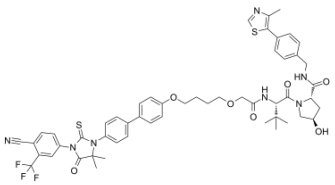
ARCC-4

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:	PROTAC; Androgen Receptor
Pathway:	PROTAC; Others
Storage:	Please store the product under the recommended conditions in the COA.



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].

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.

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