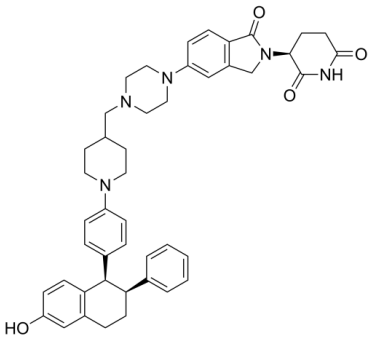
Data Sheet

Product Name:	Vepdegestrant
Cat. No.:	CS-0159885
CAS No.:	2229711-68-4
Molecular Formula:	$C_{45}H_{49}N_5O_4$
Molecular Weight:	723.90
Target:	Estrogen Receptor/ERR; PROTACs
Pathway:	PROTAC; Vitamin D Related/Nuclear Receptor
Solubility:	DMSO : 110 mg/mL (151.95 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Vepdegestrant (ARV-471) is an oral estrogen receptor PROTAC protein degrader for breast cancer. Vepdegestrant is a hetero-bifunctional molecule that facilitates the interactions between estrogen receptor alpha and an intracellular E3 ligase 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_{50}**) of ~2 nM[1]. IC50 & Target:Estrogen receptor[1]

References:

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

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

CAIndexNames:

2,6-Piperidinedione, 3-[1,3-dihydro-1-oxo-5-[4-[[1-[4-[(1R,2S)-1,2,3,4-tetrahydro-6-hydroxy-2-phenyl-1-naphthalenyl]phenyl]-4-piperidinyl]methyl]-1-piperazinyl]-2H-isoindol-2-yl]-, (3S)-

SMILES:

O=C([C@@H](N(CC1=C2C=CC(N3CCN(CC4CCN(C5=CC=C([C@H]6[C@@H](C7=CC=CC=C7)CCC8=C6C=CC(O)=C8)C=C5)CC4)CC3)=C1)C2=O)CC9)NC9=O

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

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