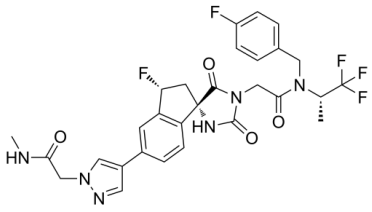
Data Sheet

Product Name:	CBP/p300-IN-5
Cat. No.:	CS-0018121
CAS No.:	1889284-33-6
Molecular Formula:	$C_{29}H_{27}F_5N_6O_4$
Molecular Weight:	618.55
Target:	Histone Acetyltransferase
Pathway:	Epigenetics
Solubility:	10 mM in DMSO



BIOLOGICAL ACTIVITY:

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]. IC50 & 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]. ***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].

References:

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

CAIndexNames:

Spiro[imidazolidine-4,1'-[1H]indene]-1-acetamide, 3'-fluoro-N-[(4-fluorophenyl)methyl]-2',3'-dihydro-5'-[1-[2-(methylamino)-2-oxoethyl]-1H-pyrazol-4-yl]-2,5-dioxo-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-, (1'S,3'R)-

SMILES:

O=C(CN(C1=O)C(N[C@@]21C[C@H](C3=C2C=CC(C4=CN(N=C4)CC(NC)=O)=C3)F)=O)N([C@H](C(F)(F)F)C)CC5=CC=C(C=C5)F

Caution: Product has not been fully validated for medical applications. For research use only.

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