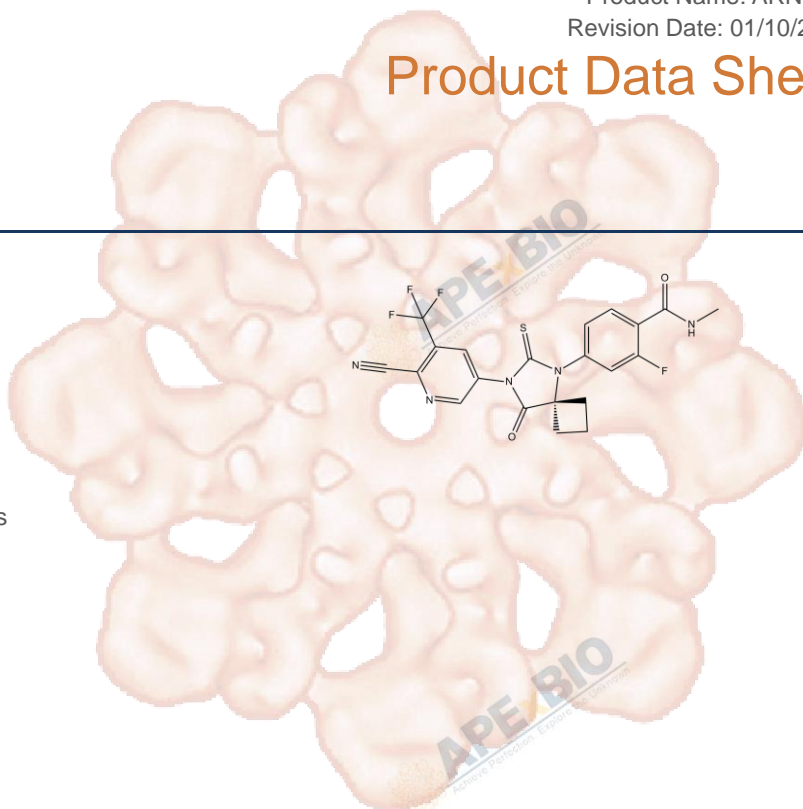


Product Data Sheet

ARN-509

Cat. No.:	A8364
CAS No.:	956104-40-8
Formula:	C ₂₁ H ₁₅ F ₄ N ₅ O ₂ S
M.Wt:	477.43
Synonyms:	ARN 509; ARN509
Target:	Endocrinology and Hormones
Pathway:	Androgen Receptor
Storage:	Store at -20°C



Solvent & Solubility

≥23.85 mg/mL in DMSO; insoluble in H₂O; ≥7.33 mg/mL in EtOH

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	Concentration			
	1 mM	2.0945 mL	10.4727 mL	20.9455 mL
	5 mM	0.4189 mL	2.0945 mL	4.1891 mL
	10 mM	0.2095 mL	1.0473 mL	2.0945 mL

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

Biological Activity

Shortsummary

Androgen receptor inhibitor

IC₅₀ & Target

16 nM (Androgen Receptor), 3 μM (GABAA receptor)

In Vitro

Cell Viability Assay

Cell Line:	LNCaP, LNCaP-AR and VCaP cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20 °C for several months.
Reacting conditions:	1 μM; 48 hrs

	Applications:	In LNCaP, LNCaP-AR and VCaP cells, ARN-509 increased DNA damage. In LNCaP cell line, ARN-509 decreased cell survival. In addition, ARN-509 significantly decreased C-NHEJ-mediated recombination (> 60%) in LNCaP cells that had been transfected with V(D)J recombination substrate along with RAG1 and RAG2 expression vectors.
In Vivo	Animal experiment	
	Animal models:	Castrate male immunodeficient mice harboring LNCaP/AR-luc xenograft tumors
	Dosage form:	10 mg/kg/d; p.o.; for 17 days
	Applications:	In castrate male immunodeficient mice harboring LNCaP/AR-luc xenograft tumors, the 17-day Oral treatment with ARN-509 (10 mg/kg/d) consistently reduced androgen-driven luciferase reporter-gene activity. In addition, ARN-509 decreased the proliferative index and increased the apoptotic rate of tumors, respectively.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Bao D, Cheng C, et al. "Regulation of p53wt glioma cell proliferation by androgen receptor-mediated inhibition of small VCP/p97-interacting protein expression." *Oncotarget*. 2017 Apr 4;8(14):23142-23154. PMID:28423563
2. Sun J, Wang D, et al. "Androgen Receptor Regulates the Growth of Neuroblastoma Cells in vitro and in vivo." *Front Neurosci*. 2017 Mar 7;11:116. PMID:28326012

See more customer validations on www.apexbt.com.

References

- [1]. William R. Polkinghorn, Joel S. Parker, Man X. Lee, et al. Androgen Receptor Signaling Regulates DNA Repair in Prostate Cancers. *Cancer Discovery*, 2013, 3(11):1245-53.
- [2]. Nicola J. Clegg, John Wongvipat, James D. Joseph, et al. ARN-509: A Novel Antiandrogen for Prostate Cancer Treatment. *Therapeutics, Targets & Chemical Biology*, 2012, 72(6): 1494-1503.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APEX BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for

long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.



APExBIO Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com

