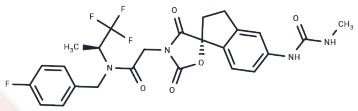
Data Sheet (Cat.No.T14073)

TargetMol

A-485

Chemical Properties



CAS No. : 1889279-16-6

Formula: C25H24F4N4O5

Molecular Weight: 536.48

Storage: Keep away from moisture
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	A-485 is a potent and selective catalytic p300/CBP inhibitor with IC50 values of 9.8 nM for p300 and 2.6 nM for CBP.
Targets(IC50)	Epigenetic Reader Domain,Histone Acetyltransferase
In vitro	**METHODS**: 124 tumor cells were treated with A-485 for 3-5 days and cell viability was measured by the CellTiter-Glo Luminescent Cell Viability Assay. **RESULTS**: The broadest sensitivity was observed in hematologic tumors, where A-485 exhibited potent activity in most multiple myeloma (MM) cell lines, acute myeloid leukemia (AML) cell lines, and non-Hodgkin's lymphoma (NHL) cell lines. In contrast, several solid tumor lines, including melanoma, small cell lung cancer (SCLC), and triple-negative breast cancer (TNBC), showed significantly reduced sensitivity to A-485. [1] **METHODS**: H1650 and H1650-ER cells were treated with A-485 (20 μM) overnight, followed by TRAIL (10-100 ng/mL) overnight, and apoptosis was detected by apoptotic kit. **RESULTS**: The combination of A-485 and TRAIL significantly increased the total number of apoptotic cells in H1650 and H1650-ER cells compared to TRAIL alone.A-485 enhanced TRAIL-induced apoptosis. [2]
In vivo	**METHODS**: To assay in vivo anti-tumor activity, A-485 (100 mg/kg) was administered intraperitoneally twice daily for 21 days to SCID mice bearing LuCaP-77 CR xenografts. **RESULTS**: A-485 induced 54% tumor growth inhibition (TGI) after 21 days of administration. [1]

Solubility Information

Solubility	DMSO: 252.5 mg/mL (470.66 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: 5 mg/mL (9.32 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	1.864 mL	9.320 mL	18.640 mL
5 mM	0.3728 mL	1.864 mL	3.728 mL
10 mM	0.1864 mL	0.932 mL	1.864 mL
50 mM	0.0373 mL	0.1864 mL	0.3728 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

Lasko LM, et al. Discovery of a selective catalytic p300/CBP inhibitor that targets lineage-specific tumours. Nature. 2017 Oct 5;550(7674):128-132. doi: 10.1038/nature24028. Epub 2017 Sep 27. Erratum in: Nature. 2018 Jun;558 (7710):E1.

Zhang B, et al. A novel histone acetyltransferase inhibitor A485 improves sensitivity of non-small-cell lung carcinoma cells to TRAIL. Biochem Pharmacol. 2020 May;175:113914.

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