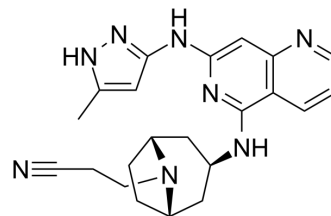


Izencitinib

Cat. No.:	HY-109148		
CAS No.:	2051918-33-1		
Molecular Formula:	C ₂₂ H ₂₆ N ₈		
Molecular Weight:	402.5		
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 : 50 mg/mL (124.22 mM; Need ultrasonic)					
		Solvent	Mass	1 mg	5 mg	10 mg
	Preparing Stock Solutions	Concentration				
		1 mM		2.4845 mL	12.4224 mL	24.8447 mL
5 mM		0.4969 mL	2.4845 mL	4.9689 mL		
	10 mM		0.2484 mL	1.2422 mL	2.4845 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 (6.21 mM); Clear solution 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (6.21 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	Izencitinib (TD-1473) is an orally active, non-selective and gut-restricted JAK inhibitor. Izencitinib (TD-1473) can be used in the study for ulcerative colitis ^[1] .
In Vitro	<p>Izencitinib (TD-1473) inhibits cytokine-evoked STAT phosphorylation in human peripheral blood mononuclear cells (PBMCs) and in a human colonic epithelial cell line (pIC₅₀ ≥ 6.7)^[1].</p> <p>Izencitinib (TD-1473) is a potent JAK1, JAK2, JAK3, and TYK2 inhibitor at the human JAK kinase domains (pKi values of 10.0, 10.0, 8.8, and 9.5, respectively)^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	Izencitinib (TD-1473, 1 mg/kg BID) preserves body weight and reduced occult blood scores in a mouse oxazolone colitis

model^[1].

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

REFERENCES

- [1]. Kevin S Currie, et al. Small-molecule agents for the treatment of inflammatory bowel disease. *Bioorg Med Chem Lett*. 2019 Aug 15;29(16):2034-2041.
- [2]. William J Sandborn, et al. Development of Gut-Selective Pan-Janus Kinase Inhibitor TD-1473 for Ulcerative Colitis: A Translational Medicine Programme. *J Crohns Colitis*. 2020 Sep 16;14(9):1202-1213.
- [3]. D. Beattie, et al. TD-1473, a novel, potent, and orally administered, GI-targeted, pan-Janus kinase (JAK) inhibitor. *Journal of Crohn's and Colitis*, Volume 10, Issue suppl_1, March 2016, Page S123.
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Caution: Product has not been fully validated for medical applications. For research use only.

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