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Zuschläge

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- Trockeneiszuschlag
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- Expressversand

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CBPD-268

Cat. No.: HY-161369

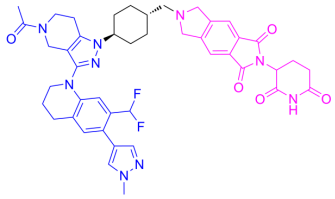
Molecular Formula: $C_{44}H_{47}F_2N_9O_5$

Molecular Weight: 819.9

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-268 is a potent and orally active CBP/p300 PROTAC degrader with an DC_{50} value of ≤ 0.03 nM. CBPD-268 induces CBP/p300 degradation and inhibits cell growth. CBPD-268 shows antitumor activity. CBPD-268 has the potential for the research of AR-positive prostate cancer (Srtucture Note: Red, Androgen receptor degrader (HY-W248665A); Blue, CBP/p300 ligand (HY-161483); Black, Linker)[1].

In Vitro

CBPD-268 (4, 24 h) shows high degradation efficiency for CBP and p300 protein with DC_{50}s of 0.01, 0.03 nM at 4 h in 22Rv1 cells[1].

CBPD-268 shows degradation by binding to both CBP/p300 and CRBN protein[1].

CBPD-268 (0-1000 nM; 4 days) inhibits cell growth with IC_{50}s of 3.7, 10.3, 4.6 nM for 22Rv1, LNCaP, VCaP cells, respectively[1].

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

Cell Viability Assay[1]

Cell Line:	22Rv1, LNCaP, VCaP cells
Concentration:	0-1000 nM
Incubation Time:	4 days
Result:	Inhibited cell growth with IC_{50}s OF 3.7, 10.3, 4.6 Nm for 22Rv1, LNCaP, VCaP cells, respectively.

In Vivo

CBPD-268 (0.3, 1, 3, 10, 30 mg/kg; p.o.; once) induces depletion of both CBP and p300 proteins in tumor tissues with a single oral administration at 0.3-3 mg/kg[1].

CBPD-268 (1, 3 mg/kg; p.o.; twice a week for 1 mg/kg or 3 mg/kg weekly for 4-weeks) shows antitumor activity[1].

Pharmacokinetic Parameters[1].

Species	IV (mg/kg)	$T_{1/2}$ (h)	$V_{1/2}$(L/kg)	CL (mL/min/kg)	PO(mg/kg)	$T_{1/2}$ (h)	C_{max} (ng/ml)	AUC(h*ng/mL)	F(%)
Rats	1	1.9	4.9	34.6	3	1.3	220.6	936.9	67

Mice	1	3.4	1.6	6.0	3	3.1	724.7	4190.4	60

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

Animal Model:	male CB17 SCID mice (VCaP xenograft tumor)[1]
Dosage:	0.3, 1, 3, 10, 30 mg/kg
Administration:	P.o.; once
Result:	Induced depletion of both CBP and p300 proteins in the VCaP tumor tissue in a dose-dependent manner.

Animal Model:	male CB17 SCID mice (VCaP xenograft tumor model)[1]
Dosage:	1, 3 mg/kg
Administration:	P.o.; twice a week for 1 mg/kg or 3 mg/kg weekly for 4-weeks
Result:	Inhibited tumor growth and shows little effect on animal body weight.

Animal Model:	female BALB/c mice[1]
Dosage:	3, 10, 30 mg/kg
Administration:	P.o.; twice weekly for 5-6 weeks
Result:	Induced no weight loss or other signs of toxicity at both 3 and 10 mg/kg dose-levels in both male and female mice.

Animal Model:	Female Sprague–Dawley (SD) rats[1]
Dosage:	1-10 mg/kg
Administration:	P.o.; twice a week for 5 weeks
Result:	Did not cause animal body weight loss during the entire experiment and did not induce any signs of toxicity during the entire experiment.

REFERENCES

[1]. Chen Z, et al. Discovery of CBPD-268 as an Exceptionally Potent and Orally Efficacious CBP/p300 PROTAC Degrader Capable of Achieving Tumor Regression. J Med Chem. 2024 Apr 11;67(7):5275-5304.

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