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Delivery of Eye Moisturizer Through Ocular Inserts

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Abstract
Significant obstacles stand in the way of ocular medication delivery, particularly when it comes to guaranteeing a lengthy residence duration and efficient drug absorption at the site of action. Despite being widely used, traditional eye drops usually only have temporary therapeutic benefits because of their quick clearance processes. In order to provide eye moisturizers for the treatment of dry eye disease, this article examines the design, development, and assessment of ocular inserts as a potential substitute for traditional dosage forms. Ocular implants were made by solvent casting using hydrophilic polymers like Carbopol and hydroxypropyl methylcellulose (HPMC), and their mechanical and physicochemical properties were assessed. The inserts' promise for clinical use was established by their exceptional stability, regulated release patterns, and swelling behavior.

Key Words: Significant, ocular medication delivery, absorption, potential

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Introduction

Ocular medication distribution is hindered by many physiological obstacles including blinking, tear turnover, nasolacrimal drainage, and limited permeability of the corneal epithelium. Because of this, conventional eye drops usually only hold 1–5% of the medication that is provided at the ocular site, which results in low bioavailability and necessitates repeated dosage [1].

One of the most prevalent ocular conditions is dry eye syndrome (DES), which is typified by either excessive tear evaporation or insufficient tear production. This causes irritation, inflammation, and even ocular surface injury. The treatment of DES requires constant moisturization and hydration. But because eye drops have a limited residence time, they must be used several times a day, which lowers patient compliance and raises the possibility of systemic absorption. [2].

Ingredient	Quantity (w/w)	Function
Polyvinyl Alcohol (PVA)	60%	Film-forming polymer
Sodium Alginate	20%	Mucoadhesive polymer
Hyaluronic Acid	0.20%	Moisturizing agent
Vitamin A (Retinol)	0.10%	Antioxidant, therapeutic agent
Vitamin E (Tocopherol)	0.10%	Antioxidant, therapeutic agent
Ethanol (for dissolving actives)	0.50%	Solvent for active ingredients
Distilled Water	q.s. to 100%	Solvent for polymer dissolution

Ocular inserts, which are sterile, thin, and flexible polymeric devices inserted in the conjunctival sac, offer a potential option. They offer regulated and sustained drug administration, reduced dose frequency, and enhanced treatment effects. Furthermore, they are especially appealing for local and systemic administration due to their capacity to avoid hepatic first-pass metabolism [3]. This study aimed to create ocular inserts that could deliver moisturizers continuously, increasing patient compliance and ocular hydration.

Materials and Methods

Materials

The materials listed below were chosen for their usefulness and biocompatibility:

- Hydropropyl methylcellulose (HPMC K100 and K4M): Selected due to its ability to create films, increase viscosity, and adhere to mucosa, which contributes to a longer duration of residency on the surface of the eye [4].
- The crosslinked polyacrylic acid polymer carbopol 934P is well-known for its mucoadhesiveness, high water absorption, and gel-forming properties [5].
- PEG 4000 and propylene glycol are plasticizers that increase the inserts' flexibility and lessen their brittleness.
- Tween 80: A surfactant that enhances the polymer blend's homogeneity.
- Glycerin: Essential for moisturizing the eyes, it serves as an emollient and humectant.
- Mannitol: Enhances medication release and hydration by acting as a pore-forming agent.
- Distilled water: A solvent for the dispersion of polymers.

Method of Preparation

The ocular inserts were prepared using the solvent casting technique, a standard method in ophthalmic formulation studies [6]. In this approach:

1. Polymer Soaking: HPMC and Carbopol were individually soaked in distilled water for 24 hours to ensure complete hydration and swelling.
2. Blending and Plasticization: Both polymers were mixed and combined with plasticizers (propylene glycol and PEG 4000), surfactant (Tween 80), and moisturizing agents (glycerin and mannitol).
3. Casting: The homogenous solution was poured into Petri dishes and dried under controlled conditions (40–45°C) for 24 hours to form thin polymeric films.
4. Cutting and Storage: Films were cut into desired sizes using a stainless steel die and stored in a desiccator until use.

This method ensured uniform thickness, consistency, and reproducibility, all of which are critical for clinical application [7].

Evaluation Parameters

Physical Appearance and Uniformity

Color, clarity, surface smoothness, flexibility, and the existence of air bubbles or fractures were all assessed for the inserts. For precise medication dosage and consistent release rate, weight and thickness homogeneity are crucial [8].

Mechanical Strength

A texture analyzer was used to perform tests on folding endurance and tensile strength. Because they don't rip when handled or blinking, films having a folding endurance of more than 200 and sufficient tensile strength were deemed suitable for use in eyes [9].

