Tolfenamic Acid

Cat. No.: HY-B0335

CAS No.: 13710-19-5

Molecular Formula: $C_{14}H_{12}ClNO_2$

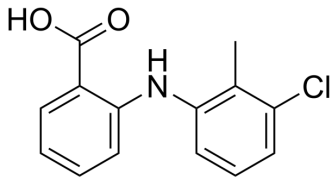
Molecular Weight: 261.7

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 (382.12 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.8212 mL	19.1058 mL	38.2117 mL
5 mM	0.7642 mL	3.8212 mL	7.6423 mL
10 mM	0.3821 mL	1.9106 mL	3.8212 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (7.95 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tolfenamic Acid (GEA 6414) is a non-steroidal anti-inflammatory and anti-cancer agent, selectively inhibits COX-2, with an IC_{50} of 13.49 μM (3.53 μg/mL) in LPS-treated (COX-2) canine DH82 monocyte/macrophage cells, but shows no effect on COX-1.

IC_{50} & Target

COX-2

13.49 μM (IC_{50}, in cell)

In Vitro

Tolfenamic Acid (GEA 6414) is a nonsteroidal antiinflammatory agent, selectively inhibits COX-2, with an IC_{50} of 13.49 μM (3.53 μg/mL) in LPS-treated (COX-2) canine DH82 monocyte/macrophage cells, but shows no effect on COX-1[1].

Tolfenamic Acid (GEA 6414) (100 μM) inhibits >70% of cell viability of BE3, OE33, and SKGT5. Tolfenamic Acid (GEA 6414) also acts as a potent Sp protein inhibitor, decreases Sp1 and Sp4 and suppresses c-Met expression in esophageal cancer cells BE3

	and SKGT5[2]. Tolfenamic AcidTolfenamic Acid (GEA 6414) (50 μM) significantly affects gene expression in L3.6pl cells, and downregulates CENPF, KIF20A, LMNB1, MYB, SKP2, CCNE2, and DDIT3[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Tolfenamic Acid (GEA 6414) (50 mg/kg 3 times/wk, p.o.) inhibits tumor formation and tumor incidence in N-nitrosomethylbenzylamine (NMBA)-induced esophageal tumor model. Tolfenamic Acid (GEA 6414) also causes decreases in tumor multiplicity and tumor volume in rats treated with NMBA[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	All cells are grown in media (RPMI1640) supplemented with 5% serum and cultured at 37°C in a humidified atmosphere of 95% air and 5% CO_2. Twenty four hours after seeding, cells are treated with vehicle (0.1% DMSO) or various concentrations of Tolfenamic Acid (GEA 6414) (25/50/100 μM). Cell viability assays are conducted at 24, 48 and 72 h post-treatment. Cells are treated with 50 μM Tolfenamic Acid (GEA 6414) and the cell pellets are harvested at 48 h post-treatment. These pellets are used to prepare cell lysates that are used in Western blot analyses[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Mice[2] The Fischer 344 (F-344) rat model of esophageal SCC are initially housed two per cage, but eventually separated to one per cage due to increase in size, and are maintained under standard, humane conditions (20±2°C, 50±10% relative humidity, 12-h light/dark cycles). Food and water are provided ad libitum. Body weights are recorded at the time of each dosing. Two weeks after arrival in the animal facility, the rats are randomLy assigned into 4 groups: C: NMBA (1-5 week); NTA: (NMBA 1-5 week and then Tolfenamic Acid (GEA 6414) 6-25 week); NC: Negative control (vehicle); and TA: Tolfenamic Acid (GEA 6414) negative control. Control (C) and NTA groups are injected s.c. with NMBA (0.5 mg/kg) in 0.2 mL vehicle three times per week for 5 weeks while negative control groups are injected with vehicle alone. NTA and Tolfenamic Acid groups also receive 50 mg/kg Tolfenamic Acid (GEA 6414) by oral gavage from week 6 through week 25. After the 25th week, the animals are sacrificed, esophagi are cut open longitudinally, and surface tumors are mapped and counted. The number and the size of lesions, including polyps are recorded and images captured. Tumor volume is calculated using the formula for a prolate spheroid: length × width × height × p/6[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Neurosci Lett. 2019 Mar 23;696:67-73.

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REFERENCES

[1]. Kay-Mugford P, et al. In vitro effects of nonsteroidal anti-inflammatory drugs on cyclooxygenase activity in dogs. Am J Vet Res. 2000 Jul;61(7):802-10.

[2]. Maliakal P, et al. Chemopreventive effects of tolfenamic acid against esophageal tumorigenesis in rats. Invest New Drugs. 2012 Jun;30(3):853-61.

[3]. Sankpal UT, et al. Tolfenamic acid-induced alterations in genes and pathways in pancreatic cancer cells. Oncotarget. 2017 Feb 28;8(9):14593-14603

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

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