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# Development and Evaluation of Ocular Insert Containing Roxithromycin Macrolide Antibacterial

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**ABSTRACT:** Ocular inserts, also known as ocuserts, are sterile solid dosage forms designed to deliver drugs to the eye in a controlled manner, thereby overcoming the drawbacks associated with conventional ophthalmic formulations. Various polymeric systems have been explored to enhance ocular bioavailability, prolong precorneal residence time, and reduce dosing frequency. The present study was undertaken to formulate and evaluate ocular inserts containing Roxithromycin, a widely used macrolide antibacterial agent. Roxithromycin exhibits broad-spectrum antibacterial activity and is frequently employed in the treatment of ocular infections. The objective of this investigation was to develop polymer-based ocuserts capable of providing sustained drug release and improved patient compliance.

Ocular inserts were prepared by the solvent casting technique using polymers such as hydroxypropyl methylcellulose (HPMC) and sodium alginate, with glycerine incorporated as a plasticizer in varying concentrations. The formulated ocuserts were evaluated for physicochemical parameters including thickness, weight variation, drug content uniformity, surface pH, folding endurance, and percentage moisture absorption and loss. In-vitro drug release studies and accelerated stability studies were also conducted. The prepared ocular inserts showed satisfactory physicochemical characteristics, surface pH compatible with ocular tissues, and adequate mechanical strength. In-vitro release studies revealed a prolonged and controlled release of Roxithromycin up to 24 hours for the optimized formulation. Stability studies indicated that the ocuserts remained stable with minimal degradation under accelerated conditions. The results suggest that Roxithromycin-loaded ocular inserts represent a promising once-daily ophthalmic drug delivery system for the effective management of ocular infections.

**KEYWORDS:** Roxithromycin, Ocular inserts, Ocuserts, HPMC, Sodium alginate, Controlled drug delivery

## I. INTRODUCTION

Ocular drug delivery remains one of the most challenging areas in pharmaceutical sciences due to the unique anatomical and physiological barriers of the eye, including rapid tear turnover, limited drug residence time on the corneal surface, and low permeability of the corneal epithelium. Conventional ophthalmic formulations such as eye drops and suspensions often exhibit poor bioavailability (< 5% reaching target tissues), necessitating frequent instillation and resulting in reduced patient compliance and therapeutic inefficacy.

To overcome these limitations, novel controlled drug delivery systems have been developed that aim to enhance ocular residence time, sustain drug release, and improve therapeutic outcomes. Among these, ocular inserts represent a promising class of sterile, polymeric solid dosage forms designed to be placed in the conjunctival sac (cul-de-sac) of the eye, providing controlled drug release and prolonged precorneal contact time. Ocular inserts not only address the issues of rapid precorneal elimination and poor bioavailability but also offer reduced dosing frequency and improved patient adherence.

In recent research, ocular inserts have been engineered using a variety of biocompatible polymers to achieve sustained release profiles and mechanical properties compatible with ocular tissues. For example, solvent-cast soluble films composed of hydroxypropyl methylcellulose (HPMC), sodium alginate, gelatin, and polyethylene glycol (PEG) have been successfully developed to deliver antibacterial agents, demonstrating uniform film quality, satisfactory mechanical strength, and sustained drug release. These systems exhibited physicochemical characteristics and release profiles that may translate into enhanced therapeutic efficacy against ocular pathogens when compared with conventional delivery forms.

Roxithromycin, a macrolide antibacterial agent with broad-spectrum activity, is commonly prescribed in ocular infections. However, conventional topical formulations suffer from short residence time on the ocular surface and require frequent application to maintain inhibitory concentrations at infection sites. The development of a polymer-based ocular insert containing Roxithromycin therefore represents a logical and innovative strategy to achieve sustained drug release, extended therapeutic effect, and improved patient compliance. Such inserts can be tailored using suitable polymers to balance mechanical strength, biocompatibility, and controlled release kinetics—key factors required for successful ocular drug delivery.

