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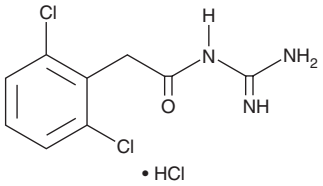
PRODUCT INFORMATION

Guanfacine (hydrochloride)

Item No. 22907

CAS Registry No.: 29110-48-3
Formal Name: N-(aminoiminomethyl)-2,6-dichloro-benzeneacetamide, monohydrochloride
MF: $C_9H_9Cl_2N_3O \bullet HCl$
FW: 282.6
Purity: ≥98%
Supplied as: A crystalline 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

Guanfacine (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the guanfacine (hydrochloride) in the solvent of choice. Guanfacine (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of guanfacine (hydrochloride) in ethanol is approximately 25 mg/ml and approximately 30 mg/ml in DMSO and DMF.

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 guanfacine (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of guanfacine (hydrochloride) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Guanfacine is an α_2-adrenergic receptor (α_2-AR) agonist with K_i values of 93, 1,380, and 3,890 nM for α_{2A}-, α_{2B}-, and α_{2C}-ARs, respectively, in a radioligand binding assay.[1] It has EC_{50} values of 52, 288, and 602 nM for α_{2A}-, α_{2B}-, and α_{2C}-ARs, respectively, for stimulated [^{35}S]GTPγS binding. It also binds to imidazoline receptor 1 (K_i = 19 nM in a radioligand binding assay).[2] Guanfacine (0.3-5 mg/kg) binds to adrenergic receptors in the central nervous system and lowers blood pressure in hypertensive rats in a dose-dependent manner.[3] It also improves spatial working memory deficits induced by hypobaric hypoxia in rats.[4] Formulations containing guanfacine are used in the treatment of high blood pressure and attention deficit hyperactivity disorder (ADHD).

References

1. Jasper, J.R., Lesnick, J.D., Chang, L.K., *et al.* Ligand efficacy and potency at recombinant α_2 adrenergic receptors: Agonist-mediated [^{35}S]GTPγS binding. *Biochem. Pharmacol.* **55(7)**, 1035-1043 (1998).
2. Nikolic, K., Filipic, S., and Agbaba, D. QSAR study of imidazoline antihypertensive drugs. *Bioorg. Med. Chem.* **16(15)**, 7134-7140 (2008).
3. Scholtysik, G. Pharmacology of guanfacine. *Br. J. Clin. Pharmacol.* **10(Suppl 1)**, 21S-24S (1980).
4. Kauser, H., Sahu, S., Kumar, S., *et al.* Guanfacine is an effective countermeasure for hypobaric hypoxia-induced cognitive decline. *Neuroscience* **254**, 110-119 (2013).

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