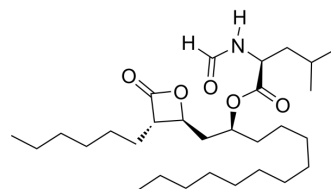


Orlistat

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)
 H₂O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent Concentration	Mass		
		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

- 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
- 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
- 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 μ M for Jurkat cells; 20 and 40 μ M for HCT116 cells; 40 μ M 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 μ M for Jurkat cells, whereas little or no effect was found when lower concentrations were used.Downregulation of MGMT expression is produced at 40 μ M for HCT116 cells. Provoked an ~50% reduction of MGMT level at 40 μ M 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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

- Nat Commun. 2024 Jul 26;15(1):6311.
- Brain Behav Immun. 2024 Sep 24:S0889-1591(24)00629-9.
- 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.

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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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