PRODUCT INFORMATION



Brimonidine

Item No. 33231

CAS Registry No.: 59803-98-4

5-bromo-N-(4,5-dihydro-1H-imidazol-2-yl)-6-Formal Name:

quinoxalinamine

Synonyms: AGN 190342, Alphagan P, UK 14304

MF: C₁₁H₁₀BrN₅ FW: 292.1 **Purity:** ≥95% UV/Vis.: λ_{max} : 245 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 is supplied as a crystalline solid. A stock solution may be made by dissolving the brimonidine in the solvent of choice, which should be purged with an inert gas. Brimonidine is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of brimonidine in these solvents is approximately 10 and 1 mg/ml, respectively.

Brimonidine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, brimonidine should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Brimonidine has a solubility of approximately 0.12 mg/ml in a 1:7 solution of DMSO:PBS (pH 7.2) using this method. 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). It is selective for α_{2} -ARs over α_{1} -ARs (K₁ = 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).
- 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).
- 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).

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

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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