Monomethyl auristatin E

Cat. No.: HY-15162

CAS No.: 474645-27-7

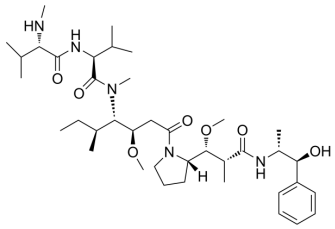
Molecular Formula: $C_{39}H_{67}N_5O_7$

Molecular Weight: 717.98

Target: Microtubule/Tubulin; ADC Cytotoxin; Apoptosis

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

Storage: -20°C, sealed storage, away from moisture and light
* The compound is unstable in solutions, freshly prepared is recommended.



SOLVENT & SOLUBILITY

In Vitro

Ethanol : 50 mg/mL (69.64 mM; Need ultrasonic)
DMSO : ≥ 48 mg/mL (66.85 mM)
H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.3928 mL	6.9640 mL	13.9280 mL
5 mM	0.2786 mL	1.3928 mL	2.7856 mL
10 mM	0.1393 mL	0.6964 mL	1.3928 mL

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

In Vivo

1. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: 2.62 mg/mL (3.65 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.62 mg/mL (3.65 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.48 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.48 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.48 mM); Clear solution
6. Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.48 mM); Clear solution
7. Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.48 mM); Clear solution
8. Add each solvent one by one: 10% EtOH >> 90% corn oil

	Solubility: ≥ 2.5 mg/mL (3.48 mM); Clear solution 9. Add each solvent one by one: 1% DMSO >> 99% saline Solubility: ≥ 0.52 mg/mL (0.72 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Monomethyl auristatin E (MMAE; SGD-1010) is a synthetic derivative of dolastatin 10 and functions as a potent mitotic inhibitor by inhibiting tubulin polymerization. MMAE is widely used as a cytotoxic component of antibody-drug conjugates (ADCs) to treat several different cancer types.
IC_{50} & Target	Auristatin
In Vitro	Monomethyl auristatin E (MMAE) is efficiently released from SGN-35 within $CD30^+$ cancer cells and, due to its membrane permeability, is able to exert cytotoxic activity on bystander cells[1]. MMAE sensitizes colorectal and pancreatic cancer cells to IR in a schedule and dose dependent manner correlating with mitotic arrest. Radiosensitization is evidenced by decreased clonogenic survival and increased DNA double strand breaks in irradiated cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Monomethyl auristatin E (MMAE) in combination with IR results in tumor growth delay, tumor-targeted ACPP-cRGD-MMAE with IR produces a more robust and significantly prolongs tumor regression in xenograft models[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Monomethyl auristatin E (MMAE, 5 nM) and ionizing radiation (IR) treated cells are harvested and lysed in RIPA buffer with protease and phosphatase inhibitors. Thirty μg of lysate undergo electrophoresis using 4-12% Bis-Tris gels, transferred to PVDF membranes and incubated with indicated primary antibodies. Blots are developed by ECL. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Mice[2] 6-8 week old female athymic nu/nu mice are injected subcutaneously into thighs with 5×10^6 HCT-116 or PANC-1 cells in a 1:1 Matrigel and PBS solution. Mice are treated with IR or intravenous (IV) injection of ACPP-cRGD-MMAE (6 nmoles/day, 18 nmoles total, i.v.), tumor tissue is harvested, formalin fixed and paraffin embedded followed by staining with indicated antibodies. The primary antibody is used at a 1:250 dilution and is visualized using DAB as a chromagen with the UltraMap system. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Immunol Res. 2023 Mar 15;CIR-22-0483.
- J Pharm Anal. 24 November 2021.
- Br J Cancer. 2020 Sep;123(7):1101-1113.
- Int J Biol Macromol. 22 July 2022.
- Mol Ther Nucleic Acids. 2018 Mar 2;10:227-236.

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REFERENCES

[1]. Okeley, et al. Intracellular Activation of SGN-35, a Potent Anti-CD30 Antibody-Drug Conjugate. Clinical Cancer Research (2010), 16(3), 888-897.

[2]. Lisa Buckel, et al. Tumor radiosensitization by monomethyl auristatin E: mechanism of action and targeted delivery. Cancer Res. 2015 Apr 1;75(7):1376-87.

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