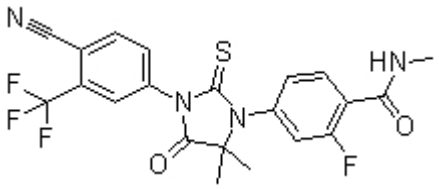
Product Introduction

Enzalutamide (MDV3100)

Enzalutamide (MDV3100) is an **androgen-receptor (AR)** antagonist with **IC50** of 36 nM.

Technical Data:

Molecular Weight (MW):	464.44	
Formula:	$C_{21}H_{16}F_4N_4O_2S$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 93 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	915087-33-1	

Biological Activity

Enzalutamide has greater affinity to AR than Bicalutamide does in a competition assay with 16β-[^{18}F]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 could inhibit the transcriptional activity of a mutant AR protein (W741C, mutation of Trp^{741} to Cys). [1] Enzalutamide also prevents nuclear translocation and co-activator recruitment of the ligand-receptor complex. [2

Note： Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer's risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.

Enzalutamide induces great tumor regression in castrate male mice bearing LNCaP/AR xenografts at a dose of 10 mg/kg. [1]

References

[1] Tran C, et al, Science, 2009, 324 (5928), 787-790.
[2] Scher HI, et al, Lancet, 2010, 375(9724), 1437-1446.
[3] US2007254933 A1)

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