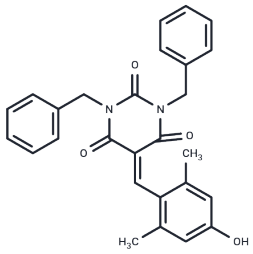
Data Sheet (Cat.No.T15216)

TargetMol

EML 425

Chemical Properties



CAS No. : 1675821-32-5

Formula: C27H24N2O4

Molecular Weight: 440.49

Appearance: no data available

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

Biological Description

Description	EML 425 is a potent and selective inhibitor of CREB binding protein (CBP)/p300 (IC50s: 2.9 and 1.1 μM, respectively).
Targets(IC50)	Epigenetic Reader Domain,Histone Acetyltransferase
In vitro	EML 425 is shown to be a reversible inhibitor, noncompetitive versus both acetyl-CoA and a histone H3 peptide, and able to bind both the free enzyme and the enzyme-substrate complex, even with unequal affinity constants. EML 425, noncompetitive versus both acetyl-CoA and a histone H3 peptide, shows good cell permeability. EML 425 inhibits both p300 and CBP (IC50 values of 2.9 and 1.1 μM, respectively) while being practically inactive against the enzymes general control non-derepressible-5 (GCN5) and p300/CBP-associated factor (PCAF). EML 425 causes a marked and time-dependent reduction in the acetylation of lysine H4K5 and H3K9 in U937 cells. The binding site for EML 425 is an alternative pocket lying near the substrate lysine binding groove and close to the acetylation site[1].

Solubility Information

Solubility	DMSO: 250 mg/mL (567.55 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2702 mL	11.351 mL	22.702 mL
5 mM	0.454 mL	2.2702 mL	4.5404 mL
10 mM	0.227 mL	1.1351 mL	2.2702 mL
50 mM	0.0454 mL	0.227 mL	0.454 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

Milite C, et al. A novel cell-permeable, selective, and noncompetitive inhibitor of KAT3 histone acetyltransferases from a combined molecular pruning/classical isosterism approach. J Med Chem. 2015 Mar 26;58(6):2779-98.

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