Orlistat

Cat. No.: HY-B0218

CAS No.: 96829-58-2

Molecular Formula: $C_{29}H_{53}NO_5$

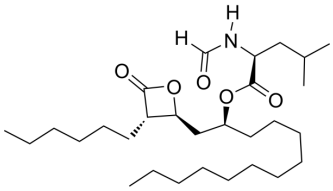
Molecular Weight: 495.73

Target: Fatty Acid Synthase (FASN); Apoptosis

Pathway: Metabolic Enzyme/Protease; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (201.72 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0172 mL	10.0861 mL	20.1723 mL
5 mM	0.4034 mL	2.0172 mL	4.0345 mL
10 mM	0.2017 mL	1.0086 mL	2.0172 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 (5.04 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.04 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.04 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Orlistat (Tetrahydrolipstatin) is a well-known irreversible inhibitor of pancreatic and gastric lipases. Orlistat is also an inhibitor of fatty acid synthase (FASN), is used orally for long-term research of obesity[1]. Anti-atherosclerotic effect[2].

In Vitro

Orlistat (40 μM; 2 days) does not affect MGMT levels in a human melanoma cell line, but downregulates the repair protein by 30-70% in human peripheral blood mononuclear cells, in two leukemia and two colon cancer cell lines. Orlistat does not alter noticeably MGMT mRNA expression[1].

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

Western Blot Analysis[1]

Cell Line:	The human melanoma cell line M10, Peripheral blood mononuclear cells , The human Jurkat $CD4^+$ T cell leukemia cell line, the human promyelocytic leukemia cell line HL-60, the epithelial colon cancer HCT116 cells,non adherent mononuclear cells (NAMNC)[1]
Concentration:	2.5, 5, 10, 20, 40 μM for Jurkat cells; 20 and 40 μM for HCT116 cells; 40 μM for normal NAMNC, M10 melanoma, HL-60 promyelocytic leukemia, and HT-29 colon cancer cells
Incubation Time:	2 days for Jurkat cells; 2 or 4 days for HCT116 cells; 2 days for NAMNC, M10 melanoma, HL-60 promyelocytic leukemia, HT-29 colon cancer
Result:	Reduced by >50% the MGMT level at the concentration of 40 μM for Jurkat cells, whereas little or no effect was found when lower concentrations were used.Downregulation of MGMT expression is produced at 40 μM for HCT116 cells. Provoked an ~50% reduction of MGMT level at 40 μM in normal NAMNC, and HL-60 promyelocytic leukemia, HT-29 colon cancer cells except for melanoma M10 cells that showed no downregulation of the protein.

In Vivo

Orlistat (10 mg/kg/day) significantly improves lipid profile, increases antioxidant enzymes and expression of anti-inflammatory markers, and decreases the expression of the pro-inflammatory marker compared to the obese (OB) group[2].

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Animal Model:	Eighteen male rats of Sprague–Dawley strain aged between 8–10 weeks weighing 200-250 g[2]
Dosage:	10 mg/kg/day
Administration:	Orally; six weeks
Result:	Treatment persistently restored the increased body weight, which was significantly observed at the ninth week until the end of the experimental period.

CUSTOMER VALIDATION

- Acta Pharm Sin B. 15 January 2022.
- J Exp Clin Cancer Res. 2023 Jan 6;42(1):6.
- Int J Biol Sci. 2021 Oct 11;17(15):4207-4222.
- Cell Rep. 2024 May 18;43(6):114246.
- Oncogene. 2023 Jul 3.

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REFERENCES

[1]. Giorgia Cioccoloni, et al. Influence of fatty acid synthase inhibitor orlistat on the DNA repair enzyme O6-methylguanine-DNA methyltransferase in human normal or malignant cells in vitro. Int J Oncol. 2015 Aug;47(2):764-72.

[2]. Zaidatul Akmal Othman, et al. Anti-Atherogenic Effects of Orlistat on Obesity-Induced Vascular Oxidative Stress Rat Model. Antioxidants (Basel). 2021 Feb 6;10(2):251.

Caution: Product has not been fully validated for medical applications. For research use only.

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