
Product Data Sheet

Product Name: Momelotinib Mesylate

Cat. No.: GC36646

Chemical Properties

Cas. No. 1056636-07-7

SMILES O=C(C1=CC=C(C2=NC(NC3=CC=C(N4CCOCC4)C=C3)=NC=C2)C=C1)NCC#N.O=S(O)(C)=OFormula $C_{24}H_{26}N_6O_5S$ M.Wt 510.57

Solubility Soluble in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure **Background**

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. JAK1|11 nM (IC₅₀)|JAK2|18 nM (IC₅₀)|JAK3|155 nM (IC₅₀)

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

[1]. Pardanani A, et al. CYT387, a selective JAK1/JAK2 inhibitor: in vitro assessment of kinase selectivity and preclinical studies using cell lines and primary cells from polycythemia vera

Caution: Product has not been fully validated for medical applications. For research use only.

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patients. *Leukemia*, 2009, 23(8), 1441-1445. [2]. Monaghan KA, et al. The novel JAK inhibitor CYT387 suppresses multiple signalling pathways, prevents proliferation and induces apoptosis in phenotypically diverse myeloma cells. *Leukemia*, 2011, 25(12), 1891-1899. [3]. Tyner JW, et al. CYT387, a novel JAK2 inhibitor, induces hematologic responses and normalizes inflammatory cytokines in murine myeloproliferative neoplasms. *Blood*, 2010, 115(25), 5232-5240.

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