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| TITLE OF INVENTION | OCULAR INSERT COMPOSITION COMPRISING DORZOLAMIDE |
| FIELD OF INVENTION | BIO-MEDICAL ENGINEERING |
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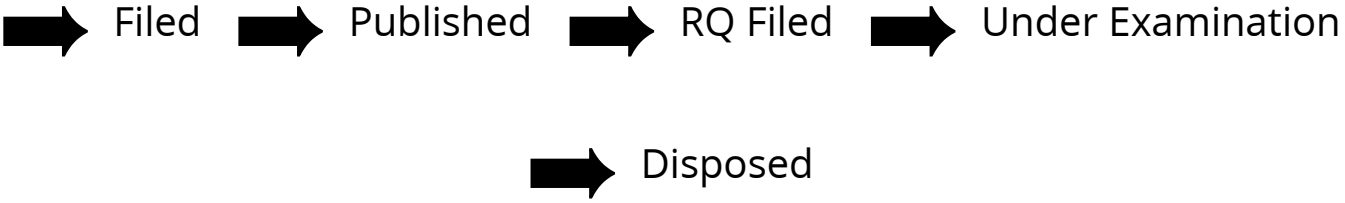


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(57) Abstract :
The present disclosure relates to ocular insert composition comprising Dorolzamide and a process for preparation thereof.

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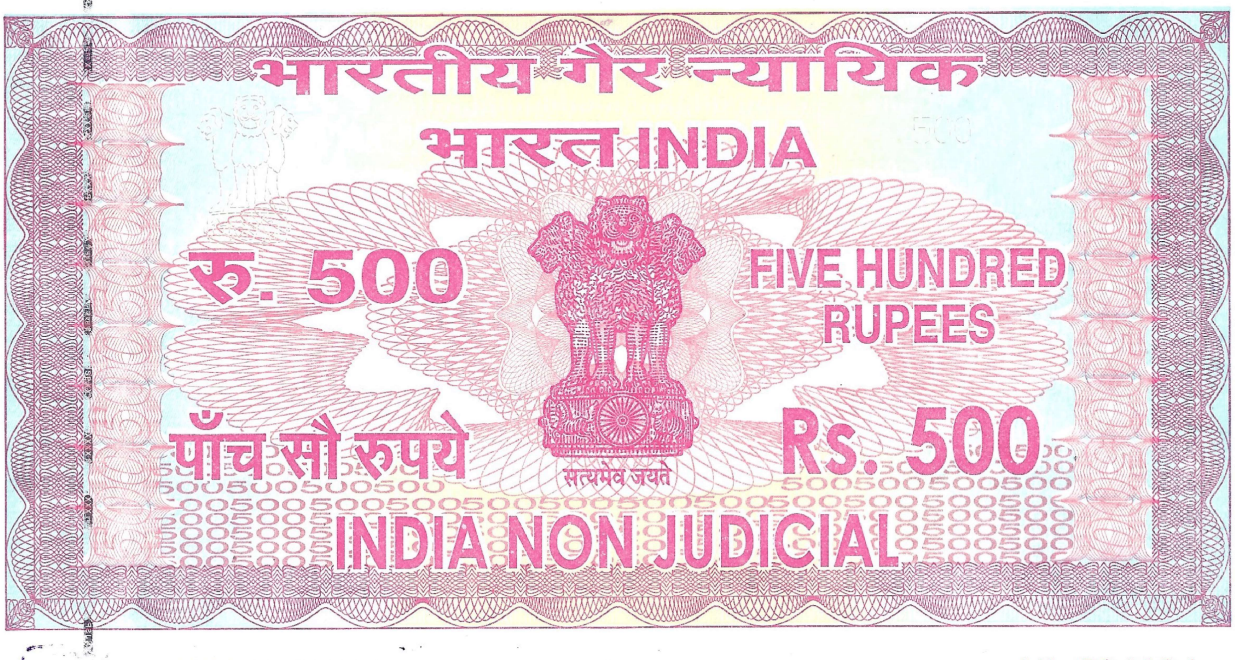


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**FORM 26**

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(39 of 1970)

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We

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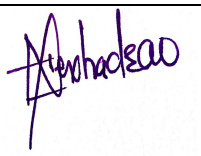
hereby authorize **Vijaykumar Shivpuje (IN-PA 1096)** of the address **Sri Kripa, Akshay Nagar, Old Ausa Road, Latur, 413531, Maharashtra, India** to act on our behalf, as our Agent, in connection with Granted patents and pending applications or any future cases, their renewals and maintenance, objections, oppositions, rectifications, cancellations, assignments and other matters and proceedings relating thereto and to receive all notices, requisitions and communications until further notice.

We further authorize our said agents to appoint any person or persons on our behalf to do all what is necessary in the matters and proceedings.

I hereby revoke all previous authorization, if any made, in respect of, same matter or proceeding.

Dated this 20th April 2021

| Name | Signature |
|---|---|
| **DEVHADRAO NITIN VASANT** |  |
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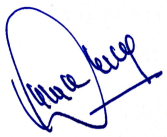
| **Dr. GANESH Yogiraj Dama** |  |

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At New Delhi.

**FORM 2**

**THE PATENT ACT 1970**

**(39 of 1970)**

**&**

**The Patents Rules, 2003**

**COMPLETE SPECIFICATION**

**(See section 10 and rule13)**

**1. Title of the invention**

OCULAR INSERT COMPOSITION COMPRISING DORZOLAMIDE

**2. Applicant(s)**

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**3. Preamble to the description**

The present disclosure relates to ocular insert composition comprising Dorolzamide and a process for preparation thereof.