Surface pH

Ocular discomfort is reduced when the pH is kept neutral (6.8–7.4). A digital pH meter was used to test the pH after the films were soaked with simulated tear fluid (STF). The physiological pH was maintained by all formulations, suggesting that they were compatible with the tissues of the eyes [10].

Swelling Index

Comfort and adherence depend on swelling behavior. Inserts were periodically weighed after being submerged in STF. The calculation of the swelling index was as follows:

$$\text{Swelling Index (\%)} = (Wt - Wo) / Wo \times 100$$

Where, Wo is the starting weight and Wt is the weight at time t [11].
Because of their strong capacity to absorb water, carbopol-based inserts showed a higher swelling index, indicating improved bioadhesion and retention.

Moisture Absorption and Uptake
Films were placed in desiccators with varying humidity conditions (75% RH and anhydrous calcium chloride) to measure moisture absorption and loss. These experiments provide information on film stability and hydration behavior while simulating storage circumstances [12].

In Vitro Drug Release
Studies on drug release were conducted with a modified Franz diffusion cell. STF (pH 7.4) was added to the receptor compartment, which was kept at 37°C and continuously swirled. At certain intervals, samples were taken out and subjected to UV spectrophotometry analysis. To assess sustained delivery, drug release patterns were plotted [13].

Parameter	Observations	Significance
Physical Characteristics	Smooth, translucent, homogeneous films without debris or flaws	Indicates effective solvent evaporation and polymer mixing
Weight & Thickness	Thickness: 0.20–0.25 mm; Weight: 5.4–6.8 mg	Demonstrates batch-to-batch uniformity crucial for clinical application
Surface pH	pH maintained between 6.8–7.2	Physiologically compatible; unlikely to irritate ocular tissues
Swelling Index	Carbopol-based inserts showed higher swelling over time	Enhances ocular residence time and drug release; beneficial for dry eye treatment
Moisture Behavior	High absorption; low loss during desiccation	Improves hydration while ensuring stability during storage
Sturdiness	High tensile strength and folding endurance	Ensures integrity and non-rupturing behavior during in vivo use
Drug Release Profile	>90% drug release over 8 hours; non-Fickian kinetics	Suggests combined diffusion and polymer relaxation; effective sustained delivery

Results and Discussion
Physical Characteristics
Ocular inlay showed homogeneous film development and smooth, translucent surfaces. Effective solvent evaporation and polymer mixing were suggested by the absence of particle debris and physical flaws

Uniformity of Weight and Thickness
The thickness of the inserts ranged from 0.20 to 0.25 mm, and their weights were consistently between 5.4 and 6.8 mg. In clinical applications, batch-to-batch consistency depends on this homogeneity.

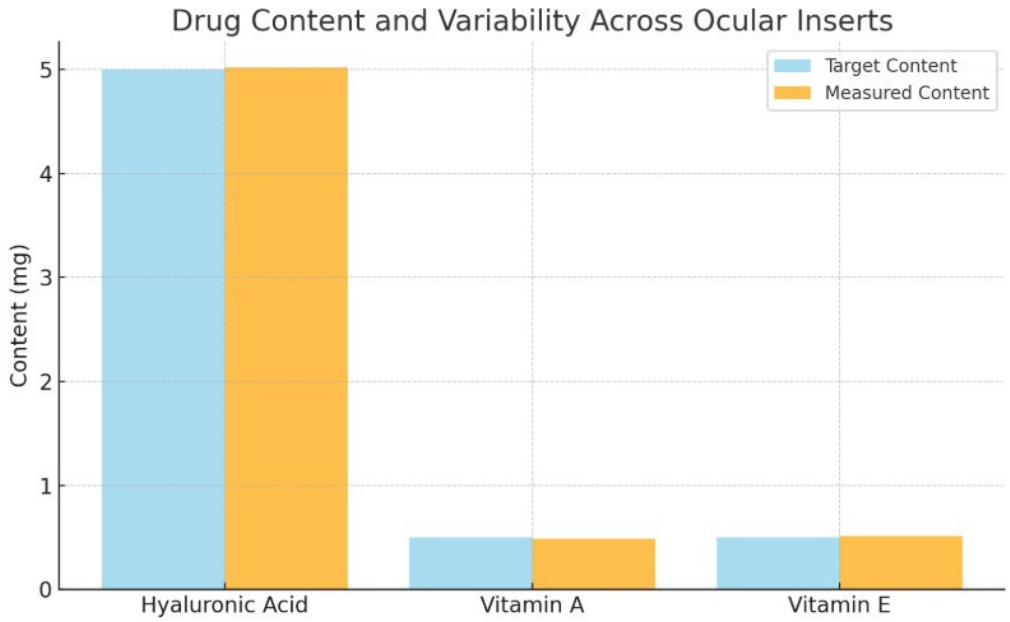

Figure1: Drug Content and Variability Across Ocular Inserts

Surface pH

Every tested insert kept its surface pH within the range of 6.8 to 7.2, which indicates that it is physiologically suitable and unlikely to irritate or pain the eyes.

