
Product Data Sheet

Product Name: ARD-2128

Cat. No.: GC63492

Chemical Properties

Cas. No. 2222111-87-5

Formula $C_{45}H_{50}ClN_7O_6$ M.Wt 820.37

Solubility Storage Store at $-20^{\circ}C$

General tips For obtaining a higher solubility, please warm the tube at $37^{\circ}C$ and shake it in the ultrasonic bath for a while. Stock solution can be stored below $-20^{\circ}C$ for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure

Background

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[1].

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[1]. 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[1].

ARD-2128 (20 mg/kg; p.o.; once) is effective in reducing the level of AR protein in mice after 24 hours[1]. ARD-2128 (10-40 mg/kg; p.o.; daily for 21 days) shows antitumor activity in the VCaP xenograft model in mice[1]. ARD-2128 (5mg/kg; p.o.) treatment shows the Cmax, AUC0-t and t1/2 values of 1304 ng/mL, 22361 ng h/mL and 18.8 hours, respectively[1].

[1]. Han X, et al. Strategies toward Discovery of Potent and Orally Bioavailable Proteolysis Targeting Chimera Degradable of Androgen Receptor for the Treatment of

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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Prostate Cancer [published online ahead of print, 2021 Aug 25]. J Med Chem.
2021;10.1021/acs.jmedchem.1c00882.

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