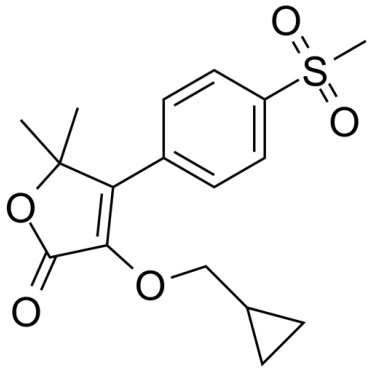
Data Sheet

Product Name:	Firocoxib
Cat. No.:	CS-3419
CAS No.:	189954-96-9
Molecular Formula:	C17H20O5S
Molecular Weight:	336.40
Target:	COX
Pathway:	Immunology/Inflammation
Solubility:	DMSO : ≥ 52 mg/mL (154.58 mM)



BIOLOGICAL ACTIVITY:

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]. **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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

Animal administration [3] Ten Holstein calves (males and females) with a mean SD weight of 44.5 kg and age of 20.7 days for period one and 55.5 kg and age of 34.7 days for period two were included in this study. All calves were determined healthy by a physical examination and normal findings on a complete blood count and serum chemistry. A parallel design using the same animals (n = 10) with two treatment periods separated by a 14-day washout period was utilized for this investigation. During the first period, study animals received firocoxib (0.5 mg/kg) intravenously. Following the washout period, during the second study period, animals were given firocoxib orally at the same dose (actual dose 0.5 mg/kg; 0.48-0.52 mg/kg) and subsequently cautery dehorned.

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.

CAIndexNames:

2(5H)-Furanone, 3-(cyclopropylmethoxy)-5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-

SMILES:

O=C1OC(C)(C)C(C2=CC=C(S(=O)(C)=O)C=C2)=C1OCC3CC3

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA