Small Molecules

SU5416

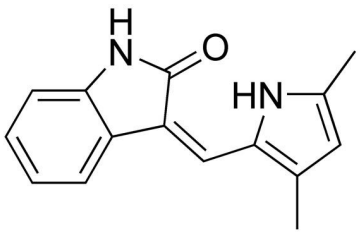
Inhibitor of FLK1/KDR, PDGFR, c-KIT, RET, Flt-3, ABL and ALK

Catalog # 73442 10 mg
73444 50 mg

STEMCELL™ TECHNOLOGIES
Scientists Helping Scientists™ | WWW.STEMCELL.COM
TOLL FREE PHONE 1 800 667 0322 • PHONE +1 604 877 0713
INFO@STEMCELL.COM • TECHSUPPORT@STEMCELL.COM
FOR GLOBAL CONTACT DETAILS VISIT OUR WEBSITE

Product Description

SU5416 is a tyrosine kinase inhibitor best known as an ATP-competitive inhibitor of the vascular endothelial growth factor receptor (VEGFR2; Flk-1/KDR). In addition to inhibiting VEGFR2 (IC_{50} = 1 µM), SU5416 also inhibits PDGFR (IC_{50} = 20 µM), c-KIT (IC_{50} = 30 nM), RET (IC_{50} = 170 nM), FLT-3 (IC_{50} = 160 nM), ABL (IC_{50} = 11 µM), and ALK (IC_{50} = 1.2 µM). SU5416 does not inhibit EGFR or FGFR tyrosine kinases (IC_{50} > 100 µM; Fong et al.; Litz; Mologni et al.).

Molecular Name:	SU5416
Alternative Names:	NSC 696819, Semaxinib, Sugen 5416, VEGFR 2 Kinase Inhibitor
CAS Number:	204005-46-9
Chemical Formula:	$C_{15}H_{14}N_2O$
Molecular Weight:	238.3 g/mol
Purity:	≥ 98%
Chemical Name:	3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-2H-indol-2-one
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. For product expiry date, please contact techsupport@stemcell.com.
Solubility:	· DMSO ≤ 40 mM · Absolute ethanol ≤ 1 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 4.20 mL of DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER
· Prevents angiogenesis, thereby inhibiting tumor growth, catalysis and vascularization for a variety of cancers (Litz; Fong et al.).
· Inhibits RET-mediated transformation of NIH-3T3 mouse fibroblasts and Ba/F3 mouse pro-B cells (Mologni et al.).

DISEASE MODELING
· Causes pulmonary hypertension in SuHx rat model of pulmonary arterial hypertension, when combined with hypoxia (de Raaf et al.; Mizuno et al.).

IMMUNOLOGY
· Inhibits TGFβ1 activation and delays wound healing in rats (Haroon et al.).

References

Fong TA et al. (1999) 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.
Haroon ZA et al. SU5416 delays wound healing through inhibition of TGF-beta 1 activation. Cancer Biol Ther 1(2): 121–6.
Litz J. (2004) The multi-targeted kinase inhibitor SU5416 inhibits small cell lung cancer growth and angiogenesis, in part by blocking Kit-mediated VEGF expression. Lung Cancer 46(3): 283–291.
Mizuno S et al. (2012) Severe pulmonary arterial hypertension induced by SU5416 and ovalbumin immunization. Am J Respir Cell Mol Biol 47(5): 679–87.
Mologni L et al. (2006) Inhibition of RET tyrosine kinase by SU5416. J Mol Endocrinol 37(2): 199–212.
de Raaf MA et al. (2014) SuHx rat model: partly reversible pulmonary hypertension and progressive intima obstruction. Eur Respir J 44(1): 160–8.

Related Small Molecules

For a complete list of small molecules available from STEMCELL Technologies, please visit our website at www.stemcell.com/smallmolecules or contact us at techsupport@stemcell.com.

This product is hazardous. Please refer to the Safety Data Sheet (SDS).