SZABO SCANDIC

Part of Europa Biosite

Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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PF-06380101

Cat. No.: HY-12522

CAS No.: 1436391-86-4

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

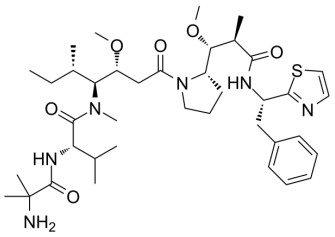
Molecular Weight: 743.01

Target: Microtubule/Tubulin; ADC Cytotoxin

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

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 65 mg/mL (87.48 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.36 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (3.36 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.36 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-06380101 (Aur0101), an auristatin microtubule inhibitor, is a cytotoxic Dolastatin 10 analogue. PF-06380101 (Aur0101) shows 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.

IC_{50} & Target

Auristatin

In Vivo	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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[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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