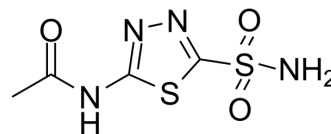


Acetazolamide

Cat. No.:	HY-B0782		
CAS No.:	59-66-5		
Molecular Formula:	C ₄ H ₆ N ₄ O ₃ S 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	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (224.97 mM; Need ultrasonic)
 H₂O : 1 mg/mL (4.50 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	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

- 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
- 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
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (11.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Acetazolamide is a carbonic anhydrase (CA) IX inhibitor with an IC₅₀ of 30 nM for hCA IX. Acetazolamide has diuretic, antihypertensive and anti-gonococcal activities^{[1][4][5][6]}.

IC₅₀ & Target

IC₅₀: 30 nM (hCA IX), 130 nM (hCA II)^[1]

In Vitro

Acetazolamide also inhibits hCA II with an IC₅₀ 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.

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

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