bio-techne
TOCRIS

Print Date: Oct 24th 2024

Certificate of Analysis

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Product Name: **Lovastatin** **Catalog No.: 1530** **Batch No.: 1**

CAS Number: 75330-75-5

IUPAC Name: (2*S*)-(1*S*,3*R*,7*S*,8*S*,8a*R*)-1,2,3,7,8,8a-Hexahydro-3,7-dimethyl-8-[2-[(2*R*,4*R*)-tetrahydro-4-hydroxy-6-oxo-2*H*-pyran-2-yl]ethyl]-1-naphthalenyl-2-methyl butanoate

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{24}H_{36}O_5$

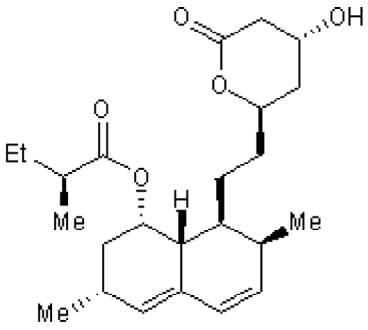
Batch Molecular Weight: 404.54

Physical Appearance: White solid

Solubility: ethanol to 50 mM with gentle warming
DMSO to 100 mM

Storage: Store at +4°C

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.48 (Dichloromethane:Methanol [10:1])

Melting Point: At 178°C

HPLC: Shows 97.5% purity

^{1}H NMR: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	71.26	8.97	
Found	71.3	9.01	

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Description:

Lovastatin is a potent, competitive inhibitor of HMG-CoA reductase (K_i = 0.6 nM) therefore decreases cholesterol biosynthesis, in vitro and in vivo. Indirectly inhibits Rho-GTPase activity by depleting intracellular pool of isoprene residues. Decreases CDK2, 4, 6 and cyclin E levels and induces G1 arrest and apoptosis in tumor cell lines in vitro. Inactive lactam prodrug of lovastatin hydroxy acid, naturally bioactivated in vivo following oral administration.

Physical and Chemical Properties:

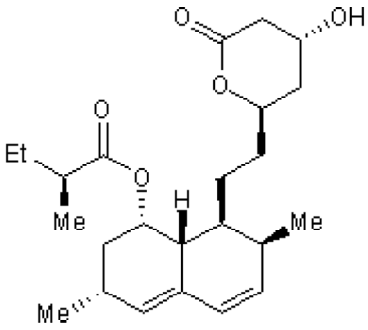
Batch Molecular Formula: $C_{24}H_{36}O_5$

Batch Molecular Weight: 404.54

Physical Appearance: White solid

Minimum Purity: ≥97%

Batch Molecular Structure:



Storage: Store at +4°C

Solubility & Usage Info:

ethanol to 50 mM with gentle warming
DMSO to 100 mM

PLEASE NOTE - Lovastatin is an inactive lactam prodrug of lovastatin hydroxy acid the active form of the compound. Lovastatin administered orally is naturally bioactivated by the liver and requires no further modification. If lovastatin is to be administered by another route or used in vitro then it requires manual activation by treatment with NaOH. To activate the compound dissolve 50mg in 1ml of warm (50°C) ethanol and add 0.813ml of 1N NaOH. Leave for 30 minutes to allow conversion of the lovastatin to the active acid form, the compound may be stored at -20°C in this format for up to 1 month. Adjust pH to 7.2 with small quantities of 1N HCl prior to use.

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. *Unless contradicted by product-specific protocols or instructions, our standard recommendations apply:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Ziegler *et al* (2017) Rho inhibition by lovas. affects apoptosis and DSB repair of primary human lung cells *in vitro* and lung tissue *in vivo* following fractionated irradiation. Cell Death Dis. ***8*** e2978. PMID: 28796249 .

Park *et al* (1999) Lovastatin-induced inhibition of HL-60 cell proliferation via cell cycle arrest and apoptosis. Anticancer Res. ***19*** 3133. PMID: 10652602.

Alberts (1988) Discovery, biochemistry and biology of lovas. Am.J.Cardiol. ***62*** 10J. PMID: 3055919.