

## Product Datasheet

# ARD-2128

Catalog No. **BP-01987** · CAS **2222111-87-5** · Form **free base** · Physical state **solid** · Color **Light yellow to green yellow** · Version **1.0** · Revision **2026-09-10**

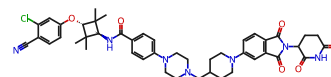
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|                                             |                                |
|---------------------------------------------|--------------------------------|
| Target<br><b>PROTACs; Androgen Receptor</b> | Research area<br><b>Cancer</b> |
|---------------------------------------------|--------------------------------|

Molecular formula **C<sub>45</sub>H<sub>50</sub>ClN<sub>7</sub>O<sub>6</sub>**

Molecular weight **820.3750**

### STRUCTURE



## DESCRIPTION

ARD-2128 is a highly potent, orally bioavailable PROTAC androgen receptor (AR) degrader. ARD-2128 has the potential for the research of the prostate cancer.

## BIOLOGICAL ACTIVITY

### In Vitro

ARD-2128 is highly potent and effective in the inhibition of cell growth in the VCaP cell line and LNCaP cell line with the IC<sub>50</sub> values of 4 nM and 5 nM, respectively.

ARD-2128 (1, 10, 100, and 1000 nM; 24 hours) effectively reduces the AR protein level by >50% at 1 nM and achieves the AR degradation of >90% at 10, 100, and 1000 nM, respectively, in VCaP cell.

### In Vivo

ARD-2128 (20 mg/kg; p.o.; once) is effective in reducing the level of AR protein in mice after 24 hours.

ARD-2128 (10-40 mg/kg; p.o.; daily for 21 days) shows antitumor activity in the VCaP xenograft model in mice.

ARD-2128 (5mg/kg; p.o.) treatment shows the C<sub>max</sub>, AUC<sub>0-t</sub> and t<sub>1/2</sub> values of 1304 ng/mL, 22361 ng h/mL and 18.8 hours, respectively.

## SOLUBILITY

Soluble in DMSO 100 mg/mL

## REFERENCES

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

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