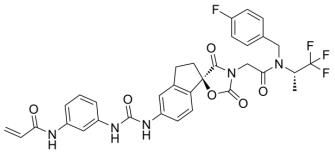
CBP/p300-IN-12

Cat. No.:	HY-132197
CAS No.:	2738688-57-6
Molecular Formula:	$C_{33}H_{29}F_4N_5O_6$
Molecular Weight:	667.61
Target:	Epigenetic Reader Domain; Histone Acetyltransferase
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (374.47 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.4979 mL	7.4894 mL	14.9788 mL
5 mM	0.2996 mL	1.4979 mL	2.9958 mL
10 mM	0.1498 mL	0.7489 mL	1.4979 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (3.12 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

CBP/p300-IN-12 is a potent and selective covalent histone acetyltransferases p300 (IC_{50} of 166 nM) and CBP inhibitor. CBP/p300-IN-12 decreases the levels of H3K27Ac of PC-3 cells (EC_{50} of 37 nM). CBP/p300-IN-12 forms a covalent adduct with C1450[1].

IC_{50} & Target

CBP/p300
166 nM (IC_{50})

In Vitro

CBP/p300-IN-12 (Compound 2) shows antiproliferative effect against androgen receptor (AR)-positive LnCaP-FGC cells (EC_{50} of 87 nM), while displaying significantly less activity in the AR-negative cell line DU-145 (EC_{50} of 1.37 μM).

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

REFERENCES

[1]. Anthony Mastracchio, et al. Discovery of a Potent and Selective Covalent p300/CBP Inhibitor. ACS Med Chem Lett. 2021 Apr 5;12(5):726-731.

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