

Momelotinib

Catalog No: tcsc0053



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg



Specifications

CAS No:

1056634-68-4

Formula:

$C_{23}H_{22}N_6O_2$

Pathway:

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

Target:

JAK;JAK;JAK;Autophagy

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 40 mg/mL (96.51 mM)

Alternative Names:

CYT387

Observed Molecular Weight:

414.46

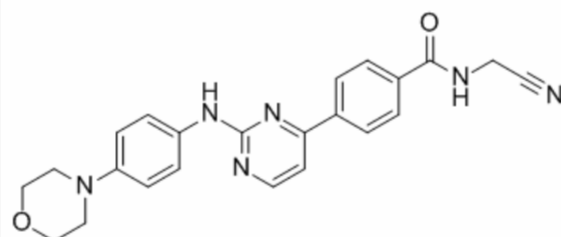
Product Description

Momelotinib (CYT387) is an ATP-competitive inhibitor of **JAK1/JAK2** with **IC₅₀** of 11 nM and 18 nM, respectively. CYT387 shows much less activity against JAK3.

IC50 & Target: IC50: 11 nM (JAK1), 18 nM (JAK2)

In Vitro: Momelotinib (CYT387) inhibits the proliferation of parental Ba/F3 cells (Ba/F3-wt) stimulated by IL-3 with IC₅₀ of 1400 nM. Furthermore, Momelotinib (CYT387) 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 (CYT387) 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 (CYT387) inhibits PI3K/AKT and Ras/MAPK signaling induced by IL-6 and IGF-1. Moreover, Momelotinib (CYT387) 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 (CYT387) normalizes white cell counts, hematocrit, spleen size, and restores physiologic levels of inflammatory cytokines^[3].



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