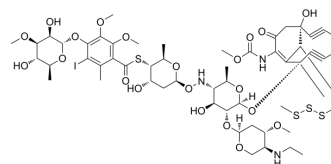


Calicheamicin

Cat. No.:	HY-19609												
CAS No.:	108212-75-5												
Molecular Formula:	C ₅₅ H ₇₄ IN ₃ O ₂₁ S ₄												
Molecular Weight:	1368.35												
Target:	DNA Alkylator/Crosslinker; ADC Cytotoxin; Apoptosis; Bacterial; Antibiotic												
Pathway:	Cell Cycle/DNA Damage; Antibody-drug Conjugate/ADC Related; Apoptosis; Anti-infection												
Storage:	<table border="0"> <tr> <td>Powder</td> <td>-20°C</td> <td>3 years</td> </tr> <tr> <td></td> <td>4°C</td> <td>2 years</td> </tr> <tr> <td>In solvent</td> <td>-80°C</td> <td>6 months</td> </tr> <tr> <td></td> <td>-20°C</td> <td>1 month</td> </tr> </table>	Powder	-20°C	3 years		4°C	2 years	In solvent	-80°C	6 months		-20°C	1 month
Powder	-20°C	3 years											
	4°C	2 years											
In solvent	-80°C	6 months											
	-20°C	1 month											



SOLVENT & SOLUBILITY

In Vitro	DMSO : 25 mg/mL (18.27 mM; Need ultrasonic)				
		Solvent Concentration	Mass		
	Preparing Stock Solutions		1 mg	5 mg	10 mg
		1 mM	0.7308 mL	3.6540 mL	7.3081 mL
		5 mM	0.1462 mL	0.7308 mL	1.4616 mL
	10 mM	0.0731 mL	0.3654 mL	0.7308 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 3 mg/mL (2.19 mM); Clear solution Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (1.83 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (1.83 mM); Suspended solution; Need ultrasonic 				

BIOLOGICAL ACTIVITY

Description	Calicheamicin, an antitumor antibiotic, is a cytotoxic agent that causes double-strand DNA breaks. Calicheamicin is a DNA synthesis inhibitor ^[1] . Calicheamicin is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAC) with molecules containing Azide groups.
IC₅₀ & Target	Calicheamicins

In Vitro	<p>PF-06647263 (anti-EFNA4-ADC) is generated via conjugation of hE22 lysine residues to the AcButDMH-N-Ac-calicheamicin-γ1 linker-payload with an average drug-to-antibody ratio (DAR) of 4.6. PF-06647263 elicits antigen- and concentration-dependent cytotoxicity, as exposure to PF-06647263 for 96 hours results in cell death (EC₅₀ = appr 1 ng/mL)^[1]. CMC-544, consisting of a humanized CD22 Ab linked to calicheamicin, is effective in pediatric primary B-cell precursor acute lymphoblastic leukemia (BCP-ALL) cells in vitro. CMC-544 induces cell death in various ALL cell lines in a dose- and time-dependent way, with IC₅₀ values ranging from 0.15 to 4.9 ng/mL. CMC-544 (10 ng/mL) is effective and specific in primary BCP-ALL cells^[2]. In CMC-544-treated cells, the level of CD22 has decreased relative to that on G5/44-treated cells and continued to decrease^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>An ADC comprising a humanized anti-EFNA4 monoclonal antibody conjugated to the DNA-damaging agent calicheamicin achieves sustained tumor regressions in both TNBC and ovarian cancer PDX in vivo. PF-06647263 (0.27, 0.36 mg/kg) results in significant tumor regressions in TNBC xenografts^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

PROTOCOL

Cell Assay ^[3]	<p>The enhancement of the CDC effect is studied in a similar way in the presence of CMC-544 or G5/44. Specifically, after cells are incubated with or without CMC-544 (5 ng/mL calicheamicin DMH) or G5/44 at 37°C for 2 h, they are washed three times to remove unbound antibodies. The viability of cells before incubation with CMC-544 is 99.8%.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
Animal Administration ^[1]	<p>Cohorts of tumor-bearing mice (140-180 mm³) are randomized into study groups of 6 to 10 based on the number of available mice. The IDBS electronic notebook statistical package, Biobook, is used for automated animal randomization. Animals are dosed by intraperitoneal injection (or intravenously for 144580) twice a week for 4 cycles with ADC, or once a week for 2 cycles with 1.5 mg/kg NSC 123127 for breast PDX tumors or 5 mg/kg NSC 119875 for ovarian PDX. Study groups are followed until either individual mice or entire cohort measurements reach 1,200 mm³, at which point sacrifice is indicated. Tumor regression is defined as a reduction in mean tumor volume after dosing. In cases where tumors regress, time to progression (TTP) is determined to be the number of days between the first dose and the time at which mean tumor volume significantly increase (regrow) after regression.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

CUSTOMER VALIDATION

- PLoS Biol. 2020 Mar 23;18(3):e3000666.
- J Biol Chem. 2022 Jun 23;102191.
- FASEB J. 2018 Jun 6:fj201800092R.
- Research Square Preprint. 2023 Dec 4.
- bioRxiv. 2023 Aug 29.

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REFERENCES

- [1]. Damelin M, et al. Anti-EFNA4 Calicheamicin Conjugates Effectively Target Triple-Negative Breast and Ovarian Tumor-Initiating Cells to Result in Sustained Tumor Regressions. Clin Cancer Res. 2015 Sep 15;21(18):4165-73
- [2]. de Vries JF, et al. The novel calicheamicin-conjugated CD22 antibody inotuzumab ozogamicin (CMC-544) effectively kills primary pediatric acute lymphoblastic

leukemia cells. Leukemia. 2012 Feb;26(2):255-64

[3]. Takeshita A, et al. CMC-544 (inotuzumab ozogamicin), an anti-CD22 immuno-conjugate of calicheamicin, alters the levels of target molecules of malignant B-cells. Leukemia. 2009 Jul;23(7):1329-36.

Caution: Product has not been fully validated for medical applications. For research use only.

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