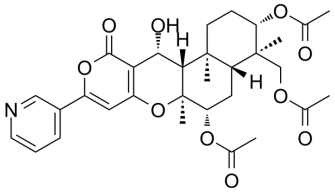
Pyripyropene A

Cat. No.:	HY-117832
CAS No.:	147444-03-9
Molecular Formula:	$C_{31}H_{37}NO_{10}$
Molecular Weight:	583.63
Target:	Acyltransferase
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description	Pyripyropene A is an orally active, potent and selective sterol O-acyltransferase 2 (SOAT2)/acyl-coenzyme A:cholesterol acyltransferase 2 (ACAT2) inhibitor, with an IC_{50} of 0.07 μM. Pyripyropene A attenuates hypercholesterolemia and atherosclerosis in vivo[1][2][3][4].
IC_{50} & Target	IC50: 0.07 μM (ACAT2)[1]
In Vitro	Pyripyropene A (0-100 μM; 72 hours) exhibits anti-proliferative activity against HUVECs, and with an IC_{50} value of 1.8 μM[1]. ?Pyripyropene A (10 μM ; 24 hours) inhibits VEGF (20 ng/ml)-induced migration and tubular formation of HUVECs in dose-dependent fashion[1]. ?Pyripyropene A do not show growth inhibitory effects against KB3-1, K562 and Neuro2A cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	HUVECs
Concentration:	0-100 μM
Incubation Time:	72 hours
Result:	Exhibited anti-proliferative activity against HUVECs with an IC_{50} value of 1.8 μM.

In Vivo	Pyripyropene A (10-50 mg/kg per day; p.o; 12 weeks) reduces the levels of plasma cholesterol, very-low-density lipoprotein (VLDL), and low-density lipoprotein (LDL) and hepatic cholesterol content in apolipoprotein E-knockout mice. And Pyripyropene A-treated mice display reduction of atherogenic lesion areas in the aortae and heart[3]. ?Pyripyropene A inhibits the hepatic e acyl–coenzyme A:cholesterol acyltransferase 2? (ACAT2) activity in vivo[3]. ?Pyripyropene A displays a half-life ($t_{1/2}$) of 0.693/λ, where λ represented the terminal slope of the log-linear portion of concentration time profile[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male C57BL/6 mice[2]
Dosage:	0 mg/kg, 1 mg/kg, 10 mg/kg, 50 mg/kg, 100 mg/kg

Administration:	Oral administration; daily; for 12 weeks
Result:	Reduced atherogenic lesion areas in the aortae and heart.

Animal Model:	9-week old male ICR mice (pharmacokinetic analysis)[4]
Dosage:	5 mg/kg ,10 mg/kg
Administration:	Oral administration
Result:	$t_{1/2}$ = 0.693/λ

REFERENCES

[1]. Hayashi A, et al. Pyripyropenes, fungal sesquiterpenes conjugated with alpha-pyrone and pyridine moieties, exhibits anti-angiogenic activity against human umbilical vein endothelial cells. Biol Pharm Bull. 2009 Jul;32(7):1261-5.

[2]. Ohshiro T, et al. Pyripyropene A, an acyl-coenzyme A:cholesterol acyltransferase 2-selective inhibitor, attenuates hypercholesterolemia and atherosclerosis in murine models of hyperlipidemia. Arterioscler Thromb Vasc Biol. 2011 May;31(5):1108-15.

[3]. Lee KR , et al. Determination of Penicillium griseofulvum-oriented pyripyropene A, a selective inhibitor of acyl-coenzyme A:cholesterol acyltransferase 2, in mouse plasma using liquid chromatography-tandem mass spectrometry and its application to pharmacokinetic studies. Biomed Chromatogr. 2019 Feb;33(2):e4388.

[4]. Ohtawa M, et al. Design and Synthesis of A-Ring Simplified Pyripyropene A Analogues as Potent and Selective Synthetic SOAT2 Inhibitors. ChemMedChem. 2018 Mar 6;13(5):411-421.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA