

Product Data Sheet

Product Name: Orlistat
Cat. No.: GC17318

Chemical Properties

Cas. No. 96829-58-2

Chemical Name [(2S)-1-[(2S,3S)-3-hexyl-4-oxooxetan-2-yl]tridecan-2-yl] (2S)-2-formamido-4-methylpentanoate

SMILES CCCCCCCCCCCC(C(C1C(C(=O)O1)CCCCC)OC(=O)C(CC(C)C)NC=O

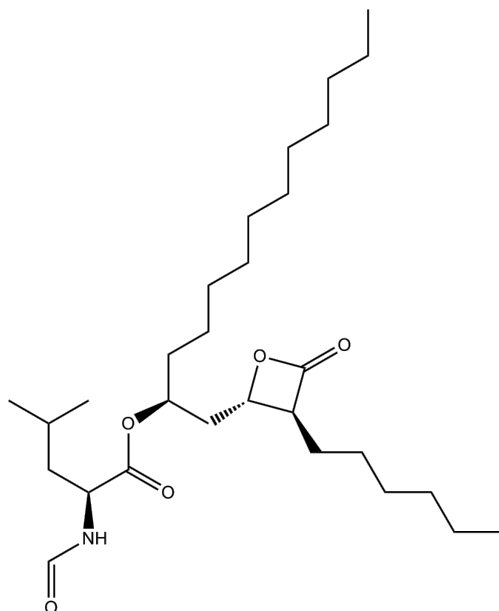
Formula $C_{29}H_{53}NO_5$ M.Wt 495.73

Solubility $\geq 17.4\text{mg/mL}$ in DMSO Storage Store at 2-8°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

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

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Cell lines prostate cancer cells(PC3)

Preparation Method The effect of Orlistat on proliferation of prostate cancer cells was measured by the incorporation of bromodeoxyuridine (BrdUrd). PC-3 was seeded as subconfluent monolayers into microtiter plates. Cells were exposed to Orlistat for 32 h, then a BrdUrd labeling solution was added to the wells.

Reaction Conditions 0-25µM;32h

Applications Orlistat induced a pronounced antiproliferative effect in the PC-3 cell line.

Animal experiment [2]:

Animal models Male athymic nude mice 4-5 weeks of age

Preparation Method PC-3 cells were injected into the flank of nude mice. Tumors were allowed to grow until they reached a size of 100 mm³, at which time administration of Orlistat or vehicle was initiated in separate sets of 8 mice. Orlistat was administered at 240 mg/kg/day for a period of 3 weeks.

Dosage form 240 mg/kg/day;3 weeks; i.p.

Applications Orlistat prevented the growth of PC-3 tumors.

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References:

[1]. Kridel SJ, Axelrod F, et.al. Orlistat is a novel inhibitor of fatty acid synthase with antitumor activity. Cancer Res. 2004 Mar 15;64(6):2070-5. doi: 10.1158/0008-5472.can-03-3645. PMID: 15026345.

Background

Orlistat is an irreversible inhibitor of lipases in the pancreas and stomach. It is a drug for the treatment of obesity. It suppresses the action of gastrointestinal lipases, thereby impairing the metabolism of lipids in the gastrointestinal lumen, which can prevent the absorption of 30% of the lipids in dietary fat[1,2]. Orlistat is very hydrophobic and binds covalently to the active serine residues of pancreatic lipase. Orlistat passes through cell membranes sufficiently and exerts intracellular effects[3].

Orlistat(0-25 μ M;32h) induced a pronounced antiproliferative effect in the PC-3 cell line[4]. Orlistat inhibits Jurkat cell growth and induces a perturbation of cell cycle along with a decline of FASN activity and protein levels[6]. Orlistat-mediated(0-50 μ M) FASN inhibition could overcome sorafenib resistance and enhance cell killing in HCC by changing cell metabolism[7].

Orlistat(10 mg/kg/day, p.o.) alleviates colon cancer promotion in WD-driven CAC mice by suppressing inflammation, especially by inhibiting STAT3 and NF- κ B activation[5].Orlistat(240 mg/kg/day;3 weeks; i.p.) prevented the growth of PC-3 tumors. Animals exhibited no outward signs of toxicity, experienced no loss of weight, nor were there any effects of Orlistat on hematocrit or WBC levels[4].

References:

[1]. Chandra P, Enespa, et.al. Microbial lipases and their industrial applications: a comprehensive review. Microb Cell Fact. 2020 Aug 26;19(1):169. doi: 10.1186/s12934-020-01428-8. PMID: 32847584; PMCID: PMC7449042.

[2]. Bansal AB, Al Khalili Y. Orlistat. 2022 Nov 2. In: StatPearls [Internet]. Treasure Island (FL): StatPearls Publishing; 2022 Jan-. PMID: 31194359.

[3]. Padwal, et.al. Drug treatments for obesity: Orlistat, sibutramine, and rimonabant. Lancet 2007, 369, 71-77.

[4]. Kridel SJ, Axelrod F, et.al. Orlistat is a novel inhibitor of fatty acid synthase with antitumor activity. Cancer Res. 2004 Mar 15;64(6):2070-5. doi: 10.1158/0008-5472.can-03-3645. PMID: 15026345.

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- [5]. Jin BR, Kim HJ, et.al. Anti-Obesity Drug Orlistat Alleviates Western-Diet-Driven Colitis-Associated Colon Cancer via Inhibition of STAT3 and NF- κ B-Mediated Signaling. *Cells*. 2021 Aug 11;10(8):2060. doi: 10.3390/cells10082060. PMID: 34440829; PMCID: PMC8394553.
- [6]. Cioccoloni G, Aquino A, et.al. Fatty acid synthase inhibitor orlistat impairs cell growth and down-regulates PD-L1 expression of a human T-cell leukemia line. *J Chemother*. 2020 Feb;32(1):30-40. doi: 10.1080/1120009X.2019.1694761. Epub 2019 Nov 28. PMID: 31775585.
- [7]. Shueng PW, Chan HW, et.al. Orlistat Resensitizes Sorafenib-Resistance in Hepatocellular Carcinoma Cells through Modulating Metabolism. *Int J Mol Sci*. 2022 Jun 10;23(12):6501. doi: 10.3390/ijms23126501. PMID: 35742944; PMCID: PMC9223797.

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