Proteins





AU-15330

Cat. No.: HY-145388 CAS No.: 2380274-50-8 Molecular Formula: $C_{39}H_{49}N_9O_5S$ Molecular Weight: 755.93

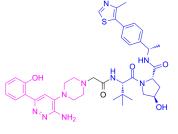
Target: PROTACs; Epigenetic Reader Domain

Pathway: PROTAC; Epigenetics

Storage: 4°C, stored under nitrogen, away from moisture

* In solvent: -80°C, 2 years; -20°C, 1 year (stored under nitrogen, away from

moisture)



Product Data Sheet

SOLVENT & SOLUBILITY

Vitro

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

	Solvent Mass Concentration	1 mg	5 mg	10 mg
Preparing Stock Solutions	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	${\rm AU-15330~(10~and~30~mg/kg;~i.v.;5~days~per~week~for~3~weeks)~shows~no~evident~toxicity~in~immuno-competent~mice}^{[1]}.$

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

- Cell. 2023 Nov 22;186(24):5290-5307.e26.
- bioRxiv. 2023 Mar 7.

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REFERENCES

1]. Xiao L, et al. Targeting SWI/S	SNF ATPases in enhancer-ad	dicted prostate cancer. Nature. 20)22;601(7893):434-439.	
	Caution: Product has no	ot been fully validated for med	lical applications. For research use only.	
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