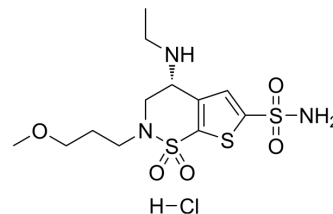


## Brinzolamide hydrochloride

<b>Cat. No.:</b>	HY-B0588A
<b>CAS No.:</b>	150937-43-2
<b>Molecular Formula:</b>	C <sub>12</sub> H <sub>22</sub> ClN <sub>3</sub> O <sub>5</sub> S <sub>3</sub>
<b>Molecular Weight:</b>	419.97
<b>Target:</b>	Carbonic Anhydrase
<b>Pathway:</b>	Metabolic Enzyme/Protease
<b>Storage:</b>	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	Brinzolamide (AL-4862) hydrochloride is a selective carbonic anhydrase II inhibitor with an IC <sub>50</sub> value of 3.2 nM. Brinzolamide hydrochloride reduces intraocular pressure (IOP) by inhibiting ciliary CA-II and decreasing atrial fluid secretion. Brinzolamide hydrochloride can be used in glaucoma disease research <sup>[1][2]</sup> .																																										
<b>IC<sub>50</sub> &amp; Target</b>	hCA II 3.2 nM (IC <sub>50</sub> )																																										
<b>In Vivo</b>	<p>Brinzolamide (7.5 mg or 12 mg) hydrochloride implanted in a silicone matrix is extremely well tolerated and provides sustained release of brinzolamide and significant reduction in intraocular pressure (IOP) for up to 28 days with no adverse effects or signs of toxicity in normotensive NZW rabbits<sup>[2]</sup>.</p> <p>The pharmacokinetic parameters of Brinzolamide hydrochloride in rabbits<sup>[1]</sup>.</p> <table border="1"> <thead> <tr> <th rowspan="2">PK Parameters</th> <th>Intracameral Administration (4.5 mg)</th> <th>Intracameral Administration (4.5 mg)</th> <th>Topical Administration (500 mg)</th> <th>Topical Administration (500 mg)</th> </tr> <tr> <th>Aqueous Humor</th> <th>Iris-Ciliary Body</th> <th>Aqueous Humor</th> <th>Iris-Ciliary Body</th> </tr> </thead> <tbody> <tr> <td>T<sub>max</sub> (h)</td> <td>0.08</td> <td>0.5</td> <td>1</td> <td>0.25</td> </tr> <tr> <td>C<sub>max</sub> (ng/mL, ng/g)</td> <td>11,050</td> <td>1964</td> <td>408</td> <td>1245</td> </tr> <tr> <td>Terminal t<sub>1/2</sub> (h)</td> <td>3.4</td> <td>13</td> <td>2</td> <td>13.6</td> </tr> <tr> <td>AUC<sub>0-24h</sub> (h*ng/mL, h*ng/g)</td> <td>17,780</td> <td>7725</td> <td>1896</td> <td>11414</td> </tr> <tr> <td>AUC<sub>0-∞</sub> (h*ng/mL, h*ng/g)</td> <td>17,836</td> <td>8839</td> <td>1955</td> <td>16628</td> </tr> <tr> <td>Dose-normalized AUC<sub>0-∞</sub> (h*/mL, h*/g)</td> <td>4</td> <td>2</td> <td>0.004</td> <td>0.03</td> </tr> </tbody> </table>				PK Parameters	Intracameral Administration (4.5 mg)	Intracameral Administration (4.5 mg)	Topical Administration (500 mg)	Topical Administration (500 mg)	Aqueous Humor	Iris-Ciliary Body	Aqueous Humor	Iris-Ciliary Body	T <sub>max</sub> (h)	0.08	0.5	1	0.25	C <sub>max</sub> (ng/mL, ng/g)	11,050	1964	408	1245	Terminal t <sub>1/2</sub> (h)	3.4	13	2	13.6	AUC <sub>0-24h</sub> (h*ng/mL, h*ng/g)	17,780	7725	1896	11414	AUC <sub>0-∞</sub> (h*ng/mL, h*ng/g)	17,836	8839	1955	16628	Dose-normalized AUC <sub>0-∞</sub> (h*/mL, h*/g)	4	2	0.004	0.03
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MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rabbits <sup>[2]</sup>
Dosage:	7.5 mg, 12 mg
Administration:	Implant placed in the episcleral space
Result:	Resulted in a significant IOP reduction of 4.6 mmHg on days 10-28, with concentrations of 12 mg.

## 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]. Vatsala Naageshwaran, et al. Comprehensive Ocular and Systemic Pharmacokinetics of Brinzolamide in Rabbits After Intracameral, Topical, and Intravenous Administration. J Pharm Sci. 2021 Jan;110(1):529-535.

[2]. Sara M.Smith, et al. Tolerability, pharmacokinetics, and pharmacodynamics of a brinzolamide episcleral sustained release implant in normotensive New Zealand white rabbits, Journal of Drug Delivery Science and Technology, Volume 61, 2021, 102123, ISSN 1773-2247

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

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