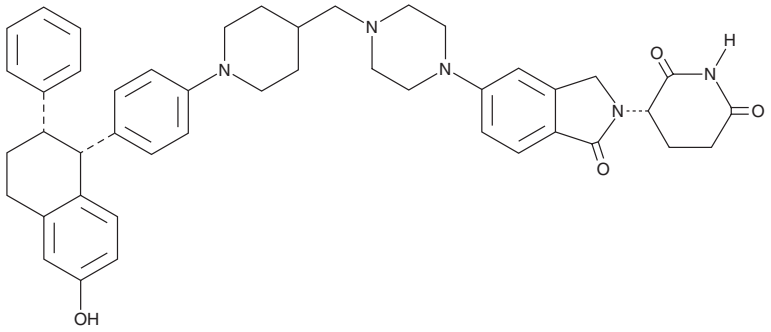
PRODUCT INFORMATION

ARV-471

Item No. 43002

CAS Registry No.:	2229711-68-4
Formal Name:	(3S)-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]-2,6-piperidinedione
Synonym:	Vepdegestrant
MF:	$C_{45}H_{49}N_5O_4$
FW:	723.9
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

ARV-471 is supplied as a solid. ARV-471 is slightly soluble (0.1-1 mg/ml) in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

ARV-471 is a proteolysis-targeting chimera (PROTAC) containing a derivative of the estrogen receptor (ER) modulator lasofoxifene conjugated to the immunomodulatory cereblon ligand lenalidomide (Item No. 14643).[1] It induces degradation of ER in MCF-7 breast cancer cells with a half-maximal degradation concentration (DC_{50}) value of 0.9 nM. ARV-471 also induces degradation of ER in cells expressing a variety of clinically relevant ER mutants. It inhibits the growth of T47D triple-negative breast cancer cells and MCF-7 cells expressing wild-type ER (GI_{50}s = 4.5 and 3.3 nM, respectively) and T47D cells expressing ER^{Y537S} or ER^{D538G} hormone-independent activating mutations (GI_{50}s = 8 and 5.7 nM, respectively). ARV-471 (3, 10, and 30 mg/kg per day) reduces tumor growth in an MCF-7 orthotopic mouse xenograft model. It induces tumor regression in a patient-derived xenograft (PDX) ER^{Y537S}-expressing mouse model of breast cancer when administered at doses of 10 and 30 mg/kg.

Reference

1. Gough, S.M., Flanagan, J.J., Teh, J., *et al.* Oral estrogen receptor PROTAC vepdegestrant (ARV-471) is highly efficacious as monotherapy and in combination with CDK4/6 or PI3K/mTOR pathway inhibitors in preclinical ER+ breast cancer models. *Clin. Cancer Res.* **30(16)**, 3549-3563 (2024).

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