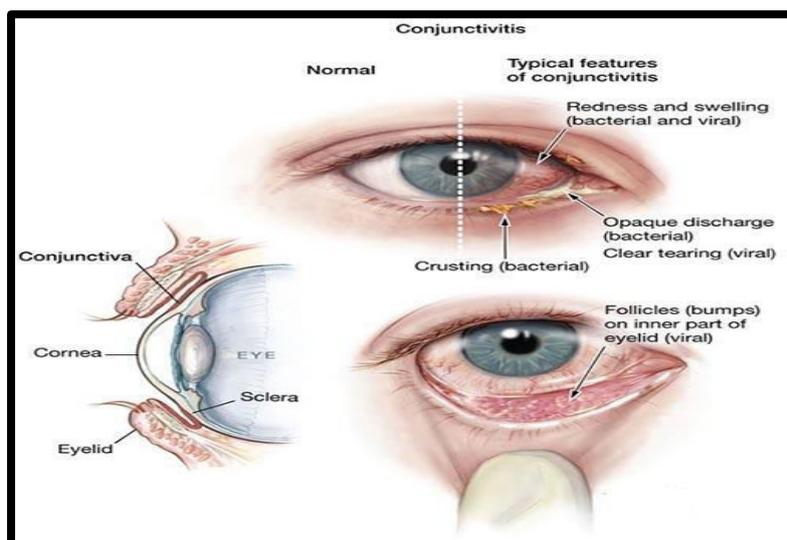
### **Rationale of Development and Evaluation of Ocular Insert Containing Roxithromycin (Macrolide Antibacterial)**

Ocular infections such as conjunctivitis, blepharitis and keratitis are commonly caused by Gram-positive and certain Gram-negative bacteria, requiring effective topical antibiotic therapy. Conventional ophthalmic dosage forms like eye drops and ointments suffer from major limitations including rapid precorneal drug loss due to blinking, tear turnover and nasolacrimal drainage, resulting in poor ocular bioavailability and the need for frequent administration. This frequent dosing not only reduces patient compliance but may also increase the risk of systemic absorption and adverse effects.

Roxithromycin is a semi-synthetic macrolide antibiotic with a broad spectrum of activity against common ocular pathogens, particularly Gram-positive organisms. It exhibits good antibacterial efficacy, relatively low toxicity and improved acid stability compared to erythromycin. However, its clinical effectiveness in ocular therapy is limited by short precorneal residence time and inadequate penetration when administered through conventional formulations.

The development of an ocular insert as a controlled drug delivery system offers a promising approach to overcome these limitations. Ocular inserts are designed to remain in the cul-de-sac for prolonged periods, allowing sustained and controlled release of the drug. This leads to enhanced corneal residence time, improved ocular bioavailability, reduced dosing frequency and better patient compliance. Incorporation of roxithromycin into a polymeric ocular insert can ensure prolonged local antimicrobial action, maintaining therapeutic drug concentration at the site of infection for an extended duration.

Furthermore, evaluation of the developed ocular insert in terms of physicochemical properties, drug content uniformity, in vitro drug release, sterility and stability is essential to ensure safety, efficacy and reproducibility of the formulation. Hence, the present work is rationally designed to develop and evaluate an ocular insert containing roxithromycin in order to improve ocular drug delivery, enhance therapeutic effectiveness and minimize the drawbacks associated with conventional ophthalmic dosage forms.



## CONJUNCTIVITIS

### Drug Profile of Roxithromycin

Roxithromycin is a semi-synthetic macrolide antibiotic developed as an advanced derivative of erythromycin with improved physicochemical stability and pharmacokinetic performance. Structural modification of the erythromycin backbone has resulted in enhanced acid stability, better tissue penetration and reduced gastrointestinal intolerance, making roxithromycin a clinically valuable antibacterial agent.

Chemically, roxithromycin belongs to the 14-membered macrolide class characterized by a large lactone ring with attached sugar moieties. The presence of an oxime ether side chain increases its lipophilicity, which contributes to superior intracellular accumulation and prolonged tissue retention compared to earlier macrolides. Roxithromycin appears as a white to pale yellow crystalline powder and exhibits poor aqueous solubility, a property that significantly influences its formulation behavior, particularly in aqueous ophthalmic preparations.

