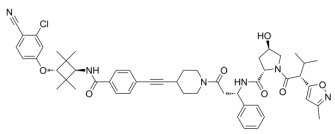
ARD-266

Cat. No.:	HY-133020
CAS No.:	2666951-70-6
Molecular Formula:	$C_{52}H_{59}ClN_6O_7$
Molecular Weight:	915.51
Target:	PROTACs; Androgen Receptor
Pathway:	PROTAC; Vitamin D Related/Nuclear Receptor
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (109.23 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.0923 mL	5.4614 mL	10.9229 mL
	5 mM	0.2185 mL	1.0923 mL	2.1846 mL
	10 mM	0.1092 mL	0.5461 mL	1.0923 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: 5 mg/mL (5.46 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 5 mg/mL (5.46 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (5.46 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ARD-266 is a highly potent and von Hippel-Lindau E3 ligase-based Androgen Receptor (AR) PROTAC degrader. ARD-266 effectively induces degradation of AR protein in AR-positive LNCaP, VCaP, and 22Rv1 prostate cancer cell lines with DC_{50} values of 0.2-1 nM[1]. ARD-266 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

VHL

In Vitro

ARD-266 (Compound 11; 100 nM; 1-24 hours; LNCaP and VCaP cells) treatment effectively reduces the AR protein level within 3 h and achieves near-complete AR elimination with a 6 h treatment in the LNCaP cells[1].
ARD-266 (Compound 11; 1-10000 nM; 24 hours; LNCaP cells) treatment effectively suppresses the expression of PSA, TMPRSS2, and FKBP5 genes in a dosedependent manner and is capable of reducing the mRNA levels of PSA, TMPRSS2, and FKBP5 genes by >50% at 10 nM in the LNCaP cell line[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	LNCaP and VCaP cells
Concentration:	100 nM
Incubation Time:	1 hour, 3 hours, 6 hours, 12 hours, 24 hours
Result:	Effectively reduced the AR protein level within 3 h and achieved near-complete AR elimination with a 6 h treatment in the LNCaP cells.

RT-PCR[1]

Cell Line:	LNCaP cells
Concentration:	1 nM, 10 nM, 100 nM, 1000 nM, 10000 nM
Incubation Time:	24 hours
Result:	Effectively suppressed the expression of PSA, TMPRSS2, and FKBP5 genes in a dose-dependent manner and was capable of reducing the mRNA levels of PSA, TMPRSS2, and FKBP5 genes by >50% at 10 nM in the LNCaP cell line.

REFERENCES

[1]. Han X, et al. Discovery of Highly Potent and Efficient PROTAC Degraders of Androgen Receptor (AR) by Employing Weak Binding Affinity VHL E3 Ligase Ligands. J Med Chem. 2019 Dec 26;62(24):11218-11231.

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