Web: www.taiclone.com
Tel: +886-2-2735-9682
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PF-06380101

Catalog No: tcsc3706

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Specifications

CAS No:
1436391-86-4

Formula:
$C_{39}H_{62}N_6O_6S$

Pathway:
Cell Cycle/DNA Damage;Cytoskeleton;Antibody-drug Conjugate/ADC Related

Target:
Microtubule/Tubulin;Microtubule/Tubulin;ADC Cytotoxin

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 65 mg/mL (87.48 mM)

Observed Molecular Weight:
743.01

Product Description

PF-06380101 is a novel cytotoxic Dolastatin 10 analogue; with excellent potencies in tumor cell proliferation assays and differential ADME properties when compared to other synthetic auristatin analogues that are used in the preparation of ADCs.

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IC50 value: ~0.2 nM(GI50 in BT474, MDA-MB-361-DYT2 and N87 cell line) [1]

Target: ADCs cytotoxin; tubulin inhibitor

After an IV dose of 20a at 20 μg/kg to Wistar Han rats, PF-06380101 exhibited a mean systemic clearance (Cl) of 70 mL/min/kg and a volume of distribution (Vss) of 14.70 L/kg, resulting in a terminal elimination half-life (t1/2) of approximately 6 h. PF-06380101 preferentially distributes into human plasma relative to whole blood and that PF-06380101 is a P-glycoprotein (P-gp) substrate. 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. 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.