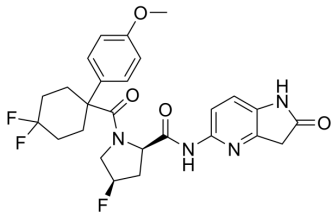
Ep300/CREBBP-IN-2

Cat. No.:	HY-128363
CAS No.:	2259641-59-1
Molecular Formula:	$C_{26}H_{27}F_3N_4O_4$
Molecular Weight:	516.51
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-2 (Example 73) is a potent and orally active Ep300 and CREBBP inhibitor with IC_{50}s of 0.052 and 0.148 μM, respectively. Ep300/CREBBP-IN-2 can be used for the research of cancer[1].

IC_{50} & Target

EP300	CREBBP
0.052 μM (IC_{50})	0.148 μM (IC_{50})

In Vitro

Ep300/CREBBP-IN-2 (Example 73) inhibits intracellular H3K27Ac activity with an IC_{50} of 0.070 μM[1].

Ep300/CREBBP-IN-2 (38 nM-10 mM; 3 days) inhibits LK2 and TE-8 cell growth with GI_{50}s of 610.15 and 619.505 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 610.15 and 619.505 μM, respectively.

In Vivo

Ep300/CREBBP-IN-2 (Example 73; 2 mg/kg/day; oral; twice daily for 9 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, TE-8 cell subcutaneous transplant model[1]
Dosage:	2 mg/kg/day
Administration:	Oral administration, twice a day for 9 days
Result:	Inhibited tumor volume by 65%.

REFERENCES

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

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