**4. DESCRIPTION** (Description shall start from the next page.)

The following specification particularly describes the invention and the manner in which it is to be performed.

## FIELD OF THE INVENTION

The present disclosure relates to ocular insert composition comprising Dorolzamide and a process for preparation thereof.

## BACKGROUND OF THE INVENTION

Ocular inserts (Ocusert) are sterile preparation that prolong residence time of drug with a controlled release manner and negligible or less affected by nasolacrimal drainage.

Delivery of drug to the eye has remained as one of the most challenging tasks for pharmaceutical scientists. The intraocular bioavailability of the drug through conventional eye drops is very poor due to factors such as naso-lachrymal drainage, lacrimation, and drug dilution with tear fluid, tear turnover and conjunctival absorption. binding of drugs to protein also contributes to loss of drugs through the precorneal parallel elimination loss pathway. Consequently, only a small amount of (1-3%) drug actually penetrates the cornea and reaches the intraocular tissue.

A sincere attempt to prolong the contact of ophthalmic drug with cornea can improve its efficiency. This can be fulfilled by incorporating viscosity enhancing agent in eye drops or by using water insoluble ointment base in ophthalmic formulation which increase the drug content with cornea. Unfortunately, these attempts have shown limited improvement in drug cornea contact than conventional eye drop solution, but consistent drug availability is still a challenging task to be achieved to avoid repeated medication throughout the day.

Eye is most interesting organ due to its drug disposition characteristics. Topical application of drugs is the method of choice under most circumstances because of its convenience and safety for ophthalmic chemotherapy. A significant challenge to the formulator is to circumvent the protective barriers of the eye without causing permanent tissue damage.

Drugs are commonly applied to the eye for a localized action, on the surface, or in the interior of the eye. A major problem in ocular therapeutics is the attainment of an optimal drug concentration at the site of action. Poor bioavailability of drugs from ocular dosage forms is mainly due to the precorneal loss factors which include tear dynamics, non-productive absorption,

transient residence time in the cul-de-sac, and the relative impermeability of the corneal epithelial membrane. Due to these physiological and anatomical constraints only a small fraction of the drug, effectively 1% or even less of the instilled dose, is ocularly absorbed. So far, attempts have been made to improve ocular drug bioavailability by extending drug residence time in the conjunctival sac and improving drug penetration across the cornea, the major pathway of drug entry into the internal eye.

Development of newer, more sensitive diagnostic techniques and novel therapeutic agents continue to provide ocular delivery systems with high therapeutic efficacy. Conventional ophthalmic formulations like solution, suspension, and ointment have many disadvantages which result into poor bioavailability of drug in the ocular cavity. The specific aim of designing a therapeutic system is to achieve an optimal concentration of a drug at the active site for the appropriate duration. Ocular disposition and elimination of a therapeutic agent is dependent upon its physicochemical properties as well as the relevant ocular anatomy and physiology. A successful design of a drug delivery system requires an integrated knowledge of the drug molecule and the constraints offered by the ocular route of administration.

Ideal ophthalmic drug delivery must be able to sustain the drug release and to remain in the vicinity of front of the eye for prolong period of time. Consequently it is imperative to optimize ophthalmic drug delivery; one of the ways to do so is by addition of polymers of various grades, development of in situ gel or colloidal suspension or using erodible or non-erodible insert to prolong the precorneal drug retention.

## OBJECT OF THE INVENTION

The objective of the present invention is to provide an ocular insert composition of Dorzaolamide.

Another objective of the present invention is to provide a process for preparation of an ocular insert composition comprising Dorzolamide.

## SUMMARY OF THE INVENTION

In an embodiment, the present invention relates to an ophthalmic insert composition comprising dorzolamide, drug reservoir film and rate controlling film.

In an aspect of the embodiment, the drug reservoir film comprises polymer. In a specific aspect of the embodiment, the polymer is a hydrophilic polymer.

In another aspect of the embodiment, the polymer is hydroxyproply methyl cellulose.

In one more aspect of the embodiment, the rate controlling film comprises plasticizer and solvent.

In another embodiment, the present invention relates to a method of preparing an ophthalmic insert composition comprising Dorzolamide, the method comprising:

(a) Preparing drug containing reservoir film of hydrophilic polymer;
(b) Preparing rate controlling film; and
(c) Placing rate controlling films formed in step (b) around drug reservoir film in step (a) and sealing the same to obtain ocular insert.

In an aspect of the embodiment, the ocular insert obtained are in circular shape.

## DETAILED DESCRIPTION

The present invention relates to an ophthalmic insert composition comprising dorzolamide, drug reservoir film and rate controlling film.

### 1. Explanation of Terms

In order to provide a clear and consistent understanding of the terms used in the present specification, a number of definitions are provided below. Moreover, unless defined otherwise, all technical and scientific terms as used herein have the same meaning as commonly understood to one of ordinary skill in the art to which this invention pertains.

The terms used in the specification are defined as follows.

The term "effective amount" or "therapeutically effective amount" refers to the amount of an active agent sufficient to induce a desired biological result. That result may be alleviation of the signs, symptoms, or causes of a disease, or any other desired alteration of a biological system. The term "therapeutically effective amount" is used herein to denote any amount of the formulation which causes improvement in a disease condition when applied to the affected areas repeatedly

over a period of time. The amount will vary with the condition being treated, the stage of advancement of the condition, and the type and concentration of formulation applied. Appropriate amounts in any given instance will be readily apparent to those skilled in the art or capable of determination by routine experimentation.

