Acetazolamide

Cat. No.: HY-B0782

CAS No.: 59-66-5

Molecular Formula: $C_4H_6N_4O_3S_2$

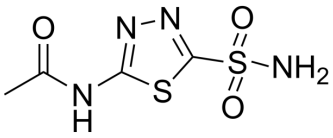
Molecular Weight: 222.25

Target: Carbonic Anhydrase; Autophagy; Bacterial

Pathway: Metabolic Enzyme/Protease; Autophagy; Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (224.97 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic) (insoluble)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	4.4994 mL	22.4972 mL	44.9944 mL
5 mM	0.8999 mL	4.4994 mL	8.9989 mL
10 mM	0.4499 mL	2.2497 mL	4.4994 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 (11.25 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (11.25 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (11.25 mM); Clear solution
4. Add each solvent one by one: PBS
   Solubility: 1.96 mg/mL (8.82 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

BIOLOGICAL ACTIVITY

Description

Acetazolamide is a carbonic anhydrase (CA) IX inhibitor with an IC_{50} of 30 nM for hCA IX. Acetazolamide has diuretic, antihypertensive and anti-gonococcal activities[1][4][5][6].

IC_{50} & Target

CA Ⅸ

In Vitro	Acetazolamide also inhibits hCA II with an IC_{50} of 130 nM[1]. ?Acetazolamide (Ace) is a small heteroaromatic sulfonamide that binds to various carbonic anhydrases with high affinity, acting as a carbonic anhydrase (CA) inhibitor[2]. ?Compared with the control group, the high Acetazolamide concentration (AceH, 50 nM), Cisplatin (Cis; 1 μg/mL) and Cis combined with the low Acetazolamide concentration (AceL, 10 nM) treatments significantly reduces viability of Hep-2 cells[2]. ?Treatment with the Acetazolamide/Cis combination significantly increases the expression levels of P53, as both AceL+Cis and AceH+Cis treatments result in significantly increased P53 protein expression levels compared with the control group. The Ace/Cis combination treatment significantly reduces the bcl-2/bax expression ratio, and increases the expression of caspase-3 protein, compared with the control group. AceL, AceH, Cis and AceL+Cis treatments significantly reduce the bcl-2/bax ratio compared with the control group[2]. ?Combined Ace and Cis treatment effectively promotes apoptosis in Hep-2 cells[2]. ?Combined treatment with Ace/Cis markedly decreases the expression of AQP1 mRNA in Hep-2 cells. Both AceH and AceL+Cis treatments decrease the expression of aquaporin-1 (AQP1) mRNA in Hep-2 cells compared with the control group[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Acetazolamide (40 mg/kg) significantly potentiates the inhibitory effect of MS-275 on tumorigenesis in neuroblastoma (NB) SH-SY5Y xenografts[3]. ?Acetazolamide (40 mg/kg) and/or MS-275 treatment reduce expression of HIF1-α and CAIX in NB SH-SY5Y xenograft[3]. ?Acetazolamide (40 mg/kg), MS-275 and Acetazolamide+MS-275 reduce expression of mitotic and proliferative markers in NB SH-SY5Y xenografts[3]. ?Acetazolamide (50 mg/kg; PO, for 3 days) significantly reduces the gonococcal load in the vagina of infected mice by 90%[6]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay	Cell Viability Assay[2] Cell line: Hep-2 cells and HUVECs Concentration: 10 nM and 50 nM Incubation time: 48 h Assay: The cell viability of Hep-2 cells and HUVECs is measured by MTT assay. Hep-2 cells and HUVECs in logarithmic growth phase are plated in 96-well plates. Following 48 h of drug treatment as indicated, 200 μL MTT (5 mg/mL) is added to each well. Cells are incubated with the MTT solution at 37°C for 4 h. Then, 150 μL DMSO is added for 5 min. The optical density (OD) values are measured at 490 nm with a Versamax Microplate reader. Note: Combined treatment effectively reduced viability in Hep-2 cells. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration	In vivo studies[3] Animal model: 4-6 weeks-old female NOD/SCID mice Dosage: 40 mg/kg, intraperitoneal injection, every day for 2 weeks Administration: Mice are randomized into four groups (5 mice per group). The control and treatment groups receive intraperitoneal injections of vehicle (PBS) or Acetazolamide (40 mg/kg), MS-275 (20 mg/kg) or the combination, respectively, every day for 2 weeks. Experiments are terminated when tumor sizes exceed 2 cm3 in volume or animals show signs of morbidity. Tumor diameters are measured on a daily basis until termination. Note: Inhibited tumor growth of NB xenografts with significant anti-tumor growth potentiation effect. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Elife. 2018 Feb 2;7:e33432.
- Anal Chem. 2020 Jun 2;92(11):7657-7665.
- J Pharmaceut Biomed. 2020, 113870.
- bioRxiv. 2023 Sep 8.
- Research Square Print. December 16th, 2022.

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REFERENCES

[1]. Jabeen E, et al. Interaction of antihypertensive acetazolamide with nonsteroidal anti-inflammatory drugs. J Photochem Photobiol B. 2013 Aug 5;125:155-63.

[2]. Abutaleb NS, et al. In vivo efficacy of acetazolamide in a mouse model of Neisseria gonorrhoeae infection. Microb Pathog. 2022 Mar;164:105454.

[3]. Hou Z, et al. Dual-tail approach to discovery of novel carbonic anhydrase IX inhibitors by simultaneously matching the hydrophobic and hydrophilic halves of the active site. Eur J Med Chem. 2017 May 26;132:1-10.

[4]. Gao H, et al. Combined treatment with acetazolamide and cisplatin enhances chemosensitivity in laryngeal carcinoma Hep-2 cells. Oncol Lett. 2018 Jun;15(6):9299-9306.

[5]. Bayat Mokhtari R, et al. Acetazolamide potentiates the anti-tumor potential of HDACi, MS-275, in neuroblastoma. BMC Cancer. 2017 Feb 24;17(1):156.

[6]. Kassamali R, et al. Acetazolamide: a forgotten diuretic agent. Cardiol Rev. 2011 Nov-Dec;19(6):276-8.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA