

Emactuzumab

Cat. No.:	HY-P99245
CAS No.:	1448221-67-7
Target:	c-Fms
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description	Emactuzumab(RG 7155) is a specific monoclonal antibody that inhibits colonystimulating factor 1 receptor (CSF1R) activation. Emactuzumab has high affinity for CSF-1R with K_i value of 0.2 nM to blocks CSF-1R dimerization. Emactuzumab can be used for the research of several diseases, such as diffuse-type tenosynovial giant cell tumour (dt-GCT) ^{[1][2]} .									
IC₅₀ & Target	IC ₅₀ : 0.2 nM (CSF-1R) ^[2]									
In Vitro	<p>Emactuzumab (RG 7155) binds to human and cynomolgus CSF-1R with high affinity ($K_d = 0.2$ nM) to blocks CSF-1R dimerization^[2].</p> <p>RG7155 (0-10 µg/mL, 7 days) potently inhibited the viability of CSF-1-differentiated macrophages with an IC₅₀ of 0.3 nM by inducing cell death^[2].</p> <p>RG7155 (30 µg/mL, 6 days) induces cell death of in vitro-differentiated human M2-like macrophages^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Viability Assay^[2]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>CSF-1 and/or GM-CSF Macrophages</td> </tr> <tr> <td>Concentration:</td> <td>0-10 µg/mL</td> </tr> <tr> <td>Incubation Time:</td> <td>7 days</td> </tr> <tr> <td>Result:</td> <td>Resulted cell death of CSF-1-differentiated macrophages.</td> </tr> </table>		Cell Line:	CSF-1 and/or GM-CSF Macrophages	Concentration:	0-10 µg/mL	Incubation Time:	7 days	Result:	Resulted cell death of CSF-1-differentiated macrophages.
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In Vivo	<p>Emactuzumab(RG 7155) (i.v.; 0.1, 1, 10, 30 and 100 mg/kg; once) increases CSF-1 concentration in nonhuman primates' peripheral blood^[2].</p> <p>RG7155 (i.v.; 0, 30, and 100 mg/kg; once weekly; for 2 weeks) depletes CSF-1R⁺CD163⁺ macrophages in vivo^[2].</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>cynomolgus monkeys <i>Macaca fascicularis</i>^[2]</td> </tr> <tr> <td>Dosage:</td> <td>0.1, 1, 10, 30 and 100 mg/kg (male cynomolgus monkeys) 0, 30, and 100 mg/kg (male and female cynomolgus)</td> </tr> <tr> <td>Administration:</td> <td>i.v., once (male cynomolgus monkeys)</td> </tr> </table>		Animal Model:	cynomolgus monkeys <i>Macaca fascicularis</i> ^[2]	Dosage:	0.1, 1, 10, 30 and 100 mg/kg (male cynomolgus monkeys) 0, 30, and 100 mg/kg (male and female cynomolgus)	Administration:	i.v., once (male cynomolgus monkeys)		
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	i.v., once weekly, for 2 weeks(male and female cynomolgus)
Result:	Increased CSF-1 concentration in serum. Efficiently reduced CSF-1R and CD68 ⁺ 163 ⁺ macrophages in the liver (Kupffer cells) and colon of cynomolgus monkeys.

REFERENCES

- [1]. Philippe A Cassier, et al. CSF1R inhibition with emactuzumab in locally advanced diffuse-type tenosynovial giant cell tumours of the soft tissue: a dose-escalation and dose-expansion phase 1 study. *Lancet Oncol.* 2015 Aug;16(8):949-56.
- [2]. Carola H Ries, et al. Targeting tumor-associated macrophages with anti-CSF-1R antibody reveals a strategy for cancer therapy. *Cancer Cell.* 2014 Jun 16;25(6):846-59.

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

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