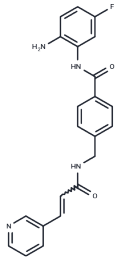
Data Sheet (Cat.No.T2025)

TargetMol
YOUR TARGET MOLECULES

HDAC-IN-7

Chemical Properties



CAS No. : 743420-02-2

Formula: C22H19FN4O2

Molecular Weight: 390.41

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	HDAC-IN-7 (HBI-8000) (Chidamide impurity) is an impurity of Chidamide. Chidamide is a potent and orally bioavailable inhibitor of HDAC enzymes class I (HDAC1/2/3) and class IIb (HDAC10).
Targets(IC50)	HDAC
In vitro	Chidamide (CS055) at low concentrations dramatically inhibits cell proliferation in each cell line. After Chidamide treatment, cells arrest at the G0/G1 phase in a dose-dependent manner. Western blot analysis indicats that cyclin E1 and E2 protein expression is down-regulated after Chidamide treatment, which is consistent with the cell-cycle analysis. As the changes in cyclin E1 are much more significant than cyclin E2, cyclin E1 is up-regulated in HL60 and K562 cells by lentiviral transduction. The effect on leukaemia proliferation by Chidamide inhibition are largely weakened when cyclin E1 is overexpressed. It is therefore likely that cyclin E1 levels are decreased by Chidamide which induces cell-cycle arrest at the G0/G1 phase[2]. Chidamide causes a significant concentration-dependent inhibitory effect on cell proliferation in comparison to the vehicle-treated cells (P<0.05). The maximal inhibitory effect is reached at 5 μM[3].
In vivo	Inhibition of tumor growth by Chidamide (CS055) treatment is observed in a dose-dependent manner, demonstrating the anti-tumor activity of Chidamide. Control tumors grow to an average volume of 14.51 cm3 after 20 days, and Chidamide-treated tumors grow to 11.68, 11.05 and 8.45 cm3, corresponding to 19.54%, 23.83% and 41.80% growth inhibition respectively. The average tumor mass in animals treated with vehicle is 9.4±2.7 g and is 8.4±2.4 g for animals treated with low-dose Chidamide. In animals treated with a moderate dose of Chidamide, tumor mass is 7.6±1.6 g and those receiving high-dose Chidamide has a tumor mass of 5.4±1.5 g (P<0.01). Additionally, Chidamide treatment prolongs the survival of nude mice bearing HL60 xenografts. Moreover, the level of lipid peroxidation product (MDA), which is a presumptive measure of ROS-mediated injury, is increased in tumor tissue accompanied by treatment of Chidamide, suggesting that Chidamide-induced ROS generation might play a role[2].
Kinase Assay	HDAC activity is detected as described in the Colorimetric HDAC Activity Assay kit. Each reaction (100 μL) contains nuclear protein (50 μg) extract from leukaemia cells and HDAC substrate. To test the effect of HDACis, Chidamide (CS055) and MS-275 are added to the mixtures and incubated at 37°C for 1 h. The HDAC activities are measured by a microplate readers at 405 nm. The positive control (only nuclear extract and vehicle) is set as 100% and double-distilled water containing 10 μM Trichostatin A, a known strong

	HDACi, is used as a negative control and set as 0%[2].
Cell Research	Chidamide (CS055) is dissolved in DMSO and stored, and then diluted with appropriate medium before use[2]. Proliferation of the PaTu8988 cells is evaluated using CCK-8 assay. PaTu8988 cells are randomly into 4 groups and incubated in the absence or presence of concentrations of 0, 1.25, 2.5 and 5 μM) of Chidamide for 48 h. Subsequently, 10 μL CCK-8 is added in each well and incubated for 2 h. The optical density of each well is then measured with a microplate reader at 450 nm. The cell survival rate is calculated using the formula: Cell survival rate (%)=1-(ODctrl-ODsample)/ODctrl×100%[2].

Solubility Information

Solubility	DMSO: 55 mg/mL (140.88 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5614 mL	12.807 mL	25.6141 mL
5 mM	0.5123 mL	2.5614 mL	5.1228 mL
10 mM	0.2561 mL	1.2807 mL	2.5614 mL
50 mM	0.0512 mL	0.2561 mL	0.5123 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.

Reference

Chang Y Y, Wu H L, Fang H, et al. Comparison of three chemometric methods for processing HPLC-DAD data with time shifts: Simultaneous determination of ten molecular targeted anti-tumor drugs in different biological