Web: www.taiclone.com
Tel: +886-2-2735-9682
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Cabozantinib (S-malate)

Catalog No: tcsc0201

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
1140909-48-3

Formula:
$C_{32}H_{30}FN_3O_{10}$

Pathway:
Protein Tyrosine Kinase/RTK

Target:
VEGFR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 23 mg/mL (36.19 mM); H2O :

Alternative Names:
XL184;Cabozantinib

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Observed Molecular Weight:
635.59

Product Description

Cabozantinib (S-malate) is a potent **VEGFR2** inhibitor with **IC_{50}** of 0.035 nM, and also inhibits c-Met, Ret, Kit, Flt-1/3/4, Tie2, and AXL with **IC_{50}**s of 1.3 nM, 4 nM, 4.6 nM, 12 nM/11.3 nM/6 nM, 14.3 nM and 7 nM, respectively.

IC50 & Target: IC50: 0.035 nM (VEGFR-2 (KDR)), 1.3 nM (c-Met), 4 nM (Ret), 4.6 nM (Kit), 12 nM (Flt-1), 11.3 nM (Flt-2), 6 nM (Flt-3), 14.3 nM (Tie2), 7 nM (AXL)

In Vitro: XL184 (0.1-0.5μM) inhibits the constitutive and inducible MET phosphorylation and its resultant downstream signaling in all MPNST cells. XL184 (> 0.1μM) elicits a significant MPNST cell growth inhibition; higher XL184 doses are needed to inhibit NSC growth. XL184 treatment blocks HGF-induced MPNST motility and invasion (a similar effect of found on NSC)[2]. In cellular assays, cabozantinib inhibits phosphorylation of MET and VEGFR2, as well as KIT, FLT3, and AXL with IC_{50} values of 7.8, 1.9, 5.0, 7.5, and 42 μM, respectively. Cabozantinib also inhibits tubule formation in response to conditioned media derived from cultures of MDA-MB-231 (IC_{50}=5.1 nM), A431 (IC_{50}=4.1 nM), HT1080 (IC_{50}=7.7 nM), and B16F10 (IC_{50}=4.7 nM) cells[3].

In Vivo: Cabozantinib (60 mg/kg, i.p.) decreases the tumor vascularity with reductions ranging from 67% at 3 mg/kg to 83% at 30 mg/kg for 7 days in animals. Tumors in RIP-Tag2 mice treated for 7 days beginning at age 10 weeks are 40% smaller after XL880 and 35% smaller after XL184, compared to corresponding values for vehicle[1]. XL184 (30 mg/kg) significantly decreases the microvessel density in mice[2]. Cabozantinib (100 mg/kg, p.o.) inhibits in vivo stimulation of MET phosphorylation by HGF in liver hepatocytes and VEGF-stimulated phosphorylation of FLK1 with inhibition of both targets sustained through 8 hours postdose. Cabozantinib (100 mg/kg, p.o.) disrupts tumor vasculature and promotes tumor and endothelial cell death. Cabozantinib (1-60 mg/kg, p.o.) inhibits tumor growth and promotes tumor regression in vivo[3].