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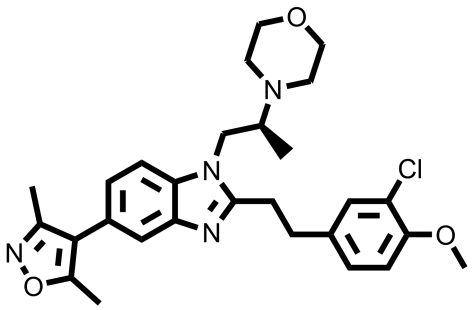
p300/CBP Bromodomain Inhibitor – SGC-CBP30

Chemical Name: (S)-4-(1-(2-(3-chloro-4-methoxyphenethyl)-5-(3,5-dimethylisoxazol-4-yl)-1H-benzo[d]imidazol-1-yl)propan-2-yl)morpholine



Molecular Weight:	509.04
Formula:	$C_{28}H_{33}ClN_4O_3$
Purity:	≥98%
CAS#:	1613695-14-9
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

SGC-CBP30 is a highly potent and selective p300/CBP bromodomain inhibitor (IC_{50} ~0.021-0.069 μM for CBP and ~0.038 μM for p300). It has 40-fold selectivity for CBP over BRD4. It accelerated FRAP recovery at 1 μM. 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: SGC-CBP30 was used at 1-10 μM final concentration in various in vitro assays.

In vivo: n/a

Reference:

1. Hammitzsch A, et al. CBP30, a selective CBP/p300 bromodomain inhibitor, suppresses human Th17 responses. Proc Natl Acad Sci U S A. 2015 Aug 25;112(34):10768-73.
2. Tao J, Inhibition of EP300 and DDR1 synergistically alleviates pulmonary fibrosis in vitro and in vivo. Biomed Pharmacother. 2018 Oct;106:1727-1733.
3. Hay DA, et al. Discovery and optimization of small-molecule ligands for the CBP/p300 bromodomains. J Am Chem Soc. 2014 Jul 2;136(26):9308-19.