Web: www.taiclone.com
Tel: +886-2-2735-9682
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Rofecoxib

Catalog No: tcsc0997

Available Sizes

Size: 100mg

Specifications

CAS No:
162011-90-7

Formula:
$C_{17}H_{14}O_4S$

Pathway:
Immunology/Inflammation

Target:
COX

Purity / Grade:
>98%

Solubility:
DMSO : 33.33 mg/mL (106.02 mM; Need ultrasonic); H2O :

Alternative Names:
MK 966

Observed Molecular Weight:
314.36

Product Description

Rofecoxib is a potent, specific and orally active **COX-2** inhibitor, with **IC_{50}**s of 26 and 18 nM for human COX-2 in human osteosarcoma cells and Chinese hamster ovary cells, with a 1000-fold selectivity for COX-2 over human COX-1 (IC_{50} > 50 μM in U937 cells and > 15 μM in Chinese hamster ovary cells).

IC50 & Target: IC50: 26 nM (Human COX-2, in human osteosarcoma cells), 18 nM (Human COX-2, in Chinese hamster ovary cells),

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>50 μM (Human COX-1, in U937 cells), >15 μM (Human COX-1, in Chinese hamster ovary cells)[1]

In Vitro: Rofecoxib (MK-0966) is a potent and orally active inhibitor of COX-2, with IC_{50}s of 26 and 18 nM for human COX-2 in human osteosarcoma cells and Chinese hamster ovary cells, with a 1000-fold selectivity for COX-2 over COX-1 (IC_{50} >50 μM in U937 cells and >15 μM in Chinese hamster ovary cells). Rofecoxib time dependently inhibits purified human recombinant COX-2 (IC_{50} =0.34 μM) but suppresses purified human COX-1 in a non-time-dependent manner that can only be observed at a very low substrate concentration (IC_{50}=26 μM at 0.1 μM arachidonic acid concentration). Rofecoxib selectively inhibits lipopolysaccharide-induced, COX-2-derived PGE(2) synthesis with an IC_{50} value of 0.53 ± 0.02 μM compared with an IC_{50} value of 18.8 ± 0.9 μM for the inhibition of COX-1-derived thromboxane B(2) synthesis after blood coagulation[1]. Rofecoxib (36 μM) causes a cell proliferation of 68% in MPP89, of 58% in Ist-Mes-1 and 40% in Ist-Mes-2. MSTO-211H and NCI-H2452 treated with 36 μM of Rofecoxib have a survival of 97% and 90% respectively. Rofecoxib (36 μM) decreases COX-2 and mRNA levels in Ist-Mes-1, Ist-Mes-2 and MPP89 cell lines[3].

In Vivo: Rofecoxib potently inhibits carrageenan-induced paw edema (ID_{50}=1.5 mg/kg), carrageenan-induced paw hyperalgesia (ID_{50}=1.0 mg/kg), lipopolysaccharide-induced pyresis (ID_{50}=0.24 mg/kg), and adjuvant-induced arthritis (ID_{50}=0.74 mg/kg/day) in rodent models. Rofecoxib also protects adjuvant-induced destruction of cartilage and bone structures in rats. In a ^{51}Cr excretion assay for detection of gastrointestinal integrity in either rats or squirrel monkeys, rofecoxib shows no effect at doses up to 200 mg/kg/day for 5 days[1]. Rofecoxib (15 mg/kg, i.p.) reduces the blood vessels attached to the internal limiting membrane (ILM) in mice. COX-2 and VEGF protein expressions, COX-2 mRNA and VEGF mRNA are also significantly decreased by Rofecoxib in ROP mice [2].