

Product Data Sheet

Product Name: Monomethyl auristatin E

Cat. No.: GC17276

Chemical Properties

Cas. No. 474645-27-7

Chemical Name (2S)-N-[(2S)-1-[[[(3R,4S,5S)-1-[(2S)-2-[(1R,2R)-3-[[[(1S,2R)-1-hydroxy-1-phenylpropan-2-yl]amino]-1-methoxy-2-methyl-3-oxopropyl]pyrrolidin-1-yl]-3-methoxy-5-methyl-1-oxohexan-4-yl]-methylamino]-3-methyl-1-oxobutan-2-yl]-3-methyl-2-(methylamino)butanamid e

SMILES CCC(C)C(C(CC(=O)N1CCCC1C(C(C)C(=O)NC(C)C(C2=CC=CC=C2)O)OC)OC)N(C)C(=O)C(C(C)C)NC(=O)C(C(C)C)NC

Formula C₃₉H₆₇N₅O₇ M.Wt 717.98

Solubility ≥ 35.9 mg/mL in DMSO, ≥ 48.5 mg/mL in EtOH with ultrasonic and warming Storage Store at -20°C, stored under nitrogen, unstable in solution, ready to use.

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

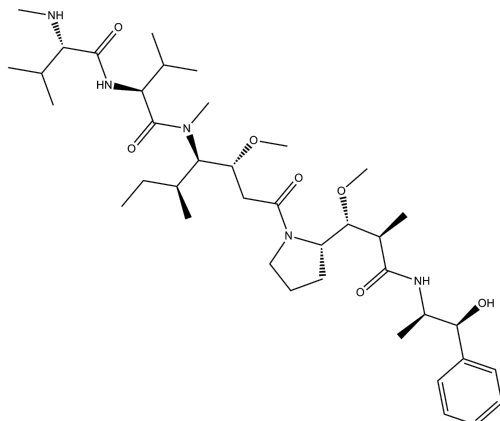
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Protocol

Cell experiment [1]:

Cell lines MCF7-R1 cells

Preparation Method

100,000 MCF7-R1 cells were seeded into each well of a 12-well culture plate, allowed to adhere overnight, and treated with 10 nM Monomethyl Auristatin E (MMAE) or conjugates in the presence of 10 U/mL heparin for 72 h. Then the cells were harvested with a TrypLE Express solution, stained by Annexin V-FITC and propidium iodide, and analyzed by flow cytometry using a NovoCyte 2060R flow cytometer.

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Reaction Conditions 10 nM; for 72 h

Applications After 72 h of treatment of MCF7-R1 cells with 10 nM conjugates, the cells were mainly in the early stage of apoptosis.

Animal experiment [2]:

Animal models Mice

The breast tumor models were prepared via subcutaneous injection of 1×10^6 4T1 cells. When the tumor volumes were approximately 100 mm³, mice were divided into three groups: (i) saline; (ii) Monomethyl Auristatin E (MMAE) (0.2 mg/kg); and (iii) FRRG-MMAE nanoparticles (equivalent dose of 0.2 mg/kg Preparation Method based on MMAE contents). The mice were treated once every three days, and tumor volumes were calculated as the smallest diameter $2 \times$ largest diameter $\times$ 0.53. The mice with a tumor volume of 2000 mm³ or larger were counted as dead. The toxicity study of FRRG-MMAE nanoparticles was assessed via histology.

Dosage form 0.2 mg/kg

Applications The results demonstrated that free MMAE-treated mice showed rapid tumor growth compared to FRRG-MMAE-treated mice during monitoring for 15 days. Finally, mice treated with free MMAE showed significant body weight loss owing to the severe toxicity; eventually, all the mice were dead within five days of treatment. These results show the significantly reduced MMAE-related toxicity in the FRRG-MMAE nanoparticle group.

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References:

[1] Krzyscik MA, et al. Fibroblast Growth Factor 2 Conjugated with Monomethyl Auristatin E Inhibits Tumor Growth in a Mouse Model. *Biomacromolecules*. 2021 Oct 11;22(10):4169-4180.

