

Data Sheet

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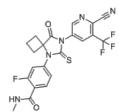
Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name : Apalutamide
Cat. No. : PC-73182
CAS No. : 956104-40-8
Molecular Formula : C₂₁H₁₅F₄N₅O₂S

Molecular Weight: 477.43

Target : Androgen Receptor (AR)

Solubility : 10 mM in DMSO



Biological Activity

Apalutamide (ARN-509) is a potent and competitive **androgen receptor (AR)** antagonist with binding IC50 of 16 nM. Apalutamide (ARN-509) targets the AR ligand-binding domain and prevents AR nuclear translocation, DNA binding, and transcription of AR gene targets, inhibits AR transcriptional activity and prostate cancer cell proliferation. ARN-509 lacked significant agonist activity in preclinical models of CRPC compared to bicalutamide. ARN-509 showed greater efficacy than MDV3100 in a clinically valid murine xenograft model of human CRPC.

References

Joseph JD, et al. *Cancer Discov*. 2013 Sep;3(9):1020-9.

Clegg NJ, et al. *Cancer Res.* 2012 Mar 15;72(6):1494-503.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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