Datasheet

Pitavastatin Calcium

Product Name	Pitavastatin Calcium
Catalogue Number	BSV-S1759
Chemical Formula	$C_{50}H_{46}CaF_2N_2O_8$
Function	HMG-CoA Reductase Inhibitor
CAS No.:	147526-32-7

Description:

Pitavastatin calcium, a novel member of the medication class of **statins**, is a calcium salt formulation of pitavastatin which is a highly effective **HMG-CoA reductase** inhibitor.

Product Details:

Target: HMG-CoA reductase, cholesterol esters

Chemical name: (3R,5S,6E)-7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-6-heptenoic acid,calcium salt (2:1)

Formula: $C_{50}H_{46}CaF_2N_2O_8$

Molecular weight: 880.98

Purity: 99.53 %

Solubility:51 mg/mL (DMSO)

Storage: 3 years -20°C powder, 2 years -80°C in solvent

Preparing stock solutions

Concentration/ Mass	1 mg	5 mg	10 mg
1 mM	1.1351 mL	5.6755 mL	11.3510 mL
5 mM	0.2270 mL	1.1351 mL	2.2702 mL
10 mM	0.1135 mL	0.5675 mL	1.1351 mL

50 mM	0.0227 mL	0.1135 mL	0.2270 mL

Biological Activity:

In vitro:

Pitavastatin significantly reduces both intracellular levels and synthesis of cholesterol esters. Pitavastatin is found to enhance LDL-receptor expression in vitro, as well as the amount of LDL binding to the LDL-receptor. Pitavastatin also exhibits more potent induction of LDL receptor mRNA expression compared with simvastatin and atorvastatin. Pitavastatin has many pleiotropic effects in vitro and in vivo, including deterring progression of atherosclerosis via inhibition of thromboxane synthesis, inhibition of migration/proliferation of vascular smooth muscle cells induced by angiotensin II, and stabilization of atherosclerotic plaque. [1] Pitavastatin is able to activate PPARα and induce HDL apoA-I through inducing inhibition of the Rho-signaling pathway. [2] Pitavastatin (1 μM) treatment for 48 h is able to enhances bone morphogenetic protein-2 BMP-2 (2.5-fold) and osteocalcin (10-fold) expression by inhibition of Rho-associated kinase in human osteoblasts[3]. Pitavastatin inhibits growth and colony formation of liver cancer Huh-7 cells and SMMC7721 cells. It induces arrest of liver cancer cells at the G1 phase. Increased proportion of sub-G1 cells is observed after pitavastatin treatment. Pitavastatin promotes caspase-9 cleavage and caspase-3 cleavage in liver cancer cells. Pitavastatin could regulate NF-κB and anti-inflammation in hepatocellular carcinoma cells. Pitavastatin could induce autophagic cell death in glioma cells and promote sensitivity of cells to radiotherapy. It could inhibit cell proliferation and induce cell apoptosis in cholangiocarcinoma cells as well[5].

In vivo:

Pitavastatin decreases the tumor growth and improved the survival of tumor-bearing mice[5]. Pitavastatin exerts a protective effect on dilated cardiomyopathy possibly through down-regulating the circulating and local RAS, followed by inhibition of PKCb2 phosphorylation, and consequently promoting the phosphorylation of PLB as well as the activity and the expressions of SERCA2a and RyR2, whereby heart function is preserved in the development of DCM[6].

References:

[1] Ahmad H, et al. Cardiol Rev, 2010, 18(5):264-267.
[2] Martin G, et al. J Clin Invest, 2001, 107(11), 1423-1432.
[3] Ohnaka K, et al. Biochem Biophys Res Commun, 2001, 287(2), 337-342.
[4] Olsson AG, et al. Cardiovasc Drug Rev. 2002, 20(4):303-28.
[5] You HY, et al. Onco Targets Ther. 2016, 9:5383-8.
[6] Hu W, et al. Acta Pharm. 2014, 64(1):105-15.

Protocol *(Only for Reference)*

Cell Assay:
[5]

Cell lines	Huh-7 and SMMC7721
Concentrations	5 μM
Incubation Time	1, 2, 4, 6 days
Method	The Huh-7 cells and SMMC7721 cells are split into 96-well dishes at 5,000 cells/well and treated with the indicated dosage of pitavastatin for 48 hours or 5 μM pitavastatin for 1, 2, 4, 6 days respectively. The cells are incubated with 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide and formed formazan in the liver cells. Formazan is dissolved in DMSO, and the absorbance is measured at the wavelength of 570 nm. The cells treated with DMSO are used as a control group. The relative cell number of each group is calculated as pitavastatin-treated group/cell number in the DMSO-treated group.

Animal Study:
[6]

Animal Models	C57BL/6 mice
Dosages	1 or 3 mg/kg/d
Administration	oral