ZM39923 hydrochloride

Cat. No.: HY-12589

CAS No.: 1021868-92-7

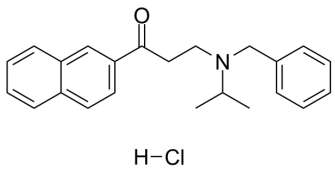
Molecular Formula: $C_{23}H_{26}ClNO$

Molecular Weight: 367.91

Target: JAK

Pathway: Epigenetics; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt

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 : ≥ 47 mg/mL (127.75 mM)

H_2O : 1 mg/mL (2.72 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7181 mL	13.5903 mL	27.1806 mL
5 mM	0.5436 mL	2.7181 mL	5.4361 mL
10 mM	0.2718 mL	1.3590 mL	2.7181 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.80 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.80 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.80 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ZM39923 hydrochloride is a JAK3 inhibitor, with a pIC_{50} of 7.1; ZM39923 hydrochloride also potently inhibits tissue transglutaminase (TGM2) with an IC_{50} of 10 nM.

IC_{50} & Target

JAK3 7.1 (pIC_{50})	JAK1 4.4 (pIC_{50})	EGF-R 5.6 (pIC_{50})	Lck 5.0 (pIC_{50})
CDK4	TGM2		

	5.0 (pIC_{50})	10 nM (IC_{50})

In Vitro

ZM39923 hydrochloride is a JAK3 inhibitor, with a pIC_{50} of 7.1. ZM39923 (Compound 7) shows weak inhibitory effect on EGF-R and JAK1 (pIC_{50}, 5.6, 4.4, respectively), and insignificantly inhibits tyrosine kinases Lck and CDK4 (pIC_{50} <5.0)[1]. ZM39923 potently inhibits tissue transglutaminase (TGM2) with an IC_{50} of 10 nM, and acts directly on purified TGM2 to inhibit the Ca^{2+} activated form of TGM2[2]. ZM39923 blocks the phosphorylation of JAK3 induced by CCL19, and such an effect is similar to that of CCR7 antibody. ZM39923 also significantly blocks the CCL19 induced wound closure rate, and decreases the migration and invasion of PCI-37B cells[3].

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

PROTOCOL

Cell Assay [3]

PCI-37B (a metastatic SCCHN cell line expressing CCR7) cells are cultured in Dulbecco's modified Eagle's medium (DMEM) containing 10% fetal bovine serum, penicillin, and streptomycin in an atmosphere of 5% CO_2 and 95% air at 37°C. The ZM39923 inhibitor treatment at the dose determined using the Cell Counting Kit-8[3].

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

REFERENCES

[1]. Brown GR, et al. Naphthyl ketones: a new class of Janus kinase 3 inhibitors. Bioorg Med Chem Lett. 2000 Mar 20;10(6):575-9.

[2]. Lai TS, et al. Identification of chemical inhibitors to human tissue transglutaminase by screening existing drug libraries. Chem Biol. 2008 Sep 22;15(9):969-78.

[3]. Zhang Z, et al. Jak3 is involved in CCR7-dependent migration and invasion in metastatic squamous cell carcinoma of the head and neck. Oncol Lett. 2017 May;13(5):3191-3197.

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