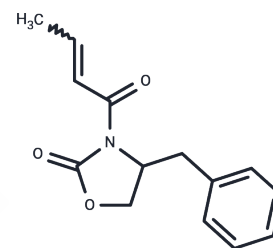


Locostatin

Chemical Properties

CAS No. :	133812-16-5
Formula:	C ₁₄ H ₁₅ NO ₃
Molecular Weight:	245.27
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Locostatin is a potent and cell permeable inhibitor of Raf kinase inhibitor protein (RKIP) /Raf1 kinase interaction and an inhibitor of cell migration.
Targets(IC50)	Raf,Others
In vitro	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[1].
In vivo	Compared to the CCl ₄ 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[2].
Animal Research	Carbon tetrachloride (CCl ₄) was used to induce liver fibrosis in mice, and locostatin was injected intraperitoneally. Liver fibrosis was assessed by Masson and Sirius red staining, hydroxyproline (HYP) assay, and collagen percentage area. Collagen I, collagen III, and α -SMA were detected by RT-PCR and western blot. The levels of MMP-13, MMP-2, TIMP-1, and TIMP-2 were estimated by ELISA. Liver inflammation was evaluated by HE staining and immunohistochemistry; liver myeloperoxidase (MPO), superoxide dismutase, and malondialdehyde were measured by ELISA; and cytokines were by Mouse Cytokine Array Q4000[2]

Solubility Information

Solubility	Ethanol: 10 mg/mL (40.77 mM),Sonication is recommended. DMF: 5 mg/mL (20.39 mM),Sonication is recommended. DMSO: 50 mg/mL (203.86 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (8.15 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.0771 mL	20.3857 mL	40.7714 mL
5 mM	0.8154 mL	4.0771 mL	8.1543 mL
10 mM	0.4077 mL	2.0386 mL	4.0771 mL
50 mM	0.0815 mL	0.4077 mL	0.8154 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

Ye J J, Wei S L, Wei Y Y, et al.RKIP suppresses the influenza A virus-induced airway inflammatory response via the ERK/MAPK pathway.International Journal of Molecular Medicine.2023, 51(1): 1-14.

Junji, Yuzi, Qiu, et al. Locostatin Alleviates Liver Fibrosis Induced by Carbon Tetrachloride in Mice.[J]. Digestive diseases and sciences, 2019.

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