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Farletuzumab ecteribulin

Cat. No.:	HY-P99612
CAS No.:	2407465-18-1
Target:	Antibody-Drug Conjugates (ADCs)
Pathway:	Antibody-drug Conjugate/ADC Related
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description	Farletuzumab ecteribulin (MORAb-202) is an antibody-drug conjugate (ADC), consisting of the humanized anti-human folate receptor alpha (FRA) antibody Farletuzumab (HY-P99153) conjugated via reduced interchain disulfide bonds to Mal-PEG2-Val-Cit-PAB-eribulin. Farletuzumab ecteribulin has a agent-to-antibody ratio of 4.0. Farletuzumab ecteribulin is highly cytotoxic to FRA-positive cells in vitro. Farletuzumab ecteribulin has potent antitumor activity.								
In Vitro	<p>Farletuzumab ecteribulin (MORAb-202; 5.1 pM-10 μM; 5?days) is highly cytotoxic to FRA-positive cells in vitro (IGROV-1: IC₅₀=1 nM, NCI-H2110: IC₅₀=74 nM, A431-A3: IC₅₀=2.3 μM)^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Cytotoxicity Assay^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>Human IGROV-1, OVCAR3-A1, NCI-H2110, A431-A3, and SJSA-1 cells</td> </tr> <tr> <td>Concentration:</td> <td>5.1 pM-10 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>5 days</td> </tr> <tr> <td>Result:</td> <td>MORAb-202 showed potent cytotoxicity against IGROV-1 (IC₅₀=1 nM), NCI-H2110 (IC₅₀=74 nM), and A431-A3 (IC₅₀=2.3 μM). Exhibited little killing activity against the FRA-negative cell line SJSA-1 (IC₅₀>10 μM).</td> </tr> </table>	Cell Line:	Human IGROV-1, OVCAR3-A1, NCI-H2110, A431-A3, and SJSA-1 cells	Concentration:	5.1 pM-10 μM	Incubation Time:	5 days	Result:	MORAb-202 showed potent cytotoxicity against IGROV-1 (IC ₅₀ =1 nM), NCI-H2110 (IC ₅₀ =74 nM), and A431-A3 (IC ₅₀ =2.3 μM). Exhibited little killing activity against the FRA-negative cell line SJSA-1 (IC ₅₀ >10 μM).
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In Vivo	<p>Farletuzumab ecteribulin (MORAb-202; IV; single injection 1, 5?mg/kg at day 0 or 5?mg/kg every 11 days; 60 days) has a significant antitumor activity with once or twice 5 mg/kg^[1].</p> <p>Farletuzumab ecteribulin (2mg/kg; IV) has a T_{1/2}s of 192 and 162 hours and AUC_(0-t)s of 7160 and 6300 ug·h/mL for male and female cynomolgus monkeys on Day 1^[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>Female SWISS nude mice with triple-negative breast cancer (TNBC) patient-derived xenograft (PDX) model (OD-BRE-0631)^[1].</td> </tr> <tr> <td>Dosage:</td> <td>1, 5 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>IV; single injection 1, 5 mg/kg at day 0 ((Q1Dx1) or 5 mg/kg every 11 days (Q11Dx2)); 60 days</td> </tr> </table>	Animal Model:	Female SWISS nude mice with triple-negative breast cancer (TNBC) patient-derived xenograft (PDX) model (OD-BRE-0631) ^[1] .	Dosage:	1, 5 mg/kg	Administration:	IV; single injection 1, 5 mg/kg at day 0 ((Q1Dx1) or 5 mg/kg every 11 days (Q11Dx2)); 60 days		
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Result:	A significant antitumor activity was observed in mice treated once or twice 5 mg/kg, while no antitumor activity compared with vehicle group was observed in mice treated with 1 mg/kg.
Animal Model:	Male and female cynomolgus monkeys ^[1] .
Dosage:	2mg/kg (Pharmacokinetic Analysis)
Administration:	IV
Result:	Had a $T_{1/2}$ s of 192 and 162 hours and $AUC_{(0-t)}$ s of 7160 and 6300 ug·h/mL for male and female cynomolgus monkeys on Day 1.

REFERENCES

- [1]. Keiji Furuuchi, et al. Antibody-drug conjugate MORAb-202 exhibits long-lasting antitumor efficacy in TNBC PDx models. *Cancer Sci.* 2021 Jun;112(6):2467-2480.
- [2]. Xin Cheng, et al. MORAb-202, an Antibody-Drug Conjugate Utilizing Humanized Anti-human FR α Farletuzumab and the Microtubule-targeting Agent Eribulin, has Potent Antitumor Activity. *Mol Cancer Ther.* 2018 Dec;17(12):2665-2675.

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

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