

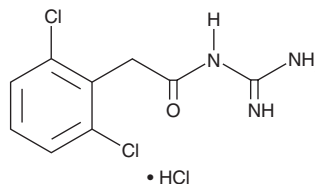
# PRODUCT INFORMATION



## Guanfacine (hydrochloride)

Item No. 22907

**CAS Registry No.:** 29110-48-3  
**Formal Name:** N-(aminoiminomethyl)-2,6-dichloro-benzeneacetamide, monohydrochloride  
**MF:** C<sub>9</sub>H<sub>9</sub>Cl<sub>2</sub>N<sub>3</sub>O • HCl  
**FW:** 282.6  
**Purity:** ≥98%  
**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

Guanfacine (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the guanfacine (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Guanfacine (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). 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  $\alpha_2$ -adrenergic receptor ( $\alpha_2$ -AR) agonist with  $K_i$  values of 93, 1,380, and 3,890 nM for  $\alpha_{2A}$ -,  $\alpha_{2B}$ -, and  $\alpha_{2C}$ -ARs, respectively, in a radioligand binding assay.<sup>1</sup> It has  $EC_{50}$  values of 52, 288, and 602 nM for  $\alpha_{2A}$ -,  $\alpha_{2B}$ -, and  $\alpha_{2C}$ -ARs, respectively, for stimulated [<sup>35</sup>S]GTP $\gamma$ S binding. It also binds to imidazoline receptor 1 ( $K_i$  = 19 nM in a radioligand binding assay).<sup>2</sup> 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.<sup>3</sup> It also improves spatial working memory deficits induced by hypobaric hypoxia in rats.<sup>4</sup> 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  $\alpha_2$  adrenergic receptors: Agonist-mediated [<sup>35</sup>S]GTP $\gamma$ S 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).

#### 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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