# Firocoxib

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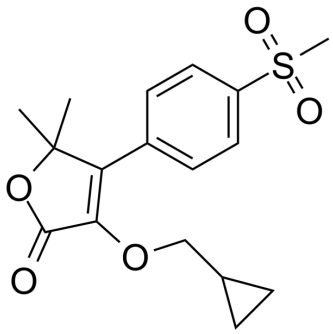
|---|---|
| **Cat. No.:** | HY-14670 |
| **CAS No.:** | 189954-96-9 |
| **Molecular Formula:** | $C_{17}H_{20}O_5S$ |
| **Molecular Weight:** | 336.4 |
| **Target:** | COX |
| **Pathway:** | Immunology/Inflammation |
| **Storage:** | 4°C, protect from light, stored under nitrogen<br>* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen) |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : ≥ 52 mg/mL (154.58 mM)

* "≥" means soluble, but saturation unknown.

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.9727 mL | 14.8633 mL | 29.7265 mL |
| 5 mM | 0.5945 mL | 2.9727 mL | 5.9453 mL |
| 10 mM | 0.2973 mL | 1.4863 mL | 2.9727 mL |

Please refer to the solubility information to select the appropriate solvent.

## BIOLOGICAL ACTIVITY

**Description**

Firocoxib (ML 1785713) is a potent, selective and orally active COX-2 inhibitor with an $IC_{50}$ of 0.13 μM. Firocoxib shows 58-fold more selective for COX-2 than COX-1 ($IC_{50}$ of 7.5 μM). Firocoxib has anti-inflammatory effects[1].

**$IC_{50}$ & Target**

| COX-2 | COX-1 |
|---|---|
| 0.13 μM ($IC_{50}$) | 7.5 μM ($IC_{50}$) |

**In Vitro**

The COX-1:COX-2 selectivity ratios generally are established by comparing the $IC_{50}$ for COX-1 to the $IC_{50}$ for COX-2. The $IC_{80}$ value more closely resembles the steady-state plasma drug concentration than does the $IC_{50}$ value[1].

The selectivity ratio for Firocoxib based on the $IC_{80}$ values is 121 ($IC_{80}$ of 0.36 μM and 43.6 μM for COX-2 and COX-1, respectively), indicating that selectivity for COX-2 is not reduced at concentrations higher than the $IC_{50}$. Notably, Firocoxib concentrations that yield 80% to 95% inhibition of COX-2 produce < 20% inhibition of COX-1[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

Firocoxib (0.75-1.5mg/kg; oral gavage; female domestic shorthair cats) treatment efficacious in attenuating fever when administered to cats 1 or 14 hours before LPS challenge[1].

Pharmacokinetic properties of Firocoxib are determined after i.v. (2 mg/kg) and oral (3 mg/kg) administration in male cats. Firocoxib has moderate to high oral bioavailability (54% to 70%), low plasma clearance (4.7 to 5.8 mL/min/kg), and an elimination half-life of 8.7 to 12.2 hours[1].

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| Animal Model: | 14 healthy female domestic shorthair cats (11-15 months old, 2.9-3.9 kg) with lipopolysaccharide (LPS)[1] |
| Dosage: | 0.75 mg/kg, 1.5 mg/kg |
| Administration: | Oral gavage |
| Result: | Was efficacious in attenuating fever when administered to cats 1 or 14 hours before LPS challenge. |

## REFERENCES


[1]. Steagall PV, et al. Evaluation of the adverse effects of oral firocoxib in healthy dogs. J Vet Pharmacol Ther. 2007 Jun;30(3):218-23.

[2]. Stock ML, et al. Pharmacokinetics of firocoxib in preweaned calves after oral and intravenous administration. J Vet Pharmacol Ther. 2014 Oct;37(5):457-63.

[3]. Albanese F, et al. Clinical outcome and cyclo-oxygenase-2 expression in five dogs with solar dermatitis/actinic keratosis treated with firocoxib. Vet Dermatol. 2013 Dec;24(6):606-12, e147.

[4]. [1].McCann ME, et al. In vitro effects and in vivo efficacy of a novel cyclooxygenase-2 inhibitor in cats with lipopolysaccharide-induced pyrexia. Am J Vet Res. 2005 Jul;66(7):1278-84.





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