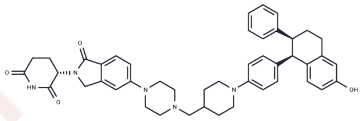
Data Sheet (Cat.No.T39710)

TargetMol

Vepdegestrant

Chemical Properties



CAS No. : 2229711-68-4

Formula: C45H49N5O4

Molecular Weight: 723.9

Storage: Keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Vepdegestrant (ARV-471) is an estrogen receptor (ER) α PROTAC molecule that degrades ER in ER-positive breast cancer cell lines with a DC50 of approximately 1 nM. It can reduce the expression of classically regulated ER target genes and inhibit the growth of ER-dependent cell lines (including those expressing ESR1 variants, such as Y537S and D538G) by degrading ER.
Targets(IC50)	Estrogen Receptor/ERR,PROTACs
In vitro	Vepdegestrant (ARV-471) is an estrogen receptor (ER) α PROTAC molecule that degrades ER in ER-positive breast cancer cell lines with a DC50 of approximately 1 nM.
In vivo	Vepdegestrant (ARV-471) (3, 10, and 30 mpk/day, orally) demonstrated significant antitumor activity in estradiol-dependent MCF7 xenografts, with a greater than 90% reduction in ER protein; even more significant tumor growth inhibition (131% TGI) was observed in the MCF7 xenograft model, with a significant reduction in ER protein levels when combined with the CDK4/6 inhibitor palbociclib.[1]

Solubility Information

Solubility	DMSO: 15.28 mg/mL (21.11 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 3.3 mg/mL (4.56 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.3814 mL	6.907 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
50 mM	0.0276 mL	0.1381 mL	0.2763 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Qi SM, et al. PROTAC: An Effective Targeted Protein Degradation Strategy for Cancer Therapy. Front Pharmacol. 2021 May 7;12:692574.
Choi H I, Choi J, Kim J W, et al.Stability Evaluation and Pharmacokinetic Profiling of Vepdegestrant in Rodents Using Liquid Chromatography–Tandem Mass Spectrometry.Molecules.2024, 29(17): 4048.
Hamilton EP, et al. VERITAC-2: a Phase III study of vepdegestrant, a PROTAC ER degrader, versus fulvestrant in ER+/HER2- advanced breast cancer. Future Oncol. 2024 Jul 29:1-10.
Wang C, et al. Developments of CRBN-based PROTACs as potential therapeutic agents. Eur J Med Chem. 2021 Dec 5;225:113749.