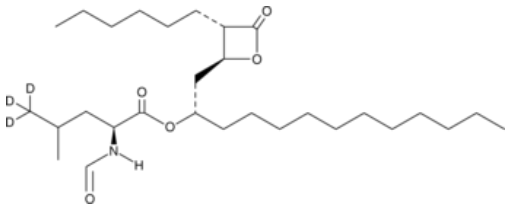
Product Data Sheet

Product Name: Orlistat-d3
Cat. No.: GC49236

Chemical Properties

Cas. No.	1356930-46-5		
SMILES	[2H]C([2H])([2H])C(C)C[C@H](NC=O)C(O[C@@H](CCCCCCCCCCC)C[C@@H]1OC([C@H]1CCCCCC)=O)=O		
Formula	C29H50D3NO5	M.Wt	498.8
Solubility	Chloroform: slightly soluble,Methanol: slightly soluble	Storage	-20°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			

Background

Orlistat-d_3 is intended for use as an internal standard for the quantification of orlistat by GC- or LC-MS. Orlistat is a digestive lipase inhibitor.[1,2,3] 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_{iapp} = ~0.1 µM for the human enzyme) and the proliferation of PC3 prostate

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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.

1.Bisogno, T., Howell, F., Williams, G., et al.Cloning of the first sn1-DAG lipases points to the spatial and temporal regulation of endocannabinoid signaling in the brainJ. Cell Biol.163(3)463-468(2003) 2.Hoover, H.S., Blankman, J.L., Niessen, S., et al.Selectivity of inhibitors of endocannabinoid biosynthesis evaluated by activity-based protein profilingBioorg. 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 constituentsJ. 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 biosynthesisBiochim. Biophys. Acta1761(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 activityCancer 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 micePLoS One12(6)e0179960(2017)