FT-LSG420

Monomethyl auristatin E

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.

Product Description

Catalog #: LSG420, 1 mg LSG421, 5 mg LSG422, 10 mg

Name : **Monomethyl auristatin E**

Vedotin; MMAE

CAS: [474645-27-7]

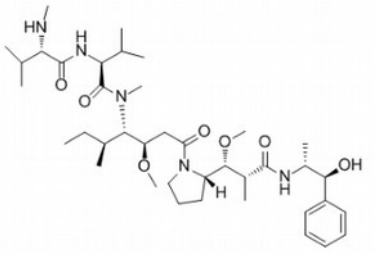
Properties : Structure: $C_{39}H_{67}N_5O_7$

(physical) MW: 717.98 g/mol

Solubility : DMSO: ≥ 48 mg/mL (66.85 mM)

Target: Microtubule/Tubulin; ADC Cytotoxin

Storage : Powder -4°C, stored under nitrogen



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Technical and Scientific Information

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] .

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] .

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.

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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.

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.

Ordering information

Catalog size quantities and prices may be found at http://www.interchim.com.
Please inquire for higher quantities (availability, shipment conditions).
Please contact InterBioTech – Interchim for any other information
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