For Research Use Only

Lumiracoxib

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Catalog Number: CM03551

产品信息

Catalog Number:
CM03551

CAS号:
220991-20-8

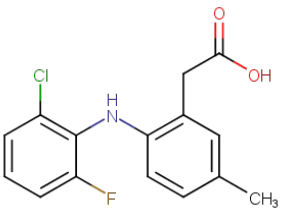
分子式:
$C_{15}H_{13}ClFNO_2$

主要靶点:
COX

主要通路:
免疫与炎症|神经科学

分子量:
293.72

溶解度:
H2O:<1 mg/mL,Ethanol:<1 mg/mL,DMSO:55 mg/mL (187.3 mM)



靶点活性

COX-2(Cell-free assay):Ki:60 nM|COX-1(Cell-free assay):Ki:3 μM

体外活性

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).

体内活性

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.

动物实验

Animal Models: Female Lewis ratsFormulation: Sterile phosphate-buffered salineDosages: 0.2–2 mg/kgAdministration: Oral gavage

描述

Lumiracoxib 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.

储存

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

For technical support and original validation data for this product please contact
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