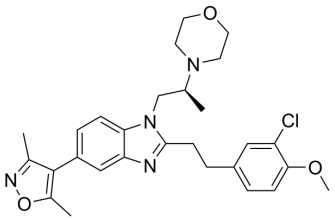
SGC-CBP30

Cat. No.:	HY-15826
CAS No.:	1613695-14-9
Molecular Formula:	$C_{28}H_{33}ClN_4O_3$
Molecular Weight:	509.04
Target:	Epigenetic Reader Domain; Histone Acetyltransferase
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 66.67 mg/mL (130.97 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9645 mL	9.8224 mL	19.6448 mL
	5 mM	0.3929 mL	1.9645 mL	3.9290 mL
	10 mM	0.1964 mL	0.9822 mL	1.9645 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.91 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SGC-CBP30 is a potent and highly selective CBP/p300 bromodomain (K_ds 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].

IC_{50} & Target

CBP/p300

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Sprague-Dawley (SD) rats (aged 3-4 weeks) injected with NSC-125066[2]
Dosage:	25 mg/kg
Administration:	Oral administration; daily; for 14 days
Result:	Slightly alleviated alveolar bronchial fibrosis induced by NSC-125066.

CUSTOMER VALIDATION

- Cell Discov. 2023 Jul 25;9(1):77.
- Immunity. 2024 Feb 13;57(2):364-378.e9.
- Nat Commun. 2021 Sep 20;12(1):5548.
- Blood Cancer J. 2019 Feb 11;9(2):19.
- Acta Pharmacol Sin. 2021 Apr 13.

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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.

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