As used herein, "treatment" or "treating," or "ameliorating" are used interchangeably. These terms refer to an approach for obtaining beneficial or desired results including but not limited to a therapeutic benefit and/or a prophylactic benefit. By therapeutic benefit is meant eradication or amelioration of the underlying disorder being treated. Also, a therapeutic benefit is achieved with the eradication or amelioration of one or more of the physiological symptoms associated with the underlying disorder such that an improvement is observed in the patient, notwithstanding that the patient may still be afflicted with the underlying disorder. For prophylactic benefit, the compositions may be administered to a patient at risk of developing a particular disease, or to a patient reporting one or more of the physiological symptoms of a disease, even though a diagnosis of this disease may not have been made. Treatment includes preventing the disease, that is, causing the clinical symptoms of the disease not to develop by administration of a protective composition prior to the induction of the disease; suppressing the disease, that is, causing the clinical symptoms of the disease not to develop by administration of a protective composition after the inductive event but prior to the clinical appearance or reappearance of the disease; inhibiting the disease, that is, arresting the development of clinical symptoms by administration of a protective composition after their initial appearance; preventing re-occurring of the disease and/or relieving the disease, that is, causing the regression of clinical symptoms by administration of a protective composition after their initial appearance.

A "subject," "individual," or "patient," is used interchangeably herein, which refers to a vertebrate, preferably a mammal, more preferably a human. Tissues, cells and their progeny of a biological entity obtained in vitro or cultured in vitro are also encompassed.

The use of the terms "a" and "an" and "the" and similar referents in the context of describing the elements (especially in the context of the following claims) are to be construed to cover both the singular and the plural, unless otherwise indicated herein or clearly contradicted by context. The terms "comprising," "having," "including," and "containing" are to be construed as open-ended terms (i.e., meaning "including, but not limited to,") unless otherwise noted. Recitation of ranges of values herein are merely intended to serve as a shorthand method of

referring individually to each separate value falling within the range, unless otherwise indicated herein, and each separate value is incorporated into the specification as if it were individually recited herein. All methods described herein can be performed in any suitable order unless otherwise indicated herein or otherwise clearly contradicted by context. The use of any and all examples, or exemplary language (e.g., "such as") provided herein, is intended merely to better illuminate the embodiments and does not pose a limitation on the scope of the claims unless otherwise stated. No language in the specification should be construed as indicating any non-claimed element as essential to the practice of the invention.

As used herein, "about" will be understood by persons of ordinary skill in the art and will vary to some extent depending upon the context in which it is used. If there are uses of the term in which are not clear to persons of ordinary skill in the art, given the context in which it is used, "about" will mean up to plus or minus 10% of the particular term.

The term "pharmaceutically acceptable salt" refers to salts derived from a variety of organic and inorganic counter ions well known in the art and includes any pharmaceutically acceptable salt soluble in water to form an aqueous solution. They include, by way of example only, sodium, potassium, calcium, magnesium, ammonium, tetraalkylammonium, strontium, toluenesulfonate, and the like; and when the molecule contains a basic functionality, salts of organic or inorganic acids, such as hydrochloride, hydrobromide, tartrate, mesylate, acetate, maleate, oxalate and the like.

As used herein, the term "about" means that the numerical value is approximate and small variations would not significantly affect the practice of the disclosed embodiments. Where a numerical limitation is used, unless indicated otherwise by the context, "about" means the numerical value can vary by ±10% and remain within the scope of the disclosed embodiments.

The use of the terms "a" and "an" and "the" and similar referents in the context of describing the elements (especially in the context of the following claims) are to be construed to cover both the singular and the plural, unless otherwise indicated herein or clearly contradicted by context. The terms "comprising," "having," "including," and "containing" are to be construed as open-ended terms (i.e., meaning "including, but not limited to,") unless otherwise noted. Recitation of ranges of values herein are merely intended to serve as a shorthand method of referring individually to each separate value falling within the range, unless otherwise indicated

herein, and each separate value is incorporated into the specification as if it were individually recited herein. All methods described herein can be performed in any suitable order unless otherwise indicated herein or otherwise clearly contradicted by context. The use of any and all examples, or exemplary language (e.g., "such as") provided herein, is intended merely to better illuminate the embodiments and does not pose a limitation on the scope of the claims unless otherwise stated. No language in the specification should be construed as indicating any non-claimed element as essential to the practice of the invention.

The term "Pharmaceutical dosage Form ", as used herein, refers to a physical form of a dose of a chemical compound used as a drug or medication intended for administration or consumption.

## 2. Embodiments

Embodiments of this invention are described herein.

In an embodiment, the present invention relates to an ophthalmic insert composition comprising dorzolamide, drug reservoir film and rate controlling film.

In an aspect of the embodiment, the drug reservoir film comprises polymer. In a specific aspect of the embodiment, the polymer is a hydrophilic polymer.

In another aspect of the embodiment, the polymer is hydroxyproply methyl cellulose.

The pharmaceutical compositions comprise one or more pharmaceutically acceptable excipients. The term "pharmaceutically acceptable excipients", denotes any of the components of a pharmaceutical composition other than the active and which are approved by regulatory authorities or are generally ‘regarded as safe’ for human or animal use. A combination of excipients may also be used. The amount of excipient(s) employed will depend upon how much active agent is to be used. One excipient can perform more than one function.

In one more aspect of the embodiment, the rate controlling film comprises plasticizer and solvent.

