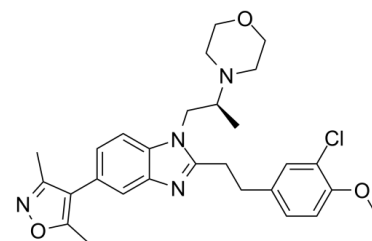


Data Sheet

Product Name:	SGC-CBP30
Cat. No.:	CS-2096
CAS No.:	1613695-14-9
Molecular Formula:	C ₂₈ H ₃₃ CIN ₄ O ₃
Molecular Weight:	509.04
Target:	Epigenetic Reader Domain; Histone Acetyltransferase
Pathway:	Epigenetics
Solubility:	DMSO : ≥ 31 mg/mL (60.90 mM)



BIOLOGICAL ACTIVITY:

SGC-CBP30 is a potent and highly selective **CBP/p300 bromodomain** (K_d s 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. SGC-CBP30 strongly reduces secretion of IL-17A in Th17 cells and has anti-inflammatory effects^{[1][2][3]}. IC₅₀ & Target: CBP/p300 bromodomain^{[1][3]} **In Vitro:** In ankylosing spondylitis and psoriatic arthritis condition, SGC-CBP30 inhibits IL-17A secretion by Th17 cells. Transcriptional profiling of human T cells after SGC-CBP30 treatment shows a much more restricted effect on gene expression than that observed with the pan-BET (bromo and extraterminal domain protein family) bromodomain inhibitor JQ1^[1]. **In Vivo:** SGC-CBP30 treatment slightly alleviates alveolar bronchial fibrosis induced by NSC-125066. SGC-CBP30 plus CQ-061 dramatically reduces alveolar bronchial fibrosis. The ELISA of cytokines IL-4 and IFN- γ in BALF demonstrates that combination of SGC-CBP300 and CQ-061 suppresses the activation of IL-4 as well as IFN- γ in NSC-125066 induced IPF murine models to nearly normal levels^[2].

References:

- [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.

CAIndexNames:

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

SMILES:

C[C@H](N1CCOCC1)CN2C(CCC3=CC=C(OC)C(Cl)=C3)=NC4=CC(C5=C(C)ON=C5C)=CC=C42

Caution: Product has not been fully validated for medical applications. For research use only.

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