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Emibetuzumab

Cat. No.:	HY-P99192
CAS No.:	1365287-97-3
Target:	c-Met/HGFR
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description	Emibetuzumab (LY2875358) is a humanized bivalent MET antibody (IgG4 type). Emibetuzumab shows high neutralization and internalization activities, resulting in inhibition of both HGF-dependent and HGF-independent MET pathway activation and tumor growth. Emibetuzumab can be used in study of cancer ^[1] .								
IC₅₀ & Target	MET ^[1] .								
In Vitro	<p>Emibetuzumab (LY2875358) (100 nmol/L; 6 days) inhibits HGF-stimulated proliferation of H596^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Proliferation Assay^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>H596 cells (HGF-stimulated)</td> </tr> <tr> <td>Concentration:</td> <td>100 nmol/L</td> </tr> <tr> <td>Incubation Time:</td> <td>6 days</td> </tr> <tr> <td>Result:</td> <td>Suppressed cell proliferation.</td> </tr> </table>	Cell Line:	H596 cells (HGF-stimulated)	Concentration:	100 nmol/L	Incubation Time:	6 days	Result:	Suppressed cell proliferation.
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Concentration:	100 nmol/L								
Incubation Time:	6 days								
Result:	Suppressed cell proliferation.								
In Vivo	<p>Emibetuzumab (LY2875358) (10 mg/kg; i.v.; once a week for 5 weeks) inhibits in vivo growth of glioblastoma U87MG xenograft tumors in mice^[1]. Emibetuzumab (10 or 20 mg/kg; i.v.; single) downregulates levels of MET and pMET in the tumors of mice^[1]. Emibetuzumab (10 mg/kg; i.v.; once a week for 3, 5 or 6 weeks) exhibits antitumor effects on MET-amplified xenograft mouse tumor models^[1]. 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>Athymic nude mice (U87MG tumor xenograft model)^[1].</td> </tr> <tr> <td>Dosage:</td> <td>10 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Intravenous injection, once a week for 5 weeks.</td> </tr> <tr> <td>Result:</td> <td>Demonstrated a significant inhibition of tumor growth.</td> </tr> </table>	Animal Model:	Athymic nude mice (U87MG tumor xenograft model) ^[1] .	Dosage:	10 mg/kg	Administration:	Intravenous injection, once a week for 5 weeks.	Result:	Demonstrated a significant inhibition of tumor growth.
Animal Model:	Athymic nude mice (U87MG tumor xenograft model) ^[1] .								
Dosage:	10 mg/kg								
Administration:	Intravenous injection, once a week for 5 weeks.								
Result:	Demonstrated a significant inhibition of tumor growth.								

Animal Model:	Athymic nude mice (MKN45 xenograft tumor model) ^[1] .
Dosage:	10 or 20 mg/kg
Administration:	Intravenous injection, single.
Result:	Reduced MET and pMET in the tumors by approximately 50% at both the 10 and 20 mg/kg doses by 72 hours post dose, and the reductions persisted to 14 days.

Animal Model:	Athymic nude mice (MET-amplified xenograft mouse tumor models) ^[1] .
Dosage:	10 mg/kg
Administration:	Intravenous injection, once a week for 3, 5 or 6 weeks.
Result:	Resulted in a marked reduction in tumor growth in the MKN45/SNU-5/EBC-1 gastric xenograft tumors.

REFERENCES

[1]. Liu L, et al. LY2875358, a neutralizing and internalizing anti-MET bivalent antibody, inhibits HGF-dependent and HGF-independent MET activation and tumor growth. Clin Cancer Res. 2014 Dec 1;20(23):6059-70.

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

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