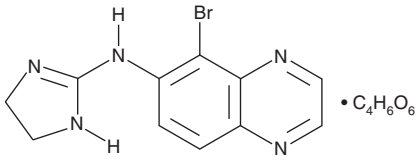
PRODUCT INFORMATION

Brimonidine (tartrate)

Item No. 15426

CAS Registry No.: 70359-46-5
Formal Name: 5-bromo-N-(4,5-dihydro-1H-imidazol-2-yl)-6-quinoxalinamine, 2R,3R-dihydroxybutanedioate
Synonyms: AGN 190342LF, Alphagan P
MF: $C_{11}H_{10}BrN_5 \bullet C_4H_6O_6$
FW: 442.2
Purity: ≥98%
UV/Vis.: λ_{max}: 244, 320 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

Brimonidine (tartrate) is supplied as a crystalline solid. A stock solution may be made by dissolving the brimonidine (tartrate) in the solvent of choice, which should be purged with an inert gas. Brimonidine (tartrate) is soluble in DMSO at a concentration of approximately 1 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of brimonidine (tartrate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of brimonidine (tartrate) in PBS (pH 7.2) is approximately 3 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Brimonidine is an agonist of α_2-adrenergic receptors (α_2-ARs; K_is = 2.7, 52, and 44 nM for α_{2A}, α_{2B}, and α_{2C}-ARs, respectively, in CHO cells).[1] It is selective for α_2-ARs over α_1-ARs (K_i = 1,800 nM in human brain). Brimonidine lowers intraocular pressure in DBA/2J mice, a model of glaucoma, to control levels when applied topically to the eye as a 0.1% solution.[2] It also inhibits glutamate release, prevents upregulation of NMDA receptors containing NR1 and NR2A subunits, and protects rat retinal ganglion cells against glutamate excitotoxicity in a rat model of retinal ischemia when administered at a dose of 1 mg/kg per day.[3] Formulations containing brimonidine have been used in the treatment of open-angle glaucoma and ocular hypertension.

References

1. Munk, S.A., Harcourt, D.A., Arasasingham, P.N., *et al.* Synthesis and evaluation of 2-(arylamino)imidazoles as α_2-adrenergic agonists. *J. Med. Chem.* **40(1)**, 18-23 (1997).
2. Sawada, K., Hiraoka, M., and Ohguro, H. Effect of antiglaucoma medicine on intraocular pressure in DBA/2J mice. *Ophthalmic Res.* **55(4)**, 205-211 (2016).
3. Lee, D., Kim, K.-Y., Noh, Y.H., *et al.* Brimonidine blocks glutamate excitotoxicity-induced oxidative stress and preserves mitochondrial transcription factor A in ischemic retinal injury. *PLoS One* **7(10)**, e47098 (2012).

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