ARD-2128

Cat. No.: HY-132292

CAS No.: 2222111-87-5

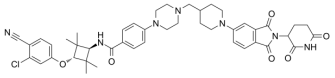
Molecular Formula: $C_{45}H_{50}ClN_7O_6$

Molecular Weight: 820.37

Target: PROTACs; Androgen Receptor

Pathway: PROTAC; Others

Storage: -20°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (121.90 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.2190 mL	6.0948 mL	12.1896 mL
	5 mM	0.2438 mL	1.2190 mL	2.4379 mL
	10 mM	0.1219 mL	0.6095 mL	1.2190 mL

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

BIOLOGICAL ACTIVITY

Description

ARD-2128 is a highly potent, orally bioavailable PROTAC androgen receptor (AR) degrader. ARD-2128 effectively reduces AR protein, suppresses AR-regulated genes in tumor tissues, and inhibits growth of tumor without signs of toxicity. ARD-2128 has the potential for the research of the prostate cancer[1].

IC_{50} & Target

IC50: 4 nM (VCaP), 5 μM (LNCaP)[1]

In Vitro

ARD-2128 is highly potent and effective in the inhibition of cell growth in the VCaP cell line and LNCaP cell line with the IC_{50} values of 4 nM and 5 nM, respectively[1].

ARD-2128 (1, 10, 100, and 1000 nM; 24 hours) effectively reduces the AR protein level by >50% at 1 nM and achieves the AR degradation of >90% at 10, 100, and 1000 nM, respectively, in VCaP cell[1].

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

Cell Viability Assay[1]

Cell Line:	VCaP cell
Concentration:	1, 10, 100, and 1000 nM

Incubation Time:	24 hours
Result:	Reduces the AR protein level and achieves the AR degradation.

In Vivo

ARD-2128 (20 mg/kg; p.o.; once) is effective in reducing the level of AR protein in mice after 24 hours[1].
ARD-2128 (10-40 mg/kg; p.o.; daily for 21 days) shows antitumor activity in the VCaP xenograft model in mice[1].
ARD-2128 (5mg/kg; p.o.) treatment shows the C_{max}, AUC_{0-t} and $t_{1/2}$ values of 1304 ng/mL, 22361 ng h/mL and 18.8 hours, respectively[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	SCID mice[1]
Dosage:	20 mg/kg
Administration:	Oral
Result:	Reducing the level of AR protein in mice after 24 hours.

Animal Model:	SCID mice[1]
Dosage:	10, 20, and 40 mg/kg
Administration:	P.o.; daily for 21 days
Result:	Inhibits tumor growth by 46, 69, and 63%, respectively.

Animal Model:	Male ICR Mice[1]
Dosage:	5 mg/kg
Administration:	P.o. (Pharmacokinetic Analysis)
Result:	The C_{max}, AUC_{0-t} and $t_{1/2}$ were 1304 ng/mL, 22361 ng h/mL and 18.8 hours, respectively.

REFERENCES

[1]. Han X, et al. Strategies toward Discovery of Potent and Orally Bioavailable Proteolysis Targeting Chimera Degraders of Androgen Receptor for the Treatment of Prostate Cancer [published online ahead of print, 2021 Aug 25]. J Med Chem. 2021;10.1021/acs.jmedchem.1c00882.

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