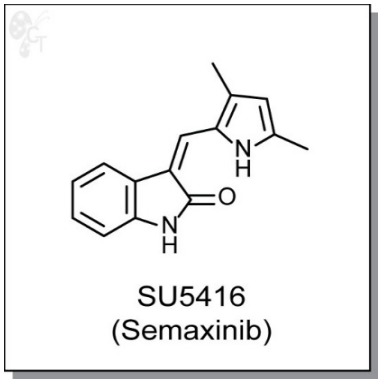
Product Specification Sheet

Product Name: SU5416 (Semaxinib)

Catalog Number: C7541



Technical information:

Chemical Formula:	$C_{15}H_{14}N_2O$
CAS #:	204005-46-9
Molecular Weight:	238.28
Purity:	> 98%
Appearance:	White solid
Solubility:	Soluble in DMSO up to 20 mM
Chemical Name:	2H-Indol-2-one, 3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-, (3Z)
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.42mL of DMSO for each mg of SU5416 (Semaxinib).
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

SU5416 (Semaxinib) is a reversible, ATP-competitive, oxindole-based inhibitor of Flk-1/KDR receptor tyrosine kinase. SU5416 inhibits VEGF-dependent phosphorylation of the Flk-1 receptor in Flk-1-overexpressing NIH 3T3 cells with a IC50 of 1.04 uM. In an ELISA-based assay, SU5416 inhibits autophosphorylation of the Flk-1 receptor at an IC50 of 1.23 uM. [1]

In a mitogenic/proliferation assay in HUVECs, the IC50 of SU5416 is extremely time-dependent, ranging from 1 uM to 40 nM at 48h incubation. These data in conjunction with dose-ranging studies support regimens of less than once-daily dosing of SU5416. [2]

More recent studies have shown that SU5416 is also an agonist of the aryl hydrocarbon receptor (AHR), leading to the generation of regulatory T-cells in vitro. SU5416 also upregulates CYP1A1 and CYP1B1. [3]

Reference:

1. Fong et al., SU5416 Is a Potent and Selective Inhibitor of the Vascular Endothelial Growth Factor Receptor (Flk-1/KDR) That Inhibits Tyrosine Kinase Catalysis, Tumor Vascularization, and Growth of Multiple Tumor Types. Cancer Res. 1999, 59, 99-106. Pubmed ID: 9892193

2. Mendel et al., The Angiogenesis Inhibitor SU5416 Has Long-lasting Effects on Vascular Endothelial Growth Factor Receptor Phosphorylation and Function. Clin. Cancer Res. 2000, 6, 4848-4858. Pubmed ID: 11156244

3. Mezrich et al., SU5416, a VEGF Receptor Inhibitor and Ligand of the AHR, Represents a New Alternative for Immunomodulation. PLOS One, 2012, 7(9), e44547.

To reorder: http://www.cellagentech.com/SU5416-Semaxinib/

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.