Pitavastatin

Cat. No.: HY-B0144A

CAS No.: 147511-69-1

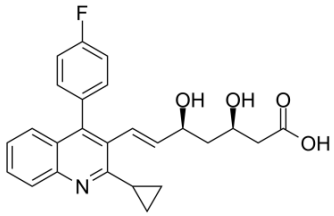
Molecular Formula: $C_{25}H_{24}FNO_4$

Molecular Weight: 421.46

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

Pathway: Metabolic Enzyme/Protease; Autophagy; Apoptosis

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Pitavastatin (NK-104) is a potent hydroxymethylglutaryl-CoA (HMG-CoA) reductase inhibitor. Pitavastatin inhibits cholesterol synthesis from acetic acid with an IC_{50} of 5.8 nM in HepG2 cells. Pitavastatin is an efficient hepatocyte low-density lipoprotein-cholesterol (LDL-C) receptor inducer. Anti-cancer activity.

In Vitro

Pitavastatin inhibits the growth of a panel of ovarian cancer cells, including those considered most likely to represent HGSOC, grown as a monolayers (IC_{50}=0.4-5 μM) or as spheroids (IC50 = 0.6-4 μM)[4].
Pitavastatin (1 μM; 48 hours) induces apoptosis, evidenced by the increased activity of executioner caspases-3,7 as well as caspase-8 and caspase-9 in Ovcar-8 cells and Ovcar-3 cells[4].
Pitavastatin (1 μM, 48 hours) caused PARP cleavage in Ovcar-8 cells[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Ovcar-8 cells
Concentration:	1 μM
Incubation Time:	48 hours
Result:	Induced PARP cleavage.

In Vivo

Pitavastatin (59 mg/kg; p.o.; twice daily for 28 days) caused significant tumour regression[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	4 week old female NCR Nu/Nu female mice (bearing Ovcar-4 tumours)[4]
Dosage:	59 mg/kg
Administration:	p.o.; twice daily for 28 days
Result:	Caused significant tumour regression.

CUSTOMER VALIDATION

- Acta Pharm Sin B. 2020 May;10(5):850-860.
- Biochem Pharmacol. 2019 Nov;169:113612.

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REFERENCES

[1]. Morikawa S, et al. Relative induction of mRNA for HMG CoA reductase and LDL receptor by five different HMG-CoA reductase inhibitors in cultured human cells. J Atheroscler Thromb. 2000;7(3):138-44.

[2]. Katsuki S, et al. Nanoparticle-mediated delivery of pitavastatin inhibits atherosclerotic plaque destabilization/rupture in mice by regulating the recruitment of inflammatory monocytes. Circulation. 2014 Feb 25;129(8):896-906.

[3]. Tajiri K, et al. Pitavastatin regulates helper T-cell differentiation and ameliorates autoimmune myocarditis in mice. Cardiovasc Drugs Ther. 2013 Oct;27(5):413-24.

[4]. Hamano T, et al. Pitavastatin decreases tau levels via the inactivation of Rho/ROCK. Neurobiol Aging. 2012 Oct;33(10):2306-20.

[5]. de Wolf E, et al.Dietary geranylgeraniol can limit the activity of pitavastatin as a potential treatment for drug-resistant ovarian cancer.Sci Rep. 2017 Jul 14;7(1):5410.

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