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Zuschläge

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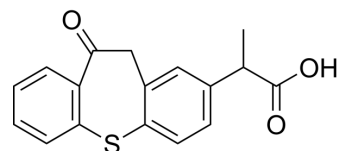
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Zaltoprofen

Cat. No.:	HY-B0619
CAS No.:	74711-43-6
Molecular Formula:	C ₁₇ H ₁₄ O ₃ S
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.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	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

- 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
- 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
- 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 IC₅₀s 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]}.

IC₅₀ & Target

COX-2 0.34 μM (IC ₅₀)	COX-1 1.3 μM (IC ₅₀)
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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 [Ca²⁺]_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. <table><tr><td>Animal Model:</td><td>Eight-week-old male Wistar rats were injected Bradykinin every 15 min^[2]</td></tr><tr><td>Dosage:</td><td>5, 10, 20 mg/kg</td></tr><tr><td>Administration:</td><td>A single p.o.</td></tr><tr><td>Result:</td><td>Inhibited bradykinin-induced nociceptive responses, with an ED50 of 9.7 mg/kg. The duration of analgesic effect was 60-90 min.</td></tr></table>		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.
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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.

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

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