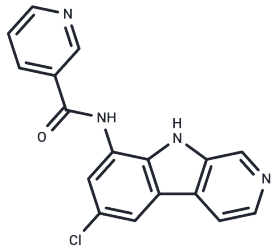
Data Sheet (Cat.No.T3094)

TargetMol

PS-1145

Chemical Properties



CAS No. : 431898-65-6

Formula: C17H11ClN4O

Molecular Weight: 322.75

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	PS-1145 (IKK Inhibitor X) is a specific IKK inhibitor with IC50 of 88 nM.
Targets(IC50)	Apoptosis,IκB/IKK
In vitro	Administering 50 mg/kg of PS-1145 intravenously in male Wistar rats with DMBA-induced skin tumors resulted in the upregulation of p53 and activation of caspases, coupled with the downregulation of NF-κB and VEGF factors, thereby enhancing apoptosis in tumor cells.
In vivo	PS-1145 inhibits the proliferation of primary human CD4(+) T cells and impedes the activation of NF-κB and AP-1 transcription factors through the cooperative engagement of CD3 and CD28 co-receptors. Additionally, PS-1145 blocks TNFalpha-induced NF-kappaB activation by inhibiting the phosphorylation of IkappaBalpha and disrupting the protective effect of IL-6 on Dex-induced apoptosis.
Kinase Assay	Kinase assay: PS-1145 are dissolved in DMSO and stored at ?20?°C until use. Ki value of PS-1145 against the IKK complex is determined by measuring Km ,?ATP against varying fixed concentration of the inhibitor. Briefly, partially purified IKK complex obtained from unstimulated HeLa S3 cells are pre-activated using the catalytic domain of MEKK1 expressed in sf9. Kinase activity is assessed using a biotinylated IκBα peptide (250 μM, RHDSGLDSMKD,Km ,peptide = 30 μM,K m ,?ATP = 10 μM) and phospho-[Ser32]-phosphoantibodies in an ELISA format with appropriate standard curve for quantification. For PS-1145 Ki measurement, the activated IKK complex is first preincubated in varying fixed concentration of the inhibitor (0.1–1 μM) at 25 °C for 1 h. Then apparentK m measurement for MgATP is performed at each discrete inhibitor concentration.
Cell Research	The inhibitory effect of PS-1145 on MM growth is assessed by measuring MTT dye absorbance of the cells. Cells from 48-h cultures are pulsed with 10 μL of 5 mg/ml MTT to each well for the last 4 h of 48-h cultures, followed by 100 μl of isopropanol containing 0.04N HCl. Absorbance is measured at 570 nm using a spectrophotometer. (Only for Reference)

Solubility Information

Solubility	DMSO: 50 mg/mL (154.92 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 2 mg/mL (6.2 mM),Heating is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2.5 mg/mL (7.75 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.0984 mL	15.4919 mL	30.9837 mL
5 mM	0.6197 mL	3.0984 mL	6.1967 mL
10 mM	0.3098 mL	1.5492 mL	3.0984 mL
50 mM	0.062 mL	0.3098 mL	0.6197 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Hideshima T, et al. J Biol Chem. 2002, 277(19), 16639-16647.
Lupino E, et al. J Immunol. 2012, 188(6), 2545-2555.
Rajmani RS, et al. Cell Biol Int. 2015, 39(11), 1317-1328.