Ro-3306

Cat. No.: HY-12529

CAS No.: 872573-93-8

Molecular Formula: $C_{18}H_{13}N_3OS_2$

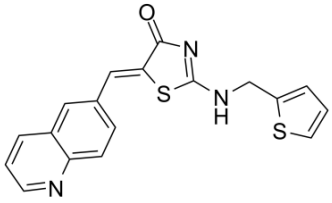
Molecular Weight: 351.45

Target: CDK; Apoptosis

Pathway: Cell Cycle/DNA Damage; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (71.13 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8454 mL	14.2268 mL	28.4535 mL
5 mM	0.5691 mL	2.8454 mL	5.6907 mL
10 mM	0.2845 mL	1.4227 mL	2.8454 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.67 mg/mL (4.75 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.67 mg/mL (4.75 mM); Suspended solution

BIOLOGICAL ACTIVITY

Description

Ro-3306 is a potent and selective inhibitor of CDK1, with K_is of 20 nM, 35 nM and 340 nM for CDK1, CDK1/cyclin B1 and CDK2/cyclin E, respectively.

IC_{50} & Target

CDK1 20 nM (Ki)	CDK1/cyclinB1 35 nM (Ki)	CDK1/cyclin A 110 nM (Ki)	CDK2/cyclinE 340 nM (Ki)
PKCδ 318 nM (Ki)	SGK 497 nM (Ki)	ERK 1980 nM (Ki)	

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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Death Differ. 2021 Feb;28(2):799-813.
- Cell Rep. 2020 Feb 18;30(7):2416-2429.e7.
- Oncogene. 2018 May;37(19):2601-2614.
- Cell Chem Biol. 2018 Feb 15;25(2):135-142.e5.
- Cancer Commun (Lond). 2021 Jan 20.

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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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