上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：Fatostatin A
产品别名：脂肪抑制素；Fatostatin；125B11

生物活性：

Description	Fatostatin (125B11), a specific inhibitor of SREBP activation, impairs the activation of SREBP-1 and SREBP-2. Fatostatin binds to SCAP (SREBP cleavage-activating protein), and inhibits the ER-Golgi translocation of SREBPs. Fatostatin decreases the transcription of lipogenic genes in cells. Fatostatin possesses antitumor properties, and lowers hyperglycemia in ob/ob mice[1][2].
In Vitro	Fatostatin (125B11) (0.1-1 μM; 3 days) inhibits the androgen-independent prostate cancer cell proliferation (IC50=0.1 μM) in an independent of the known IGF1-signaling pathway. Fatostatin inhibits insulin-induced adipogenesis of 3T3-L1 cells[1]. Fatostatin directly binds SCAP and blocks its ER-to-Golgi transport with IC50 of 2.5 and 10 μM in mammalian cells.
	Cell Proliferation Assay[1]
	Cell Line: DU-145 cells
	Concentration: 0.1, 1 μM
	Incubation Time: 3 days
	Result: Impaired the IGF1-induced growth at an IC_{50} of 0.1 μM.
In Vivo	Fatostatin (125B11) (30 mg/kg; 150 mL; i.p. injection; daily for 28 days) reduces adiposity, ameliorated fatty liver by reducing triglyceride (TG) storage, and lowered hyperglycemia in ob/ob mice[2].
	Animal Model: Four-to-five-week-old homozygous male obese (*ob/ob*) mice (C57BL/6J)[2]
	Dosage: 30 mg/kg; 150 mL
	Administration: i.p. injection; daily for 28 days
	Result: Blocked increases in body weight, blood glucose, and hepatic fat accumulation in obese *ob/ob* mice, even under uncontrolled food intake.
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 27 mg/mL (91.71 mM)** **H_2O : < 0.1 mg/mL** (insoluble) * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.3966 mL	16.9831 mL	33.9662 mL
5 mM	0.6793 mL	3.3966 mL	6.7932 mL
10 mM	0.3397 mL	1.6983 mL	3.3966 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 (8.49 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (8.49 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 (8.49 mM); Suspended solution; Need ultrasonic 此方案可获得 2.5 mg/mL (8.49 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 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 (8.49 mM); Suspended solution; Need ultrasonic 此方案可获得 2.5 mg/mL (8.49 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 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]. Choi Y, et al. Identification of bioactive molecules by adipogenesis profiling of organic compounds. J Biol Chem. 2003 Feb 28;278(9):7320-4. [2]. Kamisuki S, et al. A small molecule that blocks fat synthesis by inhibiting the activation of SREBP. Chem Biol. 2009 Aug 28;16(8):882-92. [3]. Li X et al. Fatostatin displays high antitumor activity in prostate cancer by blocking SREBP-regulated metabolic pathways and androgen receptor signaling. Mol Cancer Ther. 2014 Apr;13(4):855-66. [4]. Shao W et al. Fatostatin blocks ER exit of SCAP but inhibits cell growth in a SCAP-independent manner. J Lipid Res. 2016 Aug;57(8):1564-73. [5]. Inoue K et al. Fatostatin, an SREBP inhibitor, prevented RANKL-induced bone loss by suppression of osteoclast differentiation. Biochim Biophys Acta. 2015 Nov;1852(11):2432-41.