Ethacrynic acid

Cat. No.: HY-B1640

CAS No.: 58-54-8

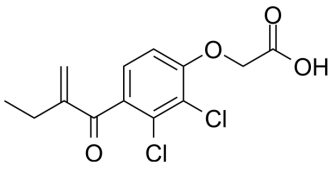
Molecular Formula: $C_{13}H_{12}Cl_2O_4$

Molecular Weight: 303.14

Target: Gutathione S-transferase; NF-κB; Calcium Channel; NO Synthase

Pathway: Metabolic Enzyme/Protease; NF-κB; Membrane Transporter/Ion Channel; Neuronal Signaling; Immunology/Inflammation

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 (329.88 mM; Need ultrasonic)
H_2O : 27.5 mg/mL (90.72 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2988 mL	16.4940 mL	32.9881 mL
5 mM	0.6598 mL	3.2988 mL	6.5976 mL
10 mM	0.3299 mL	1.6494 mL	3.2988 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 (8.25 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.25 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.25 mM); Clear solution
4. Add each solvent one by one: PBS
   Solubility: 1 mg/mL (3.30 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Ethacrynic acid has anti-inflammatory and anticancer activity. Ethacrynic acid is an orally active diuretic. Ethacrynic acid is an inhibitor of glutathione S-transferase (GSTs) and Wnt signaling pathways. Ethacrynic acid is a radiosensitizer. Ethacrynic acid can inhibit airway smooth muscle (ASM) contraction in mice. Ethacrynic acid can increase the outflow of aqueous humor from the eye for the study of glaucoma[1][2][3][4][5][6][7][8][9].

IC_{50} & Target	L-type calcium channel

In Vitro

Ethacrynic acid (50 μM; 24 h) inhibits Wnt/β-catenin signaling in CLL cells[1].
Ethacrynic acid (1-100 μM; 48 h) is cytotoxic in CLL cells with an IC_{50} of 8.56 μM[1].
Ethacrynic acid (0.01-0.25 mmol/L; 30 min) increases aqueous humor outflow facility acutely in eye and the outflow rate increases from 28% to 105%[2].
Ethacrynic acid (10-100 μM; 30 min) can inhibit the activation of NF-κB pathway in RAW264.7 cells induced by LPS (100 ng/mL) and has anti-inflammatory activity[3].
Ethacrynic acid (20 μM/mL; 2 h) can increase the radiation intensity in MCF-7 cancer cells after radiation exposure[3].
Ethacrynic acid (100 μmol/L; 62.5-250 min) inhibits tracheal ring contraction induced by high -K^+ (80 mmol/L) and acetylcholine (ACh, 100 μmol/L) in a dose-dependent manner with EC_{50} of 40.28 μmol/L and 56.22 μmol/L, respectively[8].
Ethacrynic acid (100 μmol/L; 500-2500 s) decreases the intracellular Ca^{2+} concentration induced by high -K^+ and ACh from 0.40 to 0.16, 0.50 to 0.39, respectively[8].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

RT-PCR[1]

Cell Line:	Chronic lymphocytic leukemia (CLL)
Concentration:	1 μM, 10 μM, 100 μM
Incubation Time:	16 h
Result:	Depressed the expression of LEF-1, Cyclin D1 and Fibronectin in a concentration-dependent manner.(LEF-1, cyclin D1 and fibronectin are established target genes of the Wnt/b-catenin pathway).

Western Blot Analysis[3]

Cell Line:	RAW 264.7
Concentration:	10 μM, 20 μM, 50 μM, 100 μM ;Before LPS treatment (100 ng/mL; 1 h)
Incubation Time:	30 min
Result:	Inhibited the expression of iNOS mRNA. Inhibited degradation of IκBα and IκBβ.

In Vivo

Ethacrynic acid (450 μg/mouse; Oral gavage; Once daily for 60 days) can inhibit tumor growth in the mice[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Myeloma Balb/c mice model[5]
Dosage:	450 μg/mouse
Administration:	Oral gavage (p.o.); Once daily for 60 days. After BALB/c mice were injected subcutaneously with 5 × 105 MPC11 myeloma cells.
Result:	Significantly inhibited tumor growth.

CUSTOMER VALIDATION

- EMBO Rep. 2021 Apr 15;e51649.
- Life Sci Alliance. 2021 Jun 18;4(8):e202000906.

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REFERENCES

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[3]. Han Y,et al. Ethacrynic acid inhibits multiple steps in the NF-kappaB signaling pathway. Shock. 2005 Jan;23(1):45-53.

[4]. Khil MS, et al. Ethacrynic acid: a novel radiation enhancer in human carcinoma cells. Int J Radiat Oncol Biol Phys. 1996 Jan 15;34(2):375-80.

[5]. Kim Y, et al. In vivo efficacy of the diuretic agent ethacrynic acid against multiple myeloma. Leuk Res. 2012 May;36(5):598-600.

[6]. Li XQ, et al. Metabolism of Strained Rings: Glutathione S-transferase-Catalyzed Formation of a Glutathione-Conjugated Spiro-azetidine without Prior Bioactivation. Drug Metab Dispos. 2019 Nov;47(11):1247-1256.

[7]. Harada T, et al. Ethacrynic acid decreases expression of proinflammatory intestinal wall cytokines and ameliorates gastrointestinal stasis in murine postoperative ileus. Clinics (Sao Paulo). 2018 Oct 18;73:e332.

[8]. Zhao XX, et al. [Ethacrynic acid inhibits airway smooth muscle contraction in mice]. Sheng Li Xue Bao. 2019 Dec 25;71(6):863-873. Chinese. PMID: 31879742.

[9]. Byun HJ, Kang KJ, Park MK, Lee HJ, Kang JH, Lee EJ, Kim YR, Kim HJ, Kim YW, Jung KC, Kim SY, Lee CH. Ethacrynic Acid Inhibits Sphingosylphosphorylcholine-Induced Keratin 8 Phosphorylation and Reorganization via Transglutaminase-2 Inhibition. Biomol Ther (Seoul). 2013 Sep 30;21(5):338-42.

[10]. null

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