

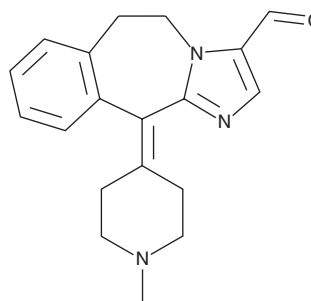
# PRODUCT INFORMATION



## Alcaftadine

Item No. 21290

**CAS Registry No.:** 147084-10-4  
**Formal Name:** 6,11-dihydro-11-(1-methyl-4-piperidinylidene)-5H-imidazo[2,1-b][3]benzazepine-3-carboxaldehyde  
**Synonym:** R-89674  
**MF:** C<sub>19</sub>H<sub>21</sub>N<sub>3</sub>O  
**FW:** 307.4  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 284 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

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

Alcaftadine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, alcaftadine should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Alcaftadine has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

Alcaftadine is a potent antagonist of the H<sub>1</sub> histamine receptor (IC<sub>50</sub> = 8.6 nM) with lower affinities for the H<sub>2</sub> and H<sub>4</sub> receptors (IC<sub>50</sub>s = 62 nM and 4.4 μM, respectively).<sup>1</sup> This antiallergenic agent has anti-inflammatory and mast cell stabilizing effects.<sup>2</sup> Alcaftadine has been shown to reduce edema and erythema in a guinea pig model of allergic conjunctivitis (ED<sub>50</sub> = 0.1 mg/kg) more effectively than ketotifen (Item No. 20303) (ED<sub>50</sub> = 1.0 mg/kg) and has been used in clinical formulations in eye drops for treatment of ocular itching associated with allergic conjunctivitis.<sup>1,3</sup> Alcaftadine also binds to 5-HT<sub>2A</sub> and 5-HT<sub>2C</sub> receptors (K<sub>s</sub>s = 2.5 and 1.5 μM, respectively).<sup>1</sup>

### References

1. Gallois-Bernos, A.C. and Thurmond, R.L. Alcaftadine, a new antihistamine with combined antagonist activity at histamine H<sub>1</sub>, H<sub>2</sub>, and H<sub>4</sub> receptors. *J. Receptor Ligand Channel Res.* **5**, 9-20 (2012).
2. Ackerman, S., Smith, L.M., and Gomes, P.J. Ocular itch associated with allergic conjunctivitis: Latest evidence and clinical management. *Ther. Adv. Chronic Dis.* **7**(1), 52-67 (2016).
3. Mahvan, T.D., Buckley, W.A., and Hornecker, J.R. Alcaftadine for the prevention of itching associated with allergic conjunctivitis. *Ann. Pharmacother.* **46**, 1025-1032 (2012).

#### 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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