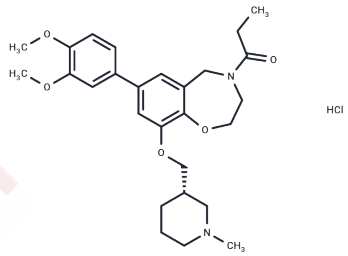
Data Sheet (Cat.No.T4247)

TargetMol

I-CBP112 hydrochloride

Chemical Properties



CAS No. :	2147701-33-3
Formula:	C27H37ClN2O5
Molecular Weight:	505.05
Appearance:	no data available
Storage:	Pure form: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	I-CBP112 is a selective inhibitor of the bromodomain-containing transcription factors. I-CBP112 (1 mM) has little activity against other bromodomains. I-CBP112 targets the CBP/p300 bromodomains. I-CBP112 significantly reduced the leukemia-initiating potential of MLL-AF9(+) acute myeloid leukemia cells in a dose-dependent manner in vitro and in vivo. Interestingly, I-CBP112 increased the cytotoxic activity of BET bromodomain inhibitor JQ1 as well as doxorubicin.
Targets(IC50)	Epigenetic Reader Domain
In vitro	I-CBP112 markedly increases acetylation by p300 at the histone H3K18 and H3K23 sites. I-CBP112 stimulated H3K18ac by ~3-fold, and induced enhances acetylation of these same sites by CBP as well as at H4K5. The EC50s of activation of I-CBP112 on CBP- and p300-mediated H3K18 acetylation are ~2 μM[1]. In mouse and human leukemia cell lines, I-CBP112 causes substantially impaired colony formation and induces cellular differentiation without significant cytotoxicity. In BioMAP primary cell panel, I-CBP112 results in a unique response on cytokine and marker protein expression[2].
In vivo	I-CBP112 markedly and dose-dependently reduces the leukemia-initiating potential of mLL-AF9+ AmL cells in vitro and in vivo. The synergistic effects of I-CBP112 and current standard therapy (doxorubicin), as well as emerging treatment strategies (BET inhibition), provide new possibilities for combinatorial treatment of leukemia and potentially other cancers[2].

Solubility Information

Solubility	DMSO: 60 mg/mL (118.8 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.980 mL	9.900 mL	19.800 mL
5 mM	0.396 mL	1.980 mL	3.960 mL
10 mM	0.198 mL	0.990 mL	1.980 mL
50 mM	0.0396 mL	0.198 mL	0.396 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

Zucconi BE, et al. Modulation of p300/CBP Acetylation of Nucleosomes by Bromodomain LigandI-CBP112. Biochemistry. 2016 Jul 12;55(27):3727-34.
Picaud S, et al. Generation of a Selective Small Molecule Inhibitor of the CBP/p300 Bromodomain for Leukemia Therapy. Cancer Res. 2015 Dec 1;75(23):5106-19.