

Small Molecules

SU9516

Cyclin/CDK pathway inhibitor; Inhibits CDK1 and CDK2

Catalog # 73452

10 mg



Scientists Helping Scientists™ | WWW.STEMCELL.COM

TOLL FREE PHONE 1 800 667 0322 • PHONE +1 604 877 0713

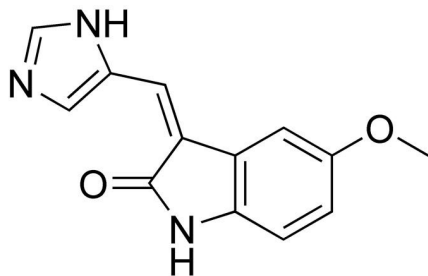
INFO@STEMCELL.COM • TECHSUPPORT@STEMCELL.COM

FOR GLOBAL CONTACT DETAILS VISIT OUR WEBSITE

Product Description

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 ($IC_{50} > 10 \mu M$; 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:	$\geq 98\%$
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 $-20^{\circ}C$ 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 $-20^{\circ}C$. 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.

Related Small Molecules

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

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

PRODUCTS ARE FOR RESEARCH USE ONLY AND NOT INTENDED FOR HUMAN OR ANIMAL DIAGNOSTIC OR THERAPEUTIC USES UNLESS OTHERWISE STATED.

Copyright © 2022 by STEMCELL Technologies Inc. All rights reserved including graphics and images. STEMCELL Technologies & Design, STEMCELL Shield Design, and Scientists Helping Scientists are trademarks of STEMCELL Technologies Canada Inc. All other trademarks are the property of their respective holders. While STEMCELL has made all reasonable efforts to ensure that the information provided by STEMCELL and its suppliers is correct, it makes no warranties or representations as to the accuracy or completeness of such information.