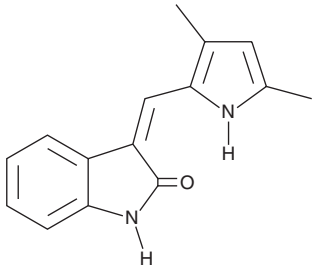
PRODUCT INFORMATION

SU 5416

Item No. 13342

CAS Registry No.:	204005-46-9
Formal Name:	3-[(3,5-dimethyl-1H-pyrrol-2-yl) methylene]-1,3-dihydro-2H-indol-2-one
Synonyms:	NSC 696819, Semaxinib, Sugen 5416, VEGFR 2 Kinase Inhibitor
MF:	$C_{15}H_{14}N_2O$
FW:	238.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 212, 278, 441 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

SU 5416 is supplied as a crystalline solid. A stock solution may be made by dissolving the SU 5416 in the solvent of choice, which should be purged with an inert gas. SU 5416 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of SU 5416 in these solvents is approximately 0.25, 10, and 30 mg/ml, respectively.

SU 5416 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SU 5416 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. SU 5416 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

SU 5416 is a tyrosine kinase inhibitor best known as an inhibitor of the vascular endothelial growth factor receptor (VEGFR2; FLK1/KDR) and a suppressor of tumor vascularization, preventing the growth of multiple tumor types. In addition to inhibiting VEGFR2 (IC_{50} = 1 µM)[1], SU 5416 also inhibits PDGFR (IC_{50} = 20 µM), c-Kit (IC_{50} = 30 nM), RET (IC_{50} = 170 nM), FLT3 (IC_{50} = 160 nM), ABL (IC_{50} = 11 µM), and ALK (IC_{50} = 1.2 µM).[1-3] SU 5416 does not inhibit epidermal growth factor or fibroblast growth factor receptor tyrosine kinases (IC_{50} > 100 µM).[1]

References

1. Fong, T.A.T., Shawver, L.K., Sun, L., *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.* **59(1)**, 99-106 (1999).
2. Litz, J., Warshamana-Greene, G.S., Sulanke, G., *et al.* The multi-targeted kinase inhibitor SU5416 inhibits small cell lung cancer growth andangiogenesis, in part by blocking Kit-mediated VEGF expression. *Lung Cancer* **46(3)**, 283-291 (2004).
3. Mologni, L., Sala, E., Cazzaniga, S., *et al.* Inhibition of RET tyrosine kinase by SU5416. *J. Mol. Endocrinol.* **37(2)**, 199-212 (2006).

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