Bavdegalutamide

Cat. No.: HY-138641

CAS No.: 2222112-77-6

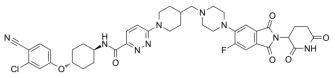
Molecular Formula: $C_{41}H_{43}ClFN_9O_6$

Molecular Weight: 812.29

Target: Androgen Receptor

Pathway: Vitamin D Related/Nuclear Receptor

Storage: -20°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 26.67 mg/mL (32.83 mM; ultrasonic and warming and adjust pH to 3 with HCl and heat to 80°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.2311 mL	6.1554 mL	12.3109 mL
	5 mM	0.2462 mL	1.2311 mL	2.4622 mL
	10 mM	0.1231 mL	0.6155 mL	1.2311 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 0.79 mg/mL (0.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bavdegalutamide (ARV-110) is an orally active, specific androgen receptor (AR) PROTAC degrader. Bavdegalutamide promotes ubiquitination and degradation of AR. Bavdegalutamide can be used for the research of prostate cancer[1].

In Vitro

Bavdegalutamide completely degrades AR in all cell lines tested, with an observed 50% degradation concentration (DC_{50}) < 1 nM[1].
Bavdegalutamide (0.01 nM-300 nM) leads to AR degradation in LNCaP cells in a dose-dependent manner[1].
Bavdegalutamide (10 nM; 0.5-24 hours) leads to AR degradation in VCaP cells in a time-dependent manner[2].
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[2].
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[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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[2].

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[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Arch Biochem Biophys. 2022 May 30;721:109194.
- Research Square Print. November 18th, 2022

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REFERENCES

[1]. Taavi Neklesa, et al. ARV-110: An androgen receptor PROTAC degrader for prostate cancer. American Association for Cancer Research. 2018. 78 (13): pp. 5236.

[2]. Taavi K Neklesa,et al. ARV-110: an oral androgen receptor PROTAC degrader for prostate cancer. GU ASCO 2019

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