产品名称：CPI-455
产品别名：CPI-455

生物活性：

Description	CPI-455 is a specific, pan-KDM5 inhibitor with an IC50 of 10 nM for KDM5A. CPI-455 mediates KDM5 inhibition, elevates global levels of H3K4me3, and decreases the number of drug-tolerant persister cancer cells in multiple cancer cell line models treated with standard chemotherapy or targeted agents[1].
IC_{50} & Target	IC50: 10 nM (KDM5A)[1]
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, with high measured affinity for the target KDM5 proteins. Within 24 hours, increases in H3K4me3, are observed after exposure to either of the two active compounds, CPI-455 and CPI-766, in a dosedependent manner. IC50 calculation for KDM5 Inhibitor CPI0455 in 3 luminal breast cancer cell lines MCF-7, T-47 and EFM-19 are 35.4, 26.19 and 16.13 μM, respectively[2].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 34 mg/mL (122.17 mM)** * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References	[1]. Vinogradova M, et al. An inhibitor of KDM5 demethylases reduces survival of drug-tolerant cancer cells. Nat Chem Biol. 2016 Jul;12(7):531-8. [2]. Benjamin R. Leadem. NOVEL HISTONE DEMETHYLASE INHIBITORS SYNERGISTICALLY

实验参考：

Cell Assay	MCF-7 is a luminal breast cancer cell line. MCF-7 is grown in MEM supplemented with 10% fetal bovine serum (FBS) and is incubated at 37°C with a 5% CO_2 atmosphere. For each treatment condition, 2.5×10^5 MCF-7 cells are plated in T25 flask and allowed to rest overnight. The following day, the media is aspirated and replaced with media containing DAC at a final concentration of 62.5 nM. DAC media is aspirated and replaced every 24 hours for a total treatment time of 72 hours. Following DAC treatment, media is aspirated and replaced with media supplemented with 2% FBS containing KDM5 inhibitors (e.g., CPI-455) at a final concentration of 9.375 μM. Cells are harvested following 72 hours of KDM5 inhibitor treatment[1].
References	[1]. Vinogradova M, et al. An inhibitor of KDM5 demethylases reduces survival of drug-tolerant cancer cells. Nat Chem Biol. 2016 Jul;12(7):531-8. [2]. Benjamin R. Leadem. NOVEL HISTONE DEMETHYLASE INHIBITORS SYNERGISTICALLY