

## Cudarolimab

Cat. No.:	HY-P99836
CAS No.:	2244739-29-3
Target:	Orexin Receptor (OX Receptor)
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

### BIOLOGICAL ACTIVITY

Description	Cudarolimab (IBI101) is a completely human anti-OX40 (CD134, a co stimulating molecule expressed by activated immune cells) antibody. Cudarolimab inhibits the binding of OX40 to its ligand OX40L. Cudarolimab has antitumor activity and can be used in cancer related research <sup>[1]</sup> .																																			
In Vitro	<p>Cudarolimab (0.01, 1, 100 or 10000 nM) binds to OX40 and partially blocks the binding of OX40 to its ligand OX40L in CHO-S cells overexpressing human OX40 (CHO-S-hOX40). Cudarolimab activates OX40 dependent NF-κB reporters with an EC<sub>50</sub> value of 4.432 nM in Jurkat-OX40 reporter cells co-cultured with Raji cells<sup>[1]</sup>.</p> <p>Cudarolimab (0.01, 0.1, 1, 10, 100 or 1000 nM) binds to activated human CD4<sup>+</sup> T cells and activated cynomolgus monkey CD4<sup>+</sup> T cells in a dose dependent manner<sup>[1]</sup>.</p> <p>Cudarolimab (0.4, 4.0 and 40.4 nM) increases IL-2 secretion with dose dependent manner in human CD4<sup>+</sup> T cells<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>																																			
In Vivo	<p>Cudarolimab (10 mg/kg; i.p.; single dose on days 3, 7, 11, 14 and 15) significantly reduces tumor volume in humanized NOG mice bearing LoVo tumors<sup>[1]</sup>.</p> <p>Cudarolimab (0.1, 1 and 10 mg/kg; i.p.; single dose on days 6, 9, 12 and 16) significantly reduces tumor volume, increases IFN-γ<sup>+</sup> and IFN-α<sup>+</sup> expression in CD8<sup>+</sup> T cells in tumor and spleen of human OX40 knock-in mice bearing MC38 tumors<sup>[1]</sup>.</p> <p>Cudarolimab (10 mg/kg; i.p.; single dose on days 10 and 14) significantly reduces the expression of CD3<sup>+</sup>CD8<sup>+</sup>, CD3<sup>+</sup>CD4<sup>+</sup>, CD4<sup>+</sup>CD25<sup>high</sup>FoxP3<sup>+</sup> in the tumor and spleen of human OX40 knock-in mice bearing MC38 tumors<sup>[1]</sup>.</p> <p>Pharmacokinetic (PK) parameters of Cudarolimab in cynomolgus macaques<sup>[1]</sup></p> <table><tr><th>Dose (mg/kg)</th><th>C<sub>max</sub> (μg/mL )</th><th>T<sub>max</sub> (h)</th><th>AUC<sub>0-∞</sub> (h•μg/mL )</th><th>T<sub>1/2</sub> (h)</th><th>Cl (mL/h/kg)</th><th>MRT<sub>last</sub> (h)</th></tr><tr><td>0.1</td><td>3.07±0.40</td><td>0.08±0.00</td><td>347.98±99.30</td><td>162.98±103.01</td><td>0.31±0.08</td><td>186.34±110.68</td></tr><tr><td>0.5</td><td>9.78±3.27</td><td>0.40±0.78</td><td>1429.19±607.21</td><td>129.47±114.44</td><td>0.40±0.14</td><td>136.03±108.05</td></tr><tr><td>2.5</td><td>63.10±15.29</td><td>0.08±0.00</td><td>10304.06±3403.59</td><td>190.89±92.94</td><td>0.27±0.09</td><td>212.29±114.61</td></tr><tr><td>12.5</td><td>296.57±58.05</td><td>0.40±0.78</td><td>33511.65±14982.36</td><td>120.30±153.26</td><td>0.44±0.20</td><td>114.93±87.66</td></tr></table> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>	Dose (mg/kg)	C <sub>max</sub> (μg/mL )	T <sub>max</sub> (h)	AUC <sub>0-∞</sub> (h•μg/mL )	T <sub>1/2</sub> (h)	Cl (mL/h/kg)	MRT <sub>last</sub> (h)	0.1	3.07±0.40	0.08±0.00	347.98±99.30	162.98±103.01	0.31±0.08	186.34±110.68	0.5	9.78±3.27	0.40±0.78	1429.19±607.21	129.47±114.44	0.40±0.14	136.03±108.05	2.5	63.10±15.29	0.08±0.00	10304.06±3403.59	190.89±92.94	0.27±0.09	212.29±114.61	12.5	296.57±58.05	0.40±0.78	33511.65±14982.36	120.30±153.26	0.44±0.20	114.93±87.66
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Animal Model:	Humanized NOG mice bearing LoVo tumors <sup>[1]</sup> .
Dosage:	10 mg/kg.
Administration:	Intraperitoneal injection; single dose on days 3, 7, 11, 14 and 15.
Result:	Reduced tumor volume.
Animal Model:	Human OX40 knock-in mice bearing MC38 tumors <sup>[1]</sup> .
Dosage:	0.1, 1 and 10 mg/kg.
Administration:	Intraperitoneal injection; single dose on days 6, 9, 10, 12, 14 and 16.
Result:	Showed anti-tumor activity.

## REFERENCES

[1]. Kuang Z, et al. Development and characterization of a novel anti-OX40 antibody for potent immune activation. Cancer Immunol Immunother. 2020 Jun;69(6):939-950.

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

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