

# 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 :  $\geq 23$  mg/mL (36.19 mM); H<sub>2</sub>O :

**Alternative Names:**

XL184;Cabozantinib

### Observed Molecular Weight:

635.59

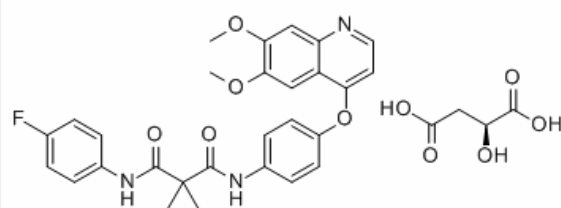
## Product Description

Cabozantinib (S-malate) is a potent **VEGFR2** inhibitor with **IC<sub>50</sub>** of 0.035 nM, and also inhibits c-Met, Ret, Kit, Flt-1/3/4, Tie2, and AXL with **IC<sub>50</sub>**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)<sup>[2]</sup>. In cellular assays, cabozantinib inhibits phosphorylation of MET and VEGFR2, as well as KIT, FLT3, and AXL with IC<sub>50</sub> 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<sub>50</sub>=5.1 nM), A431 (IC<sub>50</sub>=4.1 nM), HT1080 (IC<sub>50</sub>=7.7 nM), and B16F10 (IC<sub>50</sub>=4.7 nM) cells<sup>[3]</sup>.

**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<sup>[1]</sup>. XL184 (30 mg/kg) significantly decreases the microvessel density in mice<sup>[2]</sup>. 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<sup>[3]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!