A variety of solvents may be used in preparing the films. An exemplary solvent is water. However, organic solvents may be used, including, but not limited to methanol, ethanol, n-propanol, iso-propanol, n-butanol, iso-butanol, tert-butanol, dimethyl ether, methylethyl ether, methyl-tert-butyl ether, diethyl ether, ethylene glycol, propylene glycol, dioxane, dimethyl sulfoxide, dimethyl formamide or mixtures of any two or more such solvents.

In another embodiment, the present invention relates to a method of preparing an ophthalmic insert composition comprising Dorzolamide, the method comprising:

(a) Preparing drug containing reservoir film of hydrophilic polymer;
(b) Preparing rate controlling film; and
(c) Placing rate controlling films formed in step (b) around drug reservoir film in step (a) and sealing the same to obtain ocular insert.

In an aspect of the embodiment, the ocular insert obtained are in circular shape.

In some embodiments, the shape of the ocular inserts has a length from about 1 mm to about 8 mm, about 1 mm to about 7 mm, about 1 mm to about 6 mm, about 1 mm to about 5 mm, about 1 mm to about 4 mm, about 1 mm to about 3 mm, about 1 mm to about 2.5 mm, about 1.5 mm to about 8 mm, about 1.5 mm to about 7 mm, about 1.5 mm to about 6 mm, about 2 mm to about 8 mm, about 2 mm to about 7 mm, or about 2 mm to about 6 mm, about 1.5 mm to about 5, about 1.5 mm to about 4 mm, about 2 mm to about 3 mm, and about 1.5 mm to about 2.5 mm. In some embodiments, the shape of the ocular inserts has a width from about 1 mm to about 3.5 mm, about 1 mm to about 2 mm, about 1 mm to about 1.5 mm, about 1.2 mm to about 3 mm, about 1.5 mm to about 2 mm, about 1.2 mm to about 1.5 mm, about 1.3 mm to about 3 mm, about 1.3 mm to about 2 mm, or about 1.3 mm to about 1.5 mm. In some embodiments, the shape approximates a cylinder and the width is a diameter.

The disclosed ocular inserts are distinguished from conventional ocular inserts in a number of ways. By way of example only, the disclosed inserts may include dorzolamide that would not be typically found in polymeric ocular inserts because the APIs are incompatible with the conventional methods of forming such inserts.

Methods of using the ocular inserts include treating an eye disorder by depositing any of the disclosed ocular inserts into or onto an eye of a subject in need thereof. In a particular embodiment of the invention, the ocular inserts is placed, inserted, or deposited, into the inferior cul-de-sac of the eye. By "treating," it is meant alleviating, in whole or in part, symptoms associated with an eye disorder; halting of further progression or worsening of those symptoms; or preventing the development of the eye disorder. For example, in treating an eye disorder, the prevention of, reduction of, or elimination of the disorder are examples of desirable therapeutic effects. Finally, treating does not necessarily occur by administration of one ocular insert, but may occur upon administration of a series of ocular inserts over a specified period of time.

The foregoing examples are illustrative embodiments and are merely exemplary. A person skilled in the art may make variations and modifications without deviating from the spirit and scope of the invention. All such modifications and variations are intended to be included within the scope of the claims.

### 3. Examples - Composition according to the invention

Dorzolamide was kindly provided by Kilipch health care (Eye care) india pvt,ltd, Mumbai.PVA, PG and HPMCK4Mwas purchase from vighnesh enterprises Mumbai. All other chemical used were of reagent grade, 10 day old hen fertilized egg purchase from poultry farm (Pune, india). Albino rabbit from 1.65-1.75kg purchase from lacsmi Biofarm Alephata, Junnar,Pune

Formulation of Dorzolamide Ocular inserts

Solvent casting technique was used to prepared ocular insert. The required quantity of polymer is dissolved in 30ml of distilled water and stirred for 2hr then weighed quantity of Dorzolamide was added and stirred for 2hr on magnetic stirrer to get a uniform dispersion. After complete mixing casting solution was poured on petridish and allowed it to dry. The dried inserts thus obtained were cut into required size and wrapped in aluminium foil and stored. Composition of different batches were prepared.

| Name of Ingredients (mg) | Different Batches of Dorzolamide ocular insert | | | | | | | | |
|---|---|---|---|---|---|---|---|---|---|
| | F1 | F2 | F3 | F4 | F5 | F6 | F7 | F8 | F9 |
| Polyvinyl alcohol | 700 | 700 | 700 | 700 | 700 | 700 | 700 | 700 | 700 |
| HPMC K4M | 20 | 20 | 20 | 40 | 40 | 40 | 60 | 60 | 60 |
| Polyethylene Glycol | 144 | 180 | 216 | 148 | 185 | 222 | 152 | 190 | 228 |
| Dorzolamide | 265 | 265 | 265 | 265 | 265 | 265 | 265 | 265 | 265 |
| Water(up to ml) | 30 | 30 | 30 | 30 | 30 | 30 | 30 | 30 | 30 |

Table 1 – Composition according to the invention.

Ocular insert was prepared by solvent casting method and further evaluated.

Method of preparation of ocular inserts was found to be simple and reproducible. The polymers used were non-toxic, relatively less expensive and easily available. Polymers were found to be effective at different concentration in providing a constant release of drug from the formulation for a longer period of time.

Evaluation of Dorzolamide Ocular inserts

A. Thickness

Inserts thickness was determined using a caliper (Digital vernier caliper) and recorded as the mean of measurements.

B. Folding Endurance

Folding endurance was determined by repeatedly fold the film at the same place till breaking or first sign of breaking. The number of time the film could be folded at the same place without breaking gives the folding endurance value.

