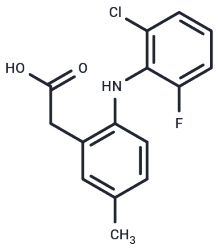
Data Sheet (Cat.No.T6574)

TargetMol

Lumiracoxib

Chemical Properties



CAS No. : 220991-20-8

Formula: C15H13ClFNO2

Molecular Weight: 293.72

Storage: Store at low temperature
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	Lumiracoxib (Prexige) is a novel, selective COX-2 inhibitor with IC50 and Ki of 0.14 μM and 0.06 μM, exhibits 515-fold selectivity over COX-1. Phase 4.
Targets(IC50)	COX
In vitro	Lumiracoxib has an IC50 of 0.14 μm in COX-2-expressing dermal fibroblasts, but caused no inhibition of COX-1 at concentrations up to 30 μm (HEK 293 cells transfected with human COX-1). In a human whole blood assay, IC50 values for Lumiracoxib are 0.13 μM for COX-2 and 67 μM for COX-1 (COX-1/COX-2 selectivity ratio 515).
In vivo	Lumiracoxib is a highly selective COX-2 inhibitor with anti-inflammatory, analgesic and antipyretic activities comparable with diclofenac, the reference NSAID, but with much improved gastrointestinal safety. Lumiracoxib is rapidly absorbed following oral administration in rats with peak plasma levels being reached between 0.5 and 1 h. Efficacy of Lumiracoxib in rat models of hyperalgesia, oedema, pyresis and arthritis is dose-dependent and similar to diclofenac. However, consistent with its low COX-1 inhibitory activity, Lumiracoxib at a dose of 100 mg/kg orally causes no ulcers and is significantly less ulcerogenic than diclofenac.
Animal Research	Animal Models: Female Lewis ratsFormulation: Sterile phosphate-buffered salineDosages: 0.2-2 mg/kgAdministration: Oral gavage

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 55 mg/mL (187.25 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (6.81 mM),Sonication is recommended. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.4046 mL	17.023 mL	34.046 mL
5 mM	0.6809 mL	3.4046 mL	6.8092 mL
10 mM	0.3405 mL	1.7023 mL	3.4046 mL
50 mM	0.0681 mL	0.3405 mL	0.6809 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

Esser R, et al. Br J Pharmacol, 2005, 144(4), 538-550.
Sun J, Zhang L, Zhang L, et al. A validated UHPLC-MS/MS method for simultaneous determination of lumiracoxib and its hydroxylation and acyl glucuronidation metabolites in rat plasma: Application to a pharmacokinetic study. Journal of Pharmaceutical and Biomedical Analysis. 2021, 201: 114105.
Sun J, Zhang L, Zhang L, et al. A validated UHPLC-MS/MS method for simultaneous determination of lumiracoxib and its hydroxylation and acyl glucuronidation metabolites in rat plasma: application to a pharmacokinetic study. Journal of Pharmaceutical and Biomedical Analysis. 2021: 114105.
Jiao W, Zhao X, Wu G, et al. Bioactivation of Lumiracoxib in Human Liver Microsomes: Formation of GSH-and Amino Adducts Through Acyl Glucuronide[J]. Drug Testing and Analysis. 2020, 12(6): 827-835.
Sun J, Zhang L, Zhang L, et al. A validated UHPLC-MS/MS method for simultaneous determination of lumiracoxib and its hydroxylation and acyl glucuronidation metabolites in rat plasma: application to a pharmacokinetic study [J]. Journal of Pharmaceutical and Biomedical Analysis. 2021: 114105.
Jiao W, Zhao X, Wu G, et al. Bioactivation of Lumiracoxib in Human Liver Microsomes: Formation of GSH-and Amino Adducts Through Acyl Glucuronide. Drug Testing and Analysis. 2020, 12(6): 827-835.