

Product Datasheet

CYT-387

Catalog No. **BP-01841** · CAS **1056634-68-4** · Form **free base** · Physical state **solid** · Color **Light yellow to yellow** · Version **1.0**
 · Revision **2026-09-08**

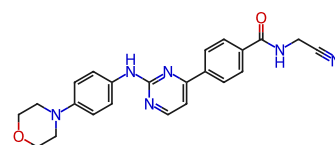
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Target JAK; Autophagy; Apoptosis	Research area Cancer
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Molecular formula **C₂₃H₂₂N₆O₂**

Molecular weight **414.4598**

STRUCTURE



DESCRIPTION

Momelotinib (CYT387) is an ATP-competitive inhibitor of JAK1/JAK2 with IC₅₀a of 11 nM and 18 nM, respectively. CYT387 shows much less activity against JAK3.

BIOLOGICAL ACTIVITY

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. 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 PS-341 and L-PAM in primary multiple myeloma (MM) cells.

In Vivo

In a murine MPN model, Momelotinib (CYT387) normalizes white cell counts, hematocrit, spleen size, and restores physiologic levels of inflammatory cytokines.

SOLUBILITY

Soluble in DMSO

REFERENCES

[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 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.

[4]. Kitajima S, et al. Overcoming Resistance to Dual Innate Immune and MEK Inhibition Downstream of KRAS. *Cancer Cell*. 2018 Sep 10;34(3):439-452.e6.

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