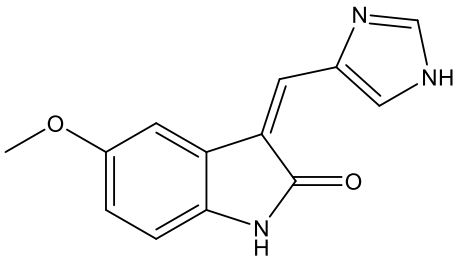
Catalog # 10-5705

SU9516

CAS# 377090-84-1

(Z)-1,3-Dihydro-3-(1H-imidazol-4-ylmethylene)-5-methoxy-2H-2-one

Lot # X108306



SU9516 is a cyclin-dependent kinase inhibitor, IC_{50}s= 0.02-0.03, 0.04-0.2, 0.2-1.7 and 0.9 µM for cdk2, cdk1, cdk4, cdk9 respectively.[1,2] Inhibits phosphorylation of retinoblastoma protein pRb, causing enhanced pRb/E2f complex formation, cell cycle arrest at G1 and G2-M and apoptosis.[3] Down-regulates antiapoptotic protein Mcl-1 expression resulting in mitochondrial injury and cell death.[4] Inhibits epithelial-mesenchymal transition (IC_{50}=1.21 µM) a crucial pathological event in tumor cell budding and metastasis.[5] Cell permeable.

1) Lane *et al.* (2001) *A novel cdk2-selective inhibitor, SU9516, induces apoptosis in colon carcinoma cells;* Cancer Res. **61** 6170
2) Jorda *et al.* (2018) *How selective are pharmacological inhibitors of cell-cycle-regulating cyclin-dependent kinases?;* J. Med. Chem. **61** 9105
3) Yu *et al.* (2002) *SU9516, a cyclin-dependent kinase 2 inhibitor, promotes accumulation of high molecular weight E2F complexes in human colon carcinoma cells;* Biochem. Pharmacol. **64** 1091
4) Gao *et al.* (2006) *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** 645
5) Arai *et al.* (2016) *A Novel High-Throughput 3D Screening System for EMT Inhibitors: A Pilot Screening Discovered the EMT Inhibitory Activity of CDK2 Inhibitor SU9516;* PLoS One **11** e0162394

PHYSICAL DATA

Molecular Weight:	241.25
Molecular Formula:	$C_{13}H_{11}N_3O_2$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (25 mg/ml)
Physical Description:	Orange solid
Storage and Stability:	Store as supplied at -20ºC for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 2 months.

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