Small Molecules

SU9516

Cyclin/CDK pathwayiInhibitor; Inhibits CDK1 and CDK2

Catalog # 73452

10 mg

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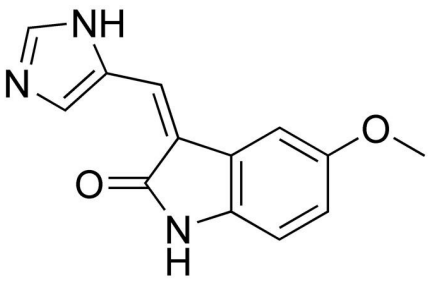
Product Description

SU9516 is a specific inhibitor of cyclin-dependent kinases (CDKs) including CDK2, CDK1, and, to a lesser extent, CDK4 with IC_{50} values of 22, 40, and 200 nM, respectively (Lane et al.). It competitively binds in the ATP binding pocket of CDK2 and CDK1 (Moshinsky et al.). It is highly selective and does not inhibit PKC, p38 MAPK, PDGFR, or EGFR (IC_{50} > 10 µM; Lane et al.).

Molecular Name:	SU9516
Alternative Names:	Not applicable
CAS Number:	377090-84-1
Chemical Formula:	$C_{13}H_{11}N_3O_2$
Molecular Weight:	241.3 g/mol
Purity:	≥ 98%
Chemical Name:	1,3-dihydro-3Z-(1H-imidazol-5-ylmethylene)-5-methoxy-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. Stable as supplied for 12 months from date of receipt.
Solubility:	· DMSO ≤ 20 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 4.14 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 RESEARCH
· Decreases proliferation in human colon carcinoma cell lines RKO and SW480, through inhibition of retinoblastoma protein (Rb) phosphorylation, resulting in increased Rb/E2F, cell cycle arrest, and subsequent apoptosis (Lane et al.; Yu et al.).
· Induces mitochondrial injury, caspase activation, and subsequent apoptosis through downregulated transcription of the antiapoptotic protein MCL-1 in U937, Jurkat, and HL-60 leukemia or lymphoma cell lines (Gao et al.).
· Induces apoptosis, alone or in combination with Paclitaxel (Catalog #73312), in the inflammatory breast cancer cell line SUM149PT (Opyrchal et al.).

References

Gao N 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(2): 645–55.
Lane ME et al. (2001) A novel cdk2-selective inhibitor, SU9516, induces apoptosis in colon carcinoma cells. Cancer Res 61(16): 6170–7.
Moshinsky DJ et al. (2003) SU9516: biochemical analysis of cdk inhibition and crystal structure in complex with cdk2. Biochem Biophys Res Commun 310(3): 1026–31.
Opyrchal M et al. (2014) Inhibition of Cdk2 kinase activity selectively targets the CD44+/CD24−/Low stem-like subpopulation and restores chemosensitivity of SUM149PT triple-negative breast cancer cells. Int J Oncol 45(3): 1193–9.
Yu B 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(7): 1091–100.

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