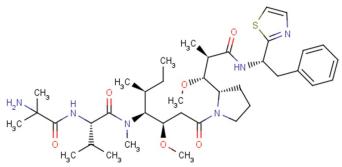
Data Sheet (Cat.No.T16490)

TargetMol
A DRUG SCREENING EXPERT

PF-06380101

Chemical Properties



CAS No.:	1436391-86-4
Formula:	C39H62N6O6S
Molecular Weight:	743.01
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

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(IC_{50})	Auristatin: None
In vivo	PF-06380101 is anticipated to be of low risk to perpetrate pharmacokinetic drug interactions with compounds for which CYP1A2, CYP2B6, CYP2C8, CYP2C9, CYP2C19, CYP2D6, and/or CYP3A4/5-mediated metabolism constitutes the primary mechanism of clearance. PF-06380101 preferentially distributes into human plasma relative to whole blood and that PF-06380101 is a P-glycoprotein (P-gp) substrate. PF-06380101 exhibited a mean systemic clearance (Cl) of 70 mL/min/kg and a volume of distribution (Vss) of 14.70 L/kg after an IV dose of 20a at 20 μg/kg to Wistar Han rats, resulting in a terminal elimination half-life (t1/2) of approximately 6 h. The utility of the new auristatin analogues as ADC payloads including the development of the lead analogue 20a (PF-06380101) will be reported in due course.

Solubility Information

Solubility	DMSO: 65 mg/mL (87.48 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.346 mL	6.729 mL	13.459 mL
5 mM	0.269 mL	1.346 mL	2.692 mL
10 mM	0.135 mL	0.673 mL	1.346 mL
50 mM	0.027 mL	0.135 mL	0.269 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

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

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