Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Simvastatin

Catalog No: tcsc2269

Available Sizes

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Specifications

CAS No:
79902-63-9

Formula:
$C_{25}H_{38}O_5$

Pathway:
Autophagy;Metabolic Enzyme/Protease;Autophagy

Target:
Autophagy;HMG-CoA Reductase (HMGCR);Mitophagy

Purity / Grade:
>98%

Solubility:
H2O :

Alternative Names:
MK 733

Observed Molecular Weight:
418.57

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Product Description

Simvastatin (MK 733) is a competitive inhibitor of **HMG-CoA reductase** with a $\mathbf{K_i}$ of 0.2 nM.

IC50 & Target: Ki: 0.2 nM (HMG-CoA reductase)[1]

In Vitro: Simvastatin needs to be activated by NaOH in EtOH treatment before use for cell assay. Simvastatin suppresses cholesterol synthesis in mouse L-M cell, rat H4II E cell, and human Hep G2 cell with IC_{50}s of 19.3 nM, 13.3 nM and 15.6 nM, respectively[1]. Simvastatin causes a dose-dependent increase in serine 473 phosphorylation of Akt within 30 minutes, with maximal phosphorylation occurring at 1.0 μM. Simvastatin (1.0 μM) enhances phosphorylation of the endogenous Akt substrate endothelial nitric oxide synthase (eNOS), inhibits serum-free media undergo apoptosis and accelerates vascular structure formation[2]. Simvastatin shows anti-inflammatory effects, reduces anti-CD3/anti-CD28 antibody-stimulated proliferation of PB-derived mononuclear cells and synovial fluid cells from rheumatoid arthritis blood, as well as IFN-γ release at 10 μM. Simvastatin (10 μM) also blocks cell-mediated macrophage TNF-γ release induced via cognate interactions by appr 30%[3]. Simvastatin (5 μM) significantly reduces the expression of ABCA1 in astrocytes and neuroblastoma cells, the expression of apolipoprotein E in astrocytes, and increases cyclin-dependent kinase 5 and glycogen synthase kinase 3β expression in SK-N-SH cells[7].

In Vivo: Simvastatin suppresses the conversion of radiolabeled acetate to cholesterol with an IC_{50} of 0.2 mg/kg via p.o. administration[1]. Simvastatin (4 mg/day, p.o. for 13 weeks) returns the cholesterol-induced increases in total cholesterol, LDL-cholesterol and HDL-cholesterol to normal level in rabbits fed an atherogenci cholesterol-rich diet[4]. Simvastatin (6 mg/kg) increases LDL receptor-dependent binding and the number of hepatic LDL receptors in rabbits fed a diet containing 0.25% cholesterol[5]. Simvastatin affects inflammation independent of its effect on plasma cholesterol level. Simvastatin (20 mg/kg/day) causes a 1.3-fold less macrophage content in lesions, and 2-fold less vascular cell adhesion molecule-1, interleukin-1beta, and tissue factor expression, companied by a 2.1-fold increases in lesional smooth muscle cell and collagen content in cynomolgus monkeys fed an atherogenic diet[6].