PRODUCT INFORMATION

Mertansine-^{13}C-d$_3$

Item No. 44406

Formal Name: (14S,16S,32S,33S,2R,4S,10E,12E,14R)-86-chloro-14-hydroxy-85,14-dimethoxy-33,2,7,10-tetramethyl-12,6-dioxo-7-aza-1(6,4)-oxazinana-3(2,3)-oxirana-8-(1,3)-benzenacyclotetradecaphane-10,12-dien-4-yl N-(3-mercaptopropanoyl)-N-(methyl-^{13}C-d$_3$)-L-alaninate

Synonyms: DM1-^{13}C-d$_3$, Emtansine-^{13}C-d$_3$

MF: $C_{34}[^{13}C]H_{45}D_3ClN_3O_{10}S$

FW: 742.3

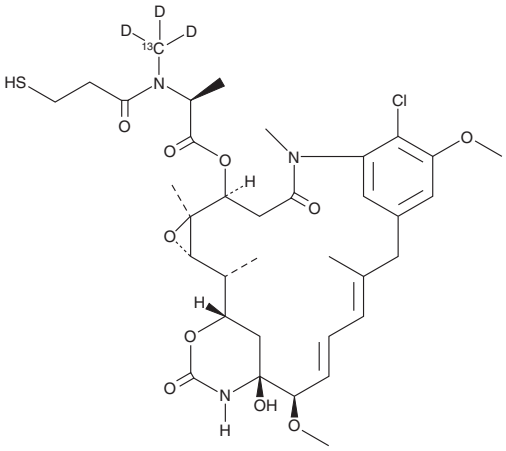
Chemical Purity: ≥95% (Mertansine)

Deuterium Incorporation: ≥99% deuterated forms (d$_1$-d$_3$); ≤1% d$_0$

Supplied as: A solid

Storage: -20°C

Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Mertansine-^{13}C-d$_3$ is intended for use as an internal standard for the quantification of mertansine (Item No. 22483) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Mertansine-^{13}C-d$_3$ is supplied as a solid. A stock solution may be made by dissolving the mertansine-^{13}C-d$_3$ in the solvent of choice, which should be purged with an inert gas. Mertansine-^{13}C-d$_3$ is slightly soluble in chloroform and methanol.

Description

Mertansine is a synthetic maytansinoid antimitotic agent.[1,2] It is cytotoxic to KB epidermoid carcinoma and SK-BR-3 breast cancer cells (IC_{50} = 1.1 nM for both).[1] Mertansine has commonly been used as a payload in antibody-drug conjugates (ADCs) that selectively target cancer cells *in vitro* and in animal models.[3-5] Formulations containing mertansine conjugated to ado-trastuzumab have been used in the treatment of HER2$^+$ breast cancer.

References

1. Widdison, W.C., Wilhelm, S.D., Cavanagh, E.E., *et al.* Semisynthetic maytansine analogues for the targeted treatment of cancer. *J. Med. Chem.* **49(14)**, 4392-4408 (2006).
2. Lopus, M. Antibody-DM1 conjugates as cancer therapeutics. *Cancer Lett.* **307(2)**, 113-115 (2011).
3. Berdeja, J.G. Lorvotuzumab mertansine: Antibody-drug-conjugate for CD56$^+$ multiple myeloma. *Front. Biosci.* **19(1)**, 163-170 (2014).
4. Mckertish, C.M. and Kayser, V. A novel dual-payload ADC for the treatment of HER2$^+$ breast and colon cancer. *Pharmaceutics* **15(8)**, 2020 (2023).
5. Huhe, M., Lou, J., Zhu, Y., *et al.* A novel antibody-drug conjugate, HcHAb18-DM1, has potent anti-tumor activity against human non-small cell lung cancer. *Biochem. Biophys. Res. Commun.* **513(4)**, 1083-1091 (2019).

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