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产品名称：CKD-602 盐酸盐
产品别名：Belotecan hydrochloride ；盐酸贝洛替康

生物活性：

Description	Belotecan hydrochloride (CKD-602 hydrochloride), a Topoisomerase I inhibitor, is a synthetic and water-soluble camptothecin derivative.
IC_{50} & Target	Top1
In Vitro	Belotecan exerts a significant cytotoxic effect on YD-8, YD-9 and YD-38 cells in a time- and dose-dependent manner with IC50 values of 2.4, 0.18 and 0.05 μg/mL at 72 h following treatment. Belotecan induces apoptosis in these cell lines. Belotecan induces G2/M phase arrest in oral squamous cell cancer cells[1]. Belotecan shows a significant anticancer effect on glioma cells, with IC50 values of 9.07 nM for LN229, 14.57 nM for U251 MG, 29.13 nM for U343 MG, and 84.66 nM for U87 MG[2].
In Vivo	Belotecan has a significant effect on intracerebral glioma growth, with animals having significantly smaller tumors than those in the control group[3].

Solvent&Solubility

In Vitro:

DMSO : ≥ 50 mg/mL (106.39 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1278 mL	10.6392 mL	21.2784 mL
	5 mM	0.4256 mL	2.1278 mL	4.2557 mL
	10 mM	0.2128 mL	1.0639 mL	2.1278 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 (5.32 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.32 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 (5.32 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.32 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 (5.32 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.32 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]. Kim YK, et al. Anticancer effects of CKD-602 (Camtobell®) via G2/M phase arrest in oral squamous cell carcinoma cell lines. Oncol Lett. 2015 Jan;9(1):136-142. [2]. Kim YY, et al. CKD-602, a camptothecin derivative, inhibits proliferation and induces apoptosis in glioma cell lines. Oncol Rep. 2009 Jun;21(6):1413-9. [3]. Kim CY, et al. Antitumor activity of CKD-602, a camptothecin derivative, in a mouse glioma model. J Clin Neurosci. 2012 Feb;19(2):301-5.

实验参考：

Cell Assay	The cells are treated with different concentrations (0.01, 0.1, 0.5, 1, 5 and 10 μg/mL) of belotecan for 24, 48 and 72 h. Control samples of each cell line are treated with medium only. Cell viability is measured using the MTS assay[1].
Animal Administration	Mice: Nude mice with established U87MG glioma are treated with a dose of belotecan of 0 mg/kg (control group, injection with saline), 40 mg/kg (group A) or 60 mg/kg (group B). Thereafter, the dose is repeated once every 4 days for a total of four doses. Tumor volume is measured histologically and apoptosis is detected[1].
References	[1]. Kim YK, et al. Anticancer effects of CKD-602 (Camtobell®) via G2/M phase arrest in oral squamous cell carcinoma cell lines. Oncol Lett. 2015 Jan;9(1):136-142. [2]. Kim YY, et al. CKD-602, a camptothecin derivative, inhibits proliferation and induces apoptosis in glioma cell lines. Oncol Rep. 2009 Jun;21(6):1413-9. [3]. Kim CY, et al. Antitumor activity of CKD-602, a camptothecin derivative, in a mouse glioma model. J Clin Neurosci. 2012 Feb;19(2):301-5.