

## **Product Data Sheet**

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|--|---|--------------|------------------|
| Cas No.:   | 2222111-87-5  | Cat. No:     | PL03287          |
| Product Name:  | ARD-2128  |              |                  |
| Product synonym:   | -   |              |                  |
| Chemical name:   | ARD-2128  |              |                  |
| MF:  | C45H50CLN7O6  | FW:          | 820.374809741974 |
| Purity:  | ≥99%  | Batch No.:   | -                |
| Storage:   |   |              |                  |
| Structural formula:                                      | N CO THE STATE OF |              |                  |
| λmax:  | -   | Formulation: | -                |
| Solubility :   |   |              |                  |
| SMILES:  | CIC1=C(C#N)C=CC(=C1)OC1C(C)(C)C(C1(C)C)NC(C1C=CC(=CC=1)N1CCN(CC1)CC1CCN(C2C=CC3C(N(C4C(NC(CC4)=O)=O)C(C=3C=2)=O<br>)=O)CC1)=O   |              |                  |
| InChI Code:  |   | -            |                  |
| InChl Key:   |   |              |                  |
| WARNING This product is not for human or veterinary use. |   |              |                  |

## **Product Description**

ARD-2128 是一种高效的 PROTAC 雄激素受体 (AR) 降解剂。ARD-2128 可有效降低 AR 蛋白并抑制肿瘤组织中 AR 调节的基因,在没有毒性迹象的情况下抑制肿瘤生长。ARD-2128 作用在前列腺癌的研究上。

| 生物活性                | ARD-2128 is a highly potent, orally bioavailable PROTAC androgen receptor (AR) degrader. ARD-2128 effectively reduces AR protein, suppresses AR-regulated genes in tumor tissues, and inhibits growth of tumor without signs of toxicity. ARD-2128 has the potential for the research of the prostate cancer.   |  |
|---------------------|---|--|
| IC50 & Target[1][2] | IC50: 4 nM (VCaP), 5 μM (LNCaP)   |  |
| 体外研究(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 IC50 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. has not independently confirmed the accuracy of the methods. They are for reference only. |  |

| 体内研究(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 max, AUC 0-t and t 1/2 values of 1304 ng/mL, 22361 ng h/mL and 18.8 hours, respectively. has not independently confirmed the accuracy of these methods. They are for reference only. |  |
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| 包装储存          | -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)   |  |
| 溶解度数据         | In Vitro: DMSO: 100 mg/mL (121.90 mM; Need ultrasonic)配制储备液   |  |