

## Ocular Drug Hypertension as Open-Angle Glaucoma

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**ABSTRACT:** The last fifty years, ophthalmic drug delivery research has made much progress, challenging scientists about the advantages and limitations of this drug delivery approach. Topical eye drops are the most commonly used formulation in ocular drug delivery. Despite the good tolerance for patients, this topical administration is only focus on the anterior ocular diseases and had a high precorneal loss of drugs due to the tears production and ocular barriers. Ophthalmic products are sterile preparations that encompass specialized dosage forms which can be administered onto the external surface of the eye. The slightest inflammation in the eyes can cause intense soreness and cause eye infections, swelling, allergies, challenge vision, and can cause permanent harm and cause lack of vision as well. Hypertension of the eye fundamentally results from an imbalance between the production and extrusion of aqueous humor (AQH) within the anterior segment of the eye.

**Keywords:** Ophthalmic drug, Inflammation, infections, Hypertension.

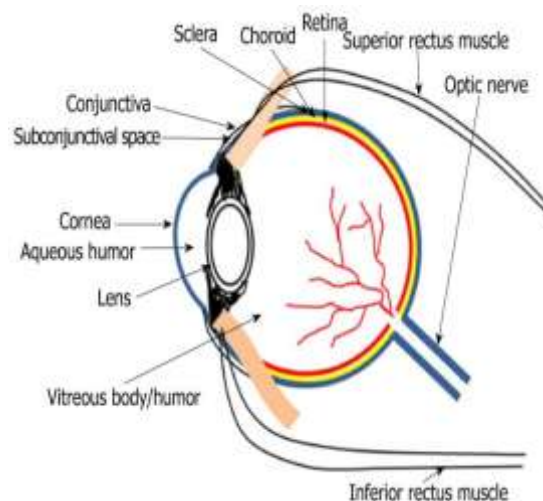
### [I] INTRODUCTION

Ophthalmic drug delivery presents major challenges for pharmaceutical and medicinal sciences. For several decades, progress has been achieved to improve the currently dosage forms. Ocular diseases are complicated to treat, and ocular forms need to be safe, non-allergic for the patient and sterile. Topical forms represent 90% of the marked formulation. Antibiotics are group of medicines popularly used in ophthalmic delivery due to the multiple's ocular diseases (microbial keratitis, conjunctivitis, Meibomian gland dysfunction and dry eye). Infectious disease is one of the most public health challenges.

The sense of seeing or sight is of exceptional significance to humans. Vision presents the early caution system for threats to our survival, however additionally enriches our life by describing features of the world in line with texture, colour, context, and depth. At an easy level, the visual system includes the attention and an extended chain of neural connections that extend

from the retinal receptors via the visual pathway to the primary visual cortex of the cerebrum.

The human eye is an excellent organ and the capacity to see is certainly considered one of our highly precious possessions. Thus, the simplest requirements are essential for the compounding of ophthalmic preparations and therefore the finest care is required in their use. Ophthalmic arrangements are sterile liquid, semi-solid, or solid preparations which could incorporate one or greater active pharmaceutical ingredients. Ophthalmic preparations are meant for the conjunctiva, the conjunctival sac, or the eyelids. Although eye preparations incorporate a preservative, there's a prospect of microbial contamination after the package sterility seal has been impaired throughout the duration of use.



### [II] METHODS AND MATERIALS

#### [1] Liquid Ophthalmic Drug Forms

##### [1] Eye Drops

Eye drops are accessible in the forms of water and oil solutions, emulsions, or suspensions of one or more active ingredients, which may contain preservatives if stored in multiuse packaging. These forms are sterile and isotonic. The optimum pH for eye drops equals that of tear fluid and is about. Eye drops are sterile and mainly isotonic solution containing drugs or only

lubricating or tears replacing solution. This conventional dosage form for ocular administration represents 90% of the marketed formulations due to its simplicity of development and production. Eye drops are cheaper than the other forms and have a good acceptance by patient. In deciding whether to buffer the drug in this form, one should take into account the stability of active ingredient and the tissue tolerance to the preparation. If the pH value gets outside the range of 4–8 which is tolerated by eye, the patient may feel discomfort, there may be irritation, and the drug bioavailability can decrease because of increased tearing [10].

## [2] Ophthalmic Solutions

Ophthalmic solutions are sterile, aqueous solutions used for, among other things, cleansing and rinsing eyeballs. They may contain excipients, which, for example, regulate osmotic pressure, the pH, and viscosity of the preparation. They may also contain preservatives if stored in multiuse packaging [7].

