Web: www.taiclone.com
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Delgocitinib

Catalog No: tcsc0031558

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1263774-59-9

Formula:
$C_{16}H_{18}N_6O$

Pathway:
Epigenetics;Stem Cell/Wnt;JAK/STAT Signaling

Target:
JAK;JAK;JAK

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 58 mg/mL (186.89 mM)

Alternative Names:
JTE-052

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Observed Molecular Weight:
310.35

Product Description

Delgocitinib is a novel and specific **JAK** inhibitor with **IC_{50}**s of 2.8, 2.6, 13 and 58 nM for JAK1, JAK2, JAK3 and Tyk2, respectively.

IC50 & Target: IC50: 2.8 nM (JAK1), 2.6 nM (JAK2), 13 nM (JAK3), 58 nM (Tyk2)[1]

In Vitro: In the enzymatic assays, Delgocitinib potently inhibits all of the JAK subtypes with IC_{50} 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 show that the inhibition mode of Delgocitinib toward all JAKs is competitive with ATP with K_i values of 2.1±0.3, 1.7±0.0, 5.5±0.3 and 14±1 nM for JAK1, JAK2, JAK3 and Tyk2, respectively. In these cell-based cytokine signaling assays, Delgocitinib inhibits the phosphorylation of Stat proteins induced by IL-2, IL-6, IL-23, GM-CSF, and IFN-α with IC_{50} values of 40±9, 33±14, 84±11, 304±22 and 18±3 nM, respectively. Delgocitinib also inhibits IL-2-induced proliferation of T cells in a concentration-dependent manner (IC_{50}=8.9±3.6 nM), and its potency is similar to that of tofacitinib (IC_{50}=16 nM)[1].

In Vivo: Delgocitinib decreases the IFN-γ production, but the potency of the 1-h prior administration is higher than that of the 6-h prior administration (ED_{50}=0.24 versus 1.3 mg/kg). In the administration from day 1, Delgocitinib prevents the development of hind paw swelling and histological changes of inflammatory cell infiltration and synovial cell hyperplasia. Delgocitinib inhibits radiographic and histological changes of bone destruction and cartilage destruction. In the administration from day 15, Delgocitinib decreases the paw swelling in a dose-dependent manner. In addition, Delgocitinib ameliorates the inflammatory cell infiltration, synovial cell hyperplasia, and cartilage/bone destructions in the histological and radiographic examinations at the end of the study[1].