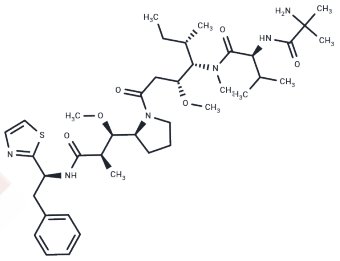
Data Sheet (Cat.No.T16490)

PF-06380101

Chemical Properties



CAS No. : 1436391-86-4

Formula: C39H62N6O6S

Molecular Weight: 743.01

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	PF-06380101 is an auristatin microtubule inhibitor and is a cytotoxic Dolastatin 10 analogue. When compared to other synthetic auristatin analogues that are used in the preparation of ADCs, PF-06380101 displays excellent potencies in tumor cell proliferation assays and differential ADME properties.
Targets(IC50)	Microtubule Associated,ADC Cytotoxin
In vivo	PF-06380101 is considered likely to pose a low risk of causing pharmacokinetic drug interactions for drugs primarily cleared via metabolism by CYP1A2, CYP2B6, CYP2C8, CYP2C9, CYP2C19, CYP2D6, and/or CYP3A4/5 enzymes. It has been found to distribute more in human plasma than in whole blood and is identified as a P-glycoprotein (P-gp) substrate. In pharmacokinetic evaluations, PF-06380101 demonstrated a mean systemic clearance (Cl) rate of 70 mL/min/kg and a volume of distribution (Vss) of 14.70 L/kg following an intravenous (IV) dose of 20a at 20 μg/kg in Wistar Han rats. This resulted in a terminal elimination half-life (t1/2) of approximately 6 hours. The potential of new auristatin analogues, particularly 20a (PF-06380101), as antibody-drug conjugate (ADC) payloads, will be elaborated in forthcoming reports.

Solubility Information

Solubility	DMSO: 65 mg/mL (87.48 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: 2.5 mg/mL (3.36 mM),Sonication is recommended. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.3459 mL	6.7294 mL	13.4588 mL
5 mM	0.2692 mL	1.3459 mL	2.6918 mL
10 mM	0.1346 mL	0.6729 mL	1.3459 mL
50 mM	0.0269 mL	0.1346 mL	0.2692 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

Maderna A, et al. Discovery of cytotoxic dolastatin 10 analogues with N-terminal modifications. J Med Chem. 2014 Dec 26;57(24):10527-43.