MDV3100 (Enzalutamide)

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

Solvent & Solubility

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
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<tbody>
<tr>
<td>Solvent</td>
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<tr>
<td>DMSO</td>
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<td>EtOH</td>
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<td>EtOH</td>
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≥23.22 mg/mL in DMSO; insoluble in H2O; ≥9.44 mg/mL in EtOH

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

Biological Activity

Shortsummary
Androgen receptor antagonist

IC50 & Target
36 nM (Androgen-receptor)

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. |

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

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.

In Vivo

Product Citations


References


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. Short-term 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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