Farletuzumab ecteribulin

Cat. No.:	HY-P99612
CAS No.:	2407465-18-1
Molecular Weight:	149000
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

Farletuzumab ecteribulin (MORAb-202; 5.1 pM-10 μM; 5?days) is highly cytotoxic to FRA-positive cells in vitro (IGROV-1: IC_{50} =1 nM, NCI-H2110: IC_{50}=74 nM, A431-A3: IC_{50}=2.3 μM)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[1]

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).

In Vivo

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].

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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

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 FRα Farletuzumab and the Microtubule-targeting Agent Eribulin, has Potent Antitumor Activity. Mol Cancer Ther. 2018 Dec;17(12):2665-2675.

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