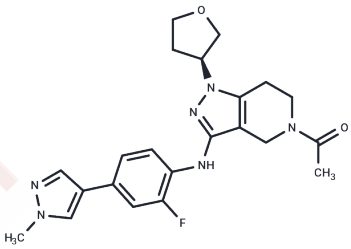
Data Sheet (Cat.No.T15400)

TargetMol

GNE-272

Chemical Properties



CAS No. : 1936428-93-1

Formula: C22H25FN6O2

Molecular Weight: 424.47

Storage: Store at low temperature
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	GNE-272 is a potent and highly selective inhibitor of the CBP/EP300 bromodomains. This product inhibits CBP and EP300 with IC50 values of 0.02 μM and 0.03 μM, respectively, exhibiting 650-fold selectivity over BRD4 (IC50 = 13 μM). In cell-based assays, it effectively inhibits MYC expression (EC50 = 0.91 μM) without significant off-target activity against various kinases, receptors, or cytochrome P450 isoforms. As a selective in vivo probe, GNE-272 serves as a vital pharmacological tool for studying CBP/EP300 functions in acute myeloid leukemia (AML) and other cancers.
In vitro	In biochemical assays, GNE-272 demonstrates high potency against CBP (IC50 = 0.02 μM) and EP300 (IC50 = 0.03 μM) with excellent selectivity over BRD4. In cell-based target engagement assays, the compound inhibits MYC expression in MV4-11 cells with an EC50 of 0.91 μM and shows a favorable safety profile in screenings against 35 kinases and 42 receptor off-targets [1]
In vivo	In pharmacological studies using mouse models, GNE-272 exhibited low clearance (1 mg/kg, i.v.) and good oral bioavailability at 100 mg/kg, reaching an unbound Cmax of 26 μM; systemically administered GNE-272 significantly modulated MYC expression in vivo, which correlated with potent anti-proliferative and anti-tumor activity in AML tumor xenograft models [1].

Solubility Information

Solubility	DMSO: 80 mg/mL (188.47 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: 2.4 mg/mL (5.65 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	2.3559 mL	11.7794 mL	23.5588 mL
5 mM	0.4712 mL	2.3559 mL	4.7118 mL
10 mM	0.2356 mL	1.1779 mL	2.3559 mL
50 mM	0.0471 mL	0.2356 mL	0.4712 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

Crawford TD, et al. Discovery of a Potent and Selective in Vivo Probe (GNE-272) for the Bromodomains of CBP/EP300. J Med Chem. 2016 Dec 8;59(23):10549-10563.