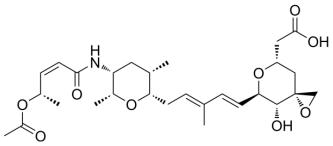
Thailanstatin A

Cat. No.:	HY-129589
CAS No.:	1426953-21-0
Molecular Formula:	$C_{28}H_{41}NO_9$
Molecular Weight:	535.63
Target:	ADC Cytotoxin
Pathway:	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 : 250 mg/mL (466.74 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8670 mL	9.3348 mL	18.6696 mL
	5 mM	0.3734 mL	1.8670 mL	3.7339 mL
	10 mM	0.1867 mL	0.9335 mL	1.8670 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.88 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.88 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Thailanstatin A is an ultra-potent inhibitor of eukaryotic RNA splicing (IC_{50}=650 nM). Thailanstatin A exerts effects via non-covalent binding to the SF3b subunit of the U2 snRNA subcomplex of the spliceosome and shows low-nM to sub-nM IC_{50}s against multiple cancer cell lines. Thailanstatin A, a payload for ADCs, is conjugated to the lysines on trastuzumab yielding "linker-less" ADC[1][2][3].

In Vitro

Thailanstatin A (TST-A) is a potent antiproliferative natural product, can be discovered from Burkholderia thailandensis MSMB43[2].

Thailanstatin A (DU-145, NCI-H232A, MDA-MB-231 and SKOV-3 cells) exhibits potent antiproliferative activities with GI_{50}s in the single nM range (1.11-2.69 nM)[3].

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

REFERENCES

[1]. Puthenveetil S, et al. Natural Product Splicing Inhibitors: A New Class of Antibody-Drug Conjugate (ADC) Payloads. Bioconjug Chem. 2016;27(8):1880-1888.

[2]. Ghosh AK, et al. Enantioselective Synthesis of Thailanstatin A Methyl Ester and Evaluation of in Vitro Splicing Inhibition. J Org Chem. 2018;83(9):5187-5198.

[3]. Liu X, et al. Genomics-guided discovery of thailanstatins A, B, and C As pre-mRNA splicing inhibitors and antiproliferative agents from Burkholderia thailandensis MSMB43. J Nat Prod. 2013;76(4):685-693.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA