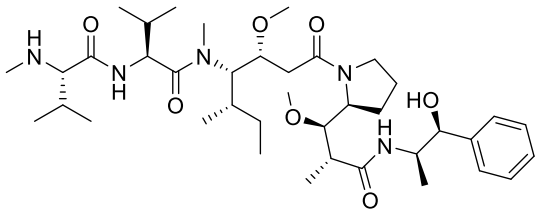
Product data sheet

MedKoo Cat#: 120202 Name: MMAE (Monomethyl auristatin E) CAS#: 474645-27-7 Chemical Formula: $C_{39}H_{67}N_5O_7$ Exact Mass: 717.50405 Molecular Weight: 717.97858	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

MMAE, also known as Monomethyl auristatin E, is a synthetic antineoplastic agent. Because of its toxicity, it cannot be used as a drug itself; instead, it is linked to a monoclonal antibody (MAB) which directs it to the cancer cells. In International Nonproprietary Names for MMAE-MAB-conjugates, the name vedotin refers to MMAE plus its linking structure to the antibody. MMAE is a potent antimitotic drug derived from peptides occurring in marine shell-less mollusc Dolabella auricularia called dolastatins which show potent activity in preclinical studies, both in vitro and in vivo, against a range of lymphomas, leukemia and solid tumors. MMAE show potency of up to 200 times that of vinblastine, another antimitotic drug used for Hodgkin lymphoma as well as other types of cancer.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	45	62.67
Ethanol	50	69.64

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.39 mL	6.96 mL	13.93 mL
5 mM	0.28 mL	1.39 mL	2.79 mL
10 mM	0.14 mL	0.70 mL	1.39 mL
50 mM	0.03 mL	0.14 mL	0.28 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Li Z, Wang M, Yu D, Luo W, Fang J, Huang C, Yao X. Monomethyl auristatin E-conjugated anti-EGFR antibody inhibits the growth of human EGFR-positive non-small cell lung cancer. Cancer Chemother Pharmacol. 2019 Jul;84(1):61-72. doi: 10.1007/s00280-019-03848-9. Epub 2019 Apr 29. PMID: 31037333.

2. Okeley NM, Miyamoto JB, Zhang X, Sanderson RJ, Benjamin DR, Sievers EL, Senter PD, Alley SC. Intracellular activation of SGN-35, a potent anti-CD30 antibody-drug conjugate. Clin Cancer Res. 2010 Feb 1;16(3):888-97. doi: 10.1158/1078-0432.CCR-09-2069. Epub 2010 Jan 19. Erratum in: Clin Cancer Res. 2011 Aug 15;17(16):5524. PMID: 20086002.

In vivo study

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1. Li Z, Wang M, Yu D, Luo W, Fang J, Huang C, Yao X. Monomethyl auristatin E-conjugated anti-EGFR antibody inhibits the growth of human EGFR-positive non-small cell lung cancer. Cancer Chemother Pharmacol. 2019 Jul;84(1):61-72. doi: 10.1007/s00280-019-03848-9. Epub 2019 Apr 29. PMID: 31037333.

2. Buckel L, Savariar EN, Crisp JL, Jones KA, Hicks AM, Scanderbeg DJ, Nguyen QT, Sicklick JK, Lowy AM, Tsien RY, Advani SJ. Tumor radiosensitization by monomethyl auristatin E: mechanism of action and targeted delivery. Cancer Res. 2015 Apr 1;75(7):1376-1387. doi: 10.1158/0008-5472.CAN-14-1931. Epub 2015 Feb 13. PMID: 25681274; PMCID: PMC4458508.

7. Bioactivity

Biological target:

Monomethyl auristatin E (MMAE; SGD-1010) is a synthetic derivative of dolastatin 10 and functions as a potent mitotic inhibitor by inhibiting tubulin polymerization.

In vitro activity

RC68 (monoclonal antibody) recognized EGFR (epidermal growth factor) on tumor cells, particularly for higher EGFR expressing H125, A431, HCC827 and H1975 cells. The RC68 was conjugated with an average of 4 MMAE molecules to generate RC68-MC-VC-PAB-MMAE and RC68-PY-VC-PAB-MMAE, respectively. The RC68-MC-VC-PAB-MMAE, RC68-PY-VC-PAB-MMAE and RC68 displayed similar binding affinity to EGFR on tumor cells, and RC68-MC-VC-PAB-MMAE and RC68-PY-VC-PAB-MMAE were effectively internalized by H125 cells. The RC68-MC-VC-PAB-MMAE and RC68-PY-VC-PAB-MMAE inhibited the growth of H125 cells in vitro with an IC50 7.37-8.04 ng/mL.

Reference: Cancer Chemother Pharmacol. 2019 Jul;84(1):61-72. https://dx.doi.org/10.1007/s00280-019-03848-9

In vivo activity

In SCID mouse xenograft models of anaplastic large cell lymphoma or Hodgkin disease, cAC10-vcMMAE (an anti-CD30-monomethyl auristatin E conjugate) was efficacious at doses as low as 1 mg/kg. Mice treated at 30 mg/kg cAC10-vcMMAE showed no signs of toxicity. These data indicate that cAC10-vcMMAE may be a highly effective and selective therapy for the treatment of CD30+ neoplasias.

Reference: Blood. 2003 Aug 15;102(4):1458-65. https://ashpublications.org/blood/article/102/4/1458/17083/cAC10-vcMMAE-an-anti-CD30-monomethyl-auristatin-E