

# 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

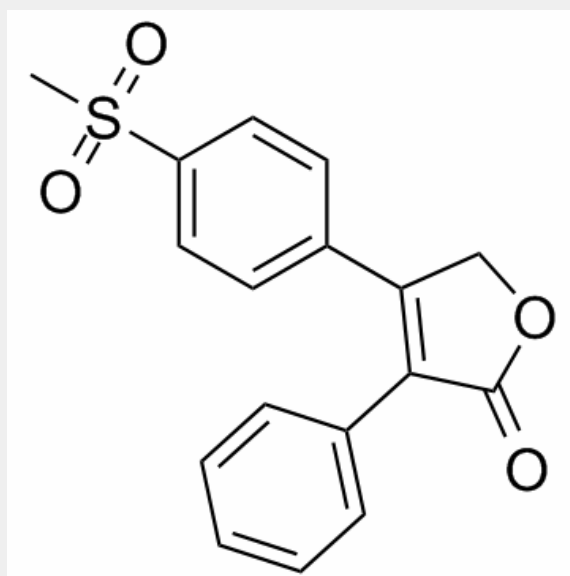
Rofecoxib is a potent, specific and orally active **COX-2** inhibitor, with **IC<sub>50</sub>**s of 26 and 18 nM for human COX-2 in human osteosarcoma cells and Chinese hamster ovary cells, with a 1000-fold selectivity for COX-2 over human COX-1 (IC<sub>50</sub> > 50 μM in U937 cells and > 15 μM in Chinese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

>50  $\mu\text{M}$  (Human COX-1, in U937 cells), >15  $\mu\text{M}$  (Human COX-1, in Chinese hamster ovary cells)<sup>[1]</sup>

**In Vitro:** Rofecoxib (MK-0966) is a potent and orally active inhibitor of COX-2, with  $\text{IC}_{50}$ 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 ( $\text{IC}_{50}$  >50  $\mu\text{M}$  in U937 cells and >15  $\mu\text{M}$  in Chinese hamster ovary cells). Rofecoxib time dependently inhibits purified human recombinant COX-2 ( $\text{IC}_{50}$  =0.34  $\mu\text{M}$ ) but suppresses purified human COX-1 in a non-time-dependent manner that can only be observed at a very low substrate concentration ( $\text{IC}_{50}$ =26  $\mu\text{M}$  at 0.1  $\mu\text{M}$  arachidonic acid concentration). Rofecoxib selectively inhibits lipopolysaccharide-induced, COX-2-derived PGE(2) synthesis with an  $\text{IC}_{50}$  value of  $0.53 \pm 0.02 \mu\text{M}$  compared with an  $\text{IC}_{50}$  value of  $18.8 \pm 0.9 \mu\text{M}$  for the inhibition of COX-1-derived thromboxane B(2) synthesis after blood coagulation<sup>[1]</sup>. Rofecoxib (36  $\mu\text{M}$ ) 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  $\mu\text{M}$  of Rofecoxib have a survival of 97% and 90% respectively. Rofecoxib (36  $\mu\text{M}$ ) decreases COX-2 and mRNA levels in Ist-Mes-1, Ist-Mes-2 and MPP89 cell lines<sup>[3]</sup>.

**In Vivo:** Rofecoxib potently inhibits carrageenan-induced paw edema ( $\text{ID}_{50}$ =1.5 mg/kg), carrageenan-induced paw hyperalgesia ( $\text{ID}_{50}$ =1.0 mg/kg), lipopolysaccharide-induced pyresis ( $\text{ID}_{50}$ =0.24 mg/kg), and adjuvant-induced arthritis ( $\text{ID}_{50}$ =0.74 mg/kg/day) in rodent models. Rofecoxib also protects adjuvant-induced destruction of cartilage and bone structures in rats. In a  $^{51}\text{Cr}$  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<sup>[1]</sup>. 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<sup>[2]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!