

Product Data Sheet

Dorzolamide-d₃ hydrochloride

Cat. No.: HY-B0109AS

Molecular Formula: C₁₀H₁₄D₃ClN₂O₄S₃

Molecular Weight: 363.92

Target: Carbonic Anhydrase; Isotope-Labeled Compounds

Pathway: Metabolic Enzyme/Protease; Others

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

$$\begin{array}{c|c}
O, O \\
S & S \\
S & S \\
S & S \\
S & S \\
O \\
HN & O
\end{array}$$

HCI

BIOLOGICAL ACTIVITY

Description	Dorzolamide- d_3 hydrochloride is deuterated labeled Dorzolamide hydrochloride (HY-B0109A). 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] .
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs ^[1] . 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 μ M (95% confidence interval: 0.5-10.85 μ M) ^[3] . 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) ^[4] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- [1]. 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.
- [2]. 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.
- [3]. 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.
- [4]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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Page 2 of 2 www.MedChemExpress.com