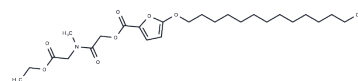


Olumacostat Glasaretil

Chemical Properties

CAS No. :	1261491-89-7
Formula:	C26H43NO7
Molecular Weight:	481.62
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Olumacostat glasaretil is a small molecule inhibitor of acetyl coenzyme A carboxylase (ACC). It has been used in trials studying the treatment of Acne Vulgaris.
Targets(IC50)	Acetyl-CoA Carboxylase
In vitro	Acetyl coenzyme A carboxylase plays a pivotal role in regulating the initial, critical step of fatty acid biosynthesis. Olumacostat glasaretil effectively impedes de novo lipid formation in both primary and transformed human sebocytes, significantly diminishing fatty acid synthesis to equal or lower than baseline levels at a concentration of 3 μ M. Moreover, cultures of SEB-1 cells exhibit a marked reduction, between 85% to 90%, in ¹⁴ C-acetate incorporation when treated with 20 μ M of olumacostat glasaretil, relative to untreated controls. At a lower dose of 3 μ M, olumacostat glasaretil notably decreases the accumulation of several lipids in sebocytes, including triacylglycerol, cholesteryl/wax ester, diacylglycerol, cholesterol, and phospholipids by approximately 86%, 57%, 51%, 39%, and 37%, respectively[1].
In vivo	Olumacostat glasaretil, a pro-drug of the ACC inhibitor 5-(tetradecyloxy)-2-furoic acid (TOFA), is designed for improved in vivo delivery. Unlike TOFA, topical application of olumacostat glasaretil notably decreases the size of sebaceous glands in hamster ears. HPLC analysis of hamster ear extracts indicates that treatment with olumacostat glasaretil raises ACC levels and increases the acetyl-CoA to free CoA ratio in subjects, suggesting enhanced fatty acid oxidation linked to ACC inhibition. Furthermore, MALDI imaging demonstrates that olumacostat glasaretil, when applied to Yorkshire pig ears, predominantly accumulates in sebaceous glands compared to the adjacent dermis. After 12 weeks, olumacostat glasaretil treatment results in significant decreases in both inflammatory and noninflammatory lesions, with a higher percentage of patients achieving at least a 2-grade improvement in investigator global assessment score compared to those treated with a placebo vehicle.
Cell Research	Primary human sebocytes are grown to confluence in 96-well plates in sebocyte growth medium and stimulated with 1 μ M human insulin and 1 μ M liver X receptor (LXR) agonist T0901317 in the presence of increasing concentrations of TOFA or olumacostat glasaretil in culture medium containing 0.1% DMSO. After 24 hours, stimulation/treatment medium is removed and test articles are reapplied in labeling medium containing [14C]-acetate. Following an additional 16 hours, cells are harvested using trypsin/EDTA. Lipid extracts are prepared and the amount of [14C]-acetate incorporation is determined by liquid scintillation as a measure of de novo fatty acid synthesis[1].

Solubility Information

Solubility	H2O: < 0.1 mg/mL (insoluble), DMSO: 125 mg/mL (259.54 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0763 mL	10.3816 mL	20.7633 mL
5 mM	0.4153 mL	2.0763 mL	4.1527 mL
10 mM	0.2076 mL	1.0382 mL	2.0763 mL
50 mM	0.0415 mL	0.2076 mL	0.4153 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Hunt DW, et al. Inhibition of Sebum Production with the Acetyl Coenzyme A Carboxylase Inhibitor OlumacostatGlasaretil. J Invest Dermatol. 2017 Mar 1. pii: S20022-202X(17)320186-0.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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