

Glebatumumab vedotin

Cat. No.:	HY-141604
CAS No.:	1182215-65-1
Target:	Antibody-Drug Conjugates (ADCs); Microtubule/Tubulin
Pathway:	Antibody-drug Conjugate/ADC Related; Cell Cycle/DNA Damage; Cytoskeleton
Storage:	-80°C, protect from light

Glebatumumab vedotin

BIOLOGICAL ACTIVITY

Description	<p>Glebatumumab vedotin (CDX-011) is an ADC (antibody-drug conjugates (ADCs)) comprising a fully human IgG2 monoclonal antibody (CR011) directed against glycoprotein NMB (GPNMB) and conjugated to the potent tubulinbinding cytotoxic agent MMAE via a protease-sensitive vc linker. Glebatumumab vedotin has potent anticancer effects^[1].</p>								
In Vitro	<p>Glebatumumab vedotin binds to GPNMB on tumors, the complex is internalized and MMAE is released via proteolytic cleavage of the vc linker in a lysosomal compartment. Tumor cell death occurs as a result of microtubule inhibition by MMAE with resultant cell cycle arrest^[1].</p> <p>Glebatumumab vedotin (1-125 µg/mL; 96 hours) demonstrates osteosarcoma cytotoxic activity^[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Viability Assay^[3]</p> <table border="1" style="width: 100%; border-collapse: collapse;"> <tr> <td style="width: 30%;">Cell Line:</td> <td>Osteosarcoma cell lines</td> </tr> <tr> <td>Concentration:</td> <td>1 µg/mL to 125 µg/mL</td> </tr> <tr> <td>Incubation Time:</td> <td>96 hours</td> </tr> <tr> <td>Result:</td> <td>Demonstrated osteosarcoma cytotoxic activity.</td> </tr> </table>	Cell Line:	Osteosarcoma cell lines	Concentration:	1 µg/mL to 125 µg/mL	Incubation Time:	96 hours	Result:	Demonstrated osteosarcoma cytotoxic activity.
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In Vivo	<p>Glebatumumab vedotin (CR011-vcMMAE; 1.25-80 mg/kg; i.v.; every 4 days; for 16 days) shows short-term anti-tumor effects (inhibition of tumor growth) and long-term effects (complete regression) in human SK-MEL-2 and SK-MEL-5 melanoma xenografts^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" style="width: 100%; border-collapse: collapse;"> <tr> <td style="width: 30%;">Animal Model:</td> <td>Athymic mice (6-week-old) injected with human SK-MEL-2 and SK-MEL-5 melanoma cells [2]</td> </tr> <tr> <td>Dosage:</td> <td>1.25 mg/kg, 2.5 mg/kg, 5 mg/kg, 10 mg/kg, 20 mg/kg, 40 mg/kg, 60 mg/kg, 80 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>i.v.; every 4 days; for 16 days</td> </tr> <tr> <td>Result:</td> <td>Showed inhibition of tumor growth.</td> </tr> </table>	Animal Model:	Athymic mice (6-week-old) injected with human SK-MEL-2 and SK-MEL-5 melanoma cells [2]	Dosage:	1.25 mg/kg, 2.5 mg/kg, 5 mg/kg, 10 mg/kg, 20 mg/kg, 40 mg/kg, 60 mg/kg, 80 mg/kg	Administration:	i.v.; every 4 days; for 16 days	Result:	Showed inhibition of tumor growth.
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REFERENCES

- [1]. Christopher H Keir, et al. The use of an antibody drug conjugate, glembatumumab vedotin (CDX-011), for the treatment of breast cancer. *Expert Opin Biol Ther.* 2012 Feb;12(2):259-63.
- [2]. Vincent A Pollack, et al. Treatment parameters modulating regression of human melanoma xenografts by an antibody-drug conjugate (CR011-vcMMAE) targeting GPNMB. *Cancer Chemother Pharmacol.* 2007 Aug;60(3):423-35.
- [3]. Michael Roth, et al. Targeting Glycoprotein NMB With Antibody-Drug Conjugate, Glembatumumab Vedotin, for the Treatment of Osteosarcoma. *Pediatr Blood Cancer.* 2016 Jan;63(1):32-8.
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