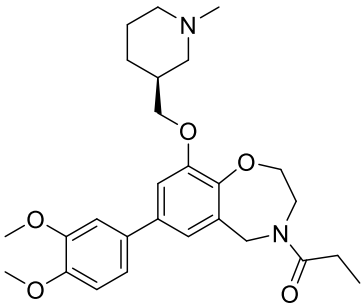
Product data sheet

MedKoo Cat#: 407287 Name: I-CBP112 CAS: 1640282-31-0 Chemical Formula: $C_{27}H_{36}N_2O_5$ Exact Mass: 468.2624 Molecular Weight: 468.594	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
I-CBP112 is a selective inhibitor of the bromodomain-containing transcription factors CREBBP (CBP) and EP300 (IC50 = 0.142 and 0.625 μM, respectively). I-CBP112 has little activity against other bromodomains at concentrations up to 1 mM. 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.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	63.0	134.44
Ethanol	94.0	200.60
Water	94.0	200.60

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.13 mL	10.67 mL	21.34 mL
5 mM	0.43 mL	2.13 mL	4.27 mL
10 mM	0.21 mL	1.07 mL	2.13 mL
50 mM	0.04 mL	0.21 mL	0.43 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Strachowska M, Gronkowska K, Michlewska S, Robaszkiewicz A. CBP/p300 Bromodomain Inhibitor-I-CBP112 Declines Transcription of the Key ABC Transporters and Sensitizes Cancer Cells to Chemotherapy Drugs. Cancers (Basel). 2021 Sep 14;13(18):4614. doi: 10.3390/cancers13184614. PMID: 34572840; PMCID: PMC8467251.
2. Zucconi BE, Makofske JL, Meyers DJ, Hwang Y, Wu M, Kuroda MI, Cole PA. Combination Targeting of the Bromodomain and Acetyltransferase Active Site of p300/CBP. Biochemistry. 2019 Apr 23;58(16):2133-2143. doi: 10.1021/acs.biochem.9b00160. Epub 2019 Apr 11. PMID: 30924641; PMCID: PMC6948846.

In vivo study

TBD

7. Bioactivity
Biological target:

Product data sheet

I-CBP112 is a specific and potent acetyl-lysine competitive protein-protein interaction inhibitor, that inhibits the CBP/p300 bromodomains, enhances acetylation by p300.

In vitro activity

The inhibition of this demethylase in the presence of I-CBP112 prevented the repression of ABCC1 and ABCC10 and, to a considerable extent, cancer cells' sensitization to drugs. In conclusion, the CBP/p300 bromodomain inhibitor I-CBP112 can be considered as a potent anti-multidrug-resistance agent, capable of repressing key ABC transporters responsible for drug efflux in various cancer types.

Reference: Cancers (Basel). 2021 Sep 14;13(18):4614. https://pubmed.ncbi.nlm.nih.gov/34572840/

In vivo activity

TBD

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.