Brinzolamide

Cat. No.: HY-B0588

CAS No.: 138890-62-7

Molecular Formula: $C_{12}H_{21}N_3O_5S_3$

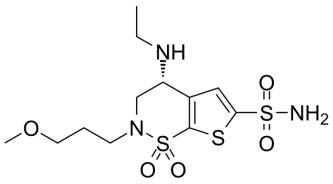
Molecular Weight: 383.51

Target: Carbonic Anhydrase

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (260.75 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6075 mL	13.0375 mL	26.0749 mL
5 mM	0.5215 mL	2.6075 mL	5.2150 mL
10 mM	0.2607 mL	1.3037 mL	2.6075 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.75 mg/mL (7.17 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (7.17 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (7.17 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Brinzolamide (AL-4862) is a selective carbonic anhydrase II inhibitor with anIC_{50} value of 3.2 nM. Brinzolamide hydrochloride reduces intraocular pressure (IOP) by inhibiting ciliary CA-II and decreasing atrial fluid secretion. Brinzolamide can be used in glaucoma disease research[1][2].

IC_{50} & Target

IC50: 3.2 nM (carbonic anhydrase II) [2].

In Vivo

Brinzolamide (7.5 mg or 12 mg) 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[2].

The pharmacokinetic parameters of Brinzolamide in rabbits[1].

	Intracameral Administration (4.5 mg)	Intracameral Administration (4.5 mg)	Topical Administration (500 mg)	Topical Administration (500 mg)
PK Parameters	Aqueous Humor	Iris-Ciliary Body	Aqueous Humor	Iris-Ciliary Body
T_{max} (h)	0.08	0.5	1	0.25
C_{max} (ng/mL, ng/g)	11,050	1964	408	1245
Terminal $t_{1/2}$ (h)	3.4	13	2	13.6
$AUC_{0\text{-}24h}$ (h*ng/mL, h*ng/g)	17,780	7725	1896	11414
$AUC_{0\text{-}\infty}$ (h*ng/mL, h*ng/g)	17,836	8839	1955	16628
Dose-normalized $AUC_{0\text{-}\infty}$ (h*/mL, h*/g)	4	2	0.004	0.03

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rabbits[2]
Dosage:	7.5 mg, 12 mg
Administration:	Brinzolamide silicone matrix 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

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