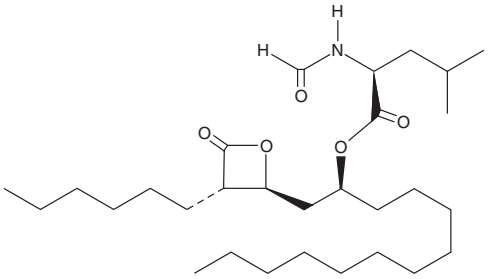
PRODUCT INFORMATION

Orlistat

Item No. 10005426

CAS Registry No.:	96829-58-2
Formal Name:	N-formyl-L-leucine-(1S)-1-[[(2S,3S)-3-hexyl-4-oxo-2-oxetanyl]methyl]dodecyl ester
Synonyms:	Ro 18-0647/002, (–)-Tetrahydrolipstatin
MF:	$C_{29}H_{53}NO_5$
FW:	495.7
Purity:	≥98%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Orlistat is supplied as a crystalline solid. A stock solution may be made by dissolving the orlistat in the solvent of choice, which should be purged with an inert gas. Orlistat is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of orlistat in ethanol and DMF is approximately 20 mg/ml and approximately 10 mg/ml in DMSO.

Description

Orlistat is a digestive lipase inhibitor.[1-3] It inhibits diacylglycerol lipase α (DAGLα), DAGLβ, α/β-hydrolase domain-containing protein 12 (ABHD12), ABHD16A, and platelet-activating factor acetylhydrolase (PAF-AH; IC_{50}s = 0.06, 0.1, 0.08, 0.03, and 0.05 µM, respectively), as well as pancreatic lipase and hormone-sensitive lipase (IC_{50}s = 0.65 and 2.1 µg/ml, respectively) but does not inhibit fatty acid amide hydrolase (FAAH) or KIAA1363 (IC_{50}s = >100 µM for both). Orlistat decreases ionomycin-induced production of the endocannabinoid 2-arachidonoyl glycerol (2-AG) in N18TG2 murine neuroblastoma cells when used at a concentration of 1 µM.[4] It also inhibits fatty acid synthase (FASN; apparent K_i = ~0.1 µM for the human enzyme) and the proliferation of PC3 prostate cancer cells in a concentration-dependent manner.[5] Orlistat (10 mg/kg) decreases serum cholesterol levels and total body weight in a mouse model of obesity induced by a high-fat diet.[6] Formulations containing orlistat have been used in the treatment of adult obesity.

References

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2. Hoover, H.S., Blankman, J.L., Niessen, S., *et al.* Selectivity of inhibitors of endocannabinoid biosynthesis evaluated by activity-based protein profiling. *Bioorg. Med. Chem. Lett.* **18(22)**, 5838-5841 (2008).
3. Bustanji, Y., Issa, A., Mohammad, M., *et al.* Inhibition of hormone sensitive lipase and pancreatic lipase by *Rosmarinus officinalis* extract and selected phenolic constituents. *J. Med. Plant Res.* **4(21)**, 2235-2242 (2010).
4. Bisogno, T., Cascio, M.G., Saha, B., *et al.* Development of the first potent and specific inhibitors of endocannabinoid biosynthesis. *Biochim. Biophys. Acta* **1761(2)**, 205-212 (2006).
5. Kridel, S.J., Axelrod, F., Rozenkrantz, N., *et al.* Orlistat is a novel inhibitor of fatty acid synthase with antitumor activity. *Cancer Res.* **64(6)**, 2070-2075 (2004).
6. Ji, W., Zhao, M., Wang, M., *et al.* Effects of canagliflozin on weight loss in high-fat diet-induced obese mice. *PLoS One* **12(6)**, e0179960 (2017).

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