

# Apalutamide

Catalog No: tcsc0885



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

956104-40-8

**Formula:**

$C_{21}H_{15}F_4N_5O_2S$

**Pathway:**

Others

**Target:**

Androgen Receptor

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 83.3$  mg/mL (174.48 mM); H<sub>2</sub>O :

**Alternative Names:**

ARN-509

**Observed Molecular Weight:**

477.43

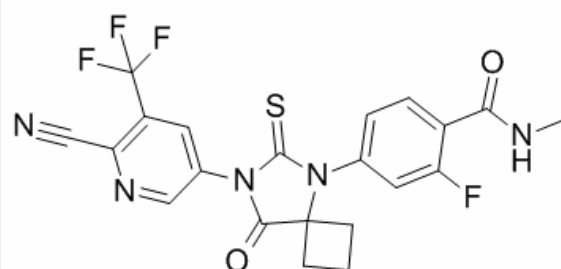
## Product Description

Apalutamide (ARN-509) is a potent and competitive **androgen receptor (AR)** antagonist, binding AR with an **IC<sub>50</sub>** of 16 nM.

IC50 & Target: IC50: 16 nM (Androgen receptor)<sup>[1]</sup>

**In Vitro:** Apalutamide (ARN-509) also exhibits low micromolar affinity (IC<sub>50</sub> 3 μM) for the GABA<sub>A</sub> receptor in radioligand binding-assays and thus may potentially antagonize GABA<sub>A</sub> at therapeutic dose levels<sup>[1]</sup>. Apalutamide is a potent androgen receptor (AR) antagonist that targets the AR ligand-binding domain and prevents AR nuclear translocation, DNA binding, and transcription of AR gene targets<sup>[2]</sup>.

**In Vivo:** Apalutamide (ARN-509) exhibits low systemic clearance, high oral bioavailability and long plasma half-life in both mouse and dog, supporting once-daily oral dosing. Consistent with its long terminal-half-life, Apalutamide steady-state plasma-levels increases in repeat-dose studies, resulting in high C<sub>24hr</sub> levels and low peak:trough ratios (ratio:2.5). Castrate male mice bearing LNCaP/AR xenograft tumors are treated with either Apalutamide at doses of 1, 10 or 30 mg/kg/day. Thirteen of 20 Apalutamide (30 mg/kg/day)-treated animals exhibit >50% reduction in tumor-volume at day 28 versus 3 of 19 MDV3100 (30 mg/kg/day)-treated mice [1].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!