[2] Cho H, et al. Tumor-Specific Monomethyl Auristatin E (MMAE) Prodrug Nanoparticles for Safe and Effective Chemotherapy. *Pharmaceutics*. 2022 Oct 7;14(10):2131.

Background

Monomethyl auristatin E is a potent synthetic microtubule inhibitor derived from dolastatin 10, commonly used as the toxic payload in antibody-drug conjugates (ADCs). Monomethyl auristatin E can bind to the vincristine site on tubulin to trigger Caspase-3-dependent apoptosis. Monomethyl auristatin E can produce bystander killing effects through moderate hydrophobicity, helping to clear tumor cells with antigen heterogeneity. Monomethyl auristatin E is used in research related to lymphoma, breast cancer, and other malignancies, as well as in ADC drug development^[1-4].

In vitro, Monomethyl auristatin E (0.002–4000nM) was applied to SKBR3 human breast cancer cells and HEK293 human embryonic kidney cells for 72h. Monomethyl auristatin E reduced cell viability and induced cell death in a dose-dependent manner^[5]. Monomethyl auristatin E (0.078–10nM) was conjugated with anti-HER2 IgG1 to form IgG1-vc-MMAE, which was then used to treat HER2-positive NCI-N87 human gastric cancer cells for 24h. Monomethyl auristatin E inhibited N87 cell viability in a dose-dependent manner^[6].

In vivo, Monomethyl auristatin E (0.12mg/kg; intraperitoneal injection every other day for 8 days) was administered to C57BL/6 mice and MDA-MB-231 tumor-bearing Balb/c nude mice. Monomethyl auristatin E induced chemotherapy-induced peripheral neuropathy and chemotherapy-induced cognitive impairment. In the tumor-bearing model, Monomethyl auristatin E reduced graft tumor volume and induced apoptosis^[7]. Monomethyl auristatin E (5mg/kg; single dose) was injected intravenously into SCID mice and SD rats. After release from the antibody-drug conjugate, Monomethyl auristatin E was significantly distributed to red blood cells in mice and rats, reaching blood concentrations approximately 5-fold and 2-fold those in plasma, respectively^[8].

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- [2] Abawi A, Wang X, Bompard J, et al. Monomethyl Auristatin E Grafted-Liposomes to Target Prostate Tumor Cell Lines. *Int J Mol Sci*. 2021 Apr 15;22(8):4103.
- [3] Mendes GMM, Munshani S, Wachtler N, et al. Monomethyl auristatin E and paclitaxel use different mechanisms to alter intracellular calcium signaling. *Biochem Pharmacol*. 2025 Dec;242(Pt 2):117188
- [4] Cho IK, Shim MK, Um W, et al. Light-Activated Monomethyl Auristatin E Prodrug Nanoparticles for Combinational Photo-Chemotherapy of Pancreatic Cancer. *Molecules*. 2022 Apr 14;27(8):2529.
- [5] Abdollahpour-Alitappeh M, Razavi-vakhshourpour S, Lotfinia M, et al. Monomethyl auristatin E exhibits potent cytotoxic activity against human cancer cell lines SKBR3 and HEK293. *Novel Biomed*. 2017;5(4):145-51.
- [6] Kuo WY, Hsu HJ, Wu CY, et al. Antibody-drug conjugates with HER2-targeting antibodies from synthetic antibody libraries are highly potent against HER2-positive human gastric tumor in xenograft models. *Mabs*. 2019 Jan;11(1):153-165.
- [7] Itaborahy MF, Feng IZLF, Vieira-Machado UF, et al. Chemotherapy-induced neuropathy in monomethyl auristatin E treatment: prevention by lithium. *British Journal of Cancer*. 2025;133(6):604-14.
- [8] Yip V, Saad OM, Leipold D, et al. Monomethyl auristatin E(MMAE), a payload for multiple antibody drug conjugates(ADCs), demonstrates differential red blood cell partitioning across human and animal species. *Xenobiotica*. 2024;54(8):511-20.

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