

Fatostatin

Cat. No.: HY-14452 CAS No.: 125256-00-0 Molecular Formula: $C_{18}H_{18}N_{2}S$ Molecular Weight: 294.41

Target: Fatty Acid Synthase (FASN) Pathway: Metabolic Enzyme/Protease -20°C Storage: Powder 3 years

4°C 2 years -80°C In solvent 2 years

> -20°C 1 year

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: ≥ 27 mg/mL (91.71 mM)

* "≥" 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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (8.49 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (8.49 mM); Suspended solution; Need ultrasonic
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: 2.5 mg/mL (8.49 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

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 IC $_{50}$ of 2.5 and 10 μ M in mammalian cells.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay^[1]

Cell Line:	DU-145 cells	
Concentration:	0.1, 1 μΜ	
Incubation Time:	3 days	
Result:	Impaired the IGF1-induced growth at an IC $_{50}$ of 0.1 $\mu\text{M}.$	

In Vivo

Fatostatin (125B11) (30 mg/kg; 150 μ L; 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].

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Animal Model:	Four-to-five-week-old homozygous male obese (ob/ob) mice (C57BL/6J) ^[2]	
Dosage:	30 mg/kg; 150 μL	
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.	

CUSTOMER VALIDATION

- Cell Metab. 2021 Aug 3;33(8):1655-1670.e8.
- Autophagy. 2021 Jul;17(7):1592-1613.
- Cell Death Differ. 2021 Jun;28(6):2001-2018.
- J Exp Clin Cancer Res. 2019 May 29;38(1):228.
- Cell Death Dis. 2021 May 26;12(6):544.

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REFERENCES

- [1]. 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.
- [2]. 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.
- [3]. 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.
- [4]. Choi Y, et al. Identification of bioactive molecules by adipogenesis profiling of organic compounds. J Biol Chem. 2003 Feb 28;278(9):7320-4.
- [5]. 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.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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