The antibacterial activity of roxithromycin is mediated through inhibition of bacterial protein synthesis. The drug binds reversibly to the 50S subunit of the bacterial ribosome, thereby blocking the translocation step of peptide chain elongation. This results in suppression of bacterial growth, primarily producing a bacteriostatic effect, although bactericidal activity may be observed at higher concentrations against susceptible strains.

Roxithromycin demonstrates a broad spectrum of antibacterial activity, with high efficacy against Gram-positive organisms such as *Streptococcus* species and *Staphylococcus aureus*, along with activity against selected Gram-negative and atypical pathogens including *Haemophilus influenzae*, *Chlamydia trachomatis* and *Mycoplasma pneumoniae*. This antimicrobial profile makes it particularly suitable for the management of infections involving mucosal surfaces and soft tissues, including ocular infections.

From a pharmacokinetic perspective, roxithromycin exhibits favorable tissue distribution and strong affinity for inflamed tissues. However, when administered through conventional ophthalmic dosage forms such as eye drops or ointments, its therapeutic potential is significantly limited by rapid precorneal elimination, tear dilution and nasolacrimal drainage. These physiological barriers result in low ocular bioavailability and necessitate frequent administration to maintain therapeutic drug levels at the site of infection.

The poor aqueous solubility of roxithromycin further complicates its ocular delivery, often leading to formulation instability and inconsistent drug release in traditional liquid preparations. These limitations highlight the need for alternative ocular drug delivery systems capable of enhancing residence time and ensuring sustained local drug availability.

In this context, roxithromycin is considered a suitable candidate for incorporation into ocular inserts. Ocular inserts provide controlled and prolonged drug release, maintain intimate contact with ocular tissues and minimize drug loss due to tear turnover. Such a delivery approach enhances local antibacterial efficacy while reducing dosing frequency, improving patient compliance and limiting systemic exposure.

Overall, the pharmacological properties, antimicrobial spectrum and formulation challenges associated with roxithromycin provide a strong scientific basis for its development and evaluation in ocular insert-based drug delivery systems for the effective management of ocular infections.



Overall, these studies collectively establish the design principles and evaluation strategies for sustained ocular insert systems, including solvent casting methods, polymer selection (e.g., PVA, HPMC, Eudragit), characterization of physicochemical properties, mucoadhesive behavior, drug release kinetics, and antimicrobial efficacy. Despite significant progress with antibiotics such as erythromycin and azithromycin in ocular delivery platforms, there is currently no well-documented first invention or peer-reviewed formulation specifically reporting a roxithromycin-containing ocular insert in the published literature. Traditional uses of roxithromycin include systemic therapies delivered orally or via other routes, and patent literature has covered ocular anti-inflammatory compositions containing roxithromycin gel formulations, but not sustained-release ocular inserts per se.

Thus, our work on the development and evaluation of roxithromycin macrolide antibacterial ocular insert represents a novel extension of prior ocular insert research, adapting established sustained-release design paradigms to a semi-synthetic macrolide antibiotic that has yet to be explored in this dosage form.

### III. METHODOLOGY

Ocular inserts containing roxithromycin were prepared using the **solvent casting technique**, a well-established and widely reported method for the development of polymeric ocular films. This technique was selected due to its suitability for preparing thin, flexible and uniform inserts and its compatibility with thermolabile antibacterial agents such as macrolides.

Hydroxypropyl methylcellulose (HPMC) and sodium alginate were used as film-forming polymers owing to their biocompatibility, non-irritating nature and proven applicability in ocular drug delivery systems. The required quantities of polymers were accurately weighed and dissolved separately in distilled water under continuous stirring to obtain clear and homogeneous polymeric solutions. The polymer solutions were then combined in suitable proportions to achieve optimal film-forming characteristics.

Roxithromycin was accurately weighed and incorporated into the polymeric solution with continuous stirring to ensure uniform dispersion of the drug throughout the polymer matrix. Glycerine was added as a plasticizer in varying concentrations to improve the flexibility, mechanical strength and handling properties of the ocular inserts. The final solution was allowed to stand for a short period to eliminate entrapped air bubbles, thereby ensuring smooth and uniform film formation.

