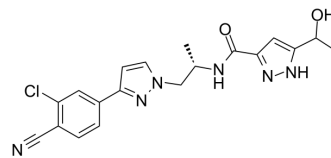


## Darolutamide

<b>Cat. No.:</b>	HY-16985		
<b>CAS No.:</b>	1297538-32-9		
<b>Molecular Formula:</b>	C <sub>19</sub> H <sub>19</sub> ClN <sub>6</sub> O <sub>2</sub>		
<b>Molecular Weight:</b>	398.85		
<b>Target:</b>	Androgen Receptor		
<b>Pathway:</b>	Others		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 125 mg/mL (313.40 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
<b>Preparing Stock Solutions</b>	<b>1 mM</b>	2.5072 mL	12.5360 mL	25.0721 mL
	<b>5 mM</b>	0.5014 mL	2.5072 mL	5.0144 mL
	<b>10 mM</b>	0.2507 mL	1.2536 mL	2.5072 mL
Please refer to the solubility information to select the appropriate solvent.				
<b>In Vivo</b>	<ol style="list-style-type: none"> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline Solubility: ≥ 2.08 mg/mL (5.21 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (5.21 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil Solubility: ≥ 2.08 mg/mL (5.21 mM); Clear solution</li> </ol>			

### BIOLOGICAL ACTIVITY

<b>Description</b>	Darolutamide (ODM-201;BAY-1841788) is a potent androgen receptor (AR) antagonist with an IC <sub>50</sub> of 26 nM in in vitro assay.
<b>IC<sub>50</sub> &amp; Target</b>	IC <sub>50</sub> : 26 nM (AR-HEK293 cells, AR) <sup>[1]</sup>
<b>In Vitro</b>	In competitive AR binding assays, the inhibition constant (K <sub>i</sub> ) values of Darolutamide (ODM-201) are 11 nM. ODM-201 and ORM-15341 suppress androgen-induced cell proliferation more efficaciously than enzalutamide or ARN-509, IC <sub>50</sub> values being 230 and 170 nM for Darolutamide and ORM-15341 vs. 410 and 420 nM for enzalutamide and ARN-509. Darolutamide

has no effect on the viability of AR-negative cell lines tested, DU-145 prostate cancer cells and H1581 lung cancer cells confirming that the antiproliferative properties of Darolutamide and ORM-15341 are specific to AR-dependent PC cells<sup>[1]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### In Vivo

Darolutamide (ODM-201) shows a significant antitumor activity with both doses, 50 mg/kg twice daily being more efficacious compared to castrated, untreated mice ( $p < 0.001$ ) or Enzalutamide ( $p = 0.0245$ ), which also shows inhibition of tumor growth ( $p < 0.05$ ) vs. castrated, untreated mice. Further, there is no sign of treatment-related toxicities; the body weights of mice treated with Darolutamide twice daily do not decrease significantly during the treatment<sup>[1]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

#### Cell Assay <sup>[1]</sup>

To study the antiproliferative properties of Darolutamide and ORM-15341, the VCaP cell line originally derived from a bone metastasis of a CRPC patient is used. The VCaP cell line is characterized with endogenous AR gene amplification and AR overexpression<sup>30</sup>, typical for CRPC. VCaP cells are cultured in RPMI-1640 medium and supplemented with 10% fetal bovine serum (FBS), 100 UI/mL penicillin, 100  $\mu$ g/mL streptomycin, and 4 mM VCaP<sup>[1]</sup>.

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#### Animal Administration <sup>[1]</sup>

To elucidate the in vivo efficacy of Darolutamide in a CRPC mouse model, castrated male nude mice with subcutaneously injected VCaP cells are treated orally with ODM-201 (50 mg/kg) once (qd) or twice daily (bid), or with enzalutamide (20 mg/kg, qd) for 37 days. The dose for enzalutamide is selected based on previously published studies<sup>9</sup> and our pharmacokinetic (PK) analyses which reveals that in mice the systemic exposure (AUC<sub>0-24</sub>) for this dose of enzalutamide is 2.5 times higher than that for Darolutamide (50 mg/kg, bid). Moreover, enzalutamide exhibited a long plasma half-life (18.3 hours) while the half-life of Darolutamide in mice is not optimal (1.6 hours) supporting once daily dosing for enzalutamide and higher dose and more frequent dosing for ODM-201<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Br J Cancer. 2022 May 26.
- Sci Rep. 2019 Sep 24;9(1):13786.

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## REFERENCES

[1]. Moilanen AM, et al. Discovery of ODM-201, a new-generation androgen receptor inhibitor targeting resistance mechanisms to androgen signaling-directed prostate cancer therapies. Sci Rep. 2015 Jul 3;5:12007. doi: 10.1038/srep12007.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

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