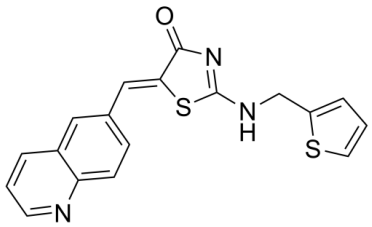
Data Sheet

Product Name:	Ro-3306
Cat. No.:	CS-3790
CAS No.:	872573-93-8
Molecular Formula:	$C_{18}H_{13}N_3OS_2$
Molecular Weight:	351.45
Target:	Apoptosis; CDK
Pathway:	Apoptosis; Cell Cycle/DNA Damage
Solubility:	DMSO : 25 mg/mL (ultrasonic)



BIOLOGICAL ACTIVITY:

Ro-3306 is a potent and selective inhibitor of **CDK1**, with **K_i**s of 20 nM, 35 nM and 340 nM for CDK1, CDK1/cyclin B1 and CDK2/cyclin E, respectively. IC50 & Target: Ki: 20 nM (CDK1), 35 nM (CDK1/cyclin B1), 340 nM (CDK2/cyclin E), 318 nM (PKCδ)[1] ***In Vitro:*** RO-3306 is an ATP-competitive inhibitor, and inhibits CDK1/cyclin A complexes with K_i of 110 nM. RO-3306 blocks the cell cycle in the G2/M phase of human cancer cells. RO-3306 (4 μM) induces apoptosis in cancer cells[1]. RO-3306 (5 μM) induces G2/M-phase cell cycle arrest and apoptosis of AML cells in a time-dependent manner. RO-3306 treatment significantly increases the percentage of Annexin V-positive cells in G1-phase cells without affecting the cell cycle distribution. RO-3306 enhances p53-mediated apoptosis. RO-3306 cooperates with Nutlin-3 in activating Bax and inducing mitochondrial apoptosis. RO-3306 (5 μM) downregulates antiapoptotic p21, Bcl-2 and survivin protein expression in AML. RO-3306 inhibits p53-induced p21 synthesis. RO-3306 does not inhibit RNA polymerase II CTD phosphorylation[2]. RO-3306 (10 μM) effectively arrests oocyte maturation. RO-3306 reduces the blastocyst formation in oocytes[3].

PROTOCOL (Extracted from published papers and Only for reference)

Kinase Assay: [1]The CDK assays are run by using recombinant human CDK/cyclin complexes (CDK1/cyclin B1, CDK1/cyclin A, CDK2/cyclin E, and CDK4/cyclin D) expressed and isolated from Hi5 insect cells. GST-cyclin B1, CDK1, GST-cyclin-E, CDK2, GST-CDK4, and cyclin D, are used in the assay. The GST-tagged proteins are coexpressed and purified in complex with their partners. All assays use a His-6-tagged fragment of pRB (amino acids 385-928) as a substrate. The protein is expressed from a construct. It is expressed in M15 Escherichia coli cells and bound on a Ni-chalated agarose column pretreated with 1 mM imidazole and eluted with 500 mM imidazole. The eluted protein is dialyzed against 20 mM Hepes, pH 7/6.25 mM $MgCl_2$/1.5 mM DTT, aliquoted, and stored at −80°C.

References:

[1]. Vassilev LT, et al. Selective small-molecule inhibitor reveals critical mitotic functions of human CDK1. Proc Natl Acad Sci U S A. 2006 Jul 11;103(28):10660-5.

[2]. Kojima K, et al. Cyclin-dependent kinase 1 inhibitor RO-3306 enhances p53-mediated Bax activation and mitochondrial apoptosis in AML. Cancer Sci. 2009 Jun;100(6):1128-36.

[3]. Jang WI, et al. A specific inhibitor of CDK1, RO-3306, reversibly arrests meiosis during in vitro maturation of porcine oocytes. Anim Reprod Sci. 2014

Jan 30;144(3-4):102-8.

CAIndexNames:

4(5H)-Thiazolone, 5-(6-quinolinylmethylene)-2-[(2-thienylmethyl)amino]-, (5Z)-

SMILES:

O=C1N=C(NCC2=CC=CS2)S/C1=C\C3=CC=C4N=CC=CC4=C3

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