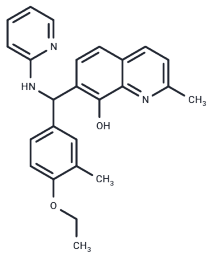
Data Sheet (Cat.No.T15486)

TargetMol

HLM006474

Chemical Properties



CAS No. : 353519-63-8

Formula: C25H25N3O2

Molecular Weight: 399.48

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	HLM006474 is a pan inhibitor of E2F. This inhibits E2F4 DNA-binding (IC50: 29.8 μM in A375 cells).
Targets(IC50)	Others,Early 2 Factor (E2F)
In vitro	HLM006474 causes the viability of both SCLC and NSCLC lines (IC50 ranging from 15 to 75 μM). HLM006474 displays little activities against E2F4 DNA-binding in A375 cells at 10 and 20 μM, apparently inhibits E2F4 DNA-binding at 40 μM, and increasingly suppresses the effect at 60 and 80 μM concentrations. HLM006474 dramatically causes cyclin D3 protein expression and is a potent inducer of PARP cleavage[1]. HLM006474 (20 μM) weakly synergizes with paclitaxel, but there is antagonism between HLM006474 and cisplatin and gemcitabine in H1299 cells[2]. HLM006474 (40 μM) inhibits E2F4 activity via suppression of its DNA-binding activity and down regulation of its expression and HLM006474 (40 μM) also obviously causes apoptosis in A375 and 231 cell lines for 24 hours. HLM006474 (60 μM) enhances the expression of several known E2F-regulated genes after short treatments in H292 and H1299 cell lines. HLM006474 leads to a reduction in the mRNA levels of MAD2. HLM006474 apparently suppresses the increase of Mad2 protein and pRb-S780 signal but not the level of Skp2 protein in human lung cancer A549 cellss.

Solubility Information

Solubility	DMSO: 15.625 mg/mL (39.11 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2.2 mg/mL (5.51 mM),Solution. 10% DMSO+90% Saline: < 1.56 mg/mL (3.91 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *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	2.5033 mL	12.5163 mL	25.0325 mL
5 mM	0.5007 mL	2.5033 mL	5.0065 mL
10 mM	0.2503 mL	1.2516 mL	2.5033 mL
50 mM	0.0501 mL	0.2503 mL	0.5007 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

Ma Y, et al. A small-molecule E2F inhibitor blocks growth in a melanoma culture model. Cancer Res. 2008 Aug 1;68 (15):6292-9.
Kurtyka CA, et al. E2F inhibition synergizes with paclitaxel in lung cancer cell lines. PLoS One. 2014 May 15;9(5): e96357.

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