

PRODUCT INFORMATION

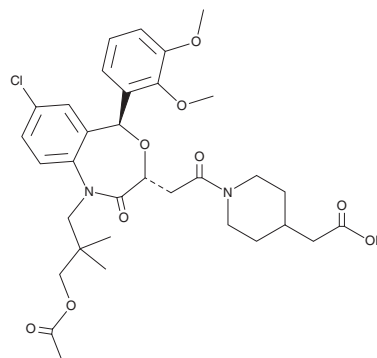


Lapaquistat acetate

Item No. 46086

CAS Registry No.: 189060-13-7
Formal Name: 1-[2-[(3R,5S)-1-[3-(acetyloxy)-2,2-dimethylpropyl]-7-chloro-5-(2,3-dimethoxyphenyl)-1,2,3,5-tetrahydro-2-oxo4,1-benzoxazepin-3-yl]acetyl]-4-piperidineacetic acid

Synonym: TAK-475
MF: C₃₃H₄₁ClN₂O₉
FW: 645.1
Purity: ≥98%
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

Lapaquistat acetate is supplied as a solid. A stock solution may be made by dissolving the lapaquistat acetate in the solvent of choice, which should be purged with an inert gas. Lapaquistat acetate is sparingly soluble (1-10 mg/ml) in DMSO and slightly soluble (0.1-1 mg/ml) in acetonitrile.

Description

Lapaquistat acetate is a squalene synthase inhibitor ($IC_{50} = 78$ nM) and a prodrug form of lapaquistat.¹ It increases LDL binding to LDL receptors in HepG2 cells at 10 μ M.² It inhibits cholesterol synthesis in rat liver ($ED_{50} = 2.9$ mg/kg) and reduces plasma non-HDL cholesterol and triglyceride levels in marmosets at 100 mg/kg.^{1,2} Lapaquistat acetate (60 mg/kg) reduces the hepatic triglyceride secretion rate in hypertriglyceridemic rats.² It also reduces the development of atherosclerosis and stabilizes coronary atheromatous plaques in hypercholesterolemic rabbits.³

References

1. Miki, T., Kori, M., Mabuchi, H., *et al.* Synthesis of novel 4,1-benzoxazepine derivatives as squalene synthase inhibitors and their inhibition of cholesterol synthesis. *J. Med. Chem.* **45**(20), 4571-4580 (2002).
2. Nishimoto, T., Amano, Y., Tozawa, R., *et al.* Lipid-lowering properties of TAK-475, a squalene synthase inhibitor, *in vivo* and *in vitro*. *Br. J. Pharmacol.* **139**(5), 911-918 (2003).
3. Shiomi, M., Yamada, S., Amano, Y., *et al.* Lapaquistat acetate, a squalene synthase inhibitor, changes macrophage/lipid-rich coronary plaques of hypercholesterolaemic rabbits into fibrous lesions. *Br. J. Pharmacol.* **154**(5), 949-957 (2008).

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.

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