## [3] Micro emulsions

Microemulsions are promising drug forms, inexpensive to produce, and easy to sterilize and stable, providing the possibility to introduce larger amounts of active ingredient. In vivo research and clinical examination of healthy volunteers proved extended time periods of effectiveness and increased bioavailability of drugs applied in these forms. The mechanism of action involves the adsorption of nanodrops constituting a reservoir of the drug and the inner phase of microemulsion on the corneal surface, which limits the overflow [5].

## [4] Ointments

Ophthalmic ointments are sterile, semi-solid, homogeneous preparations intended for application to the eye (conjunctiva or eyelid). Non-aqueous excipients are mainly used for this preparation and it must be non-irritating for the eye. Four types of ointment are described: oleaginous base, absorption base, water-removable base and water soluble base. The oleaginous base is a lipophilic ointment, immiscible with water avoiding moisture evaporation. Unlike eye drops, this form slows down the elimination of the drug by the tears flow and increases the corneal residence time by prolonging surface time residence. Ointment application is responsible for blurred vision and its administration is advised in the evening. The packaging can be single dose or

multidose and the content is limited to 5 g of preparation.

Ointments are semisolid dosage forms for external use, usually consisting of solid or semisolid hydrocarbon base of melting or softening point close to human body temperature. After applying the ointment to the eye, it decomposes into small drops, which stay for a longer time period in conjunctival sac, thus increasing drug's bioavailability. Eye ointments have certain disadvantages—although they are well tolerated and safe, they cause, among other things, blurring of vision and sometimes have irritating effects, because of which they are mainly applied night-time

## [III] SOLID OPHTHALMIC DRUG FORMS

### 1. Contact Lenses Coated with Drugs

This drug form can absorb on its surface water-soluble substances, released after applying the drug over the eyeball for a longer period of time. The first and most widely used polymer in the production of lenses was the cross-linked poly(2-hydroxyethyl methacrylate) with small amount of ethylene glycol dimethylacrylate. Examples of drugs whose pharmaceutical availability from lenses was researched include timolol, ciprofloxacin [18], dexamethasone [19], and cyclosporine [20].

## [IV] OPHTHALMIC FORMS

Topical ocular and subconjunctival administration are used to target the anterior segment; intravitreal and systemic administration are used to reach the posterior segment.

Two types of drug permeation after topical administration can be described: the trans corneal permeation from the lachrymal fluid to the anterior chamber and the transconjunctival and transscleral permeation from the external ocular surface to the anterior uvea-ciliary body and iris. Lipophilic drugs permeability is higher via the trans corneal route than for hydrophilic drugs because of the lipidic composition of the corneal epithelium [14]. In contrast, the transconjunctival pathway is suited to hydrophilic drugs and large molecules. Topical administration is used for the treatment of anterior chamber pathologies as inflammation, allergy, keratoconjunctivitis, infection or corneal ulceration. The topical forms must satisfy the criteria of efficacy, sterility, stability and ocular tolerance.

**a) Advantages of ocular drug delivery systems**

- [1] Increased accurate dosing. To overcome the side effects of pulsed dosing produced by conventional systems.
- [2] To provide sustained and controlled drug delivery.
- [3] To increase the ocular bioavailability of drug by increasing the corneal contact time. This can be achieved by effective adherence to corneal surface.
- [4] To provide targeting within the ocular globe so as to prevent the loss to other ocular tissues.
- [5] To circumvent the protective barriers like drainage, lacrimation and conjunctival absorption.
- [6] To provide comfort, better compliance to the patient and to improve therapeutic performance of drug.
- [7] To provide better housing of delivery system.

**b) Limitations of ophthalmic drug delivery:**

1. Dosage form cannot be terminated during emergency.
2. Interference with vision.
3. Difficulty in placement and removal.
4. Occasional loss during sleep or while rubbing eyes.

Despite these limitations, significant improvements in ocular drug delivery have been made. The improvements have been with objective of maintaining the drug in the bio-phase for an extended period. The anatomy, physiology and biochemistry of the eye render this organ impervious to foreign substances

**[V] CONCLUSION**

Drug delivery to targeted ocular tissues has been a major challenge to ocular scientist, for decades. Administration of drug solutions as topical drop with conventional formulations was associated with certain drawbacks which initiated the introduction of different carrier systems for ocular delivery. Tremendous efforts are being put into ocular research toward the development of safe and patient compliant novel drug delivery strategies. Currently, researchers are thriving hard to improve in vivo performance of conventional formulations. On the other hand, advent of nanotechnology, new techniques, devices and their applications in drug delivery is developing immense interest to ocular scientists. Drug molecules are being encapsulated into nanosized carrier systems or devices and are being delivered

by invasive/non-invasive or minimally invasive mode of drug administration. Several nanotechnology based carrier systems are being developed and studied at large such as nanoparticles, liposomes, nanomicelles, nanosuspensions and dendrimers.

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