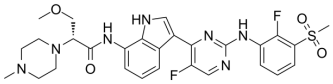
Londamocitinib

Cat. No.:	HY-126294
CAS No.:	2241039-81-4
Molecular Formula:	$C_{28}H_{31}F_2N_7O_4S$
Molecular Weight:	599.65
Target:	JAK
Pathway:	Epigenetics; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt



Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO : 71.43 mg/mL (119.12 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6676 mL	8.3382 mL	16.6764 mL
	5 mM	0.3335 mL	1.6676 mL	3.3353 mL
	10 mM	0.1668 mL	0.8338 mL	1.6676 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 (4.17 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.17 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Londamocitinib (AZD4604) is a potent and selective JAK1 inhibitor with IC_{50} at 0.54 nM. Londamocitinib has anti-inflammatory activity[1][2][3].

IC_{50} & Target

JAK1
0.54 nM (IC_{50})

In Vitro

Londamocitinib inhibits the phosphorylation of STAT6 in U937 cells induced by IL-4 or IL-13 (IC50 are 24 and 34 nM, respectively)[2].

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

In Vivo

Londamocitinib (1 mg/kg, intravenous injection, single dose) can reduce the phosphorylation of STAT3 and STAT5 in the lung of obumin-induced asthmatic rats, inhibit pulmonary eosinophilia, and reduce advanced asthma response in the same model[3].

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

Animal Model:	OVA-Induced Rat Model of Asthma[3]
Dosage:	1 mg/kg
Administration:	i.v.
Result:	Reduced the proportion of phosphorylated STAT3-positive cell nuclei.

REFERENCES

[1]. Nilsson M, et al. Discovery of the Potent and Selective Inhaled Janus Kinase 1 Inhibitor AZD4604 and Its Preclinical Characterization. J Med Chem. 2023 Oct 12;66(19):13400-13415.

[2]. Nilsson M, et al. Characterization of Selective and Potent JAK1 Inhibitors Intended for the Inhaled Treatment of Asthma. Drug Des Devel Ther. 2022 Aug 31;16:2901-2917.

[3]. NILSSON, Karl, Magnus, et al. Jak1 selective inhibitors. WO2018134213A1.

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