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产品名称：反-4-羧基-5-辛基-3-甲基-丁内酯
产品别名：trans-C75； (±)-C75

生物活性：

Description	trans-C75 ((±)-C75) is an enantiomer of C75. C75 is a synthetic fatty-acid synthase (FASN) inhibitor.
IC_{50} & Target	IC50: 35 μM (PC3 cell)[1]
In Vitro	trans-C75 ((±)-C75) inhibits PC3 cell growht with an IC50 of 35 μM at 24 h. trans-C75 ((±)-C75)(10-50 μM) also reduces the growth of LNCaP spheroids in a concentration-dependent manner with an IC50 of 50 μM[1]. trans-C75 ((±)-C75) inhibits FAS activity and has a cytotoxic effect on tumor cell lines, without affecting food consumption. trans-C75 ((±)-C75) inhibits CPT1 and its administration produces anorexia, suggesting that central inhibition of CPT1 is essential for the anorectic effect of C75. The differential activity of C75 enantiomers may lead to the development of potential new specific drugs for cancer and obesity[2].
In Vivo	C75 blocks fasting-induced c-Fos expression in the arcuate nucleus (Arc), lateral hypothalamic area (LHA), and paraventricular nucleus (PVN) 10–24 h after i.p. injection. Intraperitoneal administration of C75 at 30 mg/kg body weight inhibits food intake of mice by ≥95% within 2 h after i.p. injection[3]. C75-treated DIO mice has a 50% greater weight loss, and a 32.9% increased production of energy because of fatty acid oxidation. C75 treatment of rodent adipocytes and hepatocytes and human breast cancer cells increases fatty acid oxidation and ATP levels by increasing CPT-1 activity, even in the presence of elevated concentrations of malonyl-CoA[4].

Solvent&Solubility

In Vitro:

DMSO : 83.3 mg/mL (327.54 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.9321 mL	19.6603 mL	39.3205 mL
	5 mM	0.7864 mL	3.9321 mL	7.8641 mL
	10 mM	0.3932 mL	1.9660 mL	3.9321 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 (9.83 mM); Suspended solution

此方案可获得 ≥ 2.5 mg/mL (9.83 mM，饱和度未知) 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 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 (9.83 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (9.83 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 (9.83 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (9.83 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]. Rae C, et al. Inhibition of Fatty Acid Synthase Sensitizes Prostate Cancer Cells to Radiotherapy. [2]. Makowski K, et al. Differential pharmacologic properties of the two C75 enantiomers: (+)-C75 is a strong anorectic drug; (-)-C75 has antitumor activity. Chirality. 2013 May;25(5):281-7. [3]. Gao S, et al. Effect of the anorectic fatty acid synthase inhibitor C75 on neuronal activity in the hypothalamus and brainstem. Proc Natl Acad Sci U S A. 2003 May 13;100(10):5628-33. [4]. Thupari JN, et al. C75 increases peripheral energy utilization and fatty acid oxidation in diet-induced obesity. Proc Natl Acad Sci U S A. 2002 Jul 9;99(14):9498-502.

实验参考：

Cell Assay	Cells are seeded in 96-well plates and incubated for 2 days to allow exponential phase growth. Cells are then ished twice with PBS and treated with C75. After 24 or 48 h incubation, MTT is added to a final concentration of 0.5 mg/ml and cultures are incubated for 2 h. Cells are then solubilized with DMSO before measuring absorbance at 570 nm. Cell growth is also measured, using MTT assay, every 24 h up to 96 h[1].
Animal Administration	Mice: C75 is administered either by i.p. (i.p.; 30 mg/kg of body weight) or i.c.v. (10 μg in 3 μL of RPMI medium 1640) injection. One, 11.5, and 24 h after i.p. injection, cumulative food intake is measured, mice are killed, brains are sectioned, and slices are subjected to immunohistochemical staining for c-Fos. All i.p. injections are given 1 h before the start of the dark cycle. For i.c.v. injection, mice are anesthetized with metofane and given 3 μl of RPMI medium 1640 (control) or C75 in RPMI medium 1640 into the lateral ventricle with a calibrated 10-μl Hamilton syringe[3].
References	[1]. Rae C, et al. Inhibition of Fatty Acid Synthase Sensitizes Prostate Cancer Cells to Radiotherapy. [2]. Makowski K, et al. Differential pharmacologic properties of the two C75 enantiomers: (+)-C75 is a strong anorectic drug; (-)-C75 has antitumor activity. Chirality. 2013 May;25(5):281-7. [3]. Gao S, et al. Effect of the anorectic fatty acid synthase inhibitor C75 on neuronal activity in the hypothalamus and brainstem. Proc Natl Acad Sci U S A. 2003 May 13;100(10):5628-33. [4]. Thupari JN, et al. C75 increases peripheral energy utilization and fatty acid oxidation in diet-induced obesity. Proc Natl Acad Sci U S A. 2002 Jul 9;99(14):9498-502.