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产品名称: **Monomethyl auristatin E**
产品别名: **MMAE; SGD-1010**

生物活性:					
Description	Monomethyl auristatin E (MMAE; SGD-1010) is a 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.				
IC ₅₀ & Target	Auristatin				
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].				
Solvent&Solubility	<i>In Vitro:</i> DMSO : ≥ 48 mg/mL (66.85 mM) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
		1 mM	1.3928 mL	6.9640 mL	13.9280 mL
		5 mM	0.2786 mL	1.3928 mL	2.7856 mL
		10 mM	0.1393 mL	0.6964 mL	1.3928 mL
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。				
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.				
实验参考:					
Cell Assay	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.				
	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				



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Animal Administration	intravenous (IV) injection of ACPD-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.



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