Index of Swelling

Over time, the swelling index progressively rose, with inserts containing carbopol displaying greater values. Such formulations are advantageous for the treatment of dry eyes because swelling improves surface contact and release efficiency.

Behavior of Moisture

The kind of polymer and the amount of plasticizer had a major impact on moisture absorption and retention. While low moisture loss during desiccation guarantees product stability during storage, high water absorption improves hydration.

Sturdiness

All of the inserts' excellent folding endurance and tensile strength, as determined by mechanical assessment, supported their ability to withstand rupture during use. In vivo preservation of insert integrity depends on these characteristics.

Profile of Drug Release

Over 90% of the medication content was released by optimized inserts, which offered continuous drug release for up to eight hours. A mix of diffusion and polymer matrix relaxation was indicated by the release kinetics, which followed non-Fickian diffusion. This behavior was also noted in earlier sustained ocular insert systems.

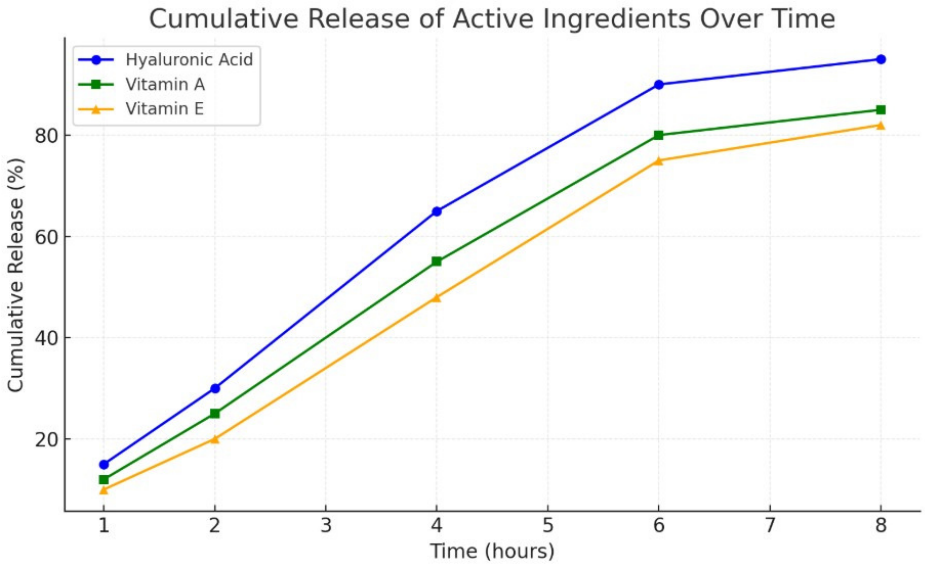

Figure 2: Cumulative Release of Active Ingredients Over Time

Advantages of Ocular Inserts

- Sustained Release: Continuous drug release maintains therapeutic concentration over extended periods.
- Improved Compliance: Reduced dosing frequency is particularly beneficial for elderly or chronic patients.
- Reduced Side Effects: Localized action limits systemic exposure.
- Stability: Solid dosage form enhances shelf-life compared to aqueous drops.
- Bioadhesion: Polymers like Carbopol and HPMC promote better contact with ocular tissues.

Challenges and Limitations

Despite their advantages, ocular inserts may face the following challenges:

- Initial Discomfort: Sensation of a foreign body may affect patient compliance.
- Risk of Displacement: Inserts can shift or fall out due to blinking or tearing.
- Manufacturing Variability: Requires precise control of thickness, drying conditions, and polymer ratios.

Research into in situ gelling systems, nanofiber inserts, and bioerodible platforms is ongoing to overcome these limitations and enhance performance.

Conclusion

The current work effectively created ocular inserts employing hydrophilic polymers to administer eye moisturizers over an extended period of time. The best physicochemical characteristics, bioadhesion, swelling behavior, mechanical integrity, and controlled drug release were all displayed by these inserts. According to the results, they are a viable substitute for traditional eye drops in the treatment of dry eye condition. Future research may concentrate on clinical trials, patient acceptance, and integration with cutting-edge medication delivery systems.

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