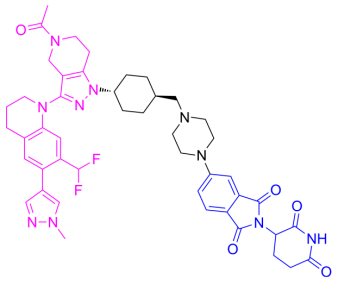
CBPD-409

Cat. No.:	HY-158113
Molecular Formula:	$C_{46}H_{52}F_2N_{10}O_5$
Molecular Weight:	862.97
Target:	Histone Acetyltransferase; PROTACs
Pathway:	Epigenetics; PROTAC
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

CBPD-409 is an orally active PROTAC degrader for CBP/p300, with DC_{50} of 0.2–0.4 nM. CBPD-409 exhibits antiproliferative effects in AR+ prostate cancer cell lines VCaP, LNCaP and 22Rv1, with IC_{50}s of 1.2–2.0 nM. CBPD-409 exhibits antitumor efficacy (Red: CBP inhibitor GNE049 (HY-108435); Blue: CRBN/cullin 4A Thalidomide (HY-14658); Black: Linker)[1].

In Vitro

CBPD-409 (0.01-10 nM) suppresses the AR signaling and c-Myc expression in prostate cancer cells VCaP, LNCaP and 22Rv1[1].

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

RT-PCR[1]

Cell Line:	VCaP, LNCaP and 22Rv1
Concentration:	0.01-10 nM
Incubation Time:	6 h
Result:	Reduced mRNA levels of KLK3, AR and c-Myc.

In Vivo

CBPD-409 (1 mg/kg, iv; 3 mg/kg, po) exhibits pharmacokinetic profiles in ICR mice, with a low clearance CL of 1.7 mL/min/kg, a half-life with $T_{1/2}$ of 2.8 h (i.v.) and 2.6 h (p.o.), an oral exposure C_{max} of 2494 ng/mL and an oral bioavailability with F=50%[1].

CBPD-409 (0.3-1 mg/kg, po, once daily for 5 weeks) exhibits antitumor efficacy against VCaP prostate cancer with tumor growth inhibition TGI of 73-87%, without significant toxicity in VCaP xenograft mice model[1].

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Animal Model:	VCaP xenograft CB17 SCID mice model[1]
Dosage:	0.3-1 mg/kg
Administration:	p.o., once a day for 5 weeks
Result:	Inhibited tumor growth with TGI of 73% (0.3 mg/kg) and 87% (1 mg/kg), without significant body weight loss.

REFERENCES

[1]. Chen Z, et al., Discovery of CBPD-409 as a Highly Potent, Selective, and Orally Efficacious CBP/p300 PROTAC Degrader for the Treatment of Advanced Prostate Cancer. J Med Chem. 2024 Mar 26.

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