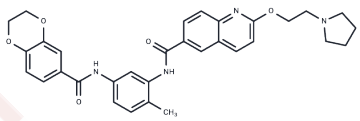
Data Sheet (Cat.No.T14905)

TargetMol

CCT251236

Chemical Properties



CAS No. : 1693731-40-6

Formula: C32H32N4O5

Molecular Weight: 552.62

Storage: Store at low temperature
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	CCT251236 is a cell-based phenotypic high-throughput screening (HTS) chemical probe developed to screen for inhibitors of the HSF1 stress pathway.CCT251236 exhibits antimyeloma activity and inhibits HSF1.
Targets(IC50)	HSP
In vitro	CCT367766 (0-100 nM; 24 hours) inhibited 17-AAG-induced HSF1-mediated expression of heat shock proteins HSP72 and HSP27 in SK-OV-3 cells in a concentration-dependent manner; CCT367766 also blocked 17-AAG-induced HSPA1A mRNA in a dose-dependent manner; in addition, CCT367766 (0-100 nM; 24 hours) exhibited an ideal balance of in vitro properties while maintaining good cell viability, with pIC50=7.73±0.07 (IC50=19 nM) for inhibiting HSF1-mediated HSP72 induction; in SK-OV-3 cells, the free GI50 was 1.1 nM[1].
In vivo	CCT367766 (oral; 20 mg/kg; 33 days) showed significant efficacy in mice, with a tumor growth inhibition (%TGI) of 70% based on final tumor volume.After 33 days, there was a 64% reduction in mean tumor weight compared to controls. In addition, the basicity and high volume of distribution of the compound was observed in tumors up to a concentration of 940 nM [1].CCT367766 (oral, 5 or 20 mg/kg) showed free Cav0-24h values of 2.0 nM and 1.2 nM, respectively, in tumor-free immune-competent BALB/c mice [1]; and, in a mouse model of human myeloma xenografts, the CCT251236 (20 mg/kg, po) inhibited the HSF1 pathway and exhibited antimyeloma efficacy in a human myeloma xenograft mouse model [2].

Solubility Information

Solubility	DMSO: 80 mg/mL (144.76 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: 3.3 mg/mL (5.97 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	1.8096 mL	9.0478 mL	18.0956 mL
5 mM	0.3619 mL	1.8096 mL	3.6191 mL
10 mM	0.181 mL	0.9048 mL	1.8096 mL
50 mM	0.0362 mL	0.181 mL	0.3619 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

Cheeseman MD, et al. Discovery of a Chemical Probe Bisamide (CCT251236): An OrallyBioavailable Efficacious Pirin Ligand from a Heat ShockTranscription Factor 1 (HSF1) Phenotypic Screen. J Med Chem. 2017 Jan 12;60(1):180-201.
Fok JHL, et al. HSF1 Is Essential for Myeloma Cell Survival and A Promising Therapeutic Target. Clin Cancer Res. 2018 May 15;24(10):2395-2407.