Vepdegestrant

Cat. No.: HY-138642

CAS No.: 2229711-68-4

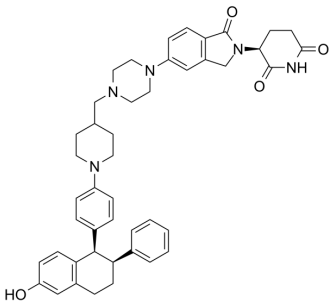
Molecular Formula: $C_{45}H_{49}N_5O_4$

Molecular Weight: 723.9

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, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 110 mg/mL (151.95 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.3814 mL	6.9070 mL	13.8141 mL
	5 mM	0.2763 mL	1.3814 mL	2.7628 mL
	10 mM	0.1381 mL	0.6907 mL	1.3814 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 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].

IC_{50} & Target

Estrogen receptor[1]

CUSTOMER VALIDATION

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

See more customer validations on www.MedChemExpress.com

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.

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