Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Momelotinib sulfate

Catalog No: tcsc0765

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1056636-06-6

Formula:
$C_{23}H_{26}N_6O_{10}S_2$

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

Target:
JAK;JAK;JAK;Autophagy

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 6.2 mg/mL (10.15 mM)

Alternative Names:
CYT387 (sulfate salt)

Observed Molecular Weight:
610.62

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Product Description

Momelotinib (CYT387) sulfate is an ATP-competitive inhibitor of **JAK1/JAK2** with **IC_{50}** of 11 nM/18 nM, 10-fold selectivity versus JAK3 (IC_{50}=155 nM).

IC50 & Target: IC50: 11 nM (JAK1), 18 nM (JAK2), 155 nM (JAK3)[1]

In Vitro: Momelotinib (CYT387) inhibits growth of Ba/F3-*JAK2*V617F and human erythroleukemia (HEL) cells (IC_{50}=1.5 μM) or Ba/F3-*MPL*W515L cells (IC_{50}=200 nM), but has considerably less activity against BCR-ABL harboring K562 cells (IC_{50}=58 μM) and FLT3 mutation harboring MV4-11 cells (IC_{50}=3 μM). Proliferation of parental Ba/F3 cells (Ba/F3-wt) stimulated with IL-3 is inhibited with an IC_{50} value of 1.4 μM, consistent with the established role of IL-3-dependent signaling in the parental cell line[1].

In Vivo: Momelotinib (CYT387) at twice the dose used in disease model (50 and 100 mg/kg) has little to no effect on peripheral blood counts over a period of 8 weeks. Median plasma peak concentrations are 7.1 μM with the lower dose and 32.1μM with the higher dose, with a half-life of approximately 2 hours. Trough levels at 12 hours are 10nM for the 25 mg/kg and 900nM for the 50 mg/kg dose. At day 34 after transplantation, the mean white blood cell counts and hematocrit values of the entire cohort exceeded the normal range for Balb/c mice by more than 1 SD. At this point, 6 mice are sacrificed and subjected to autopsy. In the remaining animals, treatment is initiated with 25 mg/kg Momelotinib (CYT387), 50 mg/kg Momelotinib (CYT387), or vehicle, administered twice daily by oral gavage (12 mice per treatment group). A rapid drop of the white cell counts is apparent in both dose cohorts as early as 6 days after initiation of treatment and a decline of the hematocrit is apparent after 20 days[2]. After oral dosing, Momelotinib (CYT387) exhibits high plasma concentrations (C_{max}= 40.4 μM; T_{max}=4 h), with quantitative absolute oral bioavailability and an apparent half life of 2.4 h. The high oral bioavailability, can likely be partly ascribed to the low blood clearance of Momelotinib (CYT387) (6.3 mL/min/kg) and therefore low susceptibility to hepatic first pass metabolism[3].