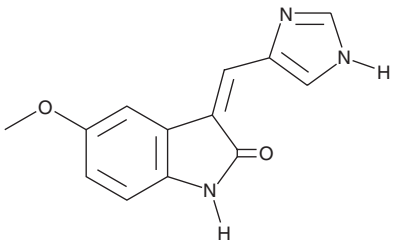
PRODUCT INFORMATION

SU 9516

Item No. 14796

CAS Registry No.:	377090-84-1
Formal Name:	1,3-dihydro-3Z-(1H-imidazol-5-ylmethylene)-5-methoxy-2H-indol-2-one
MF:	$C_{13}H_{11}N_3O_2$
FW:	241.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 271, 291, 348, 354 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly



Laboratory Procedures

SU 9516 is supplied as a crystalline solid. A stock solution may be made by dissolving the SU 9516 in the solvent of choice. SU 9516 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of SU 9516 in these solvents is approximately 5 mg/ml.

SU 9516 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SU 9516 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. SU 9516 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

SU 9516 is a 3-substituted indolinone that has anti-proliferative and pro-apoptotic activity in tumor cells. It is a potent inhibitor of several cyclin-dependent kinases (CDKs) with selectivity for Cdk2 (IC_{50}s = 22, 40, and 200 nM for Cdk2/cyclin A, Cdk1/cyclin B, and Cdk4/cyclin D1).[1] It does not inhibit PKC, p38, PDGFR, or EGFR (IC_{50}s = >10 µM).[1] SU 9516 inhibits phosphorylation of the retinoblastoma protein pRb, resulting in increased pRb/E2F complex formation, cell-cycle arrest, and subsequent apoptosis.[1,2] In leukemia cells (U937, Jurkat, and HL-60 cells) SU 9516 downregulates transcription of the antiapoptotic protein Mcl-1, leading to mitochondrial injury and cell death.[3]

References

1. Lane, M.E., Yu, B., Rice, A., *et al.* A novel cdk2-selective inhibitor, SU9516, induces apoptosis in colon carcinoma cells. *Cancer Res.* **61(16)**, 6170-6177 (2001).
2. Yu, B., Lane, M.E., and Wadler, S. SU9516, a cyclin-dependent kinase 2 inhibitor, promotes accumulation of high molecular weight E2F complexes in human colon carcinoma cells. *Biochem. Pharmacol.* **64(7)**, 1091-1100 (2002).
3. Gao, N., Kramer, L., Rahmani, M., *et al.* The three-substituted indolinone cyclin-dependent kinase 2 inhibitor 3-[1-(3H-imidazol-4-yl)-meth-(Z)-ylidene]-5-methoxy-1,3-dihydro-indol-2-one (SU9516) kills human leukemia cells *via* down-regulation of Mcl-1 through a transcriptional mechanism. *Mol. Pharmacol.* **70(2)**, 645-655 (2006).

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