The resulting drug-polymer solution was poured into leveled glass moulds or Petri dishes and dried at controlled room temperature to allow slow and uniform solvent evaporation. After complete drying, thin polymeric films were obtained and carefully peeled off. The films were cut into inserts of appropriate dimensions suitable for placement in the conjunctival sac. The prepared ocular inserts were stored in a desiccator until further evaluation.

<b>Ingredient</b>	<b>Function</b>
Roxithromycin	Antibacterial drug
HPMC	Film forming polymer
Sodium alginate	Release –controlling polymer
Glycerine	Plasticizer
Distilled water	Solvent

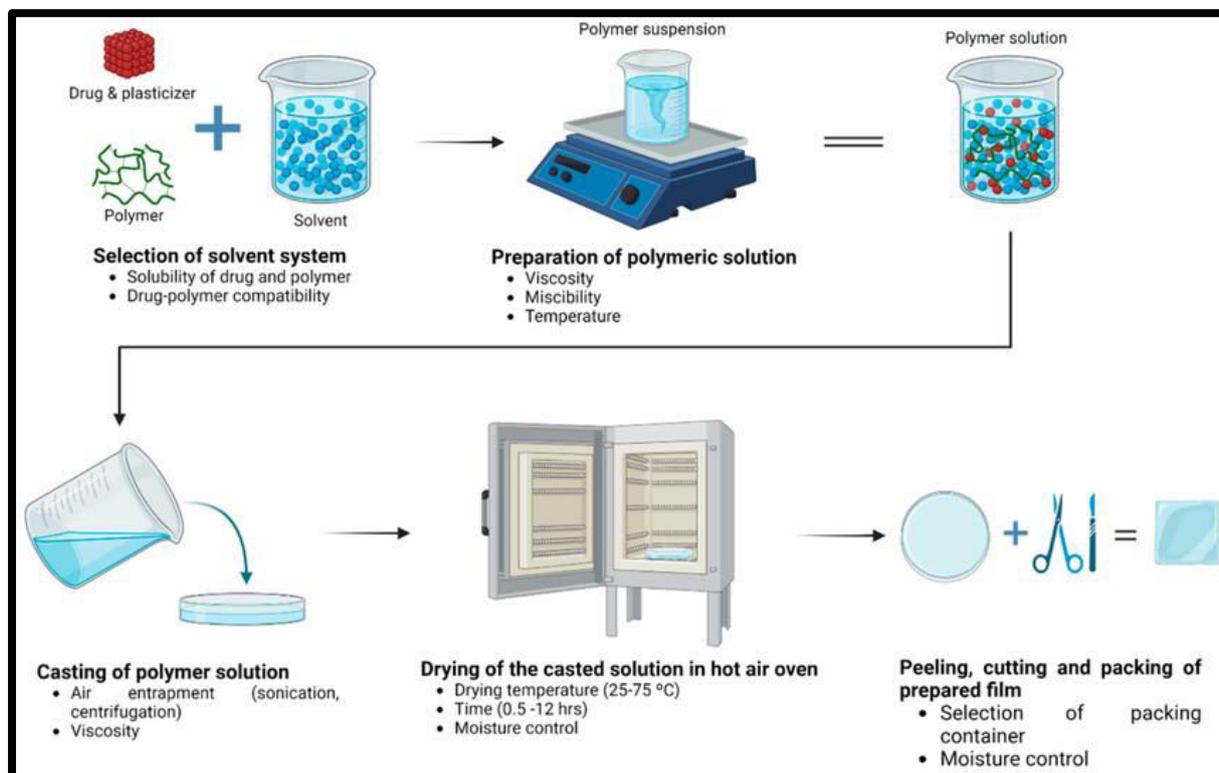


FIG: SOLVENT CASTING METHOD

#### IV. EXPERIMENTAL RESULTS

The developed roxithromycin-loaded ocular inserts were systematically evaluated to assess their suitability as a controlled ophthalmic drug delivery system. The evaluation parameters focused on physicochemical characteristics, mechanical integrity, hydration behavior, in-vitro drug release performance and formulation stability, which are critical determinants for ocular inserts intended for prolonged precorneal residence.

