

Catalog Number: CM04974

产品信息

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CM04974

CAS号:
4079-26-9

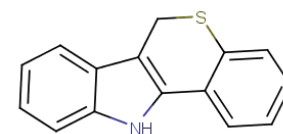
分子式:
C₁₅H₁₁NS

主要靶点:
Autophagy|Lipoxygenase|Ferroptosis

主要通路:
自噬|代谢|凋亡

分子量:
237.32

溶解度:
DMSO:25 mg/mL (105.34 mM), Sonification is recommended., H₂O:< 0.1 mg/mL (insoluble)



靶点活性

15-LO:IC₅₀: 0.54 μM|15-LO:K_i: 197 nM

体外活性

15-LO by PD146176, an inhibitor of 15-LO with an IC₅₀ in cells or isolated enzyme of 0.5-0.8 μM, may limit atherosclerotic lesion development through regulation of monocyte-macrophage enrichment. Rabbits exposed to chronic endothelial denudation of the iliac-femoral artery were meal-fed a 0.25% cholesterol (C), 3% peanut oil (PNO), 3% coconut oil (CNO) diet twice daily with and without 175 mg/kg PD146176 for 12 weeks. In a second study, atherosclerotic lesions were pre-established in rabbits through chronic endothelial denudation and meal-fed a 0.5% C, 3% PNO, 3% CNO diet for 9 weeks and a 0% C/fat diet for 6 weeks prior to an 8 week administration of PD146176 at 175 mg/kg, q.d. Plasma total and lipoprotein cholesterol exposure were similar in control and PD146176-treated animals in both studies but PD146176 increased plasma triglyceride exposure 2- to 4-fold. Plasma PD146176 concentrations ranged from 99 to 214 ng/mL at 2 h post-dose. In the progression study, the iliac-femoral monocyte-macrophage area was reduced 71%, cross-sectional lesion area was unchanged and cholesteryl ester (CE) content was reduced 63%. In the regression study, size and macrophage content of iliac-femoral, fibrous plaque-like lesions were decreased 34%, CE content was reduced 19% and gross extent of thoracic aortic lesions were reduced 41%. PD146176 can limit monocyte macrophage enrichment of atherosclerotic lesions and can attenuate development of fibroamy and fibrous plaque lesions in the absence of changes in plasma total or lipoprotein cholesterol concentrations[1].

描述

PD146176 is an inhibitor of 15-Lipoxygenase (15-LO), it inhibits rabbit reticulocyte 15-LO with K_i of 197 nM and IC₅₀ of 0.54 μM. PD146176 reverses cognitive impairment, brain amyloidosis, and tau pathology by stimulating autophagy in aged triple transgenic mice.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years