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PRODUCT INFORMATION



Dorzolamide-d₅ (hydrochloride)

Item No. 26518

Formal Name: (4S,6S)-4-((ethyl-d₅)amino)-5,6-dihydro-6-methyl-4H-thieno[2,3-b]thiopyran-2-sulfonamide 7,7-dioxide, monohydrochloride

Synonyms: L-671,152-d₅, MK-507-d₅

MF: C₁₀H₁₁D₅N₂O₄S₃ • HCl

FW: 365.9

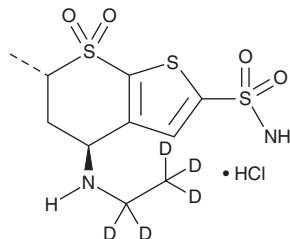
Chemical Purity: ≥98% (Dorzolamide (hydrochloride))

Deuterium Incorporation: ≥99% deuterated forms (d₁-d₅); ≤1% d₀

Supplied as: A solid

Storage: -20°C

Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Dorzolamide-d₅ is intended for use as an internal standard for the quantification of dorzolamide (Item No. 14616) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Dorzolamide-d₅ (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the dorzolamide-d₅ (hydrochloride) in the solvent of choice. Dorzolamide-d₅ (hydrochloride) is soluble in methanol and DMSO, which should be purged with an inert gas.

Description

Dorzolamide is an inhibitor of carbonic anhydrase (CA), inhibiting CAII, CAV, CAVI, CAIX, CAXII, CAXIII, and CAXIV with K_i values ranging from 3.5 to 52 nM.¹⁻³ It is selective for these isoforms over CAI, CAIII, and CAIV (K_is = 50, 8, and 8.5 μM, respectively).¹ Dorzolamide inhibits carbonic anhydrase in isolated rabbit iris-ciliary body by 87% when used at a concentration of 0.02%.³ It also reduces intraocular pressure in an α-chymotrypsin-treated rabbit model of ocular hypertension and in normotensive rabbits when applied topically at a concentration of 0.5%.³ Formulations containing dorzolamide have been used in the treatment of glaucoma.^{4,5}

References

1. Supuran, C.T. and Scozzafava, A. Carbonic anhydrases as targets for medicinal chemistry. *Bioorg. Med. Chem.* **15**(13), 4336-4350 (2007).
2. Greer, J., Erickson, J.W., Baldwin, J.J., *et al.* Application of the three-dimensional structures of protein target molecules in structure-based drug design. *J. Med. Chem.* **37**(8), 1035-1054 (1994).
3. Hunt, C.A., Mallorga, P.J., Michelson, S.R., *et al.* 3-Substituted thieno[2,3-b][1,4]thiazine-6-sulfonamides. A novel class of topically active carbonic anhydrase inhibitors. *J. Med. Chem.* **37**(2), 240-247 (1994).
4. Loftsson, T., Jansook, P., and Stefánsson, E. Topical drug delivery to the eye: Dorzolamide. *Acta. Ophthalmol.* **90**(7), 603-608 (2012).
5. Cheng, J.W., Cheng, S.W., Gao, L.D., *et al.* Intraocular pressure-lowering effects of commonly used fixed-combination drugs with timolol: A systematic review and meta-analysis. *PLoS One* **7**(9), 45079 (2012).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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