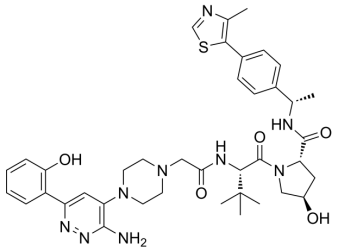
AU-15330

Cat. No.:	HY-145388
CAS No.:	2380274-50-8
Molecular Formula:	$C_{39}H_{49}N_9O_5S$
Molecular Weight:	755.93
Target:	PROTACs; Epigenetic Reader Domain
Pathway:	PROTAC; Epigenetics
Storage:	4°C, stored under nitrogen, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 140 mg/mL (185.20 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.3229 mL	6.6144 mL	13.2287 mL
	5 mM	0.2646 mL	1.3229 mL	2.6457 mL
	10 mM	0.1323 mL	0.6614 mL	1.3229 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 3.5 mg/mL (4.63 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3.5 mg/mL (4.63 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3.5 mg/mL (4.63 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AU-15330 is a proteolysis-targeting chimera (PROTAC) degrader of the SWI/SNF ATPase subunits, SMARCA2 and SMARCA4. AU-15330 induces potent inhibition of tumour growth in xenograft models of prostate cancer and synergizes with the AR antagonist enzalutamide. AU-15330 induces disease remission in castration-resistant prostate cancer (CRPC) models without toxicity[1].

IC_{50} & Target

SMARCA2 and SMARCA4[1]

In Vivo

AU-15330 (10 and 30 mg/kg; i.v.; 5 days per week for 3 weeks) shows no evident toxicity in immuno-competent mice[1].

AU-15330 (60 mg/kg with or without 10 mg/kg enzalutamide; i.v.; 3 days per week; p.o.; 5 days per week for 5 weeks) leads to potent inhibition of tumour growth, triggering disease regression in more than 20% of animals. Combinatorial regimen induced the most potent anti-tumour effect, with regression in all animals[1].
AU-15330 (60 mg/kg with or without 10 mg/kg enzalutamide; i.v.; 3 days per week; p.o.; 5 days per week for 5 weeks) strongly inhibits the growth of C4-2B cell line-derived CRPC xenografts in intact mice as a single agent and synergized with enzalutamide[1].
AU-15330 (60 mg/kg with or without 10 mg/kg enzalutamide; i.v.; 3 days per week; p.o.; 5 days per week for 5 weeks) combines with enzalutamide induces significant tumour growth inhibition, causing regression in more than 30% of animals in the modle of CRPC variant of the MDA-PCa-146-12 PDX by tumour implantation into castrated mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Six-week-old male CB17 severe combined immunodeficiency (SCID) mice[1]
Dosage:	10 and 30 mg/kg
Administration:	i.v. (5 days per week for 3 weeks)
Result:	Showed no evident toxicity in immuno-competent mice.

Animal Model:	VCaP castration-resistant tumour model (six-week-old male CB17 severe combined immunodeficiency (SCID) mice)[1]
Dosage:	60 mg/kg with or without 10 mg/kg enzalutamide
Administration:	i.v. (3 days per week); p.o. (5 days per week for 5 weeks)
Result:	Resulted inhibition of tumor growth and triggered disease regression in more than 20% of animals. Combinatorial regimen induced the most potent anti-tumour effect, with regression in all animals.

Animal Model:	C4-2B non-castrated tumour model (six-week-old male CB17 severe combined immunodeficiency (SCID) mice)[1]
Dosage:	60 mg/kg with or without 30 mg/kg enzalutamide
Administration:	i.v. (3 days per week); p.o. (5 days per week for 4 weeks)
Result:	Strongly inhibited the growth of C4-2B cell line-derived CRPC xenografts in intact mice as a single agent and synergized with enzalutamide.

CUSTOMER VALIDATION

- bioRxiv. 2023 Mar 7.

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REFERENCES

[1]. Xiao L, et al. Targeting SWI/SNF ATPases in enhancer-addicted prostate cancer. Nature. 2022;601(7893):434-439.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA