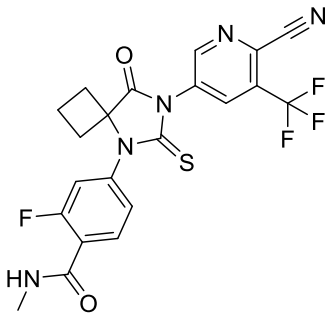
Product data sheet

MedKoo Cat#: 204420 Name: Apalutamide (ARN-509) CAS#: 956104-40-8 (free base) Chemical Formula: $C_{21}H_{15}F_4N_5O_2S$ Exact Mass: 477.08826 Molecular Weight: 477.43	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Apalutamide, also known as ARN-509 and JNJ-56021927 , is an androgen receptor antagonist with potential antineoplastic activity. ARN-509 binds to AR in target tissues thereby preventing androgen-induced receptor activation and facilitating the formation of inactive complexes that cannot be translocated to the nucleus. This prevents binding to and transcription of AR-responsive genes. This ultimately inhibits the expression of genes that regulate prostate cancer cell proliferation and may lead to an inhibition of cell growth in AR-expressing tumor cells.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	50.0	104.73

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.09 mL	10.47 mL	20.95 mL
5 mM	0.42 mL	2.09 mL	4.19 mL
10 mM	0.21 mL	1.05 mL	2.09 mL
50 mM	0.04 mL	0.21 mL	0.42 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Clegg NJ, Wongvipat J, Joseph JD, Tran C, Ouk S, Dilhas A, Chen Y, Grillot K, Bischoff ED, Cai L, Aparicio A, Dorow S, Arora V, Shao G, Qian J, Zhao H, Yang G, Cao C, Sensintaffar J, Wasielewska T, Herbert MR, Bonnefous C, Darimont B, Scher HI, Smith-Jones P, Klang M, Smith ND, De Stanchina E, Wu N, Ouerfelli O, Rix PJ, Heyman RA, Jung ME, Sawyers CL, Hager JH. ARN-509: a novel antiandrogen for prostate cancer treatment. Cancer Res. 2012 Mar 15;72(6):1494-503. doi: 10.1158/0008-5472.CAN-11-3948. Epub 2012 Jan 20. PMID: 22266222; PMCID: PMC3306502.

2. Sun J, Wang D, Guo L, Fang S, Wang Y, Xing R. Androgen Receptor Regulates the Growth of Neuroblastoma Cells in vitro and in vivo. Front Neurosci. 2017 Mar 7;11:116. doi: 10.3389/fnins.2017.00116. PMID: 28326012; PMCID: PMC5339338.

In vivo study

1. Clegg NJ, Wongvipat J, Joseph JD, Tran C, Ouk S, Dilhas A, Chen Y, Grillot K, Bischoff ED, Cai L, Aparicio A, Dorow S, Arora V, Shao G, Qian J, Zhao H, Yang G, Cao C, Sensintaffar J, Wasielewska T, Herbert MR, Bonnefous C, Darimont B, Scher HI, Smith-Jones P, Klang M, Smith ND, De Stanchina E, Wu N, Ouerfelli O, Rix PJ, Heyman RA, Jung ME, Sawyers CL, Hager JH. ARN-509:

a novel antiandrogen for prostate cancer treatment. Cancer Res. 2012 Mar 15;72(6):1494-503. doi: 10.1158/0008-5472.CAN-11-3948. Epub 2012 Jan 20. PMID: 22266222; PMCID: PMC3306502.

2. Sun J, Wang D, Guo L, Fang S, Wang Y, Xing R. Androgen Receptor Regulates the Growth of Neuroblastoma Cells in vitro and in vivo. Front Neurosci. 2017 Mar 7;11:116. doi: 10.3389/fnins.2017.00116. PMID: 28326012; PMCID: PMC5339338.

7. Bioactivity

Biological target:

Apalutamide (ARN-509) is a selective and competitive androgen receptor inhibitor with IC50 of 16 nM in a cell-free assay, useful for prostate cancer treatment.

In vitro activity

ARN-509 (< 10 μM) inhibits androgen-mediated induction or repression of mRNA expression levels for 13 endogenous genes including PSA and TMPRSS2 in the LNCaP/AR prostate cancer cell line. ARN-509 (< 10 μM) inhibits the proliferative effect of R1881 (30 pM) in the LNCaP/AR prostate cancer cell line. ARN-509 (10 μM) impairs AR nuclear localization and thus reduces the concentration of AR available to bind androgen response elements (ARE) in LNCaP cells expressing AR-EYFP. ARN-509 (10 μM) is able to effectively compete with R1881 (1 nM) and prevent AR from binding to promoter regions. ARN-509 inhibits R1881-induced VP16-AR–mediated transcription with IC50 of 0.2 μM in Hep-G2 cells expressing a VP16-AR fusion protein and an ARE-driven luciferase reporter.

Reference: Cancer Res. 2012 Mar 15;72(6):1494-503. https://www.ncbi.nlm.nih.gov/pmc/articles/pmid/22266222/

In vivo activity

ARN-509 (10 mg/kg/d, oral) inhibits tumor growth with decreased proliferative index and increased apoptotic rate in castrate male immunodeficient mice harboring LNCaP/AR-luc xenograft tumors. ARN-509 dose dependently inhibits tumor growth with highest efficacy at dose of 30 mg/kg/day in castrate male immunodeficient mice harboring LNCaP/AR-luc xenograft tumors. ARN-509 dosed at 10 mg/kg/d for 28 days results in a 3-fold reduction in prostates weight associated with lacking glandular secretory activity and 1.7-fold reduction in epididymis weight in adult male dogs. ARN-509 (10 mg/kg/d, oral) inhibits cell proliferation of prostate tissues in adult male dogs.

Reference: Cancer Res. 2012 Mar 15;72(6):1494-503. https://www.ncbi.nlm.nih.gov/pmc/articles/pmid/22266222/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.