Egyptian Journal of Basic and Applied Sciences xxx (2018) xxx–xxx

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Contents lists available at ScienceDirect

Egyptian Journal of Basic and Applied Sciences

journal homepage: www.elsevier.com/locate/ejbas

Review Article

Therapeutic challenges in ocular delivery of lipid based emulsion

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ARTICLE INFO

Article history:
Received 2 February 2018
Received in revised form 2 March 2018
Accepted 1 April 2018
Available online xxxx

Keywords:
Biological barriers
Ophthalmic drug delivery
Safety assessments
Tear discharge
Lipid emulsions

ABSTRACT

In the last decade, lipid based emulsions (LEs) have emerged as a novel tool in ophthalmic drug delivery from the aspect of improved bioavailability (BA). Recent research is focuses on two main approaches for BA improvement i.e., by enhancing the corneal permeability and increasing the retention time of drug on the ocular surface. The LEs have great potential to delivery hydrophobic drug because drug molecules get dissolve in oil globule and main advantage associated are reduced toxic effect and improved self-life of drug molecule. Ophthalmic drug delivery is always an interesting and challenging task for the pharmacologists and formulation scientists due to the unique structure of eye. Development of drug delivery system and its delivery to target receptor of the eye is very difficult for scientists due to the strong defense mechanism of eye. In this review, the focus is to explore key concepts of ophthalmic drug delivery with emphasis on the defense mechanisms, design considerations, and safety assessment of LEs for the ocular drug delivery.

Contents

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https://doi.org/10.1016/j.ejbas.2018.04.001
2314-808X/

Please cite this article in press as: Tiwari R et al. Therapeutic challenges in ocular delivery of lipid based emulsion. Egyp. Jour. Bas. App. Sci. (2018), https://doi.org/10.1016/j.ejbas.2018.04.001

1. Introduction

Ophthalmic drug delivery is one of the foremost challenging endeavors in the research and development of novel drug formulation due to the unique structure of the eye along with the physiology and biochemistry which restrict the free entry of the drug molecules leading to poor BA [1]. Hence, it would be essential to design and develop a formulation which can enhance drug target ability, prolong the drug residence time at ocular surface and reduce the administration frequency [2]. The main problem associated with ocular drug delivery is to maintain an optimum drug concentration at the site of action for therapeutic response and to attain the predictable pharmacological response. The said problem can be resolve by exploiting the particulate novel drug delivery systems, providing exciting opportunities for ocular drug delivery [3]. These carriers have the ability to safeguard the encapsulated substances and help in the transportation to various compartments of the eye [4]. Various extra and intra-ocular diseases such as glaucoma, keratitis uveitis, acute retinal necrosis, dry eye syndromes, cytomegalovirus retinitis, macular degenerative disease, proliferative vitreoretinopathy, etc., can be treated by the traditional drug delivery system such as eye drops containing lipophilic and poorly water soluble drugs [5]. Topical delivery into the lower cul-de-sac of eye using eye drops is the most recognizable method for the treatment of ocular diseases [6]. But these traditional delivery systems are not only causing discomfort to the patient, but also not able to treat efficiently or fight with the serious ocular diseases [7]. The target site for most of the ophthalmic drugs is the anterior segment of eye, while external eye structures are readily accessible. The biological barriers, conjunctiva, corneal epithelium also limits the ocular drug absorption [8]. In recent years, LEs have emerged as promising platform in ocular drug delivery in term of improved BA. The emulsions increases the BA by two main approaches i.e., (a) either by enhances the corneal permeability or (b) by increasing the retention time of the formulation in the ocular surface. Primarily, LEs are used for parenteral applications to achieve fast pharmacological action recently they are developing as a vehicle to enhance the ocular BA of lipophilic drugs [9].

2. Types of lipid emulsion

LEs are biphasic system of immiscible liquids (either w/o or o/w types) and one associated with stability problems occurring due to aggregation and coalescence of the globules loading to phase separation [10]. LEs are thermodynamically stable and colloidal dispersion, stabilized by interfacial film of emulsifier. In ocular drug delivery, they are associated with several advantages which include sterilization, high clarity, and ease of preparation [11].

2.1. Oil-in-water (o/w) lipid emulsion

These types of emulsion are prepared by using of oil droplet surrounded by surfactant film. The aqueous phase is uses as continuous phase, in which oil droplets are distributed. In this type of emulsions, drugs are incorporated in oil phase and optimized emulsion in best condition [12]. It offers various advantages which include a transparent ocular drug delivery system, higher stability, sustained effect, and drug delivery to deeper layers of the eye and aqueous humor. Different drugs like azithromycin, difluprednate etc has been delivered by this type of LEs.

2.2. Water-in-oil (w/o) lipid emulsion

These types of emulsion are generally used for the hydrophilic drugs. The main advantages associated with w/o type emulsion is spontaneous formation and thermodynamically stability [13]. It has been used for the delivery of certain drugs like dexamethasone, tobramycin [14], chloramphenicol [15].

2.3. Bicontinuous lipid emulsion

In this type of emulsion, oil and water both exist in continues phase so called as bicontinuous emulsion. The rheological property exhibits non-newtonian flow. The characteristic property is water and oil molecule are intertwined which look like zigzag structure [16]. A characteristic property of bicontinous emulsion is that the aqueous and oil nano-domain are separated by surfactant monolayer [17]. A bicontinous emulsion silicone oil was developed and characterized for the different parameters to show its applicability as the cleansing agents.

3. Anatomy and functions of the eye

The eye is a spherical structure which consisting of three protecting layers i.e.; the outer layer sclera, the middle layer choroid which include, ciliary body and iris and the inner nervous tissue layer called retina. The sclera is dense, white, and continuous with tough fibrous coating that protects the inner layer [18].The choroid layer of blood vessels between the retina and sclera situated inside the sclera contains many blood vessels and is modified the front of the eye as pigmented iris [19]. The iris the colored part of the eye

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