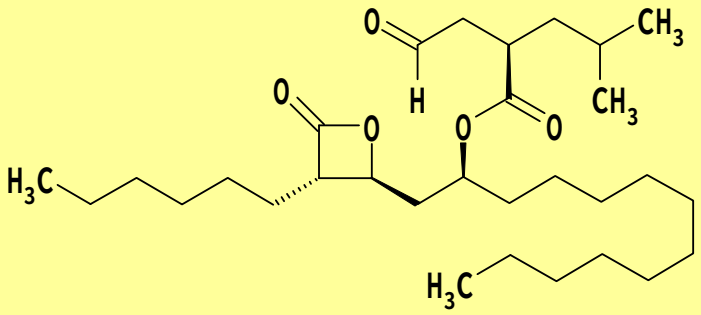
Orlistat

Cat.# BLK0830

Structure



Origin:

CAS Registry Number: 96829-58-2

CA Index Name: Tetrahydrolipstatin, N-Formyl-L-leucine-(1S)-1-(((2S,3S)-3-hexyl-4-oxo-2-oxetanyl)methyl)dodecyl Ester

Appearance: white solid

Molecular Formula/ Weight: $C_{30}H_{54}O_5$=494.76

Melting Point: 41-42℃ | **Purity:** 98% by HPLC

Solubility: Soluble in 1mg/ml ethanol, methanol, DMSO

pKa: | **log P:**

Background Information:

Cell permeable, irreversible inhibitor of gastric and pancreatic lipases. Shows only minimal activity against amylase, trypsin, chymotrypsin, or phospholipase A2 (PLA2). Partially inhibits the hydrolysis of triglycerides and lowers the absorption of dietary fat and promotes weight loss. Anti-obesity drug. Exhibits antitumor activity by inhibition of the thioesterase domain of fatty acid synthase (FAS) both in vitro and in vivo.

Handling and Storage:

Store at -20℃.

References:

Interactions of lipoprotein lipase with the active-site inhibitor tetrahydrolipstatin (Orlistat): A. Lookene, et al.; Eur. J. Biochem. 222, 395 (1994)

Degree of in vivo inhibition of human gastric and pancreatic lipases by Orlistat (Tetrahydrolipstatin, THL) in the stomach and small intestine: B. Sternby, et al.; Clin. Nutr. 21, 395 (2002)

A fatty acid synthase blockade induces tumor cell-cycle arrest by down-regulating Skp2: L.M. Knowles, et al.; J. Biol. Chem. 279, 30540 (2004)

Orlistat is a novel inhibitor of fatty acid synthase with antitumor activity: S.J. Kridel, et al.; Cancer Res. 64, 2070 (2004)

Antitumoral actions of the anti-obesity drug orlistat (XenicalTM) in breast cancer cells: blockade of cell cycle progression, promotion of apoptotic cell death and PEA3-mediated transcriptional repression of Her2/neu (erbB-2) oncogene: J.A. Menendez, et al.; Ann. Oncol. 16, 1253 (2005)

Differential uptake of subfractions of triglyceride-rich lipoproteins by THP-1 macrophages: A.M. Palmer, et al.; Atherosclerosis 180, 233 (2005)

Orlistat and sibutramine beyond weight loss: E. Mannucci, et al.; Nutr. Metab. Cardiovasc. Dis. 70, 1228 (2008)