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产品名称：5-氯-6-[2,6-二氟-4-[3-(甲基氨基)丙氧基]苯基]-N-((1S)-2,2,2-三氟-1-甲基乙基)-[1,2,4]三唑并[1,5-A]嘧啶-7-胺
产品别名：Cevipabulin；西维布林；TTI-237

生物活性：

Description	Cevipabulin (TTI-237) is an oral, microtubule-active antitumor compound and inhibits the binding of [^{3}H] vinblastine to tubulin, with an **IC_{50}** of 18-40 nM for cytotoxicity in human tumor cell line[1][2].	
IC_{50} & Target	IC50: 18-40 nM (microtubule in human tumor cells)[1].	
In Vitro	Cevipabulin (0-50 nM, 72 hours) shows good activity (between 18 and 40 nM IC_{50} values) on cell lines from ovarian, breast, prostate, and cervical tumors[1]. Flow cytometry experiments reveal that, Cevipabulin (TTI-237) at low concentrations (20-40 nM) produces sub-G_1 nuclei and, at concentrations above 50 nM, it causes a strong G_2-M block[1].	
	Cell Cytotoxicity Assay[1]	
	Cell Line:	Human cancer cell lines (SK-OV-3, MDA-MB-435, MDA-MB-468, LnCaP, and Hela cells).
	Concentration:	0-50 nM
	Incubation Time:	72 hours
	Result:	The IC_{50} values are 24±8 nM, 21±4 nM, 18±6 nM, 22±7 nM and 40 nM in SK-OV-3, MDA-MB-435, MDA-MB-468, LnCaP and Hela cells.
In Vivo	Cevipabulin (TTI-2370)(5, 10, 15, and 20 mg/kg, every 4 days for 4 cycles, in mice) is active by i.v. and p.o. administration against human tumor xenografts, showing dose-dependent effects, with good antitumor activity at 20 and 15 mg/kg[1].	
	Animal Model:	Athymic nu/nu female mice implanted s.c. in the flank with 1×10^7 LoVo human colon adenocarcinoma cells[1]
	Dosage:	5, 10, 15, and 20 mg/kg
	Administration:	I.V. injection every 4 days for 4 cycles.
	Result:	The compound showed dose-dependent effects, with good antitumor activity at 20 and 15 mg/kg.

In Vitro:

DMSO : 16.67 mg/mL (**35.86 mM;** Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	**1 mg**	**5 mg**	**10 mg**
	1 mM	2.1514 mL	10.7569 mL	21.5137 mL
	5 mM	0.4303 mL	2.1514 mL	4.3027 mL
	10 mM	0.2151 mL	1.0757 mL	2.1514 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:

Solvent&Solubility	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: ≥ 1.67 mg/mL (3.59 mM); Clear solution 此方案可获得 ≥ 1.67 mg/mL (3.59 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 16.699999 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: 1.67 mg/mL (3.59 mM); Suspended solution; Need ultrasonic 此方案可获得 1.67 mg/mL (3.59 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 以 1 mL 工作液为例，取 100 μL 16.699999 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: ≥ 1.67 mg/mL (3.59 mM); Clear solution 此方案可获得 ≥ 1.67 mg/mL (3.59 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 16.699999 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Beyer CF, et al. TTI-237: a novel microtubule-active compound with in vivo antitumor activity. Cancer Res. 2008 Apr 1;68(7):2292-300. [2]. Beyer CF, et al. The microtubule-active antitumor compound TTI-237 has both paclitaxel-like and vincristine-like properties. Cancer Chemother Pharmacol. 2009 Sep;64(4):681-9.