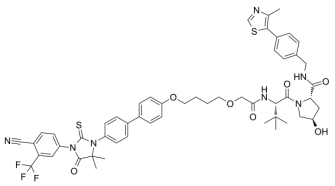
ARCC-4

Cat. No.:	HY-130492
CAS No.:	1973403-00-7
Molecular Formula:	$C_{53}H_{56}F_3N_7O_7S_2$
Molecular Weight:	1024.18
Target:	Androgen Receptor; PROTACs
Pathway:	Vitamin D Related/Nuclear Receptor; PROTAC
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (195.28 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.9764 mL	4.8820 mL	9.7639 mL
	5 mM	0.1953 mL	0.9764 mL	1.9528 mL
	10 mM	0.0976 mL	0.4882 mL	0.9764 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 (4.88 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 (4.88 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (4.88 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ARCC-4 is a low-nanomolar Androgen Receptor (AR) degrader based on PROTAC, with a DC_{50} of 5 nM. ARCC-4 is an enzalutamide-based von Hippel-Lindau (VHL)-recruiting AR PROTAC and outperforms enzalutamide. ARCC-4 effectively degrades clinically relevant AR mutants associated with antiandrogen therapy[1].

IC_{50} & Target

VHL

In Vitro

ARCC-4 induces apoptosis and inhibiting proliferation of AR-amplified prostate cancer cells[1].

ARCC-4 enhances protein-protein interactions between AR and VHL, thereby promoting the association of the trimeric complex[1].
ARCC-4 (0.1-10,000 nM; 20 hours) potently degrades AR with a D_{50} of 5 nM and D_{max} of over 95%[1].
ARCC-4 (100 nM; 12 hours) shows near complete AR degradation (>98%) in prostate cancer cells[1].
ARCC-4 selectively degrades AR via the proteasome but not PR-A or PR-B suppression[1].
ARCC-4 shows efficacy against clinically relevant AR mutations[1].
ARCC-4 maintains activity despite elevated androgen levels[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	VCaP cells
Concentration:	0.1 nM, 1 nM, 10 nM, 50 nM, 100 nM, 0.5μM, 1μM, 10 μM
Incubation Time:	20 hours
Result:	Potently degrades AR

REFERENCES

[1]. Salami J, et al. Androgen receptor degradation by the proteolysis-targeting chimera ARCC-4 outperforms enzalutamide in cellular models of prostate cancer drug resistance. Commun Biol. 2018 Aug 2;1:100.

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