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

Lenvatinib

Catalog No: tcsc0109

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Specifications

CAS No:
417716-92-8

Formula:
$C_{21}H_{19}ClN_4O_4$

Pathway:
Protein Tyrosine Kinase/RTK

Target:
VEGFR

Purity / Grade:
>98%

Solubility:

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DMSO : 40 mg/mL (93.71 mM; Need ultrasonic)

Alternative Names:
E7080

Observed Molecular Weight:
426.85

Product Description

Lenvatinib is an orally active, multi-target inhibitor, mostly for **VEGFR2(KDR)/VEGFR3(Flt-4)** with **IC_{50}** of 4 nM/5.2 nM, and less potent against VEGFR1/Flt-1, and approximately 10-fold more selective for VEGFR2/3 against FGFR1, PDGFRα/β.

IC50 & Target: IC50: 4 nM (VEGFR2), 5.2 nM (VEGFR3)[1]

In Vitro: Lenvatinib inhibits KIT kinase with an IC_{50} value of 100 nM. lenvatinib inhibits SCF- and VEGF-induced tube formation in a dose-dependent manner with IC_{50} values of 5.2 and 5.1 nM, respectively. Lenvatinib inhibits SCF-induced proliferation of another human SCLC, H526 cells, which expresses KIT, at the concentrations required for the inhibition of KIT kinase. The IC_{50} values of Lenvatinib against phosphorylation of KDR and KIT in HUVEC are about 500 times lower than those against H146 proliferation in vitro [1]. Lenvatinib inhibits both angiogenesis and lymphangiogenesis induced by human breast cancer cells, and significantly inhibits tumor growth of MDA-MB-231. Lenvatinib and bevacizumab treatment decreases MVD by almost the same extent[2]. Lenvatinib inhibits proliferation at high concentrations (mean IC_{50}s 23.6-44.17 μM) in the majority of the cell lines, while the IC_{50} in the KM12C colon cancer cell line is 9.54 μM[3].

In Vivo: Lenvatinib inhibits the growth of H146 tumor at 30 and 100 mg/kg (BID, QDx21) in a dose-dependent manner and causes tumor regression at 100 mg/kg in H146 xenograft model. IHC analysis with anti-CD31 antibody shows that lenvatinib at 100 mg/kg decreases microvessel density more than anti-VEGF antibody and imatinib treatment[1]. lenvatinib (100 mg/kg, p.o.) is administeredand bevacizumab significantly inhibits local tumor growth at the m.f.p., and at the end of treatment, lenvatinib also significantly inhibits metastasis to both regional lymph nodes and distant lung[2].