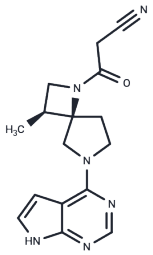
Data Sheet (Cat.No.T15096)

TargetMol

Delgocitinib

Chemical Properties



CAS No. : 1263774-59-9

Formula: C16H18N6O

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 specific JAK (Janus kinase) inhibitor with IC50 values of 2.8, 2.6, 13, and 58 nM for JAK1, JAK2, JAK3, and Tyk2 respectively. Delgocitinib is involved in various inflammatory and autoimmune diseases through JAK-dependent cytokines and can effectively suppress multiple cytokine signaling while inhibiting itching caused by cytokines. It is widely used to treat various inflammatory diseases, including autoimmune diseases and hypersensitivity reactions.
Targets(IC50)	JAK,Tyrosine Kinases
In vitro	In cytokine signaling assays, Delgocitinib demonstrates inhibition of Stat protein phosphorylation induced by IL-2, IL-6, IL-23, GM-CSF, and IFN-α, with IC50 values of 40±9, 33±14, 84±11, 304±22, and 18±3 nM. It concentration-dependently suppresses IL-2-induced T cell proliferation (IC50: 8.9±3.6 nM), exhibiting a potency comparable to Tofacitinib (IC50: 16 nM). In the enzymatic assays, Delgocitinib effective inhibition of all JAK subtypes, with IC50 values of 2.8±0.6, 2.6±0.2, 13±0, and 58±9 nM for JAK1, JAK2, JAK3, and Tyk2, respectively. Lineweaver-Burk plots display that the inhibition mode of Delgocitinib toward all JAKs is competitive with ATP (Ki: 2.1±0.3, 1.7±0.0, 5.5±0.3 and 14±1 nM for JAK1, JAK2, JAK3 and Tyk2, respectively) [1].
In vivo	Delgocitinib (oral; mice) effectively suppresses inflammatory responses. Orally administered Delgocitinib 1 or 6 hours before IL-2 injection, Delgocitinib can reduce the production of IFN-γ, but the efficacy of administration in the first 1 hour is higher than that of administration in the first 6 hours (ED50 = 0.24 vs. 1.3 mg/kg). Delgocitinib (oral; 0.1, 1, or 10 mg/kg; mouse) ameliorates rat collagen-induced arthritis model. Delgocitinib prevented the development of hind paw swelling and histological changes in inflammatory cell infiltration and synoviocyte proliferation before the onset of rat collagen-induced arthritis (administration starting on day 1). Delgocitinib reduced paw swelling in a dose-dependent manner after the onset of rat collagen-induced arthritis (administration starting on day 15), with improvement in paw swelling noted as early as the second day after administration. These findings suggest that Delgocitinib has a protective effect against joint inflammation and joint destruction [1].

Solubility Information

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

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