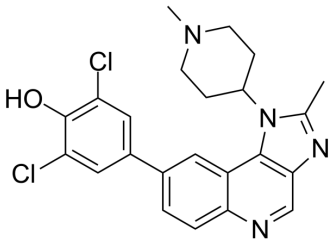
JAK-IN-23

Cat. No.:	HY-151262
CAS No.:	3031837-35-8
Molecular Formula:	$C_{23}H_{22}Cl_2N_4O$
Molecular Weight:	441.35
Target:	JAK; STING; NF-κB; STAT
Pathway:	Epigenetics; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt; Immunology/Inflammation; NF-κB
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 5 mg/mL (11.33 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2658 mL	11.3289 mL	22.6578 mL
	5 mM	0.4532 mL	2.2658 mL	4.5316 mL
	10 mM	0.2266 mL	1.1329 mL	2.2658 mL

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

BIOLOGICAL ACTIVITY

Description

JAK-IN-23 is an orally active double inhibitor of JAK/STAT and NF-κB. JAK-IN-23 can inhibit JAK1/2/3 with IC_{50} values of 8.9 nM, 15 nM and 46.2 nM, respectively. JAK-IN-23 has potent inhibitory activities against interferon-stimulated genes (ISG) and NF-κB pathways with IC_{50} values of 3.3 nM and 150.7 nM, respectively. JAK-IN-23 has great anti-inflammatory that decreases the release of various proinflammatory factors. JAK-IN-23 can be used for the research of inflammatory bowel disease (IBD) [1].

IC_{50} & Target

JAK1	JAK2	JAK3	NF-κB
8.9 nM (IC_{50})	15 nM (IC_{50})	46.2 nM (IC_{50})	150.7 nM (IC_{50})

ISG
3.3 nM (IC_{50})

In Vitro

JAK-IN-23 inhibits JAK1/2/3 with IC_{50} values of 8.9 nM, 15 nM and 46.2 nM, respectively[1].
JAK-IN-23 shows potent inhibitory activities against ISG and NF-KB with IC_{50} values of 3.3 nM and 150.7 nM, respectively[1].
WB--- JAK-IN-23 (0.33μM, 1μM, 3μM; 24 h) can simultaneously block JAK-STAT1/3 and NF-κB proinflammatory signaling

pathways in THP1-dual cells[1].
JAK-IN-23 (0.003-3 μM; 24 h) decreases the release of various proinflammatory factors, including IL-6, IL-8, IL-1β in THP1-dual cells stimulated by LPS[1].
JAK-IN-23 (0.11-3 μM; 24 h) decreases the release of various proinflammatory factors, including TNF-α, IL-12, IL-10 and IFNγ in LPS-induced peripheral blood mononuclear cells (PBMCs)[1].
JAK-IN-23 (1 μM) inhibits the expression of a variety of inflammation-related genes induced by LPS, including IL-1B, TNF, IL12B, and IL-23A and has inhibitory effects on the expression of genes involved in the unfolded protein response that was induced by LPS (1 μg/mL)[1].

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

Western Blot Analysis[1]

Cell Line:	THP1-Dual Cells
Concentration:	0.33μM, 1μM, 3μM
Incubation Time:	24 h
Result:	Inhibited p-STAT1/3 in a dose-dependent manner that was induced by IL-6, as well as inhibited pNF-κB p65 in a dose-dependent manner, but not on MYD88 and p-IKK α/β that was induced by LPS.

In Vivo

JAK-IN-23 (1-5 mg/kg, oral) produces a strong anti-inflammatory activity in both dextran sulfate sodium (DSS) - and 2,4,6-trinitrobenzenesulfonic acid (TNBS)-induced acute enteritis models and restores the structural composition of gut microbiota[1].

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

Animal Model:	DSS-Induced Acute Colitis Mice Model[1]
Dosage:	1 mg/kg, 3 mg/kg
Administration:	oral
Result:	Significantly decreased the DAI scores (1 and 3 mg/kg). Recovered the length of the colon (3 mg/kg). Significantly reduced the histopathology of ulcerative colitis (1 and 3 mg/kg).

Animal Model:	The BALB/c mouse inflammatory bowel disease (IBD) model[1]
Dosage:	1 mg/kg, 5 mg/kg
Administration:	oral
Result:	Significantly improved the survival probability, had low DAI scores and effectively relieved symptoms of colitis in the TNBS-induced IBD mice model (5 mg/kg). Did not improve the survival probability and decreases the DAI score (100 mg/kg).

REFERENCES

[1]. Xuewu Liang, et al. Discovery of Novel Imidazo[4,5- c]quinoline Derivatives to Treat Inflammatory Bowel Disease (IBD) by Inhibiting Multiple Proinflammatory Signaling Pathways and Restoring Intestinal Homeostasis. J Med Chem. 2022 Sep 2.

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

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