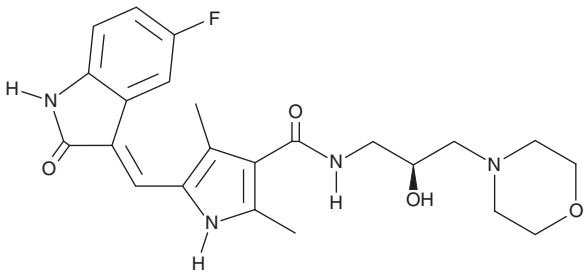
PRODUCT INFORMATION

SU 14813

Item No. 31514

CAS Registry No.:	627908-92-3
Formal Name:	5-[(5-fluoro-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-N-[(2S)-2-hydroxy-3-(4-morpholinyl) propyl]-2,4-dimethyl-1H-pyrrole-3-carboxamide
MF:	$C_{23}H_{27}FN_4O_4$
FW:	442.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 273, 428 nm
Supplied as:	A 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 14813 is supplied as a solid. A stock solution may be made by dissolving the SU 14813 in the solvent of choice, which should be purged with an inert gas. SU 14813 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of SU 14813 in ethanol is approximately 0.1 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of SU 14813 can be prepared by directly dissolving the SU 14813 in aqueous buffers. The solubility of SU 14813 in PBS, pH 7.2, is approximately 0.1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

SU 14813 is a dual VEGFR and PDGFR family kinase inhibitor (IC_{50}s = 0.002, 0.05, 0.004, and 0.015 µM for VEGFR1, VEGFR2, PDGFRβ, and KIT, respectively).[1] It is selective for these kinases over FGFR1, EGFR, Src, and c-Met (IC_{50}s = 3.5, >20, 2.5, and 9 µM, respectively). SU 14813 inhibits VEGFR2, PDGFRβ, KIT, and FLT3-internal tandem duplication (FLT3-ITD) phosphorylation *in vitro* (IC_{50}s = 0.04, 0.02, 0.006, and 0.05 µM, respectively). It inhibits PDGF-dependent proliferation of NIH3T3 cells overexpressing PDGFRβ, as well as OC1-AML5 cells expressing wild-type FLT3 and MV4-11 cells carrying the activating FLT3-ITD mutation. SU 14813 inhibits VEGF-induced survival of human umbilical vein endothelial cells (HUVECs; IC_{50} = 6.8 nM). It reduces tumor growth in human acute myeloid leukemia, renal, and colon cancer, as well as rat glioma, mouse xenograft models when used at doses ranging from 10 to 80 mg/kg twice per day.

Reference

1. Patnya, S., Laird, A.D., Mendel, D.B., *et al*. SU14813: a novel multiple receptor tyrosine kinase inhibitor with potent antiangiogenic and antitumor activity. *Mol. Cancer Ther.* **5(7)**, 1774-1782 (2006).

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