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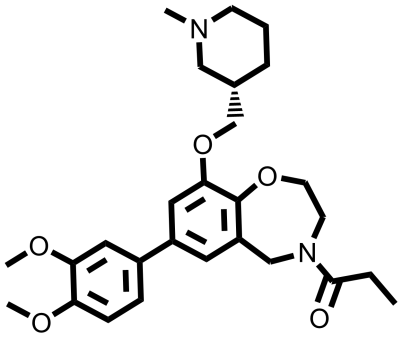
I-CBP112 --- p300/CBP Bromodomain Inhibitor

Chemical Name: (S)-1-(7-(3,4-dimethoxyphenyl)-9-((1-methylpiperidin-3-yl)methoxy)-2,3-dihydrobenzo[f][1,4]oxazepin-4(5H)-yl)propan-1-one



Molecular Weight:	468.59
Formula:	$C_{27}H_{36}N_2O_5$
Purity:	≥98%
CAS#:	1640282-31-0
Solubility:	DMSO up to 50 mM
Storage	Powder: 4 ºC 1 year DMSO: 4 ºC 3 months -20 ºC 1 year

Biological Activity:

I-CBP112 is a highly potent and selective p300/CBP bromodomain inhibitor (IC_{50} ~0.14-0.17 μM for CBP and ~0.625 μM for p300). It binds CBP and p300 bromodomains directly, and has excellent selectivity against the entire bromodomain family in a BLI assay. It accelerated FRAP recovery at 1 μM and no significant cytotoxicity up to 50 μM in U2OS cells. p300 and CBP are transcriptional co-activators that modulate DNA replication, DNA repair, cell growth, transformation, and development. Both p300 and CBP contain bromodomains, which mediate their binding to acetylated lysine residues on histones and other proteins. Chromosomal translocations of p300 or CBP with MOZ, MLL have been observed in acute myeloid leukemia. CBP has also been associated with Amyotrophic lateral sclerosis (ALS), a neurodegenerative disease with progressive degeneration of motor neurons in the brain and spinal cord, Alzheimer's disease and polyglutamine diseases such as Spinal and Bulbar Muscular Atrophy and Huntington's disease.

How to Use:

In vitro: I-CBP112 was used at 1-10 μM final concentration in various in vitro assays.

In vivo: n/a

Reference:

1. Zucconi BE, et al. Modulation of p300/CBP Acetylation of Nucleosomes by Bromodomain LigandI-CBP112. Biochemistry. 2016 Jul 12;55(27):3727-34.
2. 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.