Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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 : ≥ 83.3 mg/mL (174.48 mM); H2O :

Alternative Names:
ARN-509

Observed Molecular Weight:
477.43

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Product Description

Apalutamide (ARN-509) is a potent and competitive **androgen receptor** (**AR**) antagonist, binding AR with an **IC_{50}** of 16 nM.

IC50 & Target: IC50: 16 nM (Androgen receptor)[1]

In Vitro: Apalutamide (ARN-509) also exhibits low micromolar affinity (IC_{50} 3 μM) for the $GABA_A$ receptor in radioligand binding-assays and thus may potentially antagonize $GABA_A$ at therapeutic dose levels[1]. 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[2].

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_{24hr} 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].