

ORODISPERSIBLE TABLETS OF ANTI-ALLERGIC CETIRIZINE: A COMPREHENSIVE REVIEW

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Abstract

Allergic disorders represent a major global health burden affecting individuals of all age groups. Cetirizine hydrochloride, a second-generation antihistamine, is extensively prescribed due to its high efficacy and relatively low sedative effect [1]. However, conventional oral dosage forms present challenges such as swallowing difficulty and delayed onset of action. Orodispersible tablets (ODTs) have emerged as an innovative oral drug delivery system designed to improve patient compliance and therapeutic effectiveness [2]. This comprehensive review discusses the pathophysiology of allergic disorders, pharmacology of cetirizine, formulation strategies, excipients, manufacturing techniques, evaluation parameters, clinical significance, regulatory considerations, recent advances, and future prospects of cetirizine orodispersible tablets.

Keywords: Cetirizine, Orodispersible tablets, Antihistamine, Drug delivery system, Patient compliance

1. Introduction

Allergic diseases are chronic inflammatory conditions caused by exaggerated immune responses to harmless environmental substances known as allergens. Common allergic disorders include allergic rhinitis, urticaria, conjunctivitis, atopic dermatitis, and asthma [3]. The prevalence of allergic diseases has increased significantly over the past few decades due to urbanization, pollution, and lifestyle changes [4]. Histamine is the primary mediator released from mast cells and basophils during allergic reactions, leading to symptoms such as itching, sneezing, vasodilation, and increased vascular permeability [5]. Antihistamines remain the cornerstone of pharmacological management of allergic conditions.

Cetirizine hydrochloride is a widely used second-generation antihistamine with improved safety and efficacy. Despite its advantages, conventional tablets may not be suitable for all patient populations. Orodispersible tablets offer an effective alternative by dissolving rapidly in the oral cavity without the need for water [6].

2. Pathophysiology of Allergic Disorders

Allergic reactions are classified into four types of hypersensitivity reactions, with Type I hypersensitivity being the most common in allergic conditions [7]. Upon exposure to allergens, IgE antibodies bind to mast cells, leading to degranulation and release of inflammatory mediators.

2.1 Role of Histamine

Histamine acts on H₁ receptors causing:

- Vasodilation
- Increased capillary permeability
- Smooth muscle contraction
- Sensory nerve stimulation

Blocking H₁ receptors is therefore a key strategy in allergy management [8].

Table 1: Classification of Antihistamines

Generati on	Examples	Sedati on	Durati on of Action
First Generatio n	Diphenhydram ine, Chlorpheniram	High	4–6 hours

	ine		
Second Generation	Cetirizine, Loratadine, Fexofenadine	Low	12–24 hours
Third Generation	Levocetirizine, Desloratadine	Very Low	24 hours

3. Cetirizine Hydrochloride

3.1 Chemical and Physical Properties

- **Chemical name:** (\pm) -[2-(4-chlorophenyl)-2-(pyridin-2-yl)ethoxy] acetic acid
- **Molecular formula:** $C_{21}H_{25}ClN_2O_3$
- **Molecular weight:** 388.9 g/mol

3.2 Mechanism of Action

Cetirizine selectively inhibits peripheral H_1 receptors, preventing histamine-mediated allergic responses [9].

Table 2: Pharmacological Profile of Cetirizine

Parameter	Details
Drug class	Second-generation H_1 antihistamine
Molecular formula	$C_{21}H_{25}ClN_2O_3$
Molecular weight	388.9 g/mol
Dose	5–10 mg once daily
Half-life	8–10 hours
Bioavailability	~70%
Route of excretion	Renal

3.3 Pharmacokinetics

- **Absorption:** Rapid oral absorption
- **Bioavailability:** ~70%
- **Half-life:** 8–10 hours
- **Excretion:** Renal

3.4 Therapeutic Uses

- Allergic rhinitis
- Chronic idiopathic urticaria
- Seasonal allergies
- Allergic conjunctivitis [10]

4. Limitations of Conventional Dosage Forms

Although cetirizine tablets and syrups are effective, they present several drawbacks:

- Difficulty in swallowing (dysphagia)
- Need for water
- Reduced compliance in pediatric and geriatric patients
- Delayed onset of action [11]

These limitations necessitated the development of alternative drug delivery systems.

5. Orodispersible Tablet Drug Delivery System

Orodispersible tablets are solid dosage forms that disintegrate rapidly in saliva, typically within 30 seconds [12].

5.1 Ideal Characteristics of ODTs

- Rapid disintegration
- Pleasant taste
- Adequate mechanical strength
- Uniform drug distribution

6. Advantages of Cetirizine Orodispersible Tablets

- Improved patient compliance [13]
- Faster onset of action
- No need for water
- Ease of administration
- Enhanced convenience for traveling patients

7. Formulation Strategies for Cetirizine ODTs

Table 5: Manufacturing Techniques for Orodispersible Tablets

Technique	Principle	Advantages	Limitations
Direct compression	Use of superdisintegrants	Simple, economical	Limited porosity
Freeze drying	Removal of water by sublimation	Very rapid disintegration	High cost
Sublimation	Removal of volatile substances	Porous tablets	Weak mechanical strength

Spray drying	Formation of porous particles	Uniform drug distribution	Specialized equipment
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7.1 Direct Compression

Most commonly used due to simplicity and cost-effectiveness [14].

7.2 Freeze Drying

Produces highly porous tablets with rapid disintegration [15].

7.3 Sublimation Technique

Involves removal of volatile substances to create porous structure [16].

