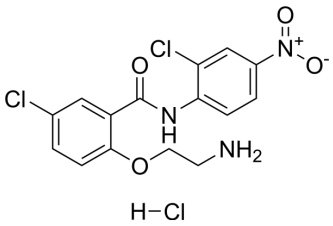
HJC0152

Cat. No.:	HY-100602
CAS No.:	1420290-99-8
Molecular Formula:	$C_{15}H_{14}Cl_3N_3O_4$
Molecular Weight:	406.65
Target:	STAT; Apoptosis
Pathway:	JAK/STAT Signaling; Stem Cell/Wnt; Apoptosis
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 1 mg/mL (2.46 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4591 mL	12.2956 mL	24.5912 mL
5 mM	---	---	---
10 mM	---	---	---

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 10 mg/mL (24.59 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

HJC0152 is a signal transducers and activators of transcription 3 (STAT3) inhibitor.

IC_{50} & Target

STAT3

In Vitro

HJC0152 (compound 11) significantly inhibits cell proliferation and induces apoptosis accompanying cellular morphological changes at concentrations of 1, 5, and 10 μM. Results show that treatment with 10 μM HJC0152 decreases the STAT3 promoter activity in MDA-MB-231 cells by approximately 32%, and increasing the dose of HJC0152 to 20 μM further decreases STAT3 promoter activity by 62% as compare with control. Total STAT3 is reduced after treatment with HJC0152. HJC0152 induces cleaved caspase-3 and down regulates cyclin D1 in MDA-MB-231 cells[1].

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

In Vivo

Mice treated with 7.5 mg/kg of HJC0152 (compound 11) via ip show a better effect in inhibiting tumor growth. The growth of xenograft tumors in mice is significantly reduced by HJC0152 at a dose of 25 mg/kg. It is also noteworthy that HJC0152 does not show significant signs of toxicity at a dose of 75 mg/kg[1].

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

PROTOCOL

Cell Assay [1]	Breast cancer MDA-MB-231 cells are incubated in 6-well plates (2.5×10^5/well). Cells are then treated with DMSO, or HJC0152 hydrochloride (compound 11) at different concentrations for 48 h, and then both adherent and floating cells are collected, washed once with PBS. Resuspended cells are incubated with 100 µL PBS containing 1% BSA and 100 µL Annexin V and dead cell detection reagent at room temperature for 20 min. Apoptosis is measured immediately using the Muse Cell Analyzer with the MuseTM Apoptosis Kit[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Fifty-four female nude mice are are used for orthotopic tumor studies at 4 to 6 weeks of age. The mice are maintained in a barrier unit with 12 h light-dark switch. Freshly harvested MDA-MB-231 cells (2.5×10^6 cells per mouse, resuspended in 100 µL PBS) are injected into the 3rd mammary fat pad of the mice, and then randomly assigned into 8 groups (5 to10 mice per group). For the intraperitoneal treatment experiment, the mice are treated daily with 2.5 mg/kg HJC0152 hydrochloride (compound 11) (Group A) or vehicle (Group D) when the tumor volume reaches 200 mm^3. A Body weights and tumors volume are measured daily and tumor volume is calculated according to the formula $V=0.5\times L\times W^2$, where L=length (mm) and W=width (mm)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. 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.

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