Vepdegestrant

Cat. No.: HY-138642

CAS No.: 2229711-68-4

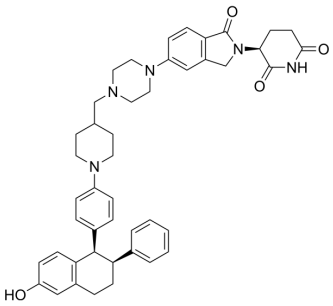
Molecular Formula: $C_{45}H_{49}N_5O_4$

Molecular Weight: 724

Target: Estrogen Receptor/ERR; PROTACs

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)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 110 mg/mL (151.93 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / 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 one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2 mg/mL (2.76 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

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 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 about 2 nM[1].

IC_{50} & Target

Estrogen receptor[1]

In Vitro	Vepdegestrant (10 and 100 nM, 3 days) increases MHC-I expression in MCF7 cells expressing the Y537S ER mutation (ER-Y537S)[3]. 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[2]. 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 α 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.

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