Web: www.taiclone.com
Tel: +886-2-2735-9682
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Foretinib

Catalog No: tcsc0153

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Specifications

CAS No:
849217-64-7

Formula:
$C_{34}H_{34}F_2N_4O_6$

Pathway:
Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK

Target:
c-Met/HGFR;VEGFR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 38 mg/mL (60.06 mM)

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Alternative Names:
XL880;GSK1363089;GSK089;EXEL-2880

Observed Molecular Weight:
632.65

Product Description

Foretinib is an ATP-competitive inhibitor of **HGFR** and **VEGFR**, with **IC_{50}** of 0.4 nM and 0.9 nM for Met and KDR, less potent against Ron, Flt-1/3/4, Kit, PDGFRα/β and Tie-2, and has little activity to FGFR1 and EGFR.

IC50 & Target: IC50: 0.4 nM (Met), 0.9 nM (KDR)

In Vitro: Foretinib inhibits HGF receptor family tyrosine kinases with IC_{50} values of 0.4 nM for Met and 3 nM for Ron. Foretinib also inhibits KDR, Flt-1, and Flt-4 with IC_{50} values of 0.9 nM, 6.8 nM and 2.8 nM, respectively. Foretinib inhibits colony growth of B16F10, A549 and HT29 cells with IC_{50} of 40 nM, 29 nM and 165 nM, respectively[1]. A recent study indicates Foretinib affects cell growth differently in gastric cancer cell lines MKN-45 and KATO-III. Foretinib inhibits phosphorylation of MET and downstream signaling molecules in MKN-45 cells, while targets GFGR2 in KATO-III cells[2].

In Vivo: Foretinib (100 mg/kg, p.o.) results in substantial inhibition of phosphorylation of B16F10 tumor Met and ligand (e.g., HGFor VEGF)-induced receptor phosphorylation of Met in liver and Flk-1/KDR in lung, which both persist through 24 hours. Foretinib (30-100 mg/kg, once daily, p.o.) results in reduction in tumor burden. The lung surface tumor burden is reduced by 50% and 58% following treatment with 30 and 100 mg/kg Foretinib, respectively. Foretinib treatment of mice bearing B16F10 solid tumors also results in dose-dependent tumor growth inhibition of 64% and 87% at 30 and 100 mg/kg, respectively. For both studies, administration of Foretinib is well tolerated with no significant body weight loss[1]. Foretinib is developed to target abnormal signaling of HGF through Met and simultaneously target several receptors tyrosine kinase involved in tumor angiogenesis. Foretinib causes tumor hemorrhage and necrosis in human xenografts within 2 to 4 hours, and maximal tumornecrosis is observed at 96 hours (after five daily doses), resulting in complete regression[3].