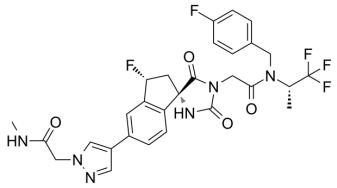
CBP/p300-IN-5

Cat. No.:	HY-100132
CAS No.:	1889284-33-6
Molecular Formula:	$C_{29}H_{27}F_5N_6O_4$
Molecular Weight:	618.55
Target:	Histone Acetyltransferase
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 60 mg/mL (97.00 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
	1 mM	1.6167 mL	8.0834 mL	16.1668 mL
	5 mM	0.3233 mL	1.6167 mL	3.2334 mL
	10 mM	0.1617 mL	0.8083 mL	1.6167 mL

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

BIOLOGICAL ACTIVITY

Description

P300/CBP-IN-5 is a potent p300/CBP histone acetyltransferase inhibitor extracted from patent WO2016044770A1, Example 715, has an IC_{50} of 18.8 nM[1].

IC_{50} & Target

IC50: 18.8 nM (p300/CBP)[1]

In Vitro

P300/CBP-IN-5 inhibits p300 LnCap-FGC cellls proliferation with an IC_{50} of 14.8 nM. P300/CBP-IN-5 inhibits H3K27Ac with an IC_{50} value of 4.6 nM in PC-3 cells[1].

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

In Vivo

The effect of P300/CBP-IN-5 (Example 715) on tumor growth is evaluated in subcutaneous, SuDHL-8 (B-cell lymphoma) and 22RV1 (prostate) xenograft tumors implanted in SCID female mice. Human cancer cells are inoculated subcutaneously into the right hind flank of female SCID mice on study day 0. Administration of P300/CBP-IN-5 (7.5 mg/kg/day) is initiated at the time of size match. P300/CBP-IN-5 induces significant tumor growth inhibition in multiple xenograft tumor models (the tumor growth inhibition of 62% in SuDHL-8 xenograft tumor model; 48% in 22RV1 xenograft tumor model)[1].

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

REFERENCES

[1]. Michael Michaelides, et al. Spirocyclic hat inhibitors and methods for their use. WO2016044770A1.

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