Fexofenadine HCl Microspheres – Can it be the First Line therapy for Allergic Disorders?

Paroma Arefin1,2 *, Md Shehan Habib1, Mohammad Mostafa1, Dipankar Chakraborty1, Sreebash Chandra Bhattacharjee1, Md Saidul Arefin3 and Debabrata Karmakar4

1BCSIR Chattogram Laboratories, Bangladesh Council of Scientific and Industrial Research, Bangladesh.
2Institute of Food Science and Technology, Bangladesh Council of Scientific and Industrial Research, Bangladesh.
3Institute of Nutrition and Food Science, University of Dhaka, Dhaka-1000, Bangladesh.
4Institute of Technology Transfer and Innovation, Bangladesh Council of Scientific and Industrial Research, Bangladesh.

http://dx.doi.org/10.13005/bbra/2961

(Received: 04 October 2021; accepted: 24 December 2021)

Fexofenadine HCl is a second-generation antihistamine which is commonly used for allergic disorders. But it has low bioavailability. Intranasal corticosteroids (INCs) and Immunotherapy and Allergen Specific Immunotherapy (ASIT) are now commonly being suggested for the treatment of allergic disorders. Despite the fact that current treatment alternatives have been in use for decades, patient quality of life has remained static. The treatment options are not much explored for their respective adverse effects. Therefore, they are in desperate need of research. Fexofenadine HCl is available in the form of a suspension, tablet, or capsule. In our current study, we have explored whether microspheres can be the perfect dosage form of Fexofenadine HCl to treat allergic disorders considering the pharmacokinetics of the drug, available dosage forms options and the probable side effects of the current therapies.

Keywords: Allergen Specific Immunotherapy (ASIT), Allergic disorder, Fexofenadine HCl, Half-life, Intranasal corticosteroids (INCs), Microsphere.

Antihistamines of the first generation were formerly used to treat allergic disorders.1,2 Second-generation antihistamines, such as Terfenadine, Fexofenadine HCl etc were next being prescribed owing to avoid the sedative side effects observed with first generation drugs.3–5 Terfenadine’s carboxylic acid metabolite is Fexofendine HCl. There are no sedative impactful of fexofenadine HCl.2,6,7 It has no sedative or electrocardiographic effects, according to reports. Fexofenadine HCl is available in pill, capsule, and oral suspension dosage forms. It has been established that they are bioequivalent.6,8,9 Fexofenadine is quickly absorbed after consumption and has a lengthy duration of action (half-life 14.4 hours), making it suitable for once-daily use. Adults and children over the age of 12 should take 60 mg twice a day, 120 mg once a day, or 180 mg orally once a day of fexofenadine.
HCl. The suggested dose for children aged 6 to 11 years is 30 mg twice daily.10–12 Oral suspension is indicated for children aged six months and above, with a suggested dose of 15 mg twice day for children aged six months to two years and 30 mg twice daily for children aged two to eleven years.12,13

In our study, we suggest that microspheres can be the perfect dosage form of Fexofenadine HCl to treat allergic disorders. In microcapsules, the active drug molecule is encapsulated and enclosed by a distinct polymeric wall, while in microspheres, the drug is evenly diffused all through the polymeric material.10,13 Microspheres provide a variety of benefits over traditional drug delivery systems, including the ability to transport therapeutic active ingredients to the region of interest of the body in a regulated and sustained way, resulting in better therapeutic effects. Microspheres are novel drug delivery systems with particle sizes 1-1000nm.14 Conventional sustained release dosage forms like tablets and capsules have the risk of dose dumping.15 Besides, the drugs which has lower bioavailability are eliminated from the physiological system with lower degree of absorption.16,17 Thus the total drug administered is not utilized, and the drug passes through the metabolism organs like kidney or liver and have harmful effects.18 With the use of sustained release microspheres, we can avoid dose dumping risks and thus increase safety profile. We will need lower dose than the conventional ones to reach the minimum effective concentration (MIC).19 Fexofenadine HCl microspheres is suitable for making the best use of the given dose with less side effects.

