

Momelotinib Mesylate

Catalog No: tcsc3269



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1056636-07-7

Formula:

$C_{24}H_{26}N_6O_5S$

Pathway:

Epigenetics;Stem Cell/Wnt;JAK/STAT Signaling

Target:

JAK;JAK;JAK

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

CYT387 (Mesylate)

Observed Molecular Weight:

510.57

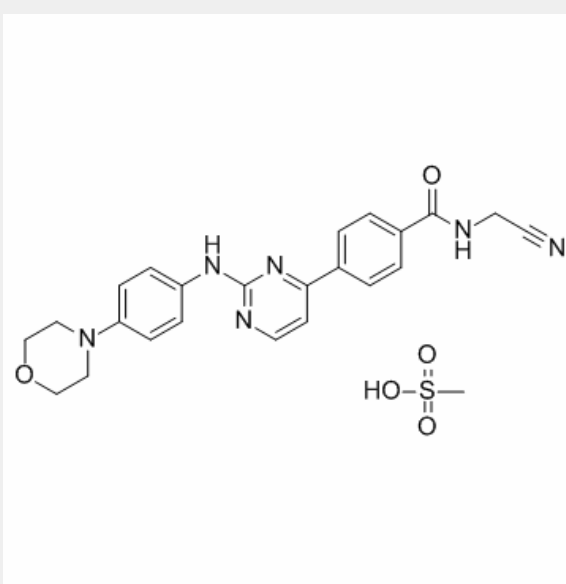
Product Description

Momelotinib Mesylate (CYT387 Mesylate) is an ATP-competitive inhibitor of **JAK1/JAK2** with **IC₅₀** of 11 nM/18 nM, appr 10-fold selectivity versus JAK3.

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

In Vitro: Momelotinib Mesylate is an inhibitor of JAK1/JAK2 with IC₅₀ of 11 nM/18 nM, appr 10-fold selectivity versus JAK3. Momelotinib inhibits the proliferation of parental Ba/F3 cells (Ba/F3-wt) stimulated by IL-3 with IC₅₀ of 1400 nM. Furthermore, Momelotinib also causes the inhibition of cell proliferation in cell lines constitutively activated by JAK2 or MPL signaling, including Ba/F3-MPLW515L cells, CHRF-288-11 cells and Ba/F3-TEL-JAK2 cells with IC₅₀ of 200 nM, 1 nM and 700 nM, respectively. In addition, Momelotinib has been shown to inhibit erythroid colony growth in vitro from JAK2V617F-positive PV patients with similar potency with IC₅₀ of 2 μM-4 μM^[1]. Momelotinib inhibits PI3K/AKT and Ras/MAPK signaling induced by IL-6 and IGF-1. Moreover, Momelotinib induces apoptosis as a single agent and synergizes with the conventional anti-MM therapies bortezomib and melphalan in primary multiple myeloma (MM) cells^[2].

In Vivo: In a murine MPN model, Momelotinib normalizes white cell counts, hematocrit, spleen size, and restores physiologic levels of inflammatory cytokines^[3].



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