Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

ENMD-2076 (Tartrate)

Catalog No: tcsc0210

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1291074-87-7

Formula:
$C_{25}H_{31}N_7O_6$

Pathway:
Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Cell Cycle/DNA Damage;Epigenetics;Protein Tyrosine Kinase/RTK

Target:
Src;VEGFR;FLT3;PDGFR;Aurora Kinase;Aurora Kinase;FGFR

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
525.56

Product Description

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ENMD-2076 Tartrate is a multi-targeted kinase inhibitor with **IC_{50}**s 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.

IC50 & Target: IC50: 1.86 nM (Aurora A), 14 nM (Flt3), 58.2 nM (KDR/VEGFR2), 15.9 nM (Flt4/VEGFR3), 92.7 nM (FGFR1), 70.8 nM (FGFR2), 20.2 nM (Src), 56.4 nM (PDGFRα)[1]

In Vitro: ENMD-2076 is selective toward Aurora A versus Aurora B (IC_{50}=350 nM). ENMD-2076 inhibits HUVEC growth with an IC_{50} value of 0.15 mM. Against 10 human leukemia cell lines, the IC_{50} 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 IC_{50} value of 28 nM. ENMD-2076 inhibits stem cell factor (SCF)-induced Kit autophosphorylation in MO7e cells with an IC_{50} value of 40 nM. ENMD-2076 inhibits VEGFR2/KDR autophosphorylation with an IC_{50} value of 7 nM[1].

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[1].