Mertansine

Cat. No.: HY-19792

CAS No.: 139504-50-0

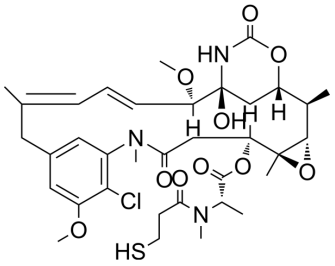
Molecular Formula: $C_{35}H_{48}ClN_3O_{10}S$

Molecular Weight: 738.29

Target: Microtubule/Tubulin; ADC Cytotoxin

Pathway: Cell Cycle/DNA Damage; Cytoskeleton; Antibody-drug Conjugate/ADC Related

Storage: Powder -20°C 3 years
4°C 2 years
* The compound is unstable in solutions, freshly prepared is recommended.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (84.66 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.3545 mL	6.7724 mL	13.5448 mL
	5 mM	0.2709 mL	1.3545 mL	2.7090 mL
	10 mM	0.1354 mL	0.6772 mL	1.3545 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.17 mg/mL (2.94 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (2.82 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (2.82 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mertansine (DM1) is a microtubulin inhibitor and is an antibody-conjugatable maytansinoid that is developed to overcome systemic toxicity associated with maytansine and to enhance tumor-specific delivery. Mertansine can be attached to a monoclonal antibody with a linker to create an antibody-drug conjugate (ADC)[1][2].

IC_{50} & Target

Maytansinoids

In Vitro

Mertansine is a strong antiproliferative chemotherapeutics toward over 60 types of cancer cell lines[3].
Mertansine (0-1 μg/mL) shows antitumor activity in malignant B16F10 melanoma cells, and inhibits tumor cell growth by

inhibiting mitosis when combined with DTX[3].

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

Cell Proliferation Assay

Cell Line:	Malignant B16F10 melanoma cells[3]
Concentration:	0, 0.01, 0.1, and 1 μg/mL
Incubation Time:	4 h
Result:	Showed antitumor activity in malignant B16F10 melanoma cells, with an IC_{50} of 0.092 μg/mL. Co-delivery of DTX and DM1, both of which inhibit tumor cell growth by inhibiting mitosis, is an effective strategy to achieve a combinatorial anticancer effect.

In Vivo

Mertansine (DM1) has a low maximum-tolerated dose (MTD) of 1 mg/kg[3]

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

CUSTOMER VALIDATION

- Nature. 2024 Mar 27.
- Sci Transl Med. 2021 Feb 3;13(579):eabb6282.
- Adv Sci (Weinh). 2023 Jan 22;e2206912.
- Biomaterials. 2022: 121913.
- J Exp Clin Cancer Res. 2023 Aug 9;42(1):200.

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REFERENCES

[1]. Zhong P, et al. cRGD-installed docetaxel-loaded mertansine prodrug micelles: redox-triggered ratiometric dual drug release and targeted synergistic treatment of B16F10 melanoma. Nanotechnology. 2017 Jul 21;28(29):295103.

[2]. Manu Lopus et al. Maytansine and Cellular Metabolites of Antibody-Maytansinoid Conjugates Strongly Suppress Microtubule Dynamics by Binding to Microtubules.

[3]. Lopus M. Antibody-DM1 conjugates as cancer therapeutics. Cancer Lett. 2011 Aug 28;307(2):113-8.

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