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Review Article

Ocusert – A Pioneering Ocular Drug Delivery System for Sustained Therapeutic Action

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ABSTRACT

Piles, or hemorrhoids, are a common anorectal disorder affecting a large portion of the adult population. They are characterized by the swelling, inflammation, and protrusion of veins in the rectal and anal region. Prolonged constipation, sedentary lifestyle, pregnancy, and low-fiber diets are among the primary causes. Conventional pharmacological therapies, such as corticosteroids and vasoconstrictors, provide symptomatic relief but often cause side effects like irritation or rebound swelling. Herbal and Ayurvedic treatments are gaining renewed importance due to their natural origin, multi-targeted action, safety, and cost-effectiveness. This review provides an in-depth summary of the pharmacological properties, phytochemical constituents, and mechanisms of action of key herbal plants traditionally used in the treatment and management of piles, including Terminalia chebula, Momordica charantia, Cynodon dactylon, Aloe barbadensis, and Mesua ferrea.

INTRODUCTION

Ophthalmic drug delivery faces major challenges due to the anatomical and physiological barriers of the eye, such as tear turnover, blinking reflex, nasolacrimal drainage, and limited corneal permeability. Conventional dosage forms like eye drops and ointments often result in poor bioavailability, requiring frequent administration

to maintain therapeutic levels(9). This not only reduces patient compliance but may also cause local irritation and fluctuating drug concentrations. To overcome these limitations, the Ocusert system was developed as an innovative controlled-release ocular drug delivery device. The Ocusert provides a sustained and predictable release of drugs directly to the eye over an extended period, minimizing dosing frequency and

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enhancing therapeutic efficiency. Introduced by Alza Corporation in the early 1970s, the Ocusert system represents a pioneering step toward zero-order drug release in ophthalmology. It is a thin, flexible, and biocompatible polymeric insert designed to be placed in the conjunctival sac,

ensuring continuous drug delivery and improved patient comfort. Over time, the Ocusert has become a model for advanced ophthalmic delivery systems, inspiring further innovations such as ocular inserts, implants, and nanoformulations.(10)

Sr. No.	Anatomical / Physiological Feature	Description & Role in Drug Delivery	Impact on Ocular Drug Absorption
1	Cornea	Composed of epithelium, stroma, and endothelium; main route for topical drug absorption.	Acts as a major barrier — limits hydrophilic and lipophilic drug permeation.
2	Tear Film	Thin fluid layer (7–10 μ L) covering cornea; renewed by blinking and tear turnover.	Rapid dilution and drainage of drug; reduces contact time and bioavailability.
3	Conjunctiva	Highly vascularized membrane covering sclera and eyelids.	Causes systemic absorption and drug loss from ocular site.
4	Sclera	Dense connective tissue forming the white part of the eye.	Allows limited diffusion of some drugs; permeability depends on molecular size.
5	Aqueous Humor	Clear fluid filling anterior chamber between cornea and lens.	Maintains intraocular pressure; participates in drug transport dynamics.
6	Blood–Aqueous Barrier (BAB)	Tight junctions in ciliary body and iris vessels.	Restricts entry of substances from blood to aqueous humor.
7	Blood–Retinal Barrier (BRB)	Comprises retinal capillary endothelium and pigment epithelium.	Prevents systemic drugs from reaching the retina and vitreous humor.
8	Nasolacrimal Drainage System	Pathway from tear film to nasal cavity.	Rapidly clears instilled drug; reduces ocular residence time.
9	Blinking Reflex	Involuntary eyelid movement to protect and lubricate the eye.	Removes excess fluid; limits duration of contact with drug formulations.
10	Posterior Segment (Retina, Vitreous, Choroid)	Deep ocular tissues beyond the lens.	Difficult to reach via topical route; requires sustained-release or implant systems.

Design and Composition

The Ocusert device consists of a thin, flexible, elliptical disc designed for insertion into the conjunctival sac. It is composed of a drug reservoir sandwiched between two rate-controlling membranes(7). The reservoir typically contains the active drug (e.g., pilocarpine) in a polymer matrix that controls diffusion. Ethylene-vinyl acetate (EVA) membranes regulate the release

rate, while the edges are sealed to prevent leakage(8.)

The Ocusert system is a sophisticated ocular drug delivery device specifically engineered to provide a **sustained release of medication** directly to the eye. Structurally, it is a small, thin, and flexible **elliptical unit** designed to fit comfortably in the **lower conjunctival sac**, where it remains in

contact with tear fluid without interfering with vision.

