

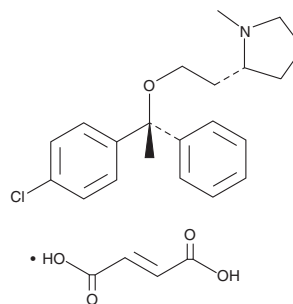
# PRODUCT INFORMATION



## Clemastine (fumarate)

Item No. 14637

**CAS Registry No.:** 14976-57-9  
**Formal Name:** (2R)-2-[2-[(1R)-1-(4-chlorophenyl)-1-phenylethoxy]ethyl]-1-methyl-pyrrolidine, 2E-butenedioate  
**MF:** C<sub>21</sub>H<sub>26</sub>ClNO • C<sub>4</sub>H<sub>4</sub>O<sub>4</sub>  
**FW:** 460.0  
**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

Clemastine (fumarate) is supplied as a crystalline solid. A stock solution may be made by dissolving the clemastine (fumarate) in the solvent of choice, which should be purged with an inert gas. Clemastine (fumarate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of clemastine (fumarate) in these solvents is approximately 0.1, 2.5, and 1.6 mg/ml, respectively.

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 clemastine (fumarate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of clemastine (fumarate) in PBS (pH 7.2) is approximately 0.3 mg/ml. We do not recommend storing the aqueous solution for more than one day.

### Description

Clemastine is a histamine H<sub>1</sub> receptor antagonist (K<sub>i</sub> = 0.26 nM).<sup>1</sup> It is selective for the histamine H<sub>1</sub> receptor over muscarinic acetylcholine receptors (mAChRs; K<sub>i</sub> = 16 nM), as well as over sigma-1 (σ<sub>1</sub>) and σ<sub>2</sub> and α<sub>2A</sub>, α<sub>2B</sub>, and α<sub>2C</sub>-adrenergic receptors (K<sub>i</sub>s = 67, 15, 1,365, 691, and 545 nM, respectively).<sup>1,2</sup> Clemastine (0.12 mg/kg) alone or in combination with the histamine H<sub>2</sub> receptor antagonist cimetidine (Item No. 18743) reduces ovalbumin-induced bronchial obstruction in ovalbumin-sensitized guinea pigs.<sup>3</sup> It also decreases severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2) replication in SARS-CoV-2-infected Vero E6 cells (EC<sub>50</sub> = 0.95 μM) with a 50% cytotoxic concentration (CC<sub>50</sub>) value of >20 μM.<sup>4</sup> Formulations containing clemastine have been used in the treatment of allergic rhinitis.

### References

1. Kubo, N., Shirakawa, S., Kuno, T., *et al.* Antimuscarinic effects of antihistamines: Quantitative evaluation by receptor-binding assay. *Jpn. J. Pharmacol.* **43**(3), 277-282 (1987).
2. Gregori-Puigjané, E., Setola, V., Hert, J., *et al.* Identifying mechanism-of-action targets for drugs and probes. *Proc. Natl. Acad. Sci. USA* **109**(28), 11178-11183 (2012).
3. Dorsch, W., Reimann, H.J., and Neuhauser, J. Histamine<sub>1</sub>--histamine<sub>2</sub> antagonism: Effect of combined clemastine and cimetidine pretreatment on allergen and histamine-induced reactions of the guinea pig lung *in vivo* and *in vitro*. *Agents Actions* **12**(1-2), 113-118 (1982).
4. Yang, L., Pei, R.-J., Li, H., *et al.* Identification of SARS-CoV-2 entry inhibitors among already approved drugs. *Acta Pharmacol. Sin.* **42**(8), 1347-1353 (2020).

#### 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.

#### WARRANTY AND LIMITATION OF REMEDY

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