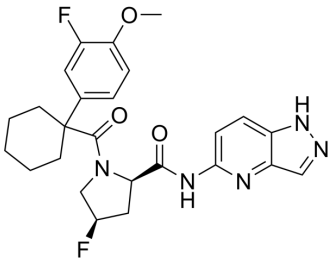
Ep300/CREBBP-IN-8

Cat. No.:	HY-128362
CAS No.:	2259641-24-0
Molecular Formula:	$C_{25}H_{27}F_2N_5O_3$
Molecular Weight:	483.51
Target:	Histone Acetyltransferase
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Ep300/CREBBP-IN-8 (Example 37) is a potent and orally active Ep300 and CREBBP inhibitor with IC_{50}s of 0.014 and 0.018 μM, respectively. Ep300/CREBBP-IN-8 can be used for the research of cancer[1].

IC_{50} & Target

EP300	CREBBP
0.014 μM (IC_{50})	0.018 μM (IC_{50})

In Vitro

Ep300/CREBBP-IN-8 (Example 37) inhibits intracellular H3K27Ac activity with an IC_{50} of 0.016 μM[1].

Ep300/CREBBP-IN-8 (38 nM-10 mM; 3 days) inhibits LK2 and TE-8 cell growth with GI_{50}s of 85.917 and 112.922 μM, respectively[1].

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

Cell Viability Assay[1]

Cell Line:	LK2 and TE-8 cells
Concentration:	38 nM-10 mM
Incubation Time:	3 days
Result:	Inhibited LK2 and TE-8 cell growth with GI_{50}s of 85.917 and 112.922 μM, respectively.

In Vivo

Ep300/CREBBP-IN-8 (Example 37; 6.25 mg/kg/day; oral; twice daily for 11 days) inhibits tumor proliferation in mice[1].

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

Animal Model:	Female BALB/c-nu/nu mice, LK2 cell subcutaneous transplant model[1]
Dosage:	6.25 mg/kg/day
Administration:	Oral administration, twice a day for 11 days
Result:	Inhibited tumor volume by 42%.

REFERENCES

[1]. NAITO Hiroyuki, et al. EP300 / CREBBP inhibitor. Patent JPWO2018235966A1.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA