

Ulocuplumab

Cat. No.:	HY-P99272
CAS No.:	1375830-34-4
Target:	CXCR
Pathway:	GPCR/G Protein; Immunology/Inflammation
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description	Ulocuplumab (Anti-Human CXCR4 Recombinant Antibody/BMS-936564/MDX1338) is a fully human IgG4 anti-CXCR4 antibody. Ulocuplumab induces apoptosis and inhibits CXCL12 mediated CXCR4 activation-migration of chronic lymphocytic leukemia (CLL). Ulocuplumab exhibits antitumor activity in established tumors including acute myeloid leukemia (AML), non-Hodgkin lymphoma (NHL), and multiple myeloma xenograft models ^{[1][2]} .									
IC₅₀ & Target	CXCR4									
In Vitro	<p>Ulocuplumab (0-100 μM; 48 h) lacks antibody dependent cellular cytotoxicity (ADCC) or complement (CDC) activity, but also induces apoptosis mediated by CXCR4 binding in Ramos cells and CLL/cancer cell lines, also shows pro-apoptotic in primary leukemia cells from CLL patients^[1].</p> <p>Ulocuplumab (0.2 μM and 2 μM; 15 s) inhibits F-actin polymerization and reduces the peak response to CXCL12, and also (20 nM-2 μM; 1 h) inhibits cell migration^[1].</p> <p>Ulocuplumab (200 nM; 6 h) leads to induction of programmed cell death (PCD) is caspase independent^[1].</p> <p>Ulocuplumab (10 μg/mL; 4 h) induces cell death via production of reactive oxygen species (ROS) in CLL cells^[1].</p> <p>Ulocuplumab inhibits CXCL12-induced calcium flux with an EC₅₀ value of 10 nM in Ramos^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Apoptosis Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>Ramos cells and primary leukemia cells (from CLL patients)</td> </tr> <tr> <td>Concentration:</td> <td>0-100 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>48 hours</td> </tr> <tr> <td>Result:</td> <td>Induced apoptosis in Ramos cells with an IC₅₀ value of 1.9 nM and showed pro-apoptotic with an IC₅₀ value of 12.43 nM in primary leukemia cells from CLL patients.</td> </tr> </table>		Cell Line:	Ramos cells and primary leukemia cells (from CLL patients)	Concentration:	0-100 μ M	Incubation Time:	48 hours	Result:	Induced apoptosis in Ramos cells with an IC ₅₀ value of 1.9 nM and showed pro-apoptotic with an IC ₅₀ value of 12.43 nM in primary leukemia cells from CLL patients.
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In Vivo	<p>Ulocuplumab (3-30 mg/kg; i.p.; every 3-4 days for 5 doses; 65 days in total) inhibits tumor growth of multiple myeloma xenograft models in mice, including Ramos B cells, HL-60 cells, MOLP-8 cells, Nomo-1 cells, and JJN-3R cells models^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Severe combined immunodeficient (SCID) mice of AML model (MOLP-8 cells)^[1]</td> </tr> </table>		Animal Model:	Severe combined immunodeficient (SCID) mice of AML model (MOLP-8 cells) ^[1]						
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Dosage:	3-30 mg/kg
Administration:	Intraperitoneal injection; every 3-4 days for 5 doses; last for 65 days
Result:	Significantly delayed mean tumor growth by 66% and 56% when compared with isotype control on day 25.

REFERENCES

[1]. Kashyap MK, et al. Ulocuplumab (BMS-936564 / MDX1338): a fully human anti-CXCR4 antibody induces cell death in chronic lymphocytic leukemia mediated through a reactive oxygen species-dependent pathway. *Oncotarget*. 2016 Jan 19;7(3):2809-22.

[2]. Kuhne MR, et al. BMS-936564/MDX-1338: a fully human anti-CXCR4 antibody induces apoptosis in vitro and shows antitumor activity in vivo in hematologic malignancies. *Clin Cancer Res*. 2013 Jan 15;19(2):357-66.

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

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