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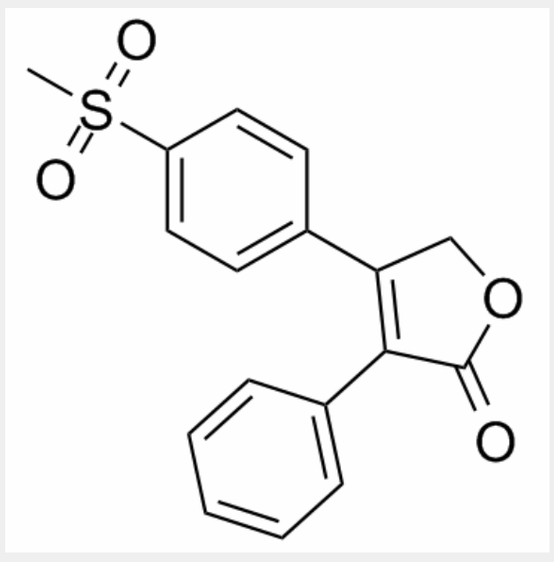
>50 μM (Human COX-1, in U937 cells), >15 μM (Human COX-1, in Chinese hamster ovary cells)[1]

***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].

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