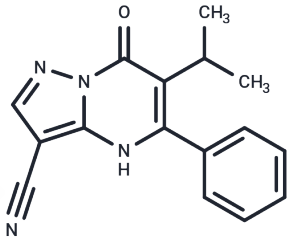
Data Sheet (Cat.No.T3552)

TargetMol

CPI-455

Chemical Properties



CAS No. : 1628208-23-0

Formula: C16H14N4O

Molecular Weight: 278.31

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	CPI-455 is a specific KDM5 inhibitor.
Targets(IC50)	Histone Demethylase
In vitro	CPI-455 mediates KDM5 inhibition, elevates global levels of H3K4 trimethylation (H3K4me3) and decreases the number of DTPs in multiple cancer cell line models treated with standard chemotherapy or targeted agents[1]. CPI-455 has a high measured affinity for the target KDM5 proteins. Within 24 hours, CPI-455 and CPI-766 can dose-dependently increases in H3K4me3, but not H3K4me2. IC50 calculation for KDM5 Inhibitor CPI-455 in 3 luminal breast cancer cell lines MCF-7, T-47 and EFM-19 are 35.4, 26.19 and 16.13 μM, respectively.
Cell Research	All cell lines were treated with DMSO, CPI-4203 or CPI-455 for 5 d with two changes of medium and drug. Thereafter, the cells (PC9, Colo205, Hs888, M14, SKBR3 and EVSA-T) were plated at 2×105 cells in six-well plates in triplicate and treated for an additional 9-15 d, depending on the cell line model. The Incucyte HD imaging system was used to monitor numbers of drug-tolerant cells after cells were stained with Nuclear-ID Red stain. (Only for Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 41.67 mg/mL (149.73 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 4.17 mg/mL (14.98 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4.17 mg/mL (14.98 mM),Suspension. *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	3.5931 mL	17.9656 mL	35.9312 mL
5 mM	0.7186 mL	3.5931 mL	7.1862 mL
10 mM	0.3593 mL	1.7966 mL	3.5931 mL
50 mM	0.0719 mL	0.3593 mL	0.7186 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

Vinogradova M, et al. Nat Chem Biol. 2016, 12(7):531-8.
Li S T, Huang D, Shen S, et al. Myc-mediated SDHA acetylation triggers epigenetic regulation of gene expression and tumorigenesis. Nature Metabolism. 2020, 2(3): 256-269
Li S T, Huang D, Shen S, et al. Myc-mediated SDHA acetylation triggers epigenetic regulation of gene expression and tumorigenesis[J]. Nature Metabolism. 2020, 2(3): 256-269.
Shen X, Ye Z, Wu W, et al. lncRNA NEAT1 facilitates the progression of colorectal cancer via the KDM5A/Cul4A and Wnt signaling pathway. International Journal of Oncology. 2021, 59(1): 1-12.