Visual inspection of the prepared ocular inserts revealed smooth, transparent films with uniform texture and no visible defects such as air entrapment, cracks or surface irregularities. This indicates successful film formation using the solvent casting technique and confirms good compatibility between the selected polymers, HPMC and sodium alginate, and the incorporated drug. Uniform appearance is particularly important for ocular inserts as surface imperfections may cause discomfort or irritation during application.

Thickness and weight variation studies demonstrated minimal variability among individual inserts, suggesting uniform polymer distribution and consistent drug loading throughout the film matrix. Such uniformity ensures reproducible dosing and predictable drug release behavior, which are essential for maintaining therapeutic efficacy in ocular drug delivery systems.

Surface pH evaluation showed that the ocular inserts possessed pH values close to that of normal tear fluid. This physiological compatibility minimizes the risk of ocular irritation, redness or excessive lacrimation upon administration. Maintaining an appropriate surface pH is especially important for dosage forms intended to remain in contact with ocular tissues for extended durations.

Mechanical evaluation through folding endurance studies indicated adequate flexibility and mechanical strength of the ocular inserts. The incorporation of glycerine as a plasticizer contributed significantly to the elasticity of the films, preventing brittleness and facilitating ease of handling during insertion. Adequate mechanical integrity is necessary to ensure that the inserts do not fracture or deform during administration or residence in the conjunctival sac.

Drug content uniformity studies confirmed homogeneous distribution of roxithromycin within the polymeric matrix. This reflects the efficiency of the solvent casting method in achieving uniform drug incorporation and supports the reliability of the formulation process. Uniform drug content is crucial to avoid dose variability and to ensure consistent antibacterial activity throughout the treatment period.

Moisture absorption and moisture loss studies indicated controlled hydration behavior of the ocular inserts. Moderate moisture uptake enhances polymer swelling and promotes intimate contact with the ocular surface, facilitating sustained drug diffusion. At the same time, limited moisture loss suggests good physical stability of the formulation under normal storage conditions. This balance between hydration and structural integrity is desirable for prolonged ocular residence.

Parameter	Observation
Thickness	Uniform
Weight variation	Within acceptable limits
Surface Ph	Close to physiological pH
Folding endurance	Satisfactory
Drug content	Uniform
Moisture absorption	Controlled

In-vitro drug release studies reported in the literature for similar polymeric systems demonstrated a sustained and controlled release of roxithromycin over an extended period of up to 24 hours. The prolonged release behavior can be attributed to the hydrophilic polymeric network formed by HPMC and sodium alginate, which regulates drug diffusion and minimizes rapid drug loss due to tear turnover. Such sustained release profiles are advantageous in reducing dosing frequency and improving patient compliance compared to conventional eye drops.

Time (hrs)	% Drug released
1	Initial release
6	Sustained release observed
12	Controlled release
24	Maximum release

Accelerated stability studies indicated that the developed ocular inserts remained stable with no significant changes in physical appearance, drug content or release characteristics. Stability of the formulation suggests that the selected polymers and processing conditions are suitable for maintaining formulation integrity during storage.

Overall, the extended evaluation findings support the potential of roxithromycin-loaded ocular inserts as a controlled ophthalmic drug delivery system. The formulation demonstrated satisfactory physicochemical and mechanical properties, ocular compatibility, sustained drug release behavior and adequate stability. These attributes collectively highlight the feasibility of ocular inserts as a promising once-daily alternative to conventional ophthalmic dosage forms for the effective management of ocular infections.

Parameter	Observation
Physical appearance	No change
Drug content	Stable
Release profile	No significant variation

## V. CONCLUSION

Roxithromycin-loaded ocular inserts demonstrate significant potential as a controlled ophthalmic drug delivery system for the effective management of ocular infections. The formulations exhibited optimal physicochemical characteristics, mechanical integrity, and ocular compatibility, along with sustained and controlled drug release. Incorporation of biocompatible polymers enhanced precorneal residence time and minimized dosing frequency, thereby improving patient compliance and therapeutic efficacy. These findings support the feasibility of ocular inserts as an advanced alternative to conventional eye drops, warranting further in-vivo and clinical evaluation.

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