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Xentuzumab

Cat. No.:	HY-P99274
CAS No.:	1417158-65-6
Target:	IGF-1R
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description	Xentuzumab (Anti-Human IGF1 and IGF2 Recombinant Antibody; BI836845) is a recombinant a human monoclonal antibody that targets IGF ligands IGF1 and IGF2. Xentuzumab inhibits both of IGF1 and IGF2 growth-promoting signalling and suppresses AKT activation ^[1] .																	
IC₅₀ & Target	IGF1, IGF2 ^[1]																	
In Vitro	<p>Xentuzumab (0.01-1 mM; 96 h) inhibits IGF type 1 receptor signaling and (0.1 μM; 48 h) AKT serine/threonine kinase (AKT) phosphorylation in VCaP, DuCaP, and MDA PCa 2b cell in a dose-dependent manner^[1].</p> <p>Xentuzumab (0.01-1 mM; 5-10 d) losses of antiproliferative activity against PTEN-null LNCaP or PC-3 cells when PTEN knockdown^[1].</p> <p>Xentuzumab (1 μM; 24-72 h) arrests cell cycle at sub-G1 phase and induces apoptosis in VCaP cells^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Western Blot Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>Prostate cancer VCaP cells</td> </tr> <tr> <td>Concentration:</td> <td>0.1 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 h and 48 h</td> </tr> <tr> <td>Result:</td> <td>Increased in cleaved caspase 3/7 and PARP. Decreased the level of phosphorylation of FoxO3a (S253)/FoxO1 (T24).</td> </tr> </table> <p>Cell Cycle Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>Prostate cancer VCaP cells</td> </tr> <tr> <td>Concentration:</td> <td>1 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 h, 48 h, and 72 h</td> </tr> <tr> <td>Result:</td> <td>Increased in cleaved caspase 3/7 and induces cell apoptosis. Increased the sub-G1 cell population.</td> </tr> </table>		Cell Line:	Prostate cancer VCaP cells	Concentration:	0.1 μM	Incubation Time:	24 h and 48 h	Result:	Increased in cleaved caspase 3/7 and PARP. Decreased the level of phosphorylation of FoxO3a (S253)/FoxO1 (T24).	Cell Line:	Prostate cancer VCaP cells	Concentration:	1 μM	Incubation Time:	24 h, 48 h, and 72 h	Result:	Increased in cleaved caspase 3/7 and induces cell apoptosis. Increased the sub-G1 cell population.
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In Vivo	Xentuzumab (200 mg/kg i.p., once weekly for 10 weeks) in inhibits tumor growth in LuCaP 96CR patient-derived xenograft																	

model in mice^[1].

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Animal Model:	Fox Chase CB17 severe combined immunodeficiency (SCID; CB17/lcr-Prkdc scid/lcrlcoCrl) male mice with LuCaP 96CR cell (s.c.) ^[1]
Dosage:	200 mg/kg
Administration:	Intraperitoneal injection; once weekly for 10 weeks; sacrificed 6 hours after the last dose
Result:	Resulted in significant reductions in tumor volume.

REFERENCES

[1]. Weyer-Czernilofsky U, et al. Antitumor Activity of the IGF-1/IGF-2-Neutralizing Antibody Xentuzumab (BI 836845) in Combination with Enzalutamide in Prostate Cancer Models. Mol Cancer Ther. 2020 Apr;19(4):1059-1069.

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

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