

Product Datasheet

MDV3100

Catalog No. **BP-02101** · CAS **915087-33-1** · Form **free base** · Physical state **other** · Version **1.0** · Revision **2026-09-14**

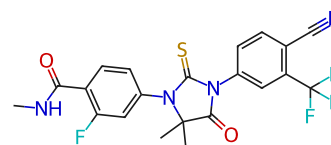
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Target Androgen Receptor; Autophagy	Research area Cancer
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Molecular formula **C₂₁H₁₆F₄N₄O₂S**

Molecular weight **464.4360**

STRUCTURE



DESCRIPTION

Enzalutamide (MDV3100) is an androgen receptor (AR) antagonist with an IC₅₀ of 36 nM in LNCaP prostate cells. Enzalutamide is an autophagy activator.

BIOLOGICAL ACTIVITY

In Vitro

Enzalutamide (MDV3100) has greater affinity to AR than ICI 176334 does in a competition assay with 16β-[18F]fluoro-5α-DHT (18-FDHT) in castration-resistant LNCaP/AR cells (AR-overexpressing). While Enzalutamide shows no agonism in LNCaP/AR prostate cells. Enzalutamide antagonizes induction of prostate-specific antigen (PSA) and transmembrane serine protease 2 (TMPRSS2), combination with the synthetic androgen R1881 in parental LNCaP cells. Enzalutamide inhibits the transcriptional activity of a mutant AR protein (W741C, mutation of Trp741 to Cys).

Enzalutamide also prevents nuclear translocation and co-activator recruitment of the ligand-receptor complex.

In Vivo

Enzalutamide (MDV3100) induces great tumor regression in castrate male mice bearing LNCaP/AR xenografts at a dose of 10 mg/kg.

Enzalutamide shows dose-independent pharmacokinetics at intravenous and oral doses of 0.5-5 mg/kg.

SOLUBILITY

Soluble in DMSO

In vitro DMSO : ≥ 50 mg/mL

REFERENCES

[1]. Tran C, et al. Development of a second-generation antiandrogen for treatment of advanced prostate cancer. Science, 2009, 324 (5928), 787-790.

[2]. Scher HI, et al. Antitumour activity of MDV3100 in castration-resistant prostate cancer: a phase 1-2 study. *Lancet*, 2010, 375(9724), 1437-1446.

[3]. Guerrero J, et al. Enzalutamide, an androgen receptor signaling inhibitor, induces tumor regression in a mouse model of castration-resistant prostate cancer. *Prostate*. 2013 Sep;73(12):1291-305.

[4]. Kim TH, et al. Pharmacokinetics of enzalutamide, an anti-prostate cancer drug, in rats. *Arch Pharm Res*. 2015 Nov;38(11):2076-82.

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