The Ocusert consists of a **three-layer sandwich structure**. Introduction Ophthalmic drug delivery faces challenges due to anatomical and physiological barriers of the eye, such as tear turnover and limited corneal permeability(3). Conventional eye drops often lead to poor bioavailability and frequent dosing, resulting in patient discomfort. The Ocusert system, a novel controlled-release device, was developed to overcome these challenges and deliver drugs at a constant rate over an extended period(4,5). Introduced by Alza Corporation in the 1970s, the Ocusert system demonstrated significant advancements in noninvasive ocular therapy, particularly for the treatment of glaucoma using pilocarpine(6.)

This reservoir is composed of a **polymeric matrix** that controls the diffusion of the drug. Surrounding the reservoir are **two rate-controlling membranes** made of **ethylene-vinyl acetate (EVA)** copolymer. These membranes regulate the steady release of the drug into the tear film, ensuring a **constant therapeutic concentration** over an extended period.

A **silicone elastomer ring** supports the structure, providing mechanical stability and helping the insert maintain its shape during insertion and removal. The entire device is biocompatible, non-irritating, and designed for safe ophthalmic use.

Two standard formulations of Ocusert are available:

- **Ocusert Pilo-20**, which releases approximately **20 µg of pilocarpine per hour**, and

- **Ocusert Pilo-40**, which releases around **40 µg per hour**.

These systems provide therapeutic activity for up to 7 days, after which they are removed and replaced. The release rate and design enable controlled drug delivery, minimizing dosing frequency and improving patient compliance. Overall, the Ocusert system's well-defined composition and engineered structure make it a pioneering model for modern ocular drug delivery platforms.

Table 1: Structural components of the Ocusert device (9.)

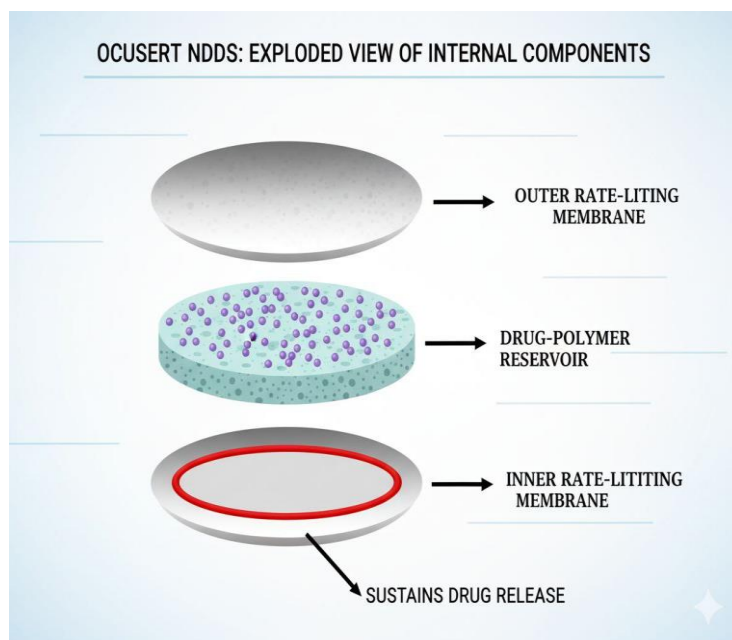
Component	Description
Drug Core	Pilocarpine base or other ocular drug embedded in polymer matrix
Rate-Control Membrane	Ethylene-vinyl acetate copolymer controlling drug release rate
Peripheral Seal	Heat-sealed edges preventing drug leakage
Shape and Size	Elliptical disc, ~13 mm long and 5.7 mm wide