C. Surface pH

The Dorzolamide inserts were allowed to swell in closed petridish at room temperature for 30 min in 1 ml of distilled water. The swollen device was removed and solution placed under digital pH meter to determine the surface pH.

D. Weight Uniformity

From each batch (n = 3), inserts were taken and weighed individually using digital balance. The mean weights of the insert were recorded.

E. Drug Content Uniformity

To check the uniformity of drug in insert, three inserts were taken from each formulation. Each insert was placed in a glass vial containing 10 ml of artificial tear fluid. The insert was dissolved by aid of a magnetic stirrer, solution was then filtered and 1 ml from filtrate was withdrawn and diluted up to 10 ml distilled water and absorbance was measured by UV–Visible spectrophotometer at 253.5 nm.

F. Tensile strength

Tensile strength of the prepared films was calculated according to the following equation.

$$\text{Tensile strength} = \frac{\text{N}}{\text{mm}^2}$$

i. e.

$$\frac{\text{Breaking load N}}{\text{Cross sectional area of the sample mm}^2}$$

The results are shown in table 2.

| **Batch** | **Evaluation Parameters** | | | | |
|---|---|---|---|---|---|
| | **Thickness (mm)** | **Folding Endurance** | **Surface pH** | **Weight Uniformity (mg)** | **Drug Content (%)** |
| F1 | 0.10 | 209 ± 1.2 | 6.6 ± 0.06 | 2.3 ± 0.05 | 98.35 ± 0.08% |
| F2 | 0.11 | 222 ± 2.0 | 6.6 ± 0.06 | 2.2 ± 0.05 | 98.51 ± 0.03% |
| F3 | 0.10 | 226 ± 0.6 | 6.6 ± 0.06 | 2.3 ± 0.05 | 98.36 ± 0.03% |
| F4 | 0.11 | 263 ± 2.6 | 6.7 ± 0.05 | 2.4 ± 0.05 | 97.89 ± 0.07% |
| F5 | 0.12 | 282 ± 1.7 | 6.6 ± 0.06 | 2.5 ± 0.06 | 98.57 ± 0.06% |
| F6 | 0.11 | 275 ± 3.0 | 6.7 ± 0.05 | 2.4 ± 0.05 | 97.92 ± 0.04% |
| F7 | 0.12 | 318 ± 2.6 | 6.8 ± 0.06 | 2.6 ± 0.06 | 98.76 ± 0.04% |
| F8 | 0.12 | 332 ± 2.0 | 6.7 ± 0.05 | 2.6 ± 0.11 | 98.19 ± 0.06% |
| F9 | 0.11 | 326 ± 4.2 | 6.8 ± 0.06 | 2.8 ± 0.21 | 98.57 ± 0.06% |

Table 2 – Physicochemical characterization of ocular inserts

**We claim:**

1. An ophthalmic insert composition comprising dorzolamide, drug reservoir film and rate controlling film.

2. The composition according to claim 2, wherein the drug reservoir film comprises polymer.

3. The composition according to claim 3, wherein the polymer is hydrophilic polymer.

4. The composition according to claim 2, wherein the rate controlling film comprises hydroxyproply methyl cellulose.

5. The composition according to claim 2, wherein the rate controlling film comprises plasticizer and solvent.

6. A method of preparing an ophthalmic insert composition comprising Dorzolamide, the method comprising:
   (a) Preparing drug containing reservoir film of hydrophilic polymer;
   (b) Preparing rate controlling film; and
   (c) Placing rate controlling films formed in step (b) around drug reservoir film in step (a) and sealing the same to obtain ocular insert.

7. The method according to claim 7, wherein the ocular insert obtained are in circular shape.

**Dated this 22nd day of April 2021**

Digitally signed by,
**Agent for the Applicant**
Vijaykumar Shivpuje, IN/PA 1096,
Sri Kripa, Akshay Nagar,
Old Ausa Road, Latur, 413531,
Maharashtra, India.

## ABSTRACT

## OCULAR INSERT COMPOSITION COMPRISING DORZOLAMIDE

The present disclosure relates to ocular insert composition comprising Dorolzamide and a process for preparation thereof.

**FORM 5**

**THE PATENTS ACT, 1970**

**(39 OF 1970) &**

**The Patents Rules, 2003**

**DECLARATION AS TO INVENTORSHIP**

**[See section 10 (6) and rule 13(6)]**

| | |
|---|---|
| **1. NAME OF THE APPLICANT (S)** | **1. DEVHADRAO NITIN VASANT**<br>**2. SIDDAIAH M.**<br>**3. MISS. BANSODE ASHWINI SOPAN**<br>**4. Dr. SUMIT Ashok Joshi**<br>**5. Dr. GANESH Yogiraj Dama** |

hereby declare that the true and first inventor(s) of the invention disclosed in the complete specification filed in pursuance of ~~my~~/our application numbered _____ dated_____ ~~is~~/are **DEVHADRAO NITIN VASANT, SIDDAIAH M., MISS. BANSODE ASHWINI SOPAN, Dr. SUMIT Ashok Joshi and Dr. GANESH Yogiraj Dama**

**2. INVENTORS**

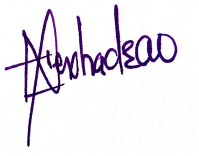
**INVENTOR (1)**

**(a) NAME:** **DEVHADRAO NITIN VASANT**

**(B) NATIONALITY:** Indian

**(C) ADDRESS:** Department of Pharmaceutics, Institute of Pharmaceutical Sciences and Research Center Bhagwant University, Ajmer, Rajasthan, India, 305001.

