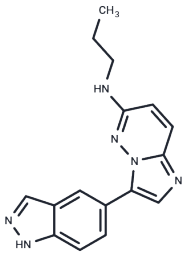
Data Sheet (Cat.No.T14959)

TargetMol

CHR-6494

Chemical Properties



CAS No. : 1333377-65-3

Formula: C16H16N6

Molecular Weight: 292.34

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	CHR-6494 is a haspin inhibitor that inhibits histone H3T3 phosphorylation (IC50: 2 nM).
Targets(IC50)	Others,Haspin Kinase
In vitro	CHR-6494 does not modify H3S10 and H328 phosphorylation levels and shows no significantly inhibitory effects on other protein kinases. CHR-6494 dose-dependently inhibits the growth of cancer cells, such as HCT-116, HeLa, MDA-MB-231, and Wi-38 cell (IC50s: 500?nM, 473?nM, 752?nM, and 1059 nM). CHR-6494 (500 nM) produces a mitotic catastrophe with abnormal morphology of the mitotic spindle and centrosome amplification and upregulates the spindle assembly checkpoint protein BUB1 and the marker of mitotic arrest cyclin B1 [1]. CHR-6494 exhibits inhibitory activities against melanoma cell lines, including BRAFV600E mutants, NRAS mutants, and wild type cells, with IC50s ranging from 396 nM to 1229 nM. CHR-6494 (300 nM and 600 nM) induces apoptosis, increases caspase 3/7 activity by 3- and 6-fold, respectively in COLO-792 cells, and to 8.5- and 16-fold in RPMI-7951 cells. CHR-6494 in combination with MEK inhibitors synergistically inhibits the viability of melanoma cells, enhances apoptosis in melanoma cells, modulates cell cycle progression independently by arresting melanoma cells at different phases, and suppresses migration of melanoma cells [2].
In vivo	CHR-6494 (50?mg/kg, i.p.) inhibits the growth of tumor. It cuases no obvious body weight change in nude mice bearing HCT-116 human colorectal cancer cells [1].

Solubility Information

Solubility	DMSO: 27.22 mg/mL (93.11 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: 2.72 mg/mL (9.3 mM),Solution. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (6.84 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	3.4207 mL	17.1034 mL	34.2067 mL
5 mM	0.6841 mL	3.4207 mL	6.8413 mL
10 mM	0.3421 mL	1.7103 mL	3.4207 mL
50 mM	0.0684 mL	0.3421 mL	0.6841 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

Huertas D, et al. Antitumor activity of a small-molecule inhibitor of the histone kinase Haspin. Oncogene. 2012 Mar 15;31(11):1408-18.

Han L, et al. Anti-Melanoma Activities of Haspin Inhibitor CHR-6494 Deployed as a Single Agent or in a Synergistic Combination with MEK Inhibitor. J Cancer. 2017 Aug 25;8(15):2933-2943.