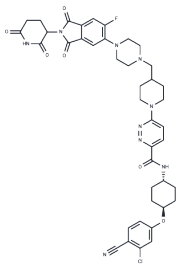
Data Sheet (Cat.No.T22263)

TargetMol

Bavdegalutamide

Chemical Properties



CAS No. : 2222112-77-6

Formula: C41H43ClFN9O6

Molecular Weight: 812.29

Storage: Keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Bavdegalutamide (ARV-110) is an oral protein degrader that specifically binds to AR and mediates its degradation. Bavdegalutamide can degrade clinically relevant mutant AR proteins, maintain activity in a high androgen environment, and has an acceptable safety profile.
Targets(IC50)	Androgen Receptor,PROTACs
In vitro	Bavdegalutamide (ARV-110) completely degrades AR in all cell lines tested, with an observed 50% degradation concentration (DC50) of < 1 nM. [3]
In vivo	**METHODS**: The mean plasma concentration-time profiles of Bavdegalutamide (ARV-110) were measured in rats and mice after intravenous administration of Bavdegalutamide (2 mg/kg, intravenous injection) and (5 mg/kg, oral administration) using the developed LC-MS/MS method. **RESULTS**: In rats, after intravenous injection of Bavdegalutamide, the calculated total clearance (CL) value was 413.6 ± 31.7 mL/h/kg, and the steady-state (VSS) value (5775 ± 320 mL/kg) indicated that ARV-110 was well distributed in tissues; after oral administration, the peak plasma concentration (Cmax) value was 110.5 ± 9.2 ng/mL, at 5.5 ± 1.9 hours, and the oral bioavailability of ARV-110 in rats was moderate (23.8%); after intravenous administration in mice, the CL value of ARV-110 was lower than the hepatic blood flow rate of mice (90 mL/min/kg), and Bavdegalutamide showed a relatively large VSS value (2366 ± 402.2 mL/kg), indicating that the drug was mainly confined to tissues; after oral administration, the Cmax value was 612.0 ± 88.38 ng/mL. [2] **METHODS**: Bavdegalutamide (1 mg/kg, orally, once a day) was used to treat xenograft model mice, and the degree of AR degradation and tumor growth in the mice were observed. **RESULTS**: With over 90% AR degradation in mice, Bavdegalutamide achieved significant inhibition of tumor growth and AR signaling in both intact and castrated conditions. [3]

Solubility Information

Solubility	DMSO: 41.67 mg/mL (51.3 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 1 mg/mL (1.23 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0246 mL	0.1231 mL	0.2462 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Qi SM, et al. PROTAC: An Effective Targeted Protein Degradation Strategy for Cancer Therapy. Front Pharmacol. 2021 May 7;12:692574.

Sun R, Yan B, Li H, et al.Androgen receptor variants confer castration resistance in prostate cancer by counteracting antiandrogen-induced ferroptosis.Cancer Research.2023

Xiao M, Ha S, Zhu J, et al.Structure-Activity Relationship (SAR) Studies of Novel Monovalent AR/AR-V7 Dual Degraders with Potent Efficacy against Advanced Prostate Cancer.Journal of Medicinal Chemistry.2024

Nguyen TT, et al. Development of an LC-MS/MS Method for ARV-110, a PROTAC Molecule, and Applications to Pharmacokinetic Studies. Molecules. 2022 Mar 18;27(6):1977.

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