Tolmetin

Cat. No.: HY-B1799

CAS No.: 26171-23-3

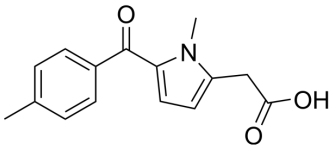
Molecular Formula: $C_{15}H_{15}NO_3$

Molecular Weight: 257.28

Target: COX

Pathway: Immunology/Inflammation

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (388.68 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.8868 mL	19.4341 mL	38.8682 mL
	5 mM	0.7774 mL	3.8868 mL	7.7736 mL
	10 mM	0.3887 mL	1.9434 mL	3.8868 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 (9.72 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.72 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.72 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tolmetin is an orally active and potent COX inhibitor with IC_{50}s of 0.35 μM and 0.82 μM human COX-1 and COX-2, respectively. Tolmetin is a non-steroidal anti-inflammatory drug (NSAID)[1][2].

IC_{50} & Target

Human COX-1	Human COX-2
0.35 μM (IC_{50})	0.82 μM (IC_{50})

In Vitro

Tolmetin (0.25 mM) does not attenuate lipid peroxidation in rat brain homogenate. Tolmetin (0.25, 0.5, 0.75, 1 mM) shows radical scavenging properties but without superoxide anion generation in rat brain homogenat[3].
Tolmetin (0.001-100 μM) shows anticancer activity againts HT-29 colon cancer cell line in a dose-dependent manner[4].

	Tolmetin (0-100 μM) shows no effect on osteoblast growth[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Tolmetin (30,100 mg/kg; gavage; single dose or twice daily for 3 and 14 days) shows maximal ulcerogenic effect 4 h after the single dose, while potently decreases after 3 and 14 days of repeated administration in male Wistar rats weighing 180-200 g. Tolmetin causes gastric lesions in 100 mg/kg[2]. Tolmetin (5 mg/kg twice a day for 5 days) pre-treatment considerably attenuates quinolinic acid (QA)-induced neurotoxicity [3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Etcheverry SB, et al. Three new vanadyl(IV) complexes with non-steroidal anti-inflammatory drugs (Ibuprofen, Naproxen and Tolmetin). Bioactivity on osteoblast-like cells in culture. J Inorg Biochem. 2002 Jan 1;88(1):94-100.

[2]. T D Warner, et al. Nonsteroid drug selectivities for cyclo-oxygenase-1 rather than cyclo-oxygenase-2 are associated with human gastrointestinal toxicity: a full in vitro analysis. Proc Natl Acad Sci U S A. 1999 Jun 22;96(13):7563-8.

[3]. DADAŞ, Yakup, et al. Synthesis and anticancer activity of some novel tolmetin thiosemicarbazides. Marmara Pharmaceutical Journal 19(3) • April 2015

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