Comparison of Current Treatment Approaches

One of the most frequent kinds of allergies is allergic rhinitis or hay fever.20,21 According to recent research, its frequency has risen from 1.4 to 45 percent in the last several decades.7 Allergic rhinitis has both direct and indirect consequences on one’s quality of life, and it’s often paired by asthma, middle ear irritation, nasal polyps, sinusitis, and respiratory tract infections. Allergic disorder is a common condition that affects millions of people in the world.22,23 For moderate to severe allergic condition, intranasal corticosteroids (INCs) are frequently recommended as first-line treatment. Beclomethasone, budesonide, ciclesonide, flunisolide, fluticasone furoate, fluticasone propionate, mometasone, and triamcinolone are among the most commonly used INCs.24 Their anti-inflammatory properties reduce inflammation, edema, and vascular leakage, which helps to relieve rhinorrhea and congestion.25–27 INCs reduce the amount of histamine-producing mast cells in the nasal mucosa, which reduces itchiness and sneezing. INCs has longer onset of action.28,29 Although these medications have comparable safety and effectiveness, systemic steroids usually have major side effects.30–32 INCs have a low risk of systemic adverse events (AEs), such as hypothalamic-pituitary-adrenal axis suppression or growth suppression, when administered at prescribed dosages and durations.33,34 Researchers are suggesting intranasal corticosteroids (INCs) due to their greater efficacy over antihistamines.33,35,36

While not a cure, Allergen-Specific Immunotherapy (ASIT) remains the only treatment that can modify the natural course of an allergic illness. But the danger of allergic immunotherapy-related systemic responses (SRs), which are estimated to occur in 1% to 4% of patients and can vary from moderate to lethal in severity, is a significant obstacle to applying this unique and successful therapeutic option.4,7,37,38

An allergic patient is given a constantly higher dosage of an allergen immunotherapy in order to reduce their symptoms when they come into contact with the allergen they are allergic to. Despite the fact that ASIT is not a remedy, it is the only therapy that might potentially modify the natural path of an allergic condition.27,39 For those with atopy, which is characterized as a heightened predisposition toward IgE-based sensitivity, which results in the formation of particular IgE antibodies to common environmental allergens—about 40% of the overall population is believed to be IgE-based sensitive.20,39 The therapeutic arsenal should be expanded to include any treatment that can alleviate symptoms without inflicting intolerable side-effects.

Fexofenadine HCl microspheres- Can it be first line therapy?

But in the perspective of safety, antihistamines are more accepted globally.36,40,41 So, this is chosen as the first-line therapy. It has also been offered in a sustained release dosage form of tablet or capsule to make the medication dose
more convenient for patients. Microspheres are used to increase dose efficiency while reducing the likelihood of adverse effects. Pharmaceutical firms may develop the most optimal release patterns using microspheres of active pharmaceutical ingredients (API). Polymeric microspheres containing fexofenadine HCl may assist achieve the optimum absorption profile and bioavailability. Furthermore, microspheres give a large margin of safety by eliminating the risk of dosage burst. Fexofenadine HCl is absorbed at a rate of 30-40 percent. The remainder is eliminated via the kidneys without being absorbed. Side effects would be lessened if Fexofenadine HCl sustained-release microspheres of polymer blends were utilized since less medicine would be supplied and the kidney would clear out less drug. The needed dosage might be given to the patient based on his or her particular needs, pathophysiology, and physical condition. The needed dosage in microspheres may also be supplied as a capsule, and the dose can be determined based on drug entrapment efficiency and microsphere drug loading. It would also assist to lower manufacturing costs by requiring less medicine to be included into the pharmaceutical formulations. As a consequence, patients are likely to get treatments at a reduced cost. To balance its lengthy half-life and low absorption, the polymer concentration should be maintained moderate. Microspheres dosage forms are coming into light day by day. When drug is a blessing, it can do the most harm to the body. Now-a-days the main challenge is not to find out the drug for a treatment, but the challenge is how to deliver the drug for its maximum safety and effectiveness. Fexofenadine HCl is obviously safer than steroids and immunotherapy. If we can modify and optimize the dosage form, it can be used as the first line therapy for the allergic disorders.

CONCLUSION

Effective treatment options for allergic disorders may help the patients achieve a higher quality of life. Researchers are always attempting to identify methods to lessen the side effects of drugs by altering the molecule, site specific targeted medication release, and dosage forms and dosage forms with cost-effectiveness. Due to the reduced effectiveness of Fexofenadine HCl, i.e. its lesser bioavailability, intranasal corticosteroids (INCS), subcutaneous, and sublingual immunotherapy have been recommended as current therapeutic options. If Fexofenadine HCl efficacy can be increased by boosting bioavailability, it might be the "state of art" alternative for patients in every way: convenience, cost effectiveness, and a large margin of safety.

ACKNOWLEDGEMENT

We are grateful to Bangladesh Council of Scientific and Industrial Research.

Conflict of Interest

This research has no conflict of interest.

Funding Source

None.

REFERENCES


31. Khan NU, Begum KS. Allergic Rhinitis during


