

Enzalutamide

Catalog No: tcsc0317



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Size: 5g



Specifications

CAS No:

915087-33-1

Formula:

$C_{21}H_{16}F_4N_4O_2S$

Pathway:

Others;Autophagy

Target:

Androgen Receptor;Autophagy

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 50 mg/mL (107.66 mM); H₂O :

Alternative Names:

MDV3100

Observed Molecular Weight:

464.44

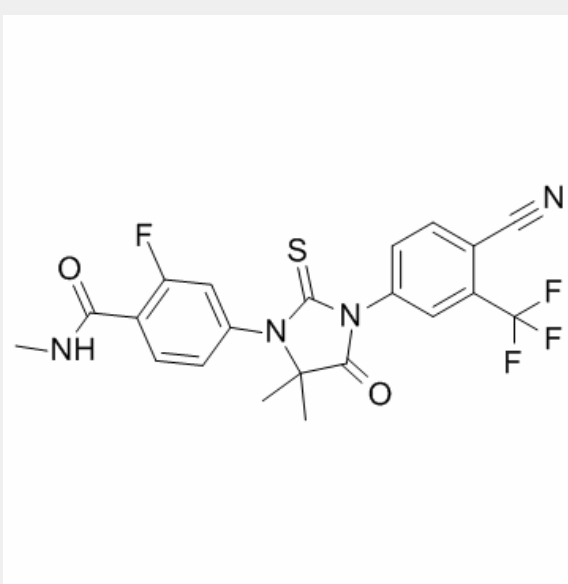
Product Description

Enzalutamide is an **androgen-receptor (AR)** antagonist with **IC₅₀** of 36 nM in LNCaP cells.

IC₅₀ & Target: IC₅₀: 36 nM (androgen-receptor, in LNCaP cells)^[1]

In Vitro: Enzalutamide has greater affinity to AR than Bicalutamide does in a competition assay with 16 β -[¹⁸F]fluoro-5 α -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)^[1]. Enzalutamide also prevents nuclear translocation and co-activator recruitment of the ligand-receptor complex^[2].

In Vivo: Enzalutamide induces great tumor regression in castrate male mice bearing LNCaP/AR xenografts at a dose of 10 mg/kg^[1]. Enzalutamide shows dose-independent pharmacokinetics at intravenous and oral doses of 0.5-5 mg/kg^[4].



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