Zaltoprofen

Cat. No.: HY-B0619

CAS No.: 74711-43-6

Molecular Formula: $C_{17}H_{14}O_3S$

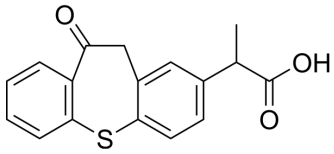
Molecular Weight: 298.36

Target: COX

Pathway: Immunology/Inflammation

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (335.17 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3517 mL	16.7583 mL	33.5166 mL
	5 mM	0.6703 mL	3.3517 mL	6.7033 mL
	10 mM	0.3352 mL	1.6758 mL	3.3517 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.38 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.38 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.38 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Zaltoprofen (CN100), a non-steroidal anti-inflammatory drug (NSAID), is a preferential and orally active COX-2 inhibitor, with IC_{50}s of 1.3 and 0.34 μM for COX-1 and COX-2, respectively. Zaltoprofen exhibits powerful anti-inflammatory effects as well as an analgesic action on inflammatory pain[1][2][3].

IC_{50} & Target

COX-2	COX-1
0.34 μM (IC_{50})	1.3 μM (IC_{50})

In Vitro	Zaltoprofen (0.1-10 μM; 15 min) inhibits thromboxane B2 production in human platelets in a dose-dependent manner[1]. Zaltoprofen (0.01-1 μM; 30 min) inhibits prostaglandin E2 production by interleukin-1β-stimulated synovial cells[1]. Zaltoprofen (0.1-1 μM; 5 min) inhibits the bradykinin-induced increase of $[Ca^{2+}]_i$ in DRG cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Zaltoprofen (5-20 mg/kg; a single p.o.) inhibits bradykinin-induced nociceptive responses in rats[2]. Zaltoprofen (3-30 mg/kg; a single p.o.) inhibits the acetic acid-induced writhing response of mice in a dose-dependent manner[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Eight-week-old male Wistar rats were injected Bradykinin every 15 min[2]
Dosage:	5, 10, 20 mg/kg
Administration:	A single p.o.
Result:	Inhibited bradykinin-induced nociceptive responses, with an ED50 of 9.7 mg/kg. The duration of analgesic effect was 60-90 min.

REFERENCES

[1]. Kawai S, et, al. Comparison of cyclooxygenase-1 and -2 inhibitory activities of various nonsteroidal anti-inflammatory drugs using human platelets and synovial cells. Eur J Pharmacol. 1998 Apr 17;347(1):87-94.

[2]. Hirate K, et, al. Zaltoprofen, a non-steroidal anti-inflammatory drug, inhibits bradykinin-induced pain responses without blocking bradykinin receptors. Neurosci Res. 2006 Apr;54(4):288-94.

[3]. Kameyama T, et, al. Analgesic and antiinflammatory effects of 2-(10,11-dihydro-10-oxo-dibenzo[b,f]thiepin-2-yl)propionic acid in rat and mouse. Arzneimittelforschung. 1987 Jan;37(1):19-26.

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