Product Datasheet

ARV-110

Catalog No. **BP-01988** · CAS **2222112-77-6** · Form **free base** · Physical state **solid** · Color **Light yellow to yellow** · Version **1.0** · Revision **2026-09-10**

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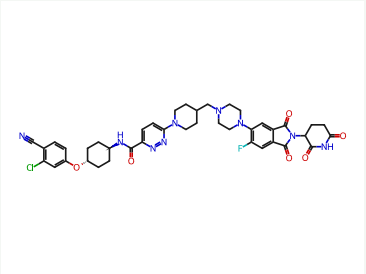
Target	Research area
PROTACs; Androgen Receptor	**Cancer**

Molecular formula	$C_{41}H_{43}ClFN_9O_6$
Molecular weight	**812.2880**

STRUCTURE



DESCRIPTION

ARV-110 (Bavdegalutamide) is an orally bioavailable, specific androgen receptor (AR) PROTAC degrader that leads to ubiquitination and degradation of AR.

BIOLOGICAL ACTIVITY

In Vitro

Bavdegalutamide completely degrades AR in all cell lines tested, with an observed 50% degradation concentration (DC50) < 1 nM.

Bavdegalutamide (0.01 nM-300 nM) leads to AR degradation in LNCaP cells in a dose-dependent manner.

Bavdegalutamide (10 nM; 0.5-24 hours) leads to AR degradation in VCaP cells in a time-dependent manner.

Bavdegalutamide (10-1000 nM) suppresses the expression of the AR-target gene PSA, inhibits AR-dependent cell proliferation, and induces apoptosis at low nanomolar concentrations.

Bavdegalutamide (0.01 nM-100 nM) degrades clinically relevant mutant AR proteins (WT AR, F876L, T877A, M896V and H874V), and retains activity in a high androgen environment (R1881, 100 nM) in VCaP cells.

In Vivo

Bavdegalutamide (oral gavage; 1 mg/kg; QD) exhibits a greater than 90% AR degradation in vivo. In LNCaP, VCaP and prostate cancer patient derived xenograft (PDX) models, Bavdegalutamide also exhibits significant inhibition of tumor growth and AR signaling.

Bavdegalutamide (oral gavage; 3 or 10 mpk; 30 days) demonstrates in vivo efficacy and reduction of AR-target gene expression in a long term, castrate, enzalutamide-resistant VCaP tumor model. The TGI are 70% and 60% for 3 mpk and 10 mpk dosage. Respectively.

SOLUBILITY

Soluble in DMSO 26.67 mg/mL

REFERENCES

[1]. Neklesa T, et al. ARV-110: An oral androgen receptor PROTAC degrader for prostate cancer. Journal of Clinical Oncology. Journal of Clinical Oncology March 1 2019 37(7_suppl):259.

[2]. Zeng S, et al. Proteolysis targeting chimera (PROTAC) in drug discovery paradigm: Recent progress and future challenges. Eur J Med Chem. 2021 Jan 15;210:112981. doi: 10.1016/j.ejmech.2020.112981. Epub 2020 Oct 31. PMID: 33160761.

[3]. Wang Y, et al. Degradation of proteins by PROTACs and other strategies. Acta Pharm Sin B. 2020 Feb;10(2):207-238. doi: 10.1016/j.apsb.2019.08.001. Epub 2019 Aug 13. PMID: 32082969; PMCID: PMC7016280.

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