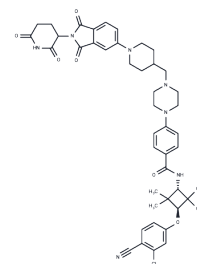


ARD-2128

Chemical Properties

CAS No. :	2222111-87-5
Formula:	C45H50ClN7O6
Molecular Weight:	820.37
Storage:	Keep away from direct sunlight Powder: -20°C for 3 years In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>



Biological Description

Description	ARD-2128 is a highly potent, orally bioavailable PROTAC (proteolysis-targeting chimera) that degrades the androgen receptor (AR), effectively reducing AR protein levels, suppressing AR-regulated gene expression in tumor tissues, and inhibiting tumor growth without evident toxicity. This compound holds promise for prostate cancer research.
Targets(IC50)	Androgen Receptor, PROTACs
In vitro	ARD-2128 exhibits high potency and efficacy in suppressing the growth of both VCaP and LNCaP cell lines, with IC50 values of 4 nM and 5 nM, respectively [1]. Notably, in the VCaP cell line treated with ARD-2128 at concentrations of 1, 10, 100, and 1000 nM for 24 hours, there is a significant decrease in AR protein levels, with reductions of more than 50% observed at 1 nM and over 90% degradation at concentrations of 10, 100, and 1000 nM [1]. This finding is further corroborated by a Cell Viability Assay [1], which demonstrates that ARD-2128 effectively diminishes AR protein levels and facilitates AR degradation in the VCaP cell line under the specified conditions.
In vivo	ARD-2128 administered orally at a dosage of 20 mg/kg effectively lowers AR protein levels in mice within 24 hours, as per reference [1]. When given daily at doses ranging from 10 to 40 mg/kg for 21 days, the compound demonstrates notable antitumor effects in the VCaP xenograft mouse model, inhibiting tumor growth by 46% to 69% [1]. Pharmacokinetic analysis reveals that a 5 mg/kg oral dosage yields a maximum concentration (C max) of 1304 ng/mL, an area under the curve (AUC 0-t) of 22361 ng h/mL, and a half-life (t 1/2) of 18.8 hours [1]. The study, using SCID and Male ICR mice, indicates that ARD-2128, with oral bioavailability of 67%, effectively reduces AR protein levels and suppresses AR-regulated gene activity in tumor tissues. It thus inhibits tumor growth in mice without observable toxicity.

Solubility Information

Solubility	DMSO: 100 mg/mL (121.9 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.219 mL	6.0948 mL	12.1896 mL
5 mM	0.2438 mL	1.219 mL	2.4379 mL
10 mM	0.1219 mL	0.6095 mL	1.219 mL
50 mM	0.0244 mL	0.1219 mL	0.2438 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

Han X, et al. Strategies toward Discovery of Potent and Orally Bioavailable Proteolysis Targeting Chimera Degradors of Androgen Receptor for the Treatment of Prostate Cancer [published online ahead of print, 2021 Aug 25]. J Med Chem. 2021;10.1021/acs.jmedchem.1c00882.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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