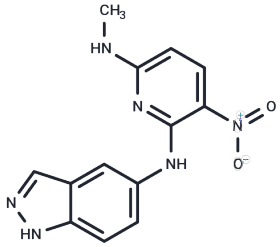
Data Sheet (Cat.No.T3652)

TargetMol

KRIBB11

Chemical Properties



CAS No. : 342639-96-7

Formula: C13H12N6O2

Molecular Weight: 284.27

Appearance: no data available

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

Biological Description

Description	KRIBB11 is an inhibitor of Heat Shock Factor (HSF) [inhibitor].
Targets(IC50)	Apoptosis,HSP
In vitro	KRIBB11 inhibits HSF1 activity in a concentration-dependent manner. It down-regulates HSP70 and HSP27. KRIBB11 can inhibit cancer cell proliferation, arrests the cell cycle at G2/M phase and induces apoptosis. But it does not inhibit heat shock-induced recruitment of HSF1 to the hsp70 promoter or phosphorylation of HSF1 Ser-230. KRIBB11 inhibits heat shock-induced recruitment of pTEFb to the hsp70 promoter and p-TEFb-dependent Phosphorylation of pol II CTD Ser-2[1].
In vivo	Using a mouse xenograft model, KRIBB11 treatment decreases tumor volume by 47% compared with untreated control mice. In addition, HSP70 protein levels are significantly decreased in tumors from mice treated with KRIBB11, supporting the notion that KRIBB11 exerts its in vivo antitumor activity through HSF1 inhibition[1].
Kinase Assay	HCT-116 cells are washed with PBS and then homogenized with a 27-gauge syringe in binding buffer (10 mm Tris-HCl (pH 7.4), 50 mm KCl, 5 mm MgCl2, 1 mm EDTA, and 0.1 mm Na3VO4). The cell lysate is centrifuged at 13,000 rpm for 30 min at 4°C, and the supernatant is collected. The HCT-116 cell lysate supernatant is precleared by incubating with Dynabeads M-280 streptavidin for 30 min at 4°C and captured by magnet separation. The cleared supernatants are incubated with biotinyl-KRIBB11 compound. After overnight incubation at 4°C, proteins associated with the biotinyl-KRIBB11 compound are precipitated with Dynabeads M-280 streptavidin. Precipitated samples are separated by a magnet. Samples are washed with 1 mL of ishing buffer containing 50 mm HEPES (pH 7.5), 50 mm NaCl, 1 mm EDTA, 1 mm EGTA, 0.1% Tween 20, 10% (v/v) glycerol, 1 mm NaF, 0.1 mm Na3VO4, and protease inhibitor mixture tablets (1 tablet/10 mL). Samples are boiled in SDS-PAGE sample buffer, separated by 10% polyacrylamide gel, and immunoblotted with antibodies against HSF1, HSF2, HSP90, or CDK9.
Cell Research	HCT-116 cells are treated with KRIBB11 at various concentrations for 48 h. Cells are then harvested by trypsinization, fixed with 70% chilled ethanol, and preserved at ?20 °C before FACS analysis. Fixed cells are washed twice with phosphate-buffered saline (PBS) solution before being suspended in 500 μl of PBS and treated with 100 mg/ml RNase A at 37 °C for 30 min. Propidium iodide is then added to a final concentration of 50 mg/ml

	for DNA staining, and 20,000 fixed cells are analyzed on a FACSCalibur system. Cell cycle distribution is analyzed using the ModFit program.(Only for Reference)

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 3 mg/mL (10.55 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.5178 mL	17.5889 mL	35.1778 mL
5 mM	0.7036 mL	3.5178 mL	7.0356 mL
10 mM	0.3518 mL	1.7589 mL	3.5178 mL
50 mM	0.0704 mL	0.3518 mL	0.7036 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

Yoon YJ, et al. J Biol Chem. 2011, 286(3):1737-47.

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