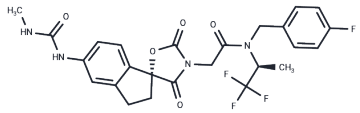
Data Sheet (Cat.No.T14073)

TargetMol
YOUR TARGET MOLECULES

A-485

Chemical Properties



CAS No. : 1889279-16-6

Formula: C25H24F4N4O5

Molecular Weight: 536.48

Appearance: no data available

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

Biological Description

Description	A-485 is a potent and selective catalytic p300/CBP inhibitor(IC50s of 9.8 nM and 2.6 nM for p300 and CBP, respectively).
Targets(IC50)	Epigenetic Reader Domain,Histone Acetyltransferase
In vitro	Treating prostate adenocarcinoma PC-3 cells with A-485 for three hours leads to a dose-responsive reduction in H3K27Ac, achieving a half maximal effective concentration (EC50) of 73 nM. This compound shows its highest efficacy in hematological cancers, prominently inhibiting most multiple myeloma cell lines, as well as certain acute myeloid leukemia and non-Hodgkin's lymphoma lines. Importantly, A-485 treatment does not affect the levels of p300 or CBP proteins but consistently decreases H3K27Ac across five different prostate cancer cell lines[1].
In vivo	A-485, a potent, selective and drug-like catalytic inhibitor of p300 and CBP.?A-485 selectively inhibited proliferation in lineage-specific tumour types, including several haematological malignancies and androgen receptor-positive prostate cancer.?A-485 inhibited the androgen receptor transcriptional program in both androgen-sensitive and castration-resistant prostate cancer and inhibited tumour growth in a castration-resistant xenograft model.?After tumours are established in SCID male mice, twice daily intraperitoneal injections of A-485 induce 54% tumour growth inhibition after 21 days of dosing (P<0.005 as compare to vehicle control).?A-485 induces a moderate 9% body weight loss, and the animals recover rapidly upon completion of the A-485 dosing regimen[1].?In tumour-bearing animals, dosing with A-485 for seven days induces a decrease in the mRNA levels of MYC and the AR-dependent gene SLC45A3 at three hours post-dosing, and (for MYC) a decrease in the protein level, indicating that A-485 inhibits p300-mediated transcriptional activity in vivo.?But, at 16?hours post-dosing on the seventh day, A-485 drug levels in the plasma and tumour are decreased as compare to 3?hours.

Solubility Information

Solubility	DMSO: 60 mg/mL (111.84 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

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.

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.