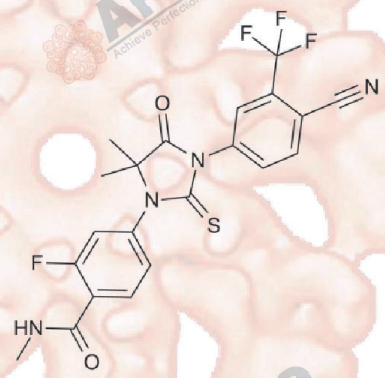
Product Data Sheet

MDV3100 (Enzalutamide)

Cat. No.:	A3003
CAS No.:	915087-33-1
Formula:	C21H16F4N4O2S
M.Wt:	464.4
Synonyms:	Enzalutamide, MDV3100, MDV-3100, MDV 3100
Target:	Androgen Receptor
Pathway:	Endocrinology and Hormones
Storage:	Store at -20° C



Solvent & Solubility

In Vitro

⩾23.22 mg/mL in DMSO; insoluble in H2O; ⩾9.44 mg/mL in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.1533 mL	10.7666 mL	21.5332 mL
5 mM	0.4307 mL	2.1533 mL	4.3066 mL
10 mM	0.2153 mL	1.0767 mL	2.1533 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Androgen receptor antagonist
IC_{50} & Target	36 nM (Androgen-receptor)

In Vitro

Cell Viability Assay

Cell Line:	VCaP, LNCaP, 22RV1, DU145 and PC3 prostate cancer cell lines
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.

	Reacting conditions:	10 μM,12h
	Applications:	Recruitment of AR to target loci was markedly attenuated by MDV3100 and less so by bicalutamide. Interestingly, JQ1 blocked AR recruitment almost as effectively as MDV3100. Limiting our evaluationto AR and BRD4 coincident peaks, we observed that DHT-mediated AR recruitment to these loci was inhibited by MDV3100 and to a lesser extent by JQ1. Corroborating the ChIP seq data, gene expression analysis in VCaP and LNCaP cells showed more efficient repression of DHT-induced AR-target genes by JQ1 than by MDV3100 or bicalutamide.
In Vivo	**Animal experiment**	
	Animal models:	Four-week-old male SCIDC.B17 mice
	Dosage form:	10 mg/kg,oral gavage or intraperitonially,five days a week
	Applications:	Treatment of VCaP tumour-bearing mice with JQ1 led to a significant reduction in tumour volume/weight, whereas MDV3100 had a less pronounced effect. Recently, several studies described the pro-metastatic effects of MDV3100 in pre-clinical models. To test whether MDV3100 treatment leads to spontaneous metastasis in our VCaP xenograft model, we isolated femur, liver and spleen from MDV3100-treated mice and found evidence of metastases in femur and liver. By contrast, JQ1-treated mice showed no evidence of metastasis. Taken together, these pre-clinical studies suggest that the use of MDV3100 in clinically localized prostate cancer may potentiate the formation of micro-metastases, unlike BET inhibitors.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Hintz HM, Cowan AE, et al. "Development of a Cross-Reactive Monoclonal Antibody for Detecting the Tumor Stroma." Bioconjug Chem. 2019 May 15;30(5):1466-1476.PMID:30966746

2. Zhang Y, Zheng D, et al. "Androgen deprivation promotes neuroendocrine differentiation and angiogenesis through CREB-EZH2-TSP1 pathway in prostate cancers." Nat Commun. 2018 Oct 4;9(1):4080.PMID:30287808

3. Li Q, Deng Q, et al. "Linking prostate cancer cell AR heterogeneity to distinct castration and enzalutamide responses." Nat Commun. 2018 Sep 6;9(1):3600.PMID:30190514

4. Calcinotto A, Spataro C, et al. "IL-23 secreted by myeloid cells drives castration-resistant prostate cancer." Nature.2018 Jul;559(7714):363-369.PMID:29950727

5. Khurana N, Kim H, et al. "Multimodal actions of the phytochemical sulforaphane suppress both AR and AR-V7 in 22Rv1 cells: Advocating a potent pharmaceutical combination against castration-resistant prostate cancer." Oncol Rep. 2017 Aug 30.PMID:28901514

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References

1. Asangani IA, Dommeti VL, Wang X et al. Therapeutic targeting of BET bromodomain proteins in castration-resistant prostate cancer. Nature. 2014 Jun 12;510(7504):278-82.
2. Scher HI, Fizazi K, Saad F et al. Increased survival with enzalutamide in prostate cancer after chemotherapy. N Engl J Med. 2012 Sep 27;367(13):1187-97.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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