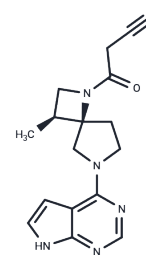


Delgocitinib

Chemical Properties

CAS No. :	1263774-59-9
Formula:	C ₁₆ H ₁₈ N ₆ O
Molecular Weight:	310.35
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Delgocitinib (JTE-052) is a JAK inhibitor and a specific JAK1/2/3/Tyk2 inhibitor (IC ₅₀ =2.6-58 nM) that blocks multiple cytokine signaling pathways and inhibits pruritus, used for research on inflammatory and autoimmune diseases.
Targets(IC ₅₀)	JAK, Tyrosine Kinases
In vitro	<p>Methods: Enzymatic assays were performed to determine the inhibitory activity and mode of inhibition of Delgocitinib against JAK1, JAK2, JAK3, and Tyk2; cellular assays were conducted to examine the effects of Delgocitinib on cytokine-induced Stat protein phosphorylation and IL-2-induced T cell proliferation.</p> <p>Results: Delgocitinib potently inhibited JAK1, JAK2, JAK3, and Tyk2 in enzymatic assays with IC₅₀ values of 2.8, 2.6, 13, and 58 nM, respectively, in an ATP-competitive manner (K_i values of 2.1, 1.7, 5.5, and 14 nM, respectively); in cellular assays, it concentration-dependently inhibited IL-2-, IL-6-, IL-23-, GM-CSF-, and IFN-α-induced Stat protein phosphorylation (IC₅₀ values of 40, 33, 84, 304, and 18 nM, respectively) and suppressed IL-2-induced T cell proliferation (IC₅₀ = 8.9 nM), with efficacy comparable to tofacitinib. [1]</p>
In vivo	<p>Methods: The in vivo anti-inflammatory and joint-protective effects of Delgocitinib were evaluated in an arthritis animal model. ED₅₀ was determined by single administration at different time points (1 h or 6 h before challenge); continuous administration was initiated from day 1 (prophylactic) or day 15 (therapeutic) to detect hind paw swelling, histopathological changes (inflammatory cell infiltration, synovial hyperplasia), and radiographic changes (cartilage/bone destruction).</p> <p>Results: Administration 1 h before challenge (ED₅₀=0.24 mg/kg) was more effective than 6 h before challenge (ED₅₀=1.3 mg/kg), and enhanced IFN-γ production. Administration from day 1 completely prevented paw swelling and histological lesions; administration from day 15 dose-dependently reduced swelling. Histological and X-ray examinations showed that Delgocitinib significantly improved inflammatory infiltration, synovial hyperplasia, and cartilage/bone destruction. [1]</p> <p>Methods: In a sclerodermatous chronic graft-versus-host disease mouse model (B10.D2 to BALB/c bone marrow transplantation), Delgocitinib ointment or eye drops (0.5%) were administered topically twice daily from day 7 to day 28 post-transplantation for 21 days, with control ointment or normal saline as the vehicle control.</p> <p>Results: Delgocitinib significantly reduced eyelid and skin inflammation and fibrosis, preserved meibomian gland area, and alleviated corneal epithelial damage. [2]</p>

Solubility Information

Solubility	DMSO: 240 mg/mL (773.32 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.2222 mL	16.1108 mL	32.2217 mL
5 mM	0.6444 mL	3.2222 mL	6.4443 mL
10 mM	0.3222 mL	1.6111 mL	3.2222 mL
50 mM	0.0644 mL	0.3222 mL	0.6444 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- Tanimoto A, et al. Pharmacological properties of JTE-052: a novel potent JAK inhibitor that suppresses various inflammatory responses in vitro and in vivo. *Inflamm Res.* 2015 Jan;64(1):41-5
- Sato, Shinri et al. Exploratory study on the efficacy of topical pan-JAK inhibitor in ocular and skin GVHD in a sclerodermatous GVHD mouse model. *Scientific reports* vol. 15,1 532. 2 Jan. 2025.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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