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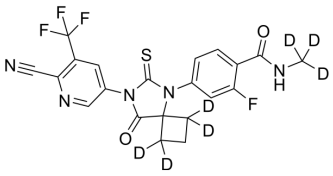
Apalutamide-d_7

Cat. No.:	HY-16060S3
Molecular Formula:	$C_{21}H_8D_7F_4N_5O_2S$
Molecular Weight:	484.48
Target:	Androgen Receptor; Isotope-Labeled Compounds
Pathway:	Vitamin D Related/Nuclear Receptor; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Apalutamide-d_7 is deuterated labeled Apalutamide (HY-16060). Apalutamide (ARN-509) is a potent and competitive androgen receptor (AR) antagonist, binding AR with an IC_{50} of 16 nM[1].
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1]. 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[2]. 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[3]. 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[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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

[3]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

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

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