

Product Specification Sheet

Product Name: Alcaftadine

Catalog Number: C2522

Technical information:

Chemical Formula: C₁₉H₂₁N₃O

CAS #: 147084-10-4

Molecular Weight: 307.38

Purity: > 98%

Appearance: White solid

Solubility: Soluble in DMSO up to 20 mM

Chemical Name: 2-(1-Methylpiperidin-4-ylidene)-4,7-diazatricyclo[8.4.0.0(3,7)]tetradeca-1(14),3,5,10,12-pentaene-

6-carbaldehyde

Storage: P

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months

under proper storage condition.

Handling: • To make 10 mM stock solution, add 0.325mL of DMSO for each mg of Alcaftadine.

• For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum

sample recovery.

Biological Activity: Alcaftadine, a imidazobenzazepine-based antagonist of the histamine receptors, has a pKi of 8.5

and 5.8 for the H1 receptor and H4 receptors, respectively. Additionally, Alcaftadine shows higher

Alcaftadine

affinity for the H2 receptor than ketotifen. [1]

In support of its potent anti-inflammatory properties, Alcaftadine also exhibits modulatory action on immune cell recruitment and mast cell stabilizing effects. [2] Receptor binding studies revealed less significant effects ranging from a moderate affinity for cholinergic muscarinic receptors and lower affinity for human a2A-adrenoreceptors, serotonin 5-H51A, 5-HT2A receptors, and melanocortin MC4 receptors. [2] Furthermore, displacement experiments showed that at concentrations up to 10 uM, Alcaftadine did not interact with other receptors, transporters, or ion

channel binding sites, including the hERG channel. [3]

Reference: 1. Gallois-Bernois et al., Alcaftadine, a new antihistamine with combined antagonist activity at histamine H1, H2, and H4 receptors. J. Receptor Ligand Channel Res. 2012, 5, 9-20.

 Namdar et al., Alcaftadine: A topical antihistamine for use in allergic conjunctivitis. Drugs of Today, 2011, 47(12), 883-890. Pubmed ID: 22348913

3. Bohets et al., Clinical Pharmacology of Alcaftadine, a Novel Antihistamine for the Prevention of Allergic Conjunctivitis. J. Ocular. Pharm. Ther. 2011, 27(2), 187-195.

To reorder: http://www.cellagentech.com/Alcaftadine/

For Technical Support: <u>technical@cellagentech.com</u>

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