Product Data Sheet

Product Name: Firocoxib
Cat. No.: GC12397

Chemical Properties

Cas. No.	189954-96-9		
Chemical Name	3-(cyclopropylmethoxy)-5,5-dimethyl-4-(4-methylsulfonylphenyl)furan-2-one		
SMILES	CC1(C(=C(C(=O)O1)OCC2CC2)C3=CC=C(C=C3)S(=O)(=O)C)C		
Formula	$C_{17}H_{20}O_5S$	M.Wt	336.4
Solubility	DMF: 3mg/mL,DMSO: 3mg/mL,DMSO:PBS (pH 7.2) (1:4): 0.2mg/mL	Storage	4°C, protect from light, stored under nitrogen
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Caution: Product has not been fully validated for medical applications. For research use only.
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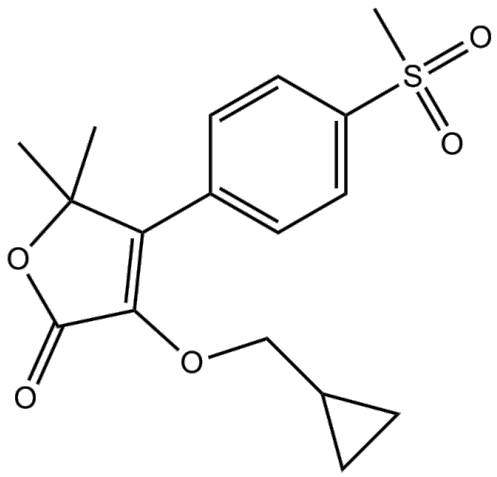
Structure



Background

Firocoxib(ML 1785713) is a potent and selective cyclooxygenase (COX)-2 inhibitor with IC50 of 0.13 uM, 58 fold sensitivity for COX2 VSCOX1.IC50 value: 0.13 uM [1]Target: COX2 inhibitorin vitro: Blood concentrations resulting in 50% inhibition of COX-1 and COX-2 activity in vitro were 75 +/- 2 microM and 0.13 +/- 0.03 microM, respectively, and selectivity for inhibiting COX-2 relative to COX-1 was 58. Firocoxib had 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]. in vivo: Administration of firocoxib did not cause any adverse effects on GI, or hematological or serum biochemical variables and appears to have been well tolerated by dogs [2]. Firocoxib (0.5 mg/kg) was initially administered i.v. to calves, and following a 14-day washout period, animals received firocoxib orally prior to cautery dehorning. Firocoxib concentrations were determined by liquid chromatography-tandem mass spectrometry [3]. Firocoxib 5 mg/kg was given orally once daily for 180 days to five dogs with clinical signs and histopathological lesions consistent with solar dermatitis/actinic keratosis. On days 0, 50 and 180, the severity of erythema, skin shine, induration and the number of comedones were evaluated by a clinical scoring system [4].

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References:

[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.
[2]. 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.
[3]. 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.
[4]. 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.

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