Product Data Sheet

Product Name: PROTAC CDK9 degrader-4
Cat. No.: GF04054

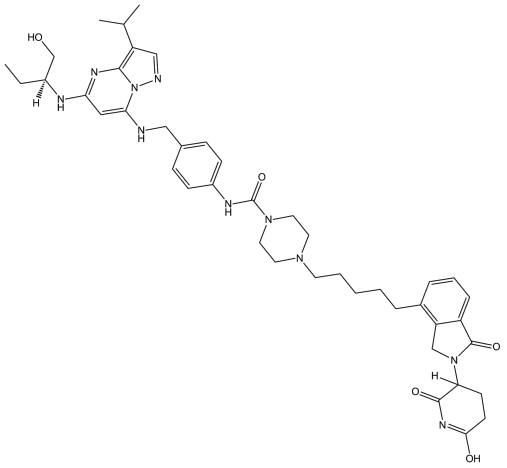
Chemical Properties

Cas. No.	2411021-01-5		
Formula	$C_{43}H_{56}N_{10}O_5$	M.Wt	792.97
Solubility		Storage	2-8°C

General tips: For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.

Shipping Condition: Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Animal experiment [1]:

Animal models: MDA-MB-231 cell-derived xenograft (CDX) mice

Preparation Method: MDA-MB-231 CDX mice are divided into three groups, PBS (control) and PROTAC CDK9 degrader-4 (2.5mg/kg and 5mg/kg). The compound was administered daily via intraperitoneal injection for 7 days.

Caution: Product has not been fully validated for medical applications. For research use only.
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Dosage form	2.5 and 5mg/kg/d; i.p.; 7d
Applications	PROTAC CDK9 degrader-4 at both dosages inhibited the tumor growth during this period with slight body weight loss (12.8% at the dose of 2.5mg/kg and 13.1% at the dose of 5mg/kg) on day 7 compared with the vehicle-treated group. The average tumor volume at the endpoint exhibited a ~50% decrease in both PROTAC CDK9 degrader-4-treated groups. The treatment of PROTAC CDK9 degrader-4 at both dosages could markedly reduce the CDK9 (low dosage, 62.3%; high dosage 90.8%) as well as MYC (low dosage, 41.0%; high dosage, 76.7%) and Ki-67 levels (low dosage, 32.8%; high dosage, 69.7%)

References:

[1] Wei D, Wang H, Zeng Q, et al. Discovery of Potent and Selective CDK9 Degraders for Targeting Transcription Regulation in Triple-Negative Breast Cancer. J Med Chem. 2021;64(19):14822-14847.

Background

PROTAC CDK9 degrader-4 is a PROTAC protein degrader that targets CDK9 (cyclin-dependent kinase 9). PROTAC CDK9 degrader-4 contains two functional moieties: one end specifically recognizes and binds to CDK9, while the other end recruits an E3 ubiquitin ligase, thereby inducing ubiquitination and subsequent proteasomal degradation of CDK9. CDK9 is overexpressed in multiple cancers. Inhibition of CDK9 can suppress c-Myc and Mcl-1 and reactivate epigenetic silenced genes, which is a promising therapeutic target for transcription-driven malignant tumors[1].

In vitro, PROTAC CDK9 degrader-4 inhibited the growth of MDA-MB-468, MDA-MB-231, and BT-549 cells with IC_{50} values of 15.0, 13.6, and 3.9nM, respectively[1].

In vivo, intratumoral administration of PROTAC CDK9 degrader-4 (0.15mM, 10µL) reduced CDK9 protein levels by 54% in MDA-MB-231 mice xenograft tumors at 16h post-injection relative to vehicle controls, while CDK7 protein levels remained unchanged[1]. PROTAC CDK9 degrader-4 (2.5 and 5mg/kg/d; i.p.; 7 days) inhibited tumor growth with slight body weight loss, reduced the average tumor volume by ~50%, and markedly decreased the levels of CDK9, MYC, and Ki-67 in MDA-MB-231 mouse xenografts[1].

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References:

[1] Wei D, Wang H, Zeng Q, et al. Discovery of Potent and Selective CDK9 Degraders for Targeting Transcription Regulation in Triple-Negative Breast Cancer. J Med Chem. 2021;64(19):14822-14847.

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