

Product Name : Locostatin

Synonyms : —

Cat No. : M22906

CAS Number : 133812-16-5

Molecular Formula : C₁₄H₁₅NO₃

Formula Weight : 245.27

Chemical Name : —

Description : Locostatin is a potent and cell permeable inhibitor of Raf kinase inhibitor protein (RKIP)/Raf1 kinase interaction and an inhibitor of cell migration. Locostatin treatment resulted in the activation of the mitogen-activated protein kinase (MAPK) signal pathway (ERK phosphorylation), providing a powerful validation of our targeting protocol. Further, RKIP inhibition by locostatin reduces ECM components. Moreover, the inhibition of RKIP by locostatin impaired cell proliferation and migration in both leiomyoma and myometrial cells. Finally, locostatin treatment reduced GSK3 β expression. Therefore, even if the activation of MAPK pathway should increase proliferation and migration, the destabilization of GSK3 β leads to the reduction of proliferation and migration of myometrial and leiomyoma cells. Compared to the CCl₄ group, HYP (208.56 $\pm$ 6.12) μ g/g, percentage of total collagen at overall region (1.91 $\pm$ 0.13), MMP-13/TIMP-1 (0.19 $\pm$ 0.01), MPO (1.45 $\pm$ 0.04) U/g, TGF- β (2652 $\pm$ 91.20), PDGF-AA (3897 $\pm$ 290.69), and E-selectin (1569 $\pm$ 66.48) in the liver tissues were decreased significantly in the locostatin-treated group.

Pathway : MAPK/ERK Signaling

Target : Raf

Receptor : Raf-1

Solubility : ethanol:10 mg/ml;DMSO:5 mg/ml;DMF:5 mg/ml

SMILES : CC=CC(=O)N1C(COC1=O)CC2=CC=CC=C2

Storage : (-20°C)

Stability : $\geq$ 2 years

Reference :

1. A M J , Stefania Greco A , B M S I A , et al. Locostatin, a disrupter of Raf kinase inhibitor protein, inhibits extracellular matrix production, proliferation, and migration in human uterine leiomyoma and myometrial cells[J]. Fertility and Sterility, 2016, 106(6):1530-1538.