Indomethacin

Cat. No.: HY-14397

CAS No.: 53-86-1

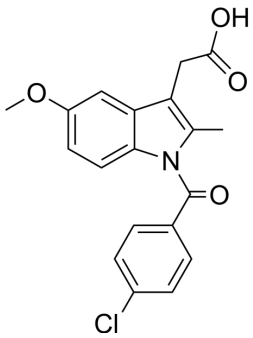
Molecular Formula: $C_{19}H_{16}ClNO_4$

Molecular Weight: 357.79

Target: COX; Antibiotic; Influenza Virus; Bacterial

Pathway: Immunology/Inflammation; Anti-infection

Storage: 4°C, protect from light
* In solvent : -80°C, 1 year; -20°C, 6 months (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (279.49 mM; Need ultrasonic)
Ethanol : 12.5 mg/mL (34.94 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7949 mL	13.9747 mL	27.9494 mL
	5 mM	0.5590 mL	2.7949 mL	5.5899 mL
	10 mM	0.2795 mL	1.3975 mL	2.7949 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: ≥ 2.08 mg/mL (5.81 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.81 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.81 mM); Clear solution
4. Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.25 mg/mL (3.49 mM); Clear solution
5. Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (3.49 mM); Clear solution
6. Add each solvent one by one: 10% EtOH >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (3.49 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Indomethacin (Indometacin) is a potent, orally active COX1/2 inhibitor with IC_{50} values of 18 nM and 26 nM for COX-1 and COX-2, respectively. Indomethacin has anticancer activity and anti-infective activity. Indomethacin can be used for cancer, inflammation and viral infection research[1][2][3].

IC_{50} & Target	Human COX-1 18 nM (IC_{50}, in CHO cells)	Human COX-2 26 nM (IC_{50}, in CHO cells)

In Vitro

Indomethacin (Indometacin) (0-150 μM; 24 hours; 3LL-D122 cells) has anticancer activity in vitro[2].
Indomethacin (Indometacin) (0-1000 μM) protects the host cells from damage caused by the virus through activates PKR, resulting in eIF2α phosphorylation, and in turn shutting of translation of viral protein and inhibiting replication of the virus (IC_{50}=2μM)[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	3LL-D122 cells (highly metastatic variant of mouse LLcarcinoma cells)
Concentration:	0, 20, 50, 100 and 150μM
Incubation Time:	24 hours
Result:	Inhibited cell viability at 20 mM, with 50% inhibition at 60 mM.

Cell Viability Assay[2]

Cell Line:	3LL-D122 cells (highly metastatic variant of mouse LLcarcinoma cells)
Concentration:	0, 30 and 80μM
Incubation Time:	24 hours
Result:	Decreased in the percentage of cells at the G2/M phase and increased in the percentage of cells at G1 phase.

In Vivo

Following oral administration of indomethacin, drug absorption is rapid and complete, but there are important inter- and intra-individual differences. In general, peak plasma concentrations of 2-3 μg/mL are achieved within 1-2 h, but concurrent ingestion of food will reduce and delay peak concentrations without reducing absorption. In plasma, 90% of indomethacin is bound to albumin at therapeutic plasma concentrations[4].
Indomethacin can be used in animal modeling to construct gastric ulcer models.

Induction of gastric ulceration[5][6]

- Background

  Indomethacin can cause gastric ulceration by various mechanisms, including injury through inhibition of prostaglandin (PG) synthesis, reduction in local blood flow, regional irritation, and inhibition of tissue regeneration.

- Specific Mmodeling Methods

Rats: albino Sprague-Dawley • male • adult (period: 2 weeks)

Administration: 100 mg/kg • p.o. • single dose

Note

(1) All animals fasted 24 h before drug administration.

(2) Indomethacin were dissolved in saline with 5% NaOH.

- Modeling Indicators

  Gastric tissue macroscopic alterations: Showed prominent mucosal folds and severe erosion, pronounced ulceration and bleeding foci in the gastric mucosa.

  Histopathological changes: Showed severe erosion of the mucosa, reaching down to the lamina muscularis; observed hemorrhagic infiltration, edema in the submucosa, and severe hyperemia of the vessels.

  Molecular changes: Showed intense Tnf-α expression.

  Biochemical changes: Increased MDA, TOS levels, reduced TAS levels, CAT and GPx activities and GSH levels.

- Correlated Product(s): Indomethacin sodium hydrate (HY-14397A)
- Opposite Product(s): Carnosic acid (HY-N0644)

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats[1]
Dosage:	0.01-10 mg/kg
Administration:	Oral administration; for 3 hours
Result:	Inhibited the carrageenan-induced rat paw oedema (ED_{50}=2.0 mg/kg) and hyperalgesia (ED_{50}=1.5 mg/kg) in a dose-dependent manner.

Animal Model:	Male C57BL/6J mice[2]
Dosage:	10 mg/mL
Administration:	Oral administration; daily, for 29 days
Result:	Delayed the onset of tumor growth and the initial growth rate of the footpad tumors.

CUSTOMER VALIDATION

- Biomaterials. 16 September 2022.

- Hepatology. 2023 Feb 1;77(2):456-465.
- Clin Transl Med. 2021 Oct;11(10):e548.
- Chem Mater. 2017, 29(19):8221-8238.
- Appl Mater Today. 2023 Apr.

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REFERENCES

[1]. Riendeau D, et, al. Biochemical and pharmacological profile of a tetrasubstituted furanone as a highly selective COX-2 inhibitor. Br J Pharmacol. 1997 May;121(1):105-17.

[2]. Eli Y, et, al. Comparative effects of indomethacin on cell proliferation and cell cycle progression in tumor cells grown in vitro and in vivo. Biochem Pharmacol. 2001 Mar 1;61(5):565-71.

[3]. Amici C, et, al. Inhibition of viral protein translation by indomethacin in vesicular stomatitis virus infection: role of eIF2α kinase PKR. Cell Microbiol. 2015 Sep;17(9):1391-404.

[4]. Helleberg L, et, al. Clinical Pharmacokinetics of indomethacin. Clin Pharmacokinet. 1981 Jul-Aug;6(4):245-58.

[5]. Sabiu S, et, al. Indomethacin-induced gastric ulceration in rats: Protective roles of Spondias mombin a nd Ficus exasperate. Toxicol Rep. 2015 Jan 8:2:261-267.

[6]. Danisman B, et, al, Carnosic Acid Ameliorates Indomethacin-Induced Gastric Ulceration in Rats by Alleviating Oxidative Stress and Inflammation. Biomedicines. 2023 Mar 9;11(3):829.

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