Dated this 22nd April 2021



Signature: -

Name of the signatory: - **DEVHADRAO NITIN VASANT**

**INVENTOR (2)**

**(a) NAME:** **SIDDAIAH M.**

**(B) NATIONALITY:** Indian

**(C) ADDRESS:** Jawaharlal Nehru Technological University, Anantapur, Andhra Pradesh, India, 510051.

Dated this 22nd April 2021

Signature: -

Name of the signatory: - **Dr. SHUBHRAJIT Mantry**

**INVENTOR (3)**

**(a) NAME:** **MISS. BANSODE ASHWINI SOPAN**

**(B) NATIONALITY:** Indian

**(C) ADDRESS:** Vishal Institute of Pharmaceutical Education and Research, Ale, Pune, Maharashtra, India, 412411.

Dated this 22nd April 2021

Signature: -

Name of the signatory: - **MISS. BANSODE ASHWINI SOPAN**

**INVENTOR (4)**

**(a) NAME:** **Dr. SUMIT Ashok Joshi**

**(B) NATIONALITY:** Indian

**(C) ADDRESS:** SGMSPM's Sharadchandra Pawar College of Pharmacy, At Dumbarwadi, Post Khamundi, Taluka Junnar, Pune, Maharashtra, India, 410504.

Dated this 22nd April 2021

Signature: -

Name of the signatory: - **Dr. SUMIT Ashok Joshi**

**INVENTOR (5)**

**(a) NAME:** **Dr. GANESH Yogiraj Dama**

**(B) NATIONALITY:** Indian

| | |
|---|---|
| **(C) ADDRESS:** | SGMSPM's Sharadchandra Pawar College of Pharmacy, At Dumbarwadi, Post Khamundi, Taluka Junnar, Pune, Maharashtra, India, 410504.<br><br>Dated this 22nd April 2021<br><br>  <br>Signature: -<br>Name of the signatory: - **Dr. GANESH Yogiraj Dama** |

**3. DECLARATION TO BE GIVEN WHEN THE APPLICATION IN INDIA IS FILED BY THE APPLICANT(S) IN THE CONVENTION COUNTRY: -**

Not applicable.

**4. STATEMENT** (to be signed by the additional inventor(s) not mentioned in the application form)

~~I~~/We assent to the invention referred to in the above declaration, being included in the complete specification filed in pursuance of the stated application.

Dated this 22nd April 2021.

Signature of the additional inventor(s) : -

Name: -

To, The Controller of Patent,

The Patent Office, at…**New Delhi**…

| **FORM 1**<br>THE PATENTS ACT, 1970 (39 of 1970) and<br>THE PATENTS RULES, 2003<br>**APPLICATION FOR GRANT OF PATENT**<br>**(See section 7, 54 & 135 and sub-rule (1) of rule 20)** | **(FOR OFFICE USE ONLY)** |
|---|---|

| | | |
|---|---|---|
| | Application no: | |
| | Filing Date: | |
| | Amount of Fee Paid: | |
| | CBR No: | |
| | Signature: | |
| **1. APPLICANT'S REFERENCE/ IDENTIFICATION NO. (AS ALLOTTED BY OFFICE)** | | |

**2. TYPE OF APPLICATION [Please tick (✓) at the appropriate category]**

| Ordinary (✓) | | Convention ( ) | | PCT-NP ( ) | |
|---|---|---|---|---|---|
| Divisional ( ) | Patent of addition ( ) | Divisional ( ) | Patent of addition ( ) | Divisional ( ) | Patent of addition ( ) |

**3 A. APPLICANT (S)**

| **Name in full** | **Nationality** | **Country of residence** | **Address of the applicant** | |
|---|---|---|---|---|
| **DEVHADRAO NITIN VASANT** | Indian | India | House No. | Department of Pharmaceutics, Institute of Pharmaceutical Sciences and Research Center |
| | | | Street | Bhagwant University |
| | | | City | Ajmer |
| | | | State | Rajasthan |
| | | | Country | India |
| | | | Pin Code | 305001 |
| **SIDDAIAH M.** | Indian | India | House No. | Jawaharlal Nehru Technological University |

| | | | | |
|---|---|---|---|---|
| | | | Street | Anantapur |
| | | | City | Anantapur |
| | | | State | Andhra Pradesh |
| | | | Country | India |
| | | | Pin Code | 510051 |
| **MISS. BANSODE ASHWINI SOPAN** | Indian | India | House No. | Vishal Institute of Pharmaceutical Education and Research |
| | | | Street | Ale |
| | | | City | Pune |
| | | | State | Maharashtra |
| | | | Country | India |
| | | | Pin Code | 412411 |
| **Dr. SUMIT Ashok Joshi** | Indian | India | House No. | SGMSPM's Sharadchandra Pawar College of Pharmacy |
| | | | Street | At Dumbarwadi, Post Khamundi, Taluka Junnar |
| | | | City | Pune |
| | | | State | Maharashtra |
| | | | Country | India |
| | | | Pin Code | 410504 |
| **Dr. GANESH Yogiraj Dama** | Indian | India | House No. | SGMSPM's Sharadchandra Pawar College of Pharmacy |
| | | | Street | At Dumbarwadi, Post Khamundi, Taluka Junnar |
| | | | City | Pune |
| | | | State | Maharashtra |
| | | | Country | India |
| | | | Pin Code | 410504 |

