
Product Data Sheet

Product Name: JAK-IN-23

Cat. No.: GC68000

Chemical Properties

Cas. No.

Formula C₂₃H₂₂Cl₂N₄O

M.Wt 441.35

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

Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure

Background

JAK-IN-23 is an orally active double inhibitor of **JAK/STAT** and **NF-κB**. JAK-IN-23 can inhibit JAK1/2/3 with **IC₅₀** 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 **IC₅₀** 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].

JAK-IN-23 inhibits JAK1/2/3 with IC₅₀ 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 IC₅₀ 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].

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

JAK-IN-23 (0.11-3 μ M; 24 h) decreases the release of various proinflammatory factors, including TNF- α , IL-12, IL-10 and IFN γ in LPS-induced peripheral blood mononuclear cells (PBMCs)^[1].

JAK-IN-23 (1 μ M) 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 μ g/mL)^[1].

Western Blot Analysis^[1]

Cell Line: THP1-Dual Cells

Concentration: 0.33 μ M, 1 μ M, 3 μ M

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- κ B p65 in a dose-dependent manner, but not on MYD88 and p-IKK α/β that was induced by LPS.

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].

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

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

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).

[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.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA