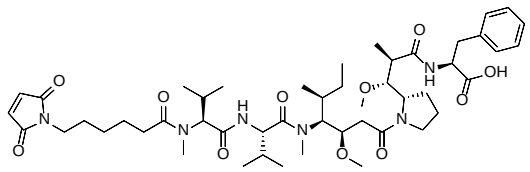
Product data sheet

MedKoo Cat#: 206584 Name: Mafodotin CAS: 863971-19-1 Chemical Formula: $C_{49}H_{76}N_6O_{11}$ Exact Mass: 924.5572 Molecular Weight: 925.178	
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:

Mafodotin, also known as mc-MMAF and SGD-1269 or Maleimidocaproyl monomethylauristatin F, is a MMAF derivative having a Maleimidocaproyl linker (MC linker), which is ready to conjugate to antibody or other proteins or biopolymers. Mafodotin is a useful agent for make antibody drug conjugate (ADC) for targeted drug delivery. MMAF is a potent antimitotic and antitublin auristatin derivative with a charged C-terminal phenylalanine residue that attenuates its cytotoxic activity compared to its uncharged counterpart, MMAE.

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	100.0	108.09

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	1.08 mL	5.40 mL	10.81 mL
5 mM	0.22 mL	1.08 mL	2.16 mL
10 mM	0.11 mL	0.54 mL	1.08 mL
50 mM	0.02 mL	0.11 mL	0.22 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. Yin W, Xu T, Ding H, Zhang J, Bodenko V, Tretyakova MS, Belousov MV, Liu Y, Oroujeni M, Orlova A, Tolmachev V, Gräslund T, Vorobyeva A. Comparison of HER2-targeted affibody conjugates loaded with auristatin- and maytansine-derived drugs. J Control Release. 2023 Feb 14;355:515-527. doi: 10.1016/j.jconrel.2023.02.005. Epub ahead of print. PMID: 36773960.
2. von Achenbach C, Silginer M, Blot V, Weiss WA, Weller M. Depatuxizumab Mafodotin (ABT-414)-induced Glioblastoma Cell Death Requires EGFR Overexpression, but not EGFRY1068 Phosphorylation. Mol Cancer Ther. 2020 Jun;19(6):1328-1339. doi: 10.1158/1535-7163.MCT-19-0609. Epub 2020 May 5. PMID: 32371586.

In vivo study

1. Montes de Oca R, Alavi AS, Vitali N, Bhattacharya S, Blackwell C, Patel K, Seestaller-Wehr L, Kaczynski H, Shi H, Dobrzynski E, Obert L, Tsvetkov L, Cooper DC, Jackson H, Bojczuk P, Forveille S, Kepp O, Sauvat A, Kroemer G, Creighton-Gutteridge M, Yang J, Hopson C, Yanamandra N, Shelton C, Mayes P, Opalinska J, Barnette M, Srinivasan R, Smothers J, Hoos A. Belantamab Mafodotin (GSK2857916) Drives Immunogenic Cell Death and Immune-mediated Antitumor Responses In Vivo. Mol Cancer Ther. 2021 Oct;20(10):1941-1955. doi: 10.1158/1535-7163.MCT-21-0035. Epub 2021 Jul 12. PMID: 34253590; PMCID: PMC9398105.

2. Shi B, Wu M, Li Z, Xie Z, Wei X, Fan J, Xu Y, Ding D, Akash SH, Chen S, Cao S. Antitumor activity of a 5T4 targeting antibody drug conjugate with a novel payload derived from MMAF via C-Lock linker. Cancer Med. 2019 Apr;8(4):1793-1805. doi: 10.1002/cam4.2066. Epub 2019 Mar 7. PMID: 30843650; PMCID: PMC6488119.

7. Bioactivity

Biological target:

McMMAF is a protective group (maleimidocaproyl)-conjugated MMAF, which is a potent tubulin polymerization inhibitor. McMMAF can be used as a drug-linker for antibody-drug conjugates (ADC).

In vitro activity

All constructs had specific and high affinity binding to HER2, human and mouse albumins with values in the low- to sub-nM range. ZHER2-ABD-mcMMAF demonstrated the most potent cytotoxic effect on several HER2-over-expressing cell lines.

Reference: Control Release. 2023 Feb 14;355:515-527. https://pubmed.ncbi.nlm.nih.gov/36773960/

In vivo activity

GSK2857916 treatment enhances intratumor immune cell infiltration and activation, delays tumor growth, and promotes durable complete regressions in immune-competent mice bearing EL4 lymphoma tumors expressing human BCMA (EL4-hBCMA). Responding mice are immune to rechallenge with EL4 parental and EL4-hBCMA cells, suggesting engagement of an adaptive immune response, immunologic memory, and tumor antigen spreading, which are abrogated upon depletion of endogenous $CD8^+$ T cells.

Reference: Mol Cancer Ther. 2021 Oct;20(10):1941-1955. https://pubmed.ncbi.nlm.nih.gov/34253590/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.