**3 B. CATEGORY OF APPLICANT [Please tick (✓) at the appropriate category]**

| Natural person (✓ ) | Other than natural person | | |
|---|---|---|---|
| | Small entity ( ) | Startup ( ) | Others ( ) |

**4. INVENTORS [Please tick (✓) at the appropriate category]**

| | | |
|---|---|---|
| Are all the inventor(s) same as the applicant(s) named above? | Yes (✓ ) | No ( ) |

**If "NO",** furnish the details of the inventor (s) **NA**

**5. TITLE OF THE INVENTION**

OCULAR INSERT COMPOSITION COMPRISING DORZOLAMIDE

| | | |
|---|---|---|
| **6. AUTHORISED REGISTERED PATENT AGENT (S)** | IN/PA No. | 1096 |
| | Name | Vijaykumar Shivpuje |
| | Mobile No. | 09768665354 |
| **7. ADDRESS FOR SERVICE OF APPLICANT IN INDIA** | Name | Vijaykumar Shivpuje |
| | Postal address | Sri Kripa, Akshay Nagar, Old Ausa Road, Latur, 413531, Maharashtra. |
| | Telephone No. | NA |
| | Mobile no. | 09768665354 |
| | Fax No. | NA |
| | E-mail ID | vijay@patlex.in |

**8. IN CASE OF APPLICATION CLAIMING PRIORITY OF APPLICATION FILED IN CONVENTION COUNTRY, PARTICULARS OF CONVENTION APPLICATION**

| Country | Application Number | Filing date | Name of the applicant | Title of the invention | IPC (as classified in the convention country) |
|---|---|---|---|---|---|
| N/A | N/A | N/A | N/A | N/A | N/A |

**9. IN CASE OF PCT NATIONAL PHASE APPLICATION, PARTICULARS OF INTERNATIONAL APPLICATION FILED UNDER PATENT CO-OPERATION TREATY (PCT)**

| International application number | International filing date |
|---|---|
| N/A | N/A |

**10. IN CASE OF DIVISIONAL APPLICATION, FILED UNDER SECTION 16, PARTICULARS OF ORIGINAL (FIRST) APPLICATION**

| Original (first) application No. | Date of filing of original (first) application |
|---|---|
| N/A | N/A |

**11. IN CASE OF PATENT OF ADDITION, FILED UNDER SECTION 54, PARTICULARS OF MAIN APPLICATION OR PATENT**

| Main application/patent No. | Date of filing of main application |
|---|---|
| N/A | N/A |

**12. DECLARATIONS**

**(i) Declaration by the inventor (s)**

**(In case the applicant is an assignee:** the inventor(s) may sign herein below or the applicant may upload the assignment or enclose the assignment with this application for patent or send the assignment by post/electronic transmission duly authenticated within the prescribed period).

~~I~~/We, the above mentioned inventor(s) ~~is~~/are the true & first inventor(s) for this Invention and declare that the applicant(s) herein ~~is~~/are ~~my~~/our assignee or legal representative.

(a) Date: 22nd April 2021.

(b) Signature(s):

(c) Name(s): **DEVHADRAO NITIN VASANT** **SIDDAIAH M.**

**MISS. BANSODE ASHWINI SOPAN** **Dr. SUMIT Ashok Joshi**

**Dr. GANESH Yogiraj Dama**

**(ii) Declaration by the applicant(s) in the convention country N/A**

**(In case the applicant in India is different than the applicant in the convention country:** the applicant in the convention country may sign herein below or applicant in India may upload the assignment from the applicant in the convention country or enclose the said assignment with this application for patent or send the assignment by post/electronic transmission duly authenticated within the prescribed period).

I/We, the applicant(s) in the convention country declare that the applicant(s) herein is/are my/our assignee or legal representative.

(a) Date:

(b) Signature(s):

(c) Name(s) of the signatory

**(iii) Declaration by the applicant(s):**

**I/~~We~~, the applicant(s) hereby declare(s) that:-**

- ~~I am~~/ We are in possession of the above-mentioned invention.
- The Complete/ ~~provisional~~ specification relating to the invention is filed with this application.

o ~~The invention as disclosed in the specification uses the biological material from India and the necessary permission from the competent authority shall be submitted by me/us before the grant of patent to me/us.~~

o There is no lawful ground of objection to the grant of the patent to me/us.

o ~~I am~~/ We are the true and first inventor(s).

o ~~I am~~/ We are the assignee or legal representative of true & first inventors.

o ~~The application or each of the applications, particulars of which are given in Paragraph-8 was the first application in convention country/countries in respect of my/our invention~~.

o ~~I/We claim the priority from the above mentioned application(s) filed in convention country/countries and state that no application for protection in respect of the invention had been made in a convention country before that date by me/us or by any person from which I/We derive the title.~~

o ~~My/Our application in India is based on international application under Patent Cooperation Treaty (PCT) as mentioned in Paragraph-9.~~

o ~~The application is divided out of my/our application particulars of which are given in Paragraph-10 and pray that this application may be treated as deemed to have been filed on _____ under section 16 of the Act.~~

o ~~The said invention is an improvement in/or modification of the invention particulars of which are given in Paragraph-11.~~

**13. FOLLOWING ARE THE ATTACHMENTS WITH THE APPLICATION**

(a) Form 2

| Item | Details | Fee | Remarks |
|---|---|---|---|
| Complete/ ~~provisional~~ specification)# | No. of pages (13) | 1600 | |
| No. of claim(s) | No, of claims (**7**) and no. of pages (**1**) | | |
| Abstract | No. of pages (**1**) | 0 | |
| No. of drawing(s) | No. of drawings (0) and No. of pages (0) | N/A | N/A |

# In case of a complete specification, if the applicant desires to adopt the drawings filed with his provisional specification as the drawings or part of the drawings for the complete specification under rule 13 (4), the number of such pages filed with the provisional specification are required to be mentioned here.

