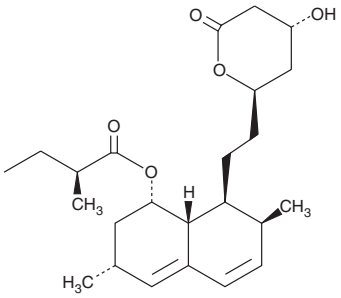
PRODUCT INFORMATION

Lovastatin

Item No. 10010338

CAS Registry No.:	75330-75-5
Formal Name:	2S-methyl-butanoic acid, 1S,2,3R,7S,8S,8aR-hexahydro-3,7-dimethyl-8-[2-[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl] ethyl]-1-naphthalenyl ester
MF:	$C_{24}H_{36}O_5$
FW:	404.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 238 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Lovastatin is supplied as a crystalline solid. A stock solution may be made by dissolving the lovastatin in an organic solvent purged with an inert gas. Lovastatin is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of lovastatin in ethanol and DMSO is approximately 20 mg/ml and approximately 15 mg/ml in DMF.

Lovastatin is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, lovastatin should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Lovastatin has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Lovastatin is an HMG-CoA reductase inhibitor that was initially isolated from *A. terreus*.[1] It is a prodrug of its more potent metabolite, lovastatin hydroxy acid (Item No. 10010339). Both competitively inhibit HMG-CoA reductase with K_i values of 1.4 and 0.6 nM for lovastatin and the open ring, hydroxy acid form, respectively.[2] Lovastatin (8 mg/kg/day) reduces plasma cholesterol in dogs by 29% over a three week period. It also suppresses TNF-induced NF-κB activation (IC_{50} ~ 15 µM), which potentiates apoptosis in human myeloid leukemia cells and thus, may be useful in treating cancer.[3] Formulations containing lovastatin were the first HMG-CoA reductase inhibitors to be used in the treatment of hypercholesterolemia.

References

1. Endo, A. The discovery and development of HMG-CoA reductase inhibitors. *J. Lipid Res.* **33(11)**, 1569-1582 (1992).
2. Alberts, A.W., Chen, J., Kuron, G., *et al.* Mevinolin: A highly potent competitive inhibitor of hydroxymethylglutaryl-coenzyme A reductase and a cholesterol-lowering agent. *Proc. Natl. Acad. Sci. USA* **77(7)**, 3957-3961 (1980).
3. Ahn, K.S., Sethi, G., and Aggarwal, B.B. Reversal of chemoresistance and enhancement of apoptosis by statins through down-regulation of the NF-κB pathway. *Biochem. Pharmacol.* **75(4)**, 907-913 (2008).

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