

Product Datasheet

ENMD-2076 Tartrate

Catalog No. **BP-02159** · CAS **1291074-87-7** · Form **free base** · Physical state **other** · Color **Light brown to khaki** · Version **1.0**
 · Revision **2026-09-04**

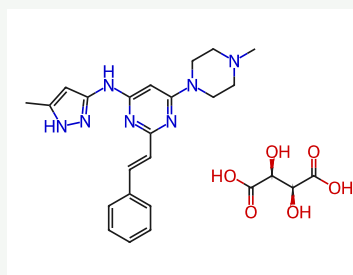
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Target Aurora Kinase; FLT3; VEGFR; FGFR; Src; PDGFR; Apoptosis	Research area Cancer
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Molecular formula **C₂₅H₃₁N₇O₆**

Molecular weight **525.5569**

STRUCTURE



DESCRIPTION

ENMD-2076 Tartrate is a multi-targeted kinase inhibitor with IC₅₀s of 1.86, 14, 58.2, 15.9, 92.7, 70.8, 56.4 nM for Aurora A, Flt3, KDR/VEGFR2, Flt4/VEGFR3, FGFR1, FGFR2, Src, PDGFRα, respectively.

BIOLOGICAL ACTIVITY

In Vitro

ENMD-2076 is selective toward Aurora A versus Aurora B (IC₅₀=350 nM). ENMD-2076 inhibits HUVEC growth with an IC₅₀ value of 0.15 mM. Against 10 human leukemia cell lines, the IC₅₀ values range from 0.025 to 0.53 mM. Within this panel, MV4:11 cells are the most sensitive cells by a factor of greater than 4. The lymphoma-derived U937 cell line treated with ENMD-2076 shows that the ENMD-2076 induces a dose-dependent increase in G2-M-phase arrest as well as the induction of apoptosis. ENMD-2076 inhibits cellular Flt3 ligand (FL)-induced Flt3 autophosphorylation in THP-1 cells, which have been shown to express FL-responsive wild-type Flt-3 (18) with an IC₅₀ value of 28 nM. ENMD-2076 inhibits stem cell factor (SCF)-induced Kit autophosphorylation in MO7e cells with an IC₅₀ value of 40 nM. ENMD-2076 inhibits VEGFR2/KDR autophosphorylation with an IC₅₀ value of 7 nM.

In Vivo

ENMD-2076 treatment results in statistically significant, dose dependent inhibition of tumor growth or tumor regression. Moreover, there is no correlation between tumor growth rate and antitumor efficacy, which would conceivably be expected for a mitotic kinase inhibitor, as fast growing (e.g., A375 melanoma) and slow-growing (e.g., HT29 colon carcinoma) tumors are similarly inhibited by ENMD-2076. ENMD-2076 is well tolerated at daily doses up to 302 mg/kg (equivalent to 200 mg/kg of the free base), with no weight loss or signs of morbidity noted in any study at this dose with the exception of the A375 model.

SOLUBILITY

Soluble in DMSO : 25 mg/mL

REFERENCES

[1].Fletcher GC, et al. ENMD-2076 is an orally active kinase inhibitor with antiangiogenic and antiproliferative mechanisms of action. *Mol Cancer Ther.* 2011 Jan;10(1):126-37.

[2].Wang X, et al. Preclinical activity of a novel multiple tyrosine kinase and aurora kinase inhibitor, ENMD-2076, against multiple myeloma. *Br J Haematol.* 2010 Aug;150(3):313-25.

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