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产品名称：N,BETA,BETA-三甲基-L-苯基丙氨酰基-N-[(1S,2E)-3-羧基-1-(1-甲基乙基)-2-丁烯基]-N,3-二甲基-L-缬氨酰胺
产品别名：Taltobulin；HTI-286; SPA-110

生物活性：

Description

Taltobulin (HTI-286; SPA-110) is an analogue of Hemiasterlin; potent tubulin inhibitor; ADCs cytotoxin. IC50 value: Target: tubulin in vitro: HTI-286 significantly inhibited proliferation of all three hepatic tumor cell lines (mean IC50 = 2 nmol/L +/- 1 nmol/L) in vitro. Interestingly, no decrease in viable primary human hepatocytes (PHH) was detected under HTI-286 exposure [1]. In all cell lines tested, HTI-286 was a potent inhibitor of proliferation and induced marked increases in apoptosis. Despite similar transcriptomic changes regarding cell death and cell cycle regulating genes after exposure to HTI-286 or docetaxel, array analysis revealed distinct molecular signatures for both compounds [2]. in vivo: Intravenous administration of HTI-286 significantly inhibited tumor growth in vivo (rat allograft model) [1]. HTI-286 significantly inhibited growth of PC-3 and LNCaP xenografts and retained potency in PC-3dR tumors. Simultaneous castration plus HTI-286 therapy was superior to sequential treatment in the LNCaP model [2].

Solvent&Solubility

In Vitro:

DMSO : ≥ 100 mg/mL (211.13 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1113 mL	10.5563 mL	21.1126 mL
5 mM	0.4223 mL	2.1113 mL	4.2225 mL
10 mM	0.2111 mL	1.0556 mL	2.1113 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (5.28 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.28 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (5.28 mM); Clear solution

	此方案可获得 ≥ 2.5 mg/mL (5.28 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (5.28 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.28 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Vashist YK, et al. Inhibition of hepatic tumor cell proliferation in vitro and tumor growth in vivo by taltobulin, a synthetic analogue of the tripeptide hemiasterlin. World J Gastroenterol. 2006 Nov 14;12(42):6771-8. [2]. Hadaschik BA, et al. Targeting prostate cancer with HTI-286, a synthetic analog of the marine sponge product hemiasterlin. Int J Cancer. 2008 May 15;122(10):2368-76.