Mechanism of Drug Release

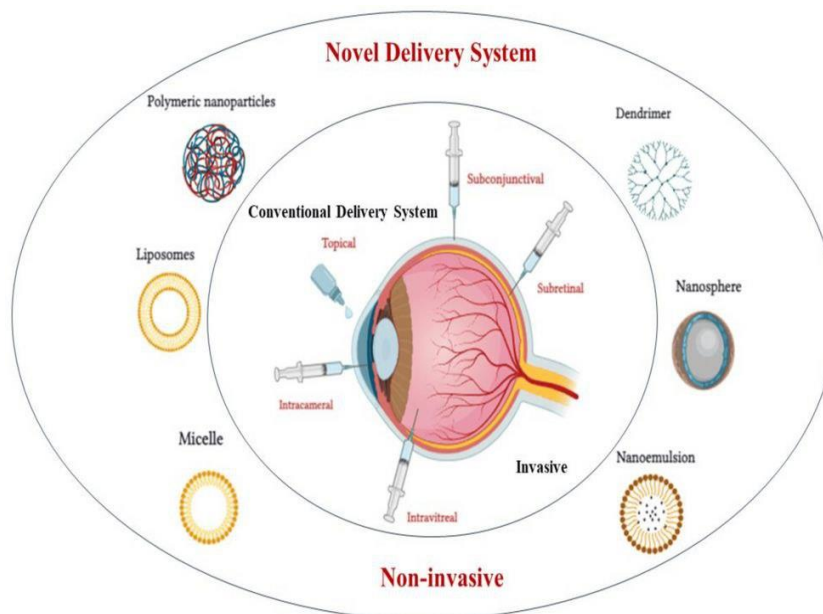
The Ocusert system releases the drug primarily through a diffusion-controlled mechanism, providing a constant therapeutic concentration in the eye¹⁰. When the device is placed in the conjunctival sac, the tear fluid gradually penetrates the polymeric membrane and reaches the drug reservoir, initiating the dissolution of the active ingredient¹¹. The dissolved drug molecules then diffuse outward through the rate-controlling ethylene-vinyl acetate (EVA) membrane into the tear film at a regulated rate, maintaining consistent drug levels(12). This diffusion process obeys Fick's first law of diffusion, which states that the rate of diffusion is proportional to the concentration gradient across the membrane(13).

The zero-order kinetic profile achieved by the Ocusert ensures that the rate of drug release remains constant throughout its usage period, independent of the concentration inside the reservoir¹⁴. Such controlled kinetics minimize fluctuations in intraocular drug concentration and help maintain a sustained pharmacological response⁽¹³⁾.

Once released into the **tear film**, the drug diffuses across the **corneal epithelium and conjunctival tissues**, eventually reaching the **aqueous humor**, where it produces its therapeutic effect—commonly, **reduction of intraocular pressure** in glaucoma patients⁽¹⁶⁾. The Ocusert system, particularly with **pilocarpine hydrochloride**, maintains this effect for **up to seven days**, after which the insert is removed and replaced⁽¹⁷⁾



Advantages	Disadvantages
Provides controlled and sustained drug release , maintaining constant therapeutic levels for up to 7 days.	May cause foreign body sensation or discomfort during initial use.
Reduces dosing frequency , improving patient compliance compared to conventional eye drops.	Requires manual insertion and removal , which some patients may find difficult.
Minimizes systemic absorption and side effects by localizing drug delivery to ocular tissues.	Accidental displacement or loss from the conjunctival sac may occur.
Enhances bioavailability and therapeutic efficacy by bypassing precorneal drug loss.	Limited to small, lipophilic, and stable drugs suitable for diffusion through the polymer membrane.
Provides zero-order kinetics , avoiding fluctuations in drug concentration and ensuring steady therapeutic levels.	Higher manufacturing cost compared to conventional dosage forms.
Useful in chronic ophthalmic conditions like glaucoma requiring long-term therapy.	May require professional guidance



Application

Ocusert has been primarily utilized in the management of glaucoma by providing continuous pilocarpine delivery(15). It is also a model for

other ocular inserts and serves as a foundation for developing newer systems such as OcuFilm and Lacrisert(16). Future advancements aim to incorporate biodegradable polymers and stimuli-responsive materials.

Table 2: Comparison between eye drops and Ocusert system (17.)

Parameter	Conventional Eye Drops	Ocusert System
Drug Release	Burst release, short duration	Controlled release for 7 days
Patient Compliance	Frequent dosing needed	Once-a-week insertion
Bioavailability	Low (~5%)	High (~50%)
Systemic Side Effects	Common	Minimal

CONCLUSION

The Ocusert device represents an important innovation in ocular therapy, particularly for conditions requiring sustained drug delivery like glaucoma. Although its commercial use has declined with newer technologies, its design principles continue to influence modern ocular delivery systems. With advancements in polymers and nanotechnology, the Ocusert concept may re-emerge in next-generation ocular therapeutics (18,19.)

REFERENCES

1. Kaur, I. P., Smitha, R., Aggarwal, D., & Kapil, M. (2018). Ocular drug delivery systems: A review. *International Journal of Pharmaceutics*, 558(2), 412–425. This review provides an overview of advancements in ocular drug delivery technologies, including controlled-release systems.
2. Khurana, A. K. (2020). *Comprehensive Ophthalmology* (6th ed.). Jaypee Brothers Medical Publishers, New Delhi. A foundational ophthalmology textbook providing clinical insights into ocular anatomy, physiology, and therapeutic approaches.



