TOLL FREE PHONE 1 800 667 0322 • PHONE +1 604 877 0713
INFO@STEMCELL.COM • TECHSUPPORT@STEMCELL.COM
FOR GLOBAL CONTACT DETAILS VISIT WWW.STEMCELL.COM

SGC-CBP30

p300/CREB-binding protein (CBP) inhibitor

Catalog #100-1657 5 mg

Product Description

SGC-CBP30 is an inhibitor of CREB-binding protein (CBP; IC_{50} = 21 - 69 nM) and p300 (IC_{50} = 38 nM) bromodomains (Gallenkamp et al.). CBP and p300 are histone acetyltransferases and can act as transcriptional co-activators to regulate gene expression. The bromodomains of CBP and p300 facilitate acetylation of lysine residues. CBP and p300 mutations have been associated with cancer and inflammatory responses (Raisner et al.; Zhu et al.). SGC-CBP30 impacts gene expression and cellular processes by inhibiting CBP and p300.

Alternative Names: Not applicable

CAS Number: 1613695-14-9

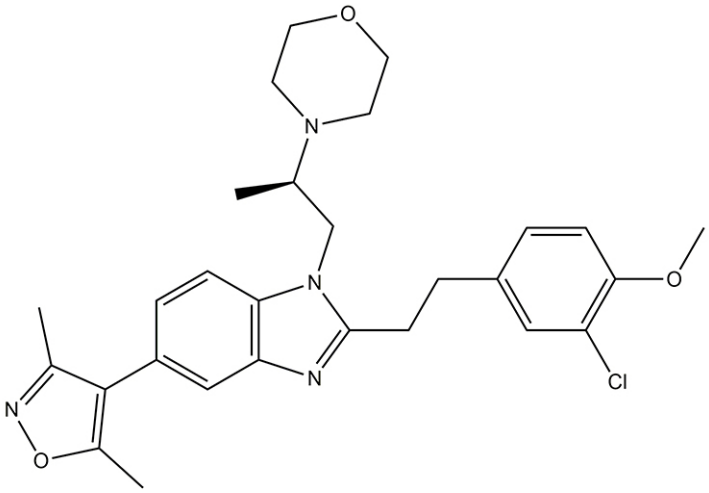
Chemical Formula: $C_{28}H_{33}ClN_4O_3$

Molecular Weight: 509 g/mol

Purity: ≥98%

Chemical Name: 2-[2-(3-chloro-4-methoxyphenyl)ethyl]-5-(3,5-dimethyl-4-isoxazolyl)-1-[(2S)-2-(4-morpholinyl) propyl]-1H-benzimidazole

Structure:



Properties

Product Format: A crystalline solid

Stability and Storage: Product stable at -20°C as supplied. As a precaution, STEMCELL recommends storing all small molecules away from direct light. For long-term storage, store with a desiccant. Stable as supplied for 12 months from date of receipt.

Preparation:

- DMSO ≤ 19 mM
- Absolute ethanol ≤ 9.8 mM

For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 196 µL of DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported; however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO or absolute ethanol concentration above 0.1% due to potential cell toxicity.

Published Applications

IMMUNOLOGY

- Reduces inflammatory cell infiltration in the lungs, liver, colon, and kidney of a septic mouse model (Bi et al.).

CANCER RESEARCH

- Inhibits cell proliferation and induces apoptosis by downregulating uridine phosphorylase 1 expression in human lung adenocarcinoma cells (Wang et al.).
- Reduces cell viability of human pancreatic cancer cells in combination with the bromodomain and extra-terminal domain (BET) inhibitors (Principe et al.).

References

Bi X et al. (2021) CBP bromodomain inhibition rescues mice from lethal sepsis through blocking HMGB1-mediated inflammatory responses. Front Immunol 11: 625542.
Gallenkamp D et al. (2014) Bromodomains and their pharmacological inhibitors. ChemMedChem 9(3): 438–64.
Principe DR et al. (2022) XP-524 is a dual-BET/EP300 inhibitor that represses oncogenic KRAS and potentiates immune checkpoint inhibition in pancreatic cancer. Proc Natl Acad Sci U S A 119(4): e2116764119.
Raisner R et al. (2018) Enhancer activity requires CBP/P300 bromodomain-dependent histone H3K27 acetylation. Cell Rep 24(7): 1722–9.
Wang X et al. (2022) UPP1 promotes lung adenocarcinoma progression through epigenetic regulation of glycolysis. Aging Dis 13(5): 1488–503.
Zhu Y et al. (2023) The role of CREBBP/EP300 and its therapeutic implications in hematological malignancies. Cancers 15(4): 1219.

Related Products

For a complete list of small molecules available from STEMCELL Technologies, visit www.stemcell.com/smallmolecules or contact us at techsupport@stemcell.com.