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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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Apalutamide

Cat. No.: HY-16060

CAS No.: 956104-40-8

Molecular Formula: $C_{21}H_{15}F_4N_5O_2S$

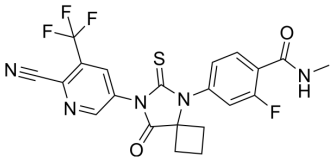
Molecular Weight: 477.43

Target: Androgen Receptor

Pathway: Vitamin D Related/Nuclear Receptor

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (104.73 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.36 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.36 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Apalutamide (ARN-509) is a potent and competitive androgen receptor (AR) antagonist, binding AR with an IC_{50} of 16 nM[1].

IC_{50} & 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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	Trypsinized VCaP cells are adjusted to a concentration of 100,000 cells per mL in phenol-red-free RPMI 1640 (with 5% CSS), and dispensed in 16 µL aliquots into CellBIND 384 well plates. Cells are incubated for 48 hours, after which ligand is added in a 16 µL volume to the RPMI culture medium. For the antagonist mode assay, the ligands are diluted in culture medium also containing 30 pM R1881. After 7 days' incubation, 16 µL of CellTiter-Glo Luminescent Cell Viability Assay is added and Relative Luminescence Units (RLUs) measured[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice[1] In vivo xenograft experiments to determine anti-tumor response are carried out in SHO SCID male mice. Mice are orchiectomized under isoflorane anesthesia and are given 2-3 days to recover prior to tumor cell injection. LNCaP/AR(cs) cells are suspended in 50% RPMI, 50% Matrigel, and 5×10^6 cells/xenograft are injected in a volume of 100 µL. Animals are observed weekly until tumor growth is apparent. From 24 d post-injection, tumors are measured weekly, and after 40-60 days post-injection, animals are randomized into cohorts of equivalent mean (150-250 mm^3) and range tumor burden. All compounds (e.g., Apalutamide, 30 mg/kg per day) are administered daily by oral gavage. Statistical analyses are performed using Graphpad Prism. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Death Dis. 2021 Jul 27;12(8):740.
- Br J Cancer. 2022 May 26.
- JCI Insight. 2019 Sep 5;4(17):e122688.
- Mol Cancer Ther. 2016 Jul;15(7):1702-12.
- Front Pharmacol. 19 July 2021.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Clegg NJ, et al. ARN-509: a novel antiandrogen for prostate cancer treatment. Cancer Res. 2012 Mar 15;72(6):1494-503.

[2]. Smith MR, et al. Phase 2 Study of the Safety and Antitumor Activity of Apalutamide (ARN-509), a Potent Androgen Receptor Antagonist, in the High-risk Nonmetastatic Castration-resistant Prostate Cancer Cohort. Eur Urol. 2016 May 6. pii: S0302-2838(16)30133

Caution: Product has not been fully validated for medical applications. For research use only.

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