**Proteins** 

# **Screening Libraries**

# Inhibitors

# **Product** Data Sheet

# Acetazolamide sodium

Cat. No.: HY-B0782A CAS No.: 1424-27-7 Molecular Formula: C<sub>4</sub>H<sub>6</sub>N<sub>4</sub>NaO<sub>3</sub>S<sub>2</sub>

Molecular Weight: 245.24

Target: Carbonic Anhydrase; Autophagy; Bacterial

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

4°C, sealed storage, away from moisture Storage:

\* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

Na

### **SOLVENT & SOLUBILITY**

In Vitro

 $H_2O : \ge 100 \text{ mg/mL} (407.76 \text{ mM})$ 

DMSO: 100 mg/mL (407.76 mM; Need ultrasonic) \* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	4.0776 mL	20.3882 mL	40.7764 mL
	5 mM	0.8155 mL	4.0776 mL	8.1553 mL
	10 mM	0.4078 mL	2.0388 mL	4.0776 mL

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

### BIOLOGICAL ACTIVITY

Description Acetazolamide sodium is a carbonic anhydrase (CA) IX inhibitor with an IC<sub>50</sub> of 30 nM for hCA IX. Acetazolamide sodium has diuretic, antihypertensive and anti-gonococcal activities<sup>[1][4][5][6]</sup>. IC<sub>50</sub>: 30 nM (hCA IX), 130 nM (hCA II)<sup>[1]</sup> IC<sub>50</sub> & Target

In Vitro

Acetazolamide also inhibits hCA II with an  $IC_{50}$  of 130 nM<sup>[1]</sup>.

Acetazolamide (Ace) is a small heteroaromatic sulfonamide that binds to various carbonic anhydrases with high affinity, acting as a carbonic anhydrase (CA) inhibitor<sup>[2]</sup>.

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<sup>[2]</sup>

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 bcl2/bax ratio compared with the control group<sup>[2]</sup>.
Combined Ace and Cis treatment effectively promotes apoptosis in Hep-2 cells<sup>[2]</sup>.
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<sup>[2]</sup>.
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<sup>[3]</sup>.
Acetazolamide (40 mg/kg) and/or MS-275 treatment reduce expression of HIF1-α and CAIX in NB SH-SY5Y xenograft<sup>[3]</sup>.
Acetazolamide (40 mg/kg), MS-275 and Acetazolamide+MS-275 reduce expression of mitotic and proliferative markers in NB SH-SY5Y xenografts<sup>[3]</sup>. Acetazolamide (50 mg/kg; PO, for 3 days) significantly reduces the gonococcal load in the vagina of infected mice by 90%<sup>[6]</sup>.

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.
- Research Square Print. December 16th, 2022.

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### **REFERENCES**

- [1]. 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.
- [2]. 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.
- [3]. 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.
- [4]. Kassamali R, et al. Acetazolamide: a forgotten diuretic agent. Cardiol Rev. 2011 Nov-Dec;19(6):276-8.
- [5], Jabeen E, et al. Interaction of antihypertensive acetazolamide with nonsteroidal anti-inflammatory drugs. J Photochem Photobiol B. 2013 Aug 5;125:155-63.
- [6]. Abutaleb NS, et al. In vivo efficacy of acetazolamide in a mouse model of Neisseria gonorrhoeae infection. Microb Pathog. 2022 Mar;164:105454.

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

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