# **Product** Data Sheet

# **Tubulysin A**

Cat. No.: HY-15995 CAS No.: 205304-86-5 Molecular Formula:  $C_{43}H_{65}N_5O_{10}S$ Molecular Weight: 844.07

Target: ADC Cytotoxin; Microtubule/Tubulin; Antibiotic

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

infection

Storage: -20°C, protect from light, stored under nitrogen

\* In solvent: -80°C, 6 months; -20°C, 1 month (protect from light, stored under

nitrogen)

## **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 100 mg/mL (118.47 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	1.1847 mL	5.9237 mL	11.8474 mL
	5 mM	0.2369 mL	1.1847 mL	2.3695 mL
	10 mM	0.1185 mL	0.5924 mL	1.1847 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 (2.96 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (2.96 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (2.96 mM); Clear solution

## **BIOLOGICAL ACTIVITY**

Description

Tubulysin A (TubA) is an anticancer and antiangiogenic agent with anti-microtubule, anti-mitosis and anti-proliferative activity against a variety of cancer cells with  $IC_{50}$  values in the pmol range. It can induce apoptosis of cancer cells and has no effect on normal cells. Tubulysins are a group of potent cytotoxins consisting of nine members (A-I). Tubulysin A can synthesize ADC as ADC Cytotoxin<br/> b>ADC Cytotoxin[1][2][3][4].

IC<sub>50</sub> & Target

Traditional Cytotoxic Agents

#### In Vitro

The IC $_{50}$  values of Tubulysin A in the NCI-H1299 (lung), HT-29 (colon) and A2780 (ovary) cell lines are 3, 1 and 2 nmol/L, respectively<sup>[4]</sup>.

The IC<sub>50</sub> values of Tubulysin A against L929 (mouse fibroblast) and KB-V1 (human cervical cancer multidrug resistant cell line) cells were 0.07 and 1.4 ng/ml, respectively<sup>[1]</sup>.

Tubulysin A (1 nM, 10 nM; 24h) has an antiangiogenic effect in HUVEC cells with IC<sub>50</sub> values of 2.07-2.97 nM<sup>[1]</sup>.

Tubulysin A (5h) can inhibit cell migration in HUVEC cells with  $IC_{50}$  value of 2.26 nM<sup>[1]</sup>.

Tubulysin A (72h) can inhibit cell growth in HUVEC cells with  $GI_{50}$  value of 0.34  $nM^{[1]}$ .

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

 ${\it Apoptosis\,Analysis}^{[1]}$ 

HL-60 cells	
0-100 nM	
24/48h	
Had a strong pro-apoptotic effect on HL-60 tumor cell line, but had no significant effect on HUVEC cell line.	

### In Vivo

Tubulysin A (0.04 mg/kg, 0.06 mg/kg; Intraperitoneal (i.p.); once daily for 4 days) can inhibit the growth of tumor cells in mouse xenotransplantation  $model^{[1]}$ .

 $\label{eq:mce} \mbox{MCE has not independently confirmed the accuracy of these methods. They are for reference only.}$ 

Animal Model:	Mouse xenotransplantation $model^{[1]}$
Dosage:	0.04 and 0.06 mg/kg
Administration:	Intraperitoneal (i.p.)
Result:	Inhibited the growth of tumor cells.

## **CUSTOMER VALIDATION**

• Folia Histochem Cytobiol. 2023 Mar 7.

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### **REFERENCES**

- [1]. Schluep T, et al. Polymeric tubulysin-peptide nanoparticles with potent antitumor activity. Clin Cancer Res. 2009 Jan 1;15(1):181-9.
- [2]. Kaur G, et al. Biological evaluation of tubulysin A: a potential anticancer and antiangiogenic natural product. Biochem J. 2006 Jun 1;396(2):235-42.
- [3]. Sasse F, et al. Tubulysins, new cytostatic peptides from myxobacteria acting on microtubuli. Production, isolation, physico-chemical and biological properties. J Antibiot (Tokyo). 2000 Sep;53(9):879-85.

4]. Khalil MW, et al. Mechanism of	action of tubulysin, an antimi	totic peptide from myxobacteria	a. Chembiochem. 2006 Apr;7(4):678-83.	
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