7.4 Spray Drying

Used to produce fine particles with excellent dissolution properties.

8. Excipients Used in Cetirizine ODTs

Table 4: Common Excipients Used in Cetirizine Orodispersible Tablets

Category	Examples	Function
Superdisintegrants	Crospovidone, Croscarmellose sodium	Rapid disintegration
Diluent	Mannitol	Improves mouth feel
Sweetener	Aspartame	Taste masking
Lubricant	Magnesium stearate	Reduces friction
Flavoring agent	Mint, Orange	Improves palatability

8.1 Superdisintegrants

- Crospovidone
- Croscarmellose sodium
- Sodium starch glycolate

8.2 Sweeteners and Flavoring Agents

- Mannitol
- Aspartame
- Mint, orange, or strawberry flavor [17]

9. Taste Masking Techniques

Cetirizine has a slightly bitter taste, requiring taste-masking approaches such as:

- Coating

- Inclusion complexes
- Use of ion exchange resins [18]

10. Evaluation Parameters

Table 6: Evaluation Parameters of Cetirizine Orodispersible Tablets

Test	Purpose
Weight variation	Dose uniformity
Hardness	Mechanical strength
Friability	Resistance to abrasion
Wetting time	Disintegration efficiency
Disintegration time	Rapid tablet breakdown
Drug content	Content uniformity
Dissolution study	Drug release profile

10.1 Pre-compression Parameters

- Angle of repose
- Bulk density
- Compressibility index

10.2 Post-compression Parameters

- Weight variation
- Hardness
- Friability
- Wetting time
- Disintegration time
- Drug content uniformity [19,20]

11. In-Vitro Dissolution Studies

Dissolution testing ensures drug release behavior and bioavailability. USP paddle method is commonly used [21].

12. Stability Studies

Stability testing is performed as per ICH guidelines to evaluate shelf life and storage conditions [22].

13. Clinical Significance

Cetirizine ODTs improve adherence and therapeutic outcomes, especially in:

- Pediatric patients
- Geriatric patients
- Psychiatric and bedridden patients [23]

14. Regulatory Considerations

ODTs must comply with pharmacopeial standards such as:

- USP
- European Pharmacopoeia
- Indian Pharmacopoeia [24]

15. Recent Advances and Future Prospects

Novel excipients, nanotechnology, and 3D printing may further enhance the performance of cetirizine ODTs [25].

16. Conclusion

Orodispersible tablets of cetirizine represent a significant advancement in oral drug delivery systems aimed at improving therapeutic outcomes in the management of allergic disorders. Cetirizine, as a second-generation antihistamine, offers effective symptomatic relief with minimal sedative effects, making it a preferred choice in the treatment of conditions such as allergic rhinitis, chronic urticaria, and seasonal allergies. However, conventional dosage forms often face limitations related to swallowing difficulty, delayed onset of action, and reduced patient adherence, particularly among pediatric, geriatric, and dysphagic populations.

The development of orodispersible tablet technology successfully addresses these challenges by enabling rapid disintegration of the tablet in the oral cavity without the need for water. This unique characteristic enhances patient convenience, ensures ease of administration, and promotes better compliance, especially in patients who experience difficulty in swallowing conventional tablets. Furthermore, the rapid disintegration and dissolution of cetirizine orodispersible tablets contribute to a faster onset of action, thereby providing quicker relief from allergic symptoms.

Formulation strategies employing suitable superdisintegrants, taste-masking agents, and patient-friendly excipients play a crucial role in achieving optimal tablet performance. Comprehensive evaluation parameters, including disintegration time, dissolution behavior, mechanical strength, and stability studies, ensure the quality, safety, and efficacy of the final dosage form. Regulatory compliance with pharmacopeial standards further supports the

clinical acceptability of cetirizine orodispersible tablets.

In conclusion, cetirizine orodispersible tablets combine pharmacological effectiveness with patient-centric formulation design, offering a superior alternative to conventional oral dosage forms. With ongoing advancements in excipient technology, taste-masking techniques, and novel manufacturing approaches, orodispersible tablets of cetirizine hold strong potential for wider clinical application and future innovations in allergy management and oral drug delivery systems [26].

REFERENCES

1. Rang HP, Dale MM, Ritter JM. *Pharmacology*. Elsevier.
2. Torchilin VP. Multifunctional drug delivery systems. *Adv Drug Deliv Rev*.
3. Simons FER. Allergic disorders. *N Engl J Med*.
4. WHO. Global allergy statistics.
5. Katzung BG. *Basic and Clinical Pharmacology*.
6. Fu Y et al. Fast dissolving tablets. *Crit Rev Ther Drug Carrier Syst*.
7. Abbas AK. *Cellular and Molecular Immunology*.
8. Goodman & Gilman's. *The Pharmacological Basis of Therapeutics*.
9. Sweetman SC. *Martindale*.
10. Indian Pharmacopoeia.
11. Sharma D et al. ODT overview. *Int J Pharm Sci*.
12. European Pharmacopoeia.
13. Pandey P, Dahiya M. ODT review.
14. Lachman L, Lieberman HA.
15. Banker GS, Anderson NR.
16. Patel VF et al. *Drug Dev Ind Pharm*.
17. Jain NK, Mishra V.
18. Allen LV. Taste masking technologies.
19. USP–NF.
20. ICH Q6A.
21. ICH Q1A(R2).
22. FDA ODT guidelines.



23. Patel DM et al.
24. Indian FDA guidance.
25. Recent trends in ODTs.
26. Peer D et al. *Nat Nanotechnol.*