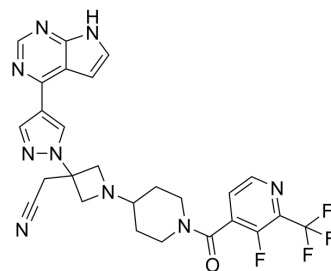


Itacitinib

Cat. No.:	HY-16997
CAS No.:	1334298-90-6
Molecular Formula:	C ₂₆ H ₂₃ F ₄ N ₉ O
Molecular Weight:	553.51
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 1 year -20°C 6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 30 mg/mL (54.20 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	1.8067 mL	9.0333 mL	18.0665 mL
	5 mM	0.3613 mL	1.8067 mL	3.6133 mL
	10 mM	0.1807 mL	0.9033 mL	1.8067 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (4.52 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.5 mg/mL (4.52 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (4.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Itacitinib (INC039110) is an orally active and selective inhibitor of JAK1 with an IC₅₀ of 2 nM for human JAK1. Itacitinib shows >20-fold selectivity for JAK1 over JAK2 and >100-fold over JAK3 and TYK2; Itacitinib is used in the research of myelofibrosis^{[1][2]}.

IC₅₀ & Target

JAK1

In Vitro

Itacitinib (INCB039110) is a potent and selective inhibitor of JAK1, with >20-fold selectivity for JAK1 over JAK2 and >100-fold over JAK3 and TYK2. Itacitinib is used in the research of myelofibrosis^[1].

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

CUSTOMER VALIDATION

- J Autoimmun. 2019 May;99:39-47.
- Leukemia. 2019 Aug;33(8):1964-1977.
- JCI Insight. 2021 Apr 8;6(7):142205.
- EMBO Rep. 2019 Jun;20(6):e47202.
- EMBO Rep. 2019 Jun;20(6):e47202.

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REFERENCES

[1]. Mascarenhas JO, et al. Primary analysis of a phase II open-label trial of INCB039110, a selective JAK1 inhibitor, in patients with myelofibrosis. *Haematologica*. 2017 Feb;102(2):327-335.

[2]. Alain Lescoat, et al. Combined Anti-Fibrotic and Anti-Inflammatory Properties of JAK-inhibitors on Macrophages in Vitro and in Vivo: Perspectives for Scleroderma-Associated Interstitial Lung Disease. *Biochem Pharmacol*. 2020 Jun 17;114:103.

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

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