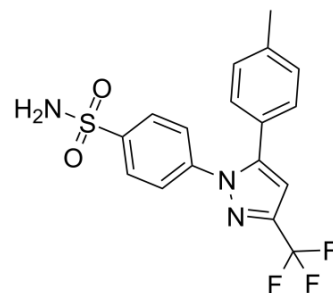


## Celecoxib

<b>Cat. No.:</b>	HY-14398		
<b>CAS No.:</b>	169590-42-5		
<b>Molecular Formula:</b>	C <sub>17</sub> H <sub>14</sub> F <sub>3</sub> N <sub>3</sub> O <sub>2</sub> S		
<b>Molecular Weight:</b>	381.37		
<b>Target:</b>	COX		
<b>Pathway:</b>	Immunology/Inflammation		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : ≥ 50 mg/mL (131.11 mM)  
 \* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent		1 mg	5 mg	10 mg
	Concentration	Mass			
	1 mM		2.6221 mL	13.1106 mL	26.2213 mL
	5 mM		0.5244 mL	2.6221 mL	5.2443 mL
	10 mM		0.2622 mL	1.3111 mL	2.6221 mL

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

#### In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.5 mg/mL (6.56 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.5 mg/mL (6.56 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 2.5 mg/mL (6.56 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.5 mg/mL (6.56 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

Celecoxib, a selective non-steroidal anti-inflammatory drug (NSAID), is a selective COX-2 inhibitor with an IC<sub>50</sub> of 40 nM.

#### IC<sub>50</sub> & Target

COX-2	COX-1
40 nM (IC <sub>50</sub> )	15 μM (IC <sub>50</sub> )

<b>In Vitro</b>	<p>The selective cyclooxygenase-2 (COX-2) inhibitor Celecoxib (10-75 <math>\mu</math>M) inhibits the proliferation of the NPC cell lines in a dose-dependent manner. Celecoxib (25 and 50 <math>\mu</math>M) induces apoptosis and cell-cycle arrest at the G<sub>0</sub>/G<sub>1</sub> checkpoint in the NPC cell lines, which is associated with significantly reduced STAT3 phosphorylation. The genes downstream of STAT3 (ie, Survivin, Mcl-1, Bcl-2 and Cyclin D1) are significantly down-regulated after exposure to Celecoxib (25 and 50 <math>\mu</math>M)<sup>[2]</sup>. Targeting the YAP/TAZ transcriptional target cyclooxygenase 2 (COX-2) using celecoxib inhibits cell proliferation and tumorigenesis in NF2 mutant cells<sup>[6]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
<b>In Vivo</b>	<p>Celecoxib demonstrates potent, oral anti-inflammatory activity. Celecoxib reduces acute inflammation in the carrageenan edema assay with an ED<sub>50</sub> of 7.1 mg/kg and reduces chronic inflammation in the adjuvant arthritis model with an ED<sub>50</sub> of 0.37 mg/kg/day. In addition, Celecoxib also exhibits analgesic activity in the Hargreaves hyperalgesia model with an ED<sub>50</sub> of 34.5 mg/kg. Celecoxib has potency equivalent to that of standard nonsteroidal anti-inflammatory drugs (NSAIDs), yet shows no acute GI toxicity in rats at doses up to 200 mg/kg. In addition, it displays no chronic GI toxicity in rats at doses up to 600 mg/kg/day over 10 days<sup>[1]</sup>. In the KpB mice fed a high fat diet (obese) and treated with Celecoxib, tumor weight decreases by 66% when compare with control animals. Among KpB mice fed a low fat diet (non-obese), tumor weight decreases by 46% after treatment with Celecoxib<sup>[3]</sup>. Rat models are orally administrated with Celecoxib (20 mg/kg) and/or intramuscularly with Fasudil (10 mg/kg) for 2 weeks. Results demonstrates that the combined use of Celecoxib and fasudil significantly decreases COX-2 and Rho kinase II expression surrounding the lesion site in rats with spinal cord injury, improves the pathomorphology of the injured spinal cord, and promoted the recovery of motor function<sup>[4]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

