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

Catalog No: tcsc0115

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Specifications

CAS No:
796967-16-3

Formula:
$C_{21}H_{18}FN_5O$

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

Target:
VEGFR;FLT3;PDGFR;Autophagy

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 72 mg/mL (191.80 mM)

Alternative Names:
ABT-869;AL-39324

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Observed Molecular Weight:
375.4

Product Description

Linifanib (ABT-869) is a multi-targeted inhibitor of **VEGF** and **PDGFR** receptor family with **IC_{50}**s of 3, 4, 66, 4 nM for KDR, Flt-1, PDGFRβ and FLT3.

IC50 & Target: IC50: 3 nM (KDR), 4 nM (Flt-1), 66 nM (PDGFRβ), 4 nM (FLT3)[1]

In Vitro: Linifanib exhibits IC_{50} values that range from 4 nM (KDR) to 190 nM (FLT4) for members of the VEGF and PDGF receptor families. Linifanib is also active against TIE2 and, to a lesser extent, RET, but is much less active (IC_{50}>10 μM) against other nonrelated tyrosine kinases, such as steroid receptor coactivator and epidermal growth factor receptor. Phosphorylation of KDR induced by VEGF is inhibited by Linifanib with an IC_{50} of 4 nM in 3T3 murine fibroblasts engineered to express human KDR. A similar potency for inhibition of receptor autophosphorylation is seen with Linifanib when HUAECs are used as the target cell. Linifanib inhibits VEGF-stimulated phosphorylation of KDR completely at 10 nM and by 70% at 3 nM (IC_{50}=2 nM)[1].

In Vivo: Linifanib is effective orally in the mechanism-based murine models of VEGF-induced uterine edema (ED_{50}=0.5 mg/kg) and corneal angiogenesis (>50% inhibition, 15 mg/kg). ABT-869 exhibits efficacy in human fibrosarcoma and breast, colon, and small cell lung carcinoma xenograft models (ED_{50}=1.5-5 mg/kg, twice daily) and is also effective (>50% inhibition) in orthotopic breast and glioma models. Reduction in tumor size and tumor regression is observed in epidermoid carcinoma and leukemia xenograft models, respectively[1].