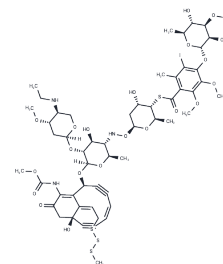


Calicheamicin

Chemical Properties

| | |
|-------------------|--|
| CAS No. : | 108212-75-5 |
| Formula: | C55H74IN3O21S4 |
| Molecular Weight: | 1368.35 |
| Storage: | Store at low temperature Powder: -20°C for 3 years In solvent: -80°C for 1 year <i>Actual storage temperature shall be subject to the COA.</i> |



Biological Description

| | |
|---------------|--|
| Description | Calicheamicin (Calicheamicin γ 1) is an antitumor antibiotic and is a DNA synthesis inhibitor. It also is a cytotoxic agent that causes double-strand DNA breaks. |
| Targets(IC50) | Apoptosis, Antibacterial, Antibiotic, ADC Cytotoxin, DNA Alkylator/Crosslinker |
| In vitro | PF-06647263 (anti-EFNA4-ADC) is generated by conjugating hE22 lysine residues to the AcButDMH-N-Ac-calicheamicin- γ 1 linker-payload, with an average drug-to-antibody ratio (DAR) of 4.6. PF-06647263 induces antigen- and concentration-dependent cytotoxicity, causing cell death after 96 hours of exposure (EC50: \sim 1 ng/mL) [1]. |

Solubility Information

| | |
|---------------------|---|
| Solubility | DMSO: 100 mg/mL (73.08 mM), Sonication is recommended. ($<$ 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (2.92 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i> |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|------------|------------|-------------|
| 1 mM | 0.7308 mL | 3.654 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 |
| 50 mM | 0.0146 mL | 0.0731 mL | 0.1462 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- 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
- 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
- Takehita 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.

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