

Product Data Sheet

Product Name: Rofecoxib

Cat. No.: GC10516

Chemical Properties

Cas. No. 162011-90-7

Chemical Name 3-(4-methylsulfonylphenyl)-4-phenyl-2H-furan-5-one

SMILES CS(=O)(=O)C1=CC=C(C=C1)C2=C(C(=O)OC2)C3=CC=CC=C3

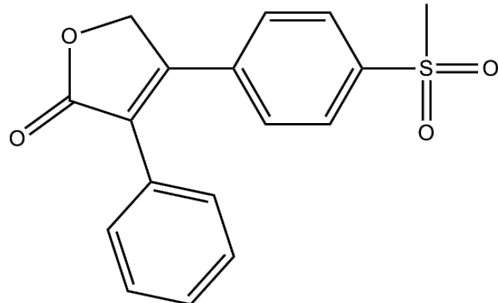
Formula C₁₇H₁₄O₄S M.Wt 314.36

Solubility ≥ 15.72mg/mL in DMSO Storage Store at 2-8°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines Osteosarcoma cells and U937 cells

Caution: Product has not been fully validated for medical applications. For research use only.

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The solubility of this compound in DMSO is > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.

Preparing method

Reacting condition 15 mins

Applications

In osteosarcoma cells (COX-2), Rofecoxib inhibited the arachidonic acid-dependent production of PGE2 by with an IC50 value of 26 ± 10 nM. However, in U937 cells (COX-1), Rofecoxib inhibited the arachidonic acid-dependent production of PGE2 with an IC50 value over 50 mM. It was indicated that Rofecoxib was a potent and selective inhibitor of human COX-2 in cell-based assays.

Animal experiment [1]:

Animal models Rat adjuvant-induced arthritis model

Dosage form 0.1, 0.3, 1.0 and 3.0 mg/kg/day; p.o.

Applications

Rofecoxib significantly inhibited carrageenan-induced paw edema and paw hyperalgesia, as well as lipopolysaccharide-induced pyresis with the IC50 values of 1.5 mg/kg, 1.0 mg/kg and 0.24 mg/kg, respectively. Besides, Rofecoxib also blocked adjuvant-induced arthritis with an IC50 value of 0.74 mg/day. In addition, Rofecoxib also showed a protective effect on adjuvant-induced destruction of cartilage and bone structures.

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Other notes Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

References:

[1]. Chan C C, Boyce S, Brideau C, et al. Rofecoxib [Vioxx, MK-0966; 4-(4'-methylsulfonylphenyl)-3-phenyl-2-(5H)-furanone]: a potent and orally active cyclooxygenase-2 inhibitor. Pharmacological and biochemical profiles. Journal of Pharmacology and Experimental Therapeutics, 1999, 290(2): 551-560.

Background

Rofecoxib is a potent and orally active inhibitor of cyclooxygenase (COX)-2 with IC₅₀ value of 0.34μM [1].

Rofecoxib is an inhibitor of COX-2 and is developed as a new class of anti-inflammatory agents with improved gastrointestinal tolerability. It has been shown to be effective in the treatment of osteoarthritis. Rofecoxib potently inhibits COX-2 in cell-based assays with IC₅₀ values ranging from 18nM to 46nM. In osteosarcoma cells, rofecoxib prevents the production of PGE₂ with IC₅₀ value of 26nM. Rofecoxib also shows effective inhibition of recombinant human COX-2 in stably transfected CHO cells with IC₅₀ value of 18nM. Besides that, rofecoxib inhibits the activity of purified human COX-2 with IC₅₀ value of 0.34μM in the in vitro assay with Genapol X-100 [1].

In the carrageenan-induced rat paw edema assay, administration of rofecoxib suppresses the edema response with ID₅₀ value of 1.5mg/kg. And in rats with carrageenan-induced paw hyperalgesia, relieves the hyperalgesia with ID₅₀ value of 1mg/kg [1].

References:

[1] Chan C C, Boyce S, Brideau C, et al. Rofecoxib [Vioxx, MK-0966; 4-(4'-methylsulfonylphenyl)-3-phenyl-2-(5H)-furanone]: a potent and orally active cyclooxygenase-2 inhibitor. Pharmacological and biochemical profiles. Journal of Pharmacology and Experimental Therapeutics, 1999, 290(2): 551-560.

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