3. Mitra, A. K. (2003). *Ophthalmic Drug Delivery Systems*. Marcel Dekker, New York. Discusses ocular barriers, drug absorption, and the development of novel ocular delivery systems.
4. Rathore, K. S., & Nema, R. K. (2009). Controlled ocular drug delivery systems. *International Journal of Pharmaceutical Sciences Review and Research*, 1(2), 15–23. Explores various controlled-release ocular systems including Ocusert and polymeric inserts.
5. Alza Corporation. (1974). *Ocusert: Sustained Release Ocular System*. Technical Report, Palo Alto, California. Original documentation describing the Ocusert pilocarpine delivery system and its design.
6. Barar, J. (2016). *Targeted Drug Delivery Systems: Concepts and Design*. Springer International Publishing. Provides the theoretical basis of targeted and controlled drug delivery approaches, including ocular applications.
7. Aggarwal, D., & Pal, D. (2017). Ocular drug delivery challenges: Recent advancements. *Drug Development and Industrial Pharmacy*, 43(4), 489–501. Reviews practical challenges in achieving sustained ocular drug levels.
8. Mandal, A., Bisht, R., Rupenthal, I. D., & Mitra, A. K. (2021). Polymeric ocular inserts for sustained ocular delivery. *Pharmaceutics*, 13(5), 621–635. Discusses the fabrication and application of polymer-based ocular inserts similar to Ocusert.
9. Srivastava, S., Dandagi, P. M., Gadad, A. P., & Masur, V. B. (2019). Polymeric ocular inserts: Design and evaluation. *Asian Journal of Pharmaceutics*, 13(2), 102–110. Provides insight into formulation design parameters for polymeric ocular systems.
10. Gupta, H., Aqil, M., Khar, R. K., Ali, A., Bhatnagar, A., & Mittal, G. (2010). Recent trends in ocular drug delivery systems. *International Journal of Pharmaceutical Investigation*, 1(2), 1–13. Highlights nanotechnology and sustained-release innovations for eye therapy.
11. Sahoo, S. K., Dilnawaz, F., & Krishnakumar, S. (2008). Novel approaches in ophthalmic drug delivery. *Drug Discovery Today*, 13(3–4), 144–151. Discusses cutting-edge ocular drug delivery systems for enhanced efficacy.
12. Jadhav, K. R., Shaikh, I. M., Kadam, V. J., & Pisal, S. S. (2011). Ocular drug delivery: Challenges and advances. *Asian Journal of Pharmaceutics*, 5(1), 12–20. Reviews key challenges like corneal permeability and recent advances in ocular inserts.
13. Tiwari, R., Patel, V., & Dubey, A. (2012). Controlled release ocular inserts: An overview. *International Journal of Drug Delivery*, 4(2), 57–68. Focuses on controlled-release mechanisms and materials used in ocular inserts.
14. Kalyankar, T. M., Bhinge, S. D., & Deshmukh, P. K. (2019). Advances in ocular inserts: A comprehensive review. *Journal of Drug Delivery and Therapeutics*, 9(5), 100–108. Examines the evolution of ocular inserts, their design, and clinical applications.
15. Misra, A., & Shahiwala, A. (2012). Novel ocular drug delivery systems. *Expert Opinion on Drug Delivery*, 9(4), 285–303. Discusses the future scope and challenges of advanced ocular delivery technologies.
16. Gaudana, R., Ananthula, H. K., Parenky, A., & Mitra, A. K. (2009). Ocular drug delivery: Advances, challenges, and applications. *AAPS Journal*, 11(3), 339–348. Provides a detailed overview of physiological barriers and strategies for sustained ocular delivery.
17. Saini, R., Sharma, S., & Kaur, I. P. (2017). Ocular controlled delivery systems: A review. *International Journal of Pharmaceutical*



Sciences, 9(3), 45–52. Reviews polymeric ocular systems and their potential to improve bioavailability.

18. Prausnitz, M. R., & Noonan, J. S. (2018). Permeability of cornea and its influence on ocular drug delivery. *Progress in Retinal and Eye Research*, 67, 1–21. Discusses corneal structure and permeability in relation to drug delivery efficiency.
19. Chauhan, A. (2015). Ocular drug delivery research: Current status and future directions. *Journal of Controlled Release*, 220, 561–572. Highlights emerging trends and research directions in ocular controlled-release systems.

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