## Vepdegestrant

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®

Cat. No.:	HY-138642	0
CAS No.:	2229711-68-4	
Molecular Formula:	$C_{45}H_{49}N_5O_4$	
Molecular Weight:	724	$\square$
Target:	Estrogen Receptor/ERR; PROTACs	N .
Pathway:	Vitamin D Related/Nuclear Receptor; PROTAC	
Storage:	-20°C, sealed storage, away from moisture and light * In solvent : -80°C, 2 years; -20°C, 1 year (sealed storage, away from moisture and light)	но

## SOLVENT & SOLUBILITY

In Vitro	DMSO : 110 mg/mL (151.93 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg	
		1 mM	1.3812 mL	6.9061 mL	13.8122 mL	
		5 mM	0.2762 mL	1.3812 mL	2.7624 mL	
		10 mM	0.1381 mL	0.6906 mL	1.3812 mL	
	Please refer to the solubility information to select the appropriate solvent.					
In Vivo	1. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 5.5 mg/mL (7.60 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2 mg/mL (2.76 mM); Clear solution					
	3. Add each solvent o Solubility: 2 mg/m	one by one: 10% DMSO >> 90% (20 nL (2.76 mM); Suspended solution; N	% SBE-β-CD in saline) eed ultrasonic			

DIOLOGICAL ACTIV				
Description	Vepdegestrant (ARV-471) is an orally active PROTAC estrogen receptor degrader against breast cancer. Vepdegestrant is a hetero-bifunctional molecule that facilitates the interactions between estrogen receptor alpha and an intracellular E3 ligation complex. Vepdegestrant leads to the ubiquitylation and subsequent degradation of estrogen receptors via the proteasome Vepdegestrant robustly degrades ER in ER-positive breast cancer cell lines with a half-maximal degradation concentration DC <sub>50</sub> ) of about 2 nM <sup>[1]</sup> .			
IC <sub>50</sub> & Target	Estrogen receptor <sup>[1]</sup>			

Product Data Sheet

In Vitro	Vepdegestrant (10 and 100 nM, 3 days) increases MHC-I expression in MCF7 cells expressing the Y537S ER mutation (ER-Y537S) <sup>[3]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Vepdegestrant (3-30 mpk, p.o, daily) inhibits tumor growth in estradiol-dependent MCF7 xenografts and reduces tumor ER protein <sup>[2]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

• Cancer Res. 2023 Jul 14;CAN-23-1711.

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## REFERENCES

[1]. Hermida-Prado F, et al. Endocrine Therapy Synergizes with SMAC Mimetics to Potentiate Antigen Presentation and Tumor Regression in Hormone Receptor-Positive Breast Cancer. Cancer Res. 2023 Oct 2;83(19):3284-3304.

[2]. Lin X, et al. Targeting estrogen receptor a for degradation with PROTACs: A promising approach to overcome endocrine resistance. Eur J Med Chem. 2020;206:112689.

[3]. JJ Flanagan, et al. Abstract P5-04-18: ARV-471, an oral estrogen receptor PROTAC degrader for breast cancer.

Caution: Product has not been fully validated for medical applications. For research use only.