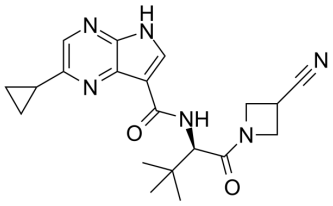
JAK-IN-1

Cat. No.:	HY-13827
CAS No.:	1334673-53-8
Molecular Formula:	$C_{20}H_{24}N_6O_2$
Molecular Weight:	380.44
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 : 66.67 mg/mL (175.24 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6285 mL	13.1427 mL	26.2854 mL
	5 mM	0.5257 mL	2.6285 mL	5.2571 mL
	10 mM	0.2629 mL	1.3143 mL	2.6285 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: ≥ 1.43 mg/mL (3.76 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.43 mg/mL (3.76 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JAK-IN-1 is a JAK1/2/3 inhibitor with IC_{50}s of 0.26, 0.8 and 3.2 nM, respectively. JAK-IN-1 shows improved selectivity for JAK3 over JAK1.

IC_{50} & Target

IC50: 0.26 nM (JAK1), 0.8 nM (JAK2), 3.2 nM (JAK3)[1]

In Vitro

JAK-IN-1 inhibits the proliferation of human CD4 and CD8 T cells in a dose-dependent manner upon stimulation by anti-CD3/anti-CD28 antibody-coated beads partially mimicking the activation signals brought to a Tcell by an antigen-presenting cell. JAK-IN-1 is active in both mechanistic and functional cell-based assays using T-cells, one of the major cell types in which JAK3 is potentially relevant[1].

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

In Vivo	JAK-IN-1 is JAK3 selective in vivo, as judged by higher potency inhibiting JAK1/JAK3- vs JAK2- or JAK1/JAK2/TYK2-driven signaling in whole blood assays. JAK-IN-1 potently inhibits IL-2 stimulated plasma concentrations of JAK-IN-1 for each dose. JAK-IN-1 prevents IL-2-driven STAT5 phosphorylation in a dose- and concentration-dependent manner, with approximately 50% inhibition observed at the 10 mg/kg dose (plasma concentration ~480 nM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	Carboxyfluorescein succinimidyl ester (CFSE)-labeled human PBMCs were exposed to JAK-IN-1 prior to stimulation with anti-CD3/anti-CD28 antibodies. Cell proliferation was then measured by CFSE dilutions as detected by flow cytometry in CD4 positive and CD8 positive T cells after staining with fluorochrome-conjugated antibodies[1] MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice[1] Adult (10–12 weeks old) C57BL/6 mice are treated with JAK-IN-1 (0.3, 1, 3, 10, 30, and 100 mg/kg). Mice received a single oral suspension dose of JAK-IN-1 or vehicle alone. Two hours after treatment by oral gavage, mice were euthanized for collecting whole blood in heparinized tubes[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Patent. US20190248778A1.

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REFERENCES

[1]. Soth M, et al. 3-Amido pyrrolopyrazine JAK kinase inhibitors: development of a JAK3 vs JAK1 selective inhibitor and evaluation in cellular and in vivo models. J Med Chem. 2013 Jan 10;56(1):345-56.

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