Dorzolamide hydrochloride

Cat. No.: HY-B0109A

CAS No.: 130693-82-2

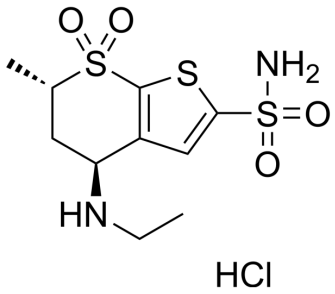
Molecular Formula: $C_{10}H_{17}ClN_2O_4S_3$

Molecular Weight: 360.9

Target: Carbonic Anhydrase

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (277.09 mM; Need ultrasonic)
H_2O : 12.5 mg/mL (34.64 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7709 mL	13.8543 mL	27.7085 mL
5 mM	0.5542 mL	2.7709 mL	5.5417 mL
10 mM	0.2771 mL	1.3854 mL	2.7709 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 11 mg/mL (30.48 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.93 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.93 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.93 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Dorzolamide (L671152) hydrochloride is a potent carbonic anhydrase II inhibitor, with IC_{50} values of 0.18 nM and 600 nM for red blood cell CA-II and CA-I respectively. Dorzolamide possesses anti-tumor activity[1].

IC_{50} & Target

CA Ⅱ

In Vitro

Component A, caused by an inward flux of CO2 and its subsequent hydration by CA-II, is blocked by Dorzolamide in a dose-

	dependent manner with an 50% inhibitory concentration IC_{50} of 2.4 μM (95% confidence interval: 0.5-10.85 μM)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Dorzolamide (3, 10, or 30 mg/kg/day, ip) synergized mitomycin C exhibits anti-tumor activity in EAC solid tumor models. Dorzolamide produces a dose-dependent decrease in the calculated ratio (relative value of 57.3±1, 25.5±1.8, and 24.3± 0.7 %, respectively)[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Swiss albino mice (EAC solid tumor)[3].
Dosage:	3, 10, or 30 mg/kg/day (synergized mitomycin C).
Administration:	IP, daily for 3 weeks.
Result:	Upregulated TXNIP and p53 while downregulated bcl-2. Effective in retarding the growth of EAC in mice.

CUSTOMER VALIDATION

- Anal Chem. 2020 Dec 15;92(24):15745-15756.
- J Pharmaceut Biomed. 2020, 113870.
- ETH Zurich. 2020 Dec.

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REFERENCES

[1]. J Biollaz, et al. Whole-blood pharmacokinetics and metabolic effects of the topical carbonic anhydrase inhibitor dorzolamide. Eur J Clin Pharmacol. 1995;47(5):455-60.

[2]. Sangly P Srinivas, et al. Inhibition of carbonic anhydrase activity in cultured bovine corneal endothelial cells by dorzolamide. Invest Ophthalmol Vis Sci. 2002 Oct;43(10):3273-8.

[3]. Belal M Ali, et al. Dorzolamide synergizes the antitumor activity of mitomycin C against Ehrlich's carcinoma grown in mice: role of thioredoxin-interacting protein. Naunyn Schmiedebergs Arch Pharmacol. 2015 Dec;388(12):1271-82.

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