Polmacoxib

Cat. No.: HY-16726

CAS No.: 301692-76-2

Molecular Formula: $C_{18}H_{16}FNO_4S$

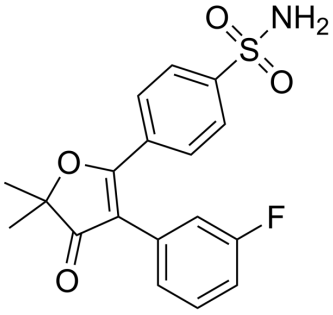
Molecular Weight: 361.39

Target: COX; Carbonic Anhydrase

Pathway: Immunology/Inflammation; Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (691.77 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7671 mL	13.8355 mL	27.6709 mL
	5 mM	0.5534 mL	2.7671 mL	5.5342 mL
	10 mM	0.2767 mL	1.3835 mL	2.7671 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.76 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.76 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Polmacoxib (CG100649) is a first-in-class, orally active nonsteroidal anti-inflammatory agent (NSAID) which is a dual inhibitor of COX-2 (IC_{50} around 0.1 μg/ml) and carbonic anhydrase[1]. Polmacoxib inhibits colorectal adenoma and tumor growth in mouse models[2].

IC_{50} & Target

COX-2	carbonic anhydrase
0.1 μg/mL (IC_{50})	

In Vitro

Polmacoxib (CG100649) (0-1 μg/ml; 24 hours; HCA-7 and HT-29 cells) can inhibit COX-2 activity and PGE2 production in human colon cancer cells, at lower concentrations compared to Celecoxib[2].

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

In Vivo	Polmacoxib (7 mg/kg; p.o.; daily for 8 weeks) suppresses intestinal polyp formation in $Apc^{Min/+}$ mice[2]. Polmacoxib (7-15 mg/kg; p.o.; daily from day 27 post-injection to day 111; athymic nude mice; subcutaneous xenograft mouse model) reduces tumor volume and tumor weight by 58% and 48%, respectively, compared to a 48% and 36% reduction following treatment with Celecoxib[2]. Polmacoxib (7-15 mg/kg; p.o.; began on day 14 and continued for 8 weeks; athymic nu/nu mice; orthotopic xenograft mouse model) inhibits CRC growth in an orthotopic xenograft mouse model, reducing tumor weight by 70% using 7 mg/kg or by 83% using 15 mg/kg, compared to a similar 70% reduction following treatment with 500 mg/kg of Celecoxib[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Kim SH, et al. CG100649, a novel COX-2 inhibitor, inhibits colorectal adenoma and carcinoma growth in mouse models. Invest New Drugs. 2014;32(6):1105-1112.

[2]. Flick AC, et al. Synthetic Approaches to the New Drugs Approved During 2015 [published correction appears in J Med Chem. 2017 Oct 26;60(20):8680]. J Med Chem. 2017;60(15):6480-6515.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

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