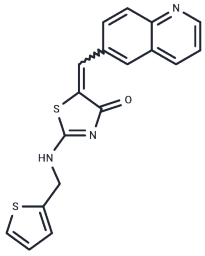
Data Sheet (Cat.No.T2356)

TargetMol

Ro-3306

Chemical Properties



CAS No. : 872573-93-8

Formula: C18H13N3OS2

Molecular Weight: 351.45

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	RO-3306 is a selectivity ATP-competitive CDK1 inhibitor (Ki: 20 nM). The selectivity of RO-3306 for CDK1 is >15-fold higher than a diverse panel of human kinases.
Targets(IC50)	Apoptosis,ERK,CDK,PKA,PKC,SGK
In vitro	**METHODS**: OVCA-429 and OVCAR-3 ovarian cancer cells were treated with Ro 3306 (1-2.5 nM) for 9 days, and cell viability was measured using crystal violet assay. **RESULTS**: OVCA-429 and OVCAR-3 cells showed low growth rates at high dose concentrations of Ro 3306 for up to 9 days. Treatment with 2.5 μM Ro 3306 reduced the growth rate of OVCA-429 and OVCAR-3 cells by 75.3% and 87.7%, respectively, on day 9. [1] **METHODS**: Human tumor cell lines HCT116, SW480 and HeLa were treated with Ro 3306 (9 μM) for 20 h. Cell cycle was detected by Flow cytometry. **RESULTS**: Treatment of proliferating human tumor cells with Ro 3306 for 20 h resulted in complete G2/M cell cycle arrest. [2]
In vivo	In HCT116, SW480, and HeLa cell lines, treatment with RO-3306 for 20 hours resulted in a complete cell cycle arrest at the G2/M phase. RO-3306 (10 μM) effectively inhibits oocyte maturation. The compound demonstrates inhibition of CDK1/cyclin B1 (Ki: 35 nM), CDK1/cyclin A (Ki: 110 nM), CDK2/cyclin E (Ki: 340 nM), and CDK4/cyclin D (Ki>2000 nM) activities. Additionally, RO-3306 significantly impedes the proliferation of HCT116 and SW480 cells. Compared to non-tumorigenic cells (MCF 10A and MCF 12A), RO-3306 exhibits a stronger pro-apoptotic effect on cancerous cells (HCT116 and SW480).
Kinase Assay	CDK assay: The activity of CDK1 cyclin B1, CDK1 cyclin A, CDK2 cyclin E, and CDK4 cyclin D is measured by a homogeneous time-resolved fluorescence assay in a 96-well format. The assay buffer contained 25 mM Hepes, 6.25 mM MgCl2, 0.003% Tween 20, 0.3 mg/mL BSA, 1.5 mM DTT, and ATP as follows: 162 μM (CDK1), 90 mM (CDK2), or 135 μM (CDK4). CDK1 and CDK2 buffer contained 10 mM MgCl2. Test compounds are diluted in assay buffer to 3-fold their final concentration in 20 μL, and the reaction is started by the addition of a 40 μL assay buffer containing the pRB substrate (0.185 μM). The plates are incubated at 37°C for 30 min with constant agitation, and the reaction is terminated by the addition of 15 μL of 1.6 μM anti-phospho pRB antibody (Ser-780) in 25 mM Hepes, 24 mM EDTA, and 0.2 mg/mL BSA. After an additional 30 min of incubation with shaking, 15μL of 3 nM Lance-Eu-W1024-labeledanti-rabbitIgG and 60 nM Alophycocyanin-conjugated anti-His-6 antibody in 25 mM Hepes, and 0.5 mg/mL BSA is added and incubated for 1 h. The plates are read in the Victor-V multi- label reader at excitation

	340 nm and emission 615 nm and 665 nm. The IC50 values are calculated from the readings at 665 nm and normalized for Europium readings at 615 nm. Ki values are calculated according to the equation: Ki= IC50/(1 + S/Km), where S is the ATP concentration in the assay and Km is the Michaelis-Menten constant for ATP. The inhibitory activity against the panel of kinases is determined by the IMAP assay technology.
Cell Research	Log phases cells (25,000) are seeed in 96-well plates and incubated in a 37℃ incubator with CO2, After 24 h, different concentrations of RO-3306 are administered to determine the drug concentrations required to achieve a 50% growth inhibition (IC50). MTT (20 μL, 5 mg/mL stock solution in saline) is added to each well and the cells are incubated for 4 h. Supernatants are removed and formazan crystals from viable cells are solubilized with 200 μL anhydrous DMSO. The absorbance is detected with a 550 model microplate reader at the 565 nm wavelength.(Only for Reference)

Solubility Information

Solubility	DMSO: 12.5 mg/mL (35.57 mM),Sonication is recommended. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1.25 mg/mL (3.56 mM),Solution. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0569 mL	0.2845 mL	0.5691 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

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