## PROTOCOL

<b>Cell Assay</b> <sup>[2]</sup>	<p>The antiproliferative effect of Celecoxib on NPC cells is assessed using an MTT assay. Cells are seeded into 96-well plates and allowed to attach for 24 h. The cells are then treated with increasing concentrations of Celecoxib (0, 5, 10, 25, 50 or 75 <math>\mu</math>M) dissolved in DMSO (final concentration <math>\leq</math>0.1%) and incubated for up to 48 h. After the incubation, 20 <math>\mu</math>L of MTT dye (5 mg/mL) are added to each well and cells are incubated at 37°C for 4 h. After removing the supernatants, the crystals are dissolved in DMSO and the absorbance is measured at 490 nm. The percentage growth inhibition is calculated as <math>(OD_{control} - OD_{drug})/OD_{control} \times 100\%</math>. The half-maximal inhibitory concentration (IC<sub>50</sub>) values and the 95% confidence intervals are calculated using probit regression using SPSS 15.0 software. The experiment is performed in triplicate and repeated at least three times<sup>[2]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
<b>Animal Administration</b> <sup>[3][4]</sup>	<p>Mice<sup>[3]</sup></p> <p>The KpB mice are monitored weekly by palpation for tumor growth. Celecoxib and placebo treatment is initiated after palpation of a 1 cm tumor in mice on the HFD (obese group) and LFD (non-obese group) (N=15 mice per group). Celecoxib is dissolved in DMSO at 5 mg/mL, further diluted 10 times in 0.5% methylcellulose with 0.025% Tween 80 and injected (IP) daily at a dose of 5 mg/kg body weight for 4 weeks. The tumor sizes are measured once a week by palpation. Tumor volume is calculated using the following equation: volume (mm<sup>3</sup>)=<math>a \times b^2 / 2</math>, where a is the largest diameter and b is the smallest diameter. The animals are weighed weekly throughout the study. At sacrifice, mice are weighed and blood samples are taken. Half of the ovarian tumor is snap-frozen and stored at -80°C, and the other half is fixed in 10% neutral-buffered formalin and paraffin embedded. Mouse heart, lungs and kidneys are also harvested, fixed in formalin and grossly examined for any suspicious lesions before paraffin embedding.</p> <p>Rats<sup>[4]</sup></p> <p>Forty adult, clean, female, Sprague-Dawley rats aged 3 months and weighing 280-330 g, are used. Forty rats are randomized to five groups as follows: sham surgery, model, Celecoxib, fasudil and combination groups, with eight rats in each group. Rats in the Celecoxib group are intragastrically administrated with a suspension of Celecoxib (20 mg/kg), and a suspension of Celecoxib containing 0.5% sodium carboxymethylcellulose is made from the capsules. Rats in the fasudil group are intramuscularly administrated with fasudil hydrochloride injection (10 mg/kg) via the dorsal muscle. Rats in the combination group are administrated with both a suspension of Celecoxib (20 mg/kg) and fasudil hydrochloride (10 mg/kg). The fasudil and Celecoxib doses are based on doses administered to adults and these are adjusted in a pre-study. Administration is once every day for 2 weeks. Subsequently, all rats are treated normally for another 2 weeks, and then</p>

sacrificed either for histological examination or for western blot assay.  
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## CUSTOMER VALIDATION

- Nat Biomed Eng. 2018 Aug;2(8):578-588.
- J Exp Clin Cancer Res. 2020 Jun 16;39(1):113.
- Cancers. 2019 Jul 3;11(7):931.
- Br J Cancer. 2018 Jan;118(2):213-223.
- Front Immunol. 2021 May 4.

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## REFERENCES

- [1]. Penning TD, et al. Synthesis and biological evaluation of the 1,5-diarylpyrazole class of cyclooxygenase-2 inhibitors: identification of 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzene nesulfonamide (SC-58635, celecoxib). J Med Chem. 1997
- [2]. Liu DB, et al. Celecoxib induces apoptosis and cell-cycle arrest in nasopharyngeal carcinoma cell lines via inhibition of STAT3 phosphorylation. Acta Pharmacol Sin. 2012 May;33(5):682-90.
- [3]. Suri A, et al. The effect of celecoxib on tumor growth in ovarian cancer cells and a genetically engineered mouse model of serous ovarian cancer. Oncotarget. 2016 Apr 8.
- [4]. Hou XL, et al. Combination of fasudil and celecoxib promotes the recovery of injured spinal cord in rats better than celecoxib or fasudil alone. Neural Regen Res. 2015 Nov;10(11):1836-40.
- [5]. Liu C, et al. Celecoxib alleviates nonalcoholic fatty liver disease by restoring autophagic flux. Sci Rep. 2018 Mar 7;8(1):4108.
- [6]. Pobbati AV, et al. A combat with the YAP/TAZ-TEAD oncoproteins for cancer therapy. Theranostics. 2020 Feb 18;10(8):3622-3635.

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