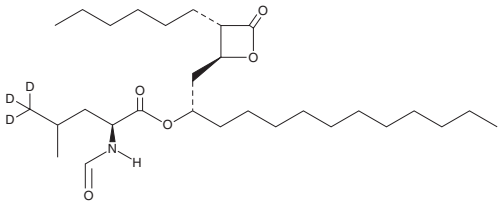
PRODUCT INFORMATION

Orlistat-d_3

Item No. 34361

CAS Registry No.: 1356930-46-5
Formal Name: N-formyl-L-leucine-5,5,5-d_3, (1S)-1-[[(2S,3S)-3-hexyl-4-oxo-2-oxetanyl]methyl]dodecyl ester
Synonym: (–)-Tetrahydrolipstatin-d_3
MF: $C_{29}H_{50}D_3NO_5$
FW: 498.8
Chemical Purity: ≥95% (Orlistat)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_3); ≤1% d_0
Supplied as: A 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-d_3 is intended for use as an internal standard for the quantification of orlistat (Item No. 10005426) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Orlistat-d_3 is supplied as a solid. A stock solution may be made by dissolving the orlistat-d_3 in the solvent of choice, which should be purged with an inert gas. Orlistat-d_3 is slightly soluble in chloroform and methanol.

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; 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

1. Bisogno, T., Howell, F., Williams, G., *et al. J. Cell Biol.* **163(3)**, 463-468 (2003).
2. Hoover, H.S., Blankman, J.L., Niessen, S., *et al. Bioorg. Med. Chem. Lett.* **18(22)**, 5838-5841 (2008).
3. Bustanji, Y., Issa, A., Mohammad, M., *et al. J. Med. Plant Res.* **4(21)**, 2235-2242 (2010).
4. Bisogno, T., Cascio, M.G., Saha, B., *et al. Biochim. Biophys. Acta* **1761(2)**, 205-212 (2006).
5. Kridel, S.J., Axelrod, F., Rozenkrantz, N., *et al. Cancer Res.* **64(6)**, 2070-2075 (2004).
6. Ji, W., Zhao, M., Wang, M., *et al. PLoS One* **12(6)**, e0179960 (2017).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

WARRANTY AND LIMITATION OF REMEDY
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