Web: www.taiclone.com
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EML 425

Catalog No: tcsc0033128

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Specifications

CAS No:
1675821-32-5

Formula:
$C_{27}H_{24}N_2O_4$

Pathway:
Epigenetics;Epigenetics

Target:
Epigenetic Reader Domain;Histone Acetyltransferase

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
440.49

Product Description

EML425 is a potent and selective CREB binding protein (**CBP**)/**p300** inhibitor with **IC_{50}**s of 2.9 and 1.1 μM, respectively.

IC50 & Target: IC50: 1.1 μM (p300), 2.9 μM (CBP)[1]

In Vitro:

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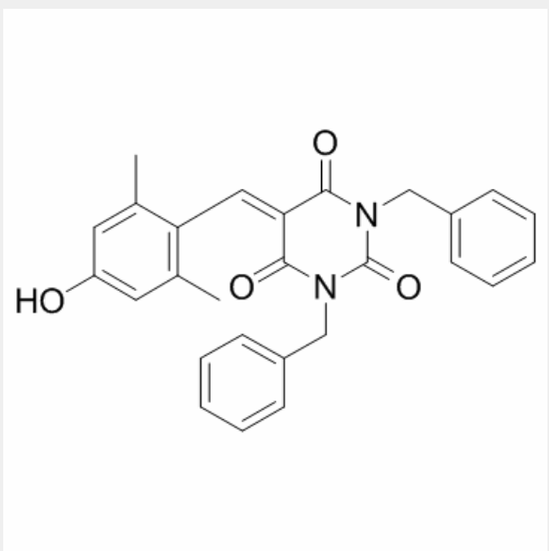
EML 425 (EML425, Compound 7h) is a potent and selective reversible inhibitor of CBP/p300, noncompetitive versus both acetyl-CoA and a histone H3 peptide, and endows with good cell permeability. EML 425 inhibits both p300 and CBP (IC_{50} values of 2.9 and 1.1 μM, respectively) while being practically inactive against the enzymes general control non derepressible-5 (GCN5) and p300/CBP-associated factor (PCAF). EML 425 induces a marked and time-dependent reduction in the acetylation of lysine H4K5 and H3K9 in U937 cells. 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. The best scoring docking poses suggest that the binding site for EML 425 is an alternative pocket lying near the substrate lysine binding groove and close to the acetylation site[1].



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