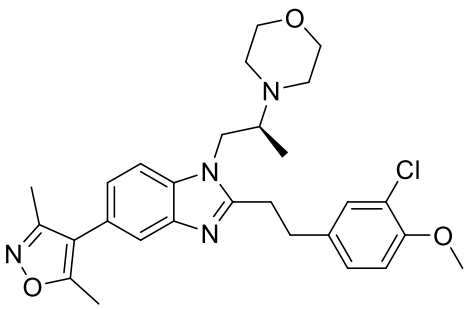
Product data sheet

MedKoo Cat#: 406442 Name: SGC-CBP30 CAS#: 1613695-14-9 Chemical Formula: $C_{28}H_{33}ClN_4O_3$ Exact Mass: 508.22412 Molecular Weight: 509.03962	
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:

SGC-CBP30 is a potent and selective inhibitor of CREBBP (CBP) and EP300; which are general transcriptional co-activators. CREBBP has also been associated with amyotrophic lateral sclerosis (ALS) or Lou Gehrig's disease, a neurodegenerative disease with progressive degeneration of motor neurons in the brain and spinal cord, Alzheimer's disease and poly glutamine repeat diseases such as Spinal and Bulbar Muscular Atrophy and Huntington's disease.

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	47.0	92.33
Ethanol	53.0	104.12

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	1.96 mL	9.82 mL	19.64 mL
5 mM	0.39 mL	1.96 mL	3.93 mL
10 mM	0.20 mL	0.98 mL	1.96 mL
50 mM	0.04 mL	0.20 mL	0.39 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. Zhu YX, Shi CX, Bruins LA, Wang X, Riggs DL, Porter B, Ahmann JM, de Campos CB, Braggio E, Bergsagel PL, Stewart AK. Identification of lenalidomide resistance pathways in myeloma and targeted resensitization using cereblon replacement, inhibition of STAT3 or targeting of IRF4. Blood Cancer J. 2019 Feb 11;9(2):19. doi: 10.1038/s41408-019-0173-0. PMID: 30741931; PMCID: PMC6370766.
2. Sun J, Zhang W, Tan Z, Zheng C, Tang Y, Ke X, Zhang Y, Liu Y, Li P, Hu Q, Wang H, Mao P, Zheng Z. Zika virus promotes CCN1 expression via the CaMKIIα-CREB pathway in astrocytes. Virulence. 2020 Dec;11(1):113-131. doi: 10.1080/21505594.2020.1715189. PMID: 31957543; PMCID: PMC6984649.

In vivo study

1. Bi X, Jiang B, Zhou J, Fan X, Yan X, Liang J, Luo L, Yin Z. CBP Bromodomain Inhibition Rescues Mice From Lethal Sepsis Through Blocking HMGB1-Mediated Inflammatory Responses. Front Immunol. 2021 Feb 2;11:625542. doi: 10.3389/fimmu.2020.625542. PMID: 33603756; PMCID: PMC7884462.

2. Tao J, Zhang M, Wen Z, Wang B, Zhang L, Ou Y, Tang X, Yu X, Jiang Q. Inhibition of EP300 and DDR1 synergistically alleviates pulmonary fibrosis in vitro and in vivo. Biomed Pharmacother. 2018 Oct;106:1727-1733. doi: 10.1016/j.biopha.2018.07.132. Epub 2018 Jul 30. PMID: 30119248.

7. Bioactivity

Biological target:

SGC-CBP30 is a potent and highly selective CBP/p300 bromodomain (Kds of 21 nM and 32 nM for CBP and p300, respectively) inhibitor, displaying 40-fold selectivity over the first bromodomain of BRD4 [BRD4(1)] bound.

In vitro activity

SGC-CBP30 treatment effectively reduced IL6 autocrine secretion in XG1LenRes cells, regardless of the presence of lenalidomide, as demonstrated by decreased IL6 levels in the culture media. Additionally, SGC-CBP30 inhibited STAT3 activation and enhanced sensitivity to lenalidomide in IMiD-sensitive HMCLs. SGC-CBP30's impact on chromatin structure in regulatory regions or its regulation of other genes may contribute to increased sensitivity to IMiD-induced signals.

Reference: Blood Cancer J. 2019 Feb; 9(2): 19. https://pubmed.ncbi.nlm.nih.gov/30741931/

In vivo activity

Delayed administration of SGC-CBP30 at 8 hours effectively attenuated lung, liver, and renal injury in septic mice induced by LPS or CLP. SGC-CBP30 reduced histopathological damage, inflammatory cell infiltration, and improved organ architecture, comparable to the positive control DEX-0.5 h. CBP bromodomain inhibitors like SGC-CBP30 may not only suppress the inflammatory response but also ameliorate organ injury in conditions such as LPS-induced endotoxemia and CLP-induced sepsis.

Reference: Front Immunol. 2020; 11: 625542. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7884462/

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.