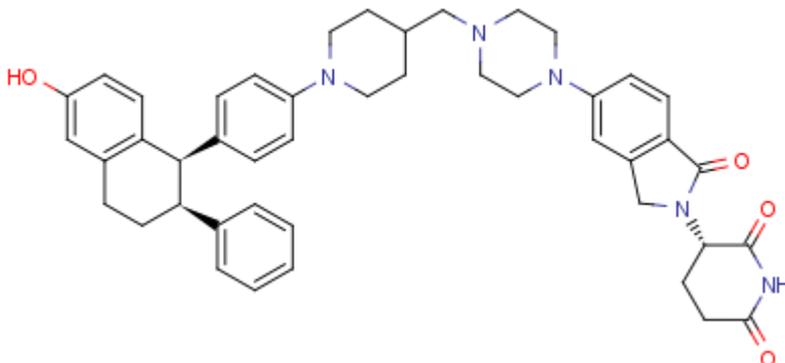


Name: AVR-471 Cat#:EX-A5021

Structure



Chemical Name	(S)-3-(5-(4-((1-(4-((1R,2S)-6-hydroxy-2-phenyl-1,2,3,4-tetrahydronaphthalen-1-yl)phenyl)piperidin-4-yl)methyl)piperazin-1-yl)-1-oxoisindolin-2-yl)piperidine-2,6-dione		
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Molecular Weight	723.90	Storage	2 years -20°C powder
Formula	C45H49N5O4		6 months -80°C in solvent Away from light
CAS No.	2229711-68-4	Synonyms	N/A

Solubility (25°C) *	In vitro	DMSO	110 mg/mL (151.95 mM); Need ultrasonic)
		Ethanol	N/A
		Water	N/A
	In vivo (should be freshly prepared each time)	1. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 5.5 mg/mL (7.60 mM); Clear solution	

* <1 mg/ml means slightly soluble or insoluble.

* Please note that Selleck tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.

Preparing Stock Solutions:

	Mass	1 mg	5 mg	10 mg
	Volume			
Concentration				
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
50 mM	0.0276 mL	0.1381 mL	0.2763 mL

Biological Activities:

Description	<p>ARV-471 is an oral estrogen receptor PROTAC protein degrader for breast cancer. ARV-471 is a hetero-bifunctional molecule that facilitates the interactions between estrogen receptor alpha and an intracellular E3 ligase complex. ARV-471 leads to the ubiquitylation and subsequent degradation of estrogen receptors via the proteasome. ARV-471 robustly degrades ER in ER-positive breast cancer cell lines with a half-maximal degradation concentration (DC50) of ~2 nM.^[1]</p>
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Targets	Estrogen receptor ^[1]
In vitro	
In vivo	
References	<p>[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.</p> <p>[2]. JJ Flanagan, et al. Abstract P5-04-18: ARV-471, an oral estrogen receptor PROTAC degrader for breast cancer.</p>