

# Semaxinib

Catalog No: tcsc1225



## Available Sizes

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

204005-46-9

**Formula:**

$C_{15}H_{14}N_2O$

**Pathway:**

Protein Tyrosine Kinase/RTK

**Target:**

VEGFR

**Purity / Grade:**

>98%

**Solubility:**

DMSO : 22.5 mg/mL (94.43 mM; Need ultrasonic and warming)

**Alternative Names:**

SU5416

**Observed Molecular Weight:**

238.28

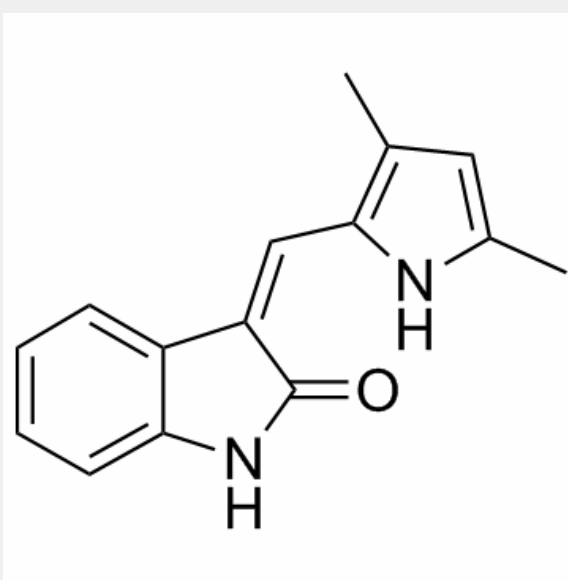
## Product Description

Semaxinib (SU5416) is a potent and selective inhibitor of the vascular endothelial growth factor receptor (**Flk-1/KDR**) with an **IC<sub>50</sub>** of 1.23  $\mu$ M.

IC50 & Target: IC50: 1.23 $\pm$ 0.2  $\mu$ M (Flk-1 receptor)<sup>[1]</sup>

**In Vitro:** Semaxinib (SU5416) inhibits VEGF-driven mitogenesis in a dose-dependent manner with an IC<sub>50</sub> of 0.04 $\pm$ 0.02  $\mu$ M (n=3). In contrast, Semaxinib (SU5416) blocked FGF-dependent mitogenesis of HUVECs with an IC<sub>50</sub> of 50  $\mu$ M (n=10). The selective activity of Semaxinib (SU5416) on Flk-1 is supported by the fact that testing of Semaxinib (SU5416) using NIH 3T3 cells overexpressing either the EGF or insulin receptors indicated a complete lack of activity (IC<sub>50</sub>>100  $\mu$ M). This observation is confirmed by immunoblotting after ligand stimulation. An IC<sub>50</sub> of 20.26 $\pm$ 5.2  $\mu$ M (n=7), which is about 20-fold less in potency on PDGF-dependent autophosphorylation, is observed when SU5416 is tested in NIH 3T3 cells overexpressing the human PDGF receptor  $\beta$ <sup>[1]</sup>.

**In Vivo:** Daily administration of Semaxinib (SU5416) (i.p., 3 mg/kg/day) inhibits the local growth of C6 tumors in the colon. A comparable level of growth inhibition (62% by day 16; P=0.001) is observed for tumors growing in the colon in comparison with ones growing in the hindflank region (54% by day 18; P=0.001). These results indicate that Semaxinib (SU5416) could inhibit tumor growth at a site other than the subcutaneous implantation site, where the preexisting vasculature may be different<sup>[1]</sup>. Daily treatment with Semaxinib (SU5416) (25 mg/kg) results in a significantly lower tumor growth rate with tumor masses of up to 8% of that present in control animals by day 22 after implantation. Inhibition of tumor growth is clearly preceded by a marked reduction of the tissue area covered by the newly formed glioma microvasculature in the Semaxinib-treated group, indicating a reduced initial tumor vascularization<sup>[2]</sup>.



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