(b) ~~Complete specification (in conformation with the international application)/ as amended before the International Preliminary Examination Authority (IPEA) as applicable (2 copies).~~

(c) ~~Sequence listing in electronic form~~

(d) ~~Drawings (in conformation with the international application)/ as amended before the International Preliminary Examination Authority (IPEA), as applicable (2 copies).~~

(e) ~~Priority document(s) or a request to retrieve the priority document(s) from DAS (Digital Access Service) if the applicant had already requested the office of first filing to make the priority document(s) available to~~

~~DAS.~~

(f) ~~Translation of priority document/Specification/International Search Report/International Preliminary report on patentability.~~

(g) Statement and undertaking on Form 3

(h) Declaration of Inventorship on Form 5

(i) ~~Power of authority~~

(j)……

Total fee ☐ **1600** in online payment ~~cash~~/ ~~Banker's cheque/Bank Draft bearing No……. Date…… on …… Bank.~~

~~I~~/We hereby declare that to the best of ~~my~~/our knowledge, information and belief the fact and matters slated herein are correct and ~~I~~/We request that a patent may be granted to me/us for the said invention.

Dated this 22nd April, 2021

Signature:

Name: Digitally signed by,

**Agent for the Applicant**

Vijaykumar Shivpuje, IN/PA 1096,

Sri Kripa, Akshay Nagar,

Old Ausa Road, Latur, 413531,

Maharashtra, India.

To, The Controller of Patents

The Patent Office, at…**New Delhi**…

Note: -

* Repeat boxes in case of more than one entry.
* To be signed by the applicant(s) or by authorized registered patent agent otherwise where mentioned.
* Tick (✓)/ cross (x) whichever is applicable/ not applicable in paragraph-12.
* Name of the inventor and applicant should be given in full, family name in the beginning.
* Strike out the portion which is/are not applicable.
* For fee: See First Schedule;

**FORM 9**

**THE PATENTS ACT, 1970**

**(39 OF 1970)**

**&**

**The Patents Rules, 2003**

**REQUEST FOR PUBLICATION**

**[See section 11A (2); rule 24A]**

---

| | |
|---|---|
| 1. Name, address and Nationality of the applicant (s) | ~~I~~/ We<br>**1. DEVHADRAO NITIN VASANT**<br>**2. SIDDAIAH M.**<br>**3. MISS. BANSODE ASHWINI SOPAN**<br>**4. Dr. SUMIT Ashok Joshi**<br>**5. Dr. GANESH Yogiraj Dama**<br>hereby request for early publication of ~~my~~/our application for patent No.<br>_____<br>dated_____<br>under section 11A(2) of the act. |

Dated this 22nd day of April 2021.

| | |
|---|---|
| 2. To be signed by the applicant or his authorized registered patent agent.<br><br>Name of the person who has signed | Digitally signed by,<br>**Agent for the Applicant**<br>Vijaykumar Shivpuje, IN/PA 1096, Sri Kripa, Akshay Nagar,<br>Old Ausa Road, Latur, 413531, Maharashtra, India.<br><br>To,<br>The Controller of Patents,<br>The Patent Office, At **New Delhi.** |

**FORM 9**

**THE PATENTS ACT, 1970**

**(39 OF 1970)**

**&**

**The Patents Rules, 2003**

**REQUEST FOR PUBLICATION**

**[See section 11A (2); rule 24A]**

---

| | |
|---|---|
| 1. Name, address and Nationality of the applicant (s) | ~~I~~/ We<br>**1. DEVHADRAO NITIN VASANT**<br>**2. SIDDAIAH M.**<br>**3. MISS. BANSODE ASHWINI SOPAN**<br>**4. Dr. SUMIT Ashok Joshi**<br>**5. Dr. GANESH Yogiraj Dama**<br>hereby request for early publication of ~~my~~/our application for patent No.<br>_____<br>dated_____<br>under section 11A(2) of the act. |

Dated this 22nd day of April 2021.

| | |
|---|---|
| 2. To be signed by the applicant or his authorized registered patent agent.<br><br>Name of the person who has signed | Digitally signed by,<br>**Agent for the Applicant**<br>Vijaykumar Shivpuje, IN/PA 1096, Sri Kripa, Akshay Nagar,<br>Old Ausa Road, Latur, 413531, Maharashtra, India.<br><br>To,<br>The Controller of Patents,<br>The Patent Office, At **New Delhi.** |

| | |
|---|---|
| **FORM 3**<br>**THE PATENTS ACT, 1970**<br>**(39 OF 1970)**<br>**and**<br>**THE PATENTS RULES, 2003**<br>**STATEMENT AND UNDERTAKING UNDER SECTION 8**<br>**[See section 8, rule 12]** | |
| 1. Name of the applicant (s), | ~~I/~~We<br><br>**1. DEVHADRAO NITIN VASANT**<br>Department of Pharmaceutics, Institute of Pharmaceutical Sciences and Research Center Bhagwant University, Ajmer, Rajasthan, India, 305001.<br><br>2. **SIDDAIAH M.**<br>Jawaharlal Nehru Technological University, Anantapur, Andhra Pradesh, India, 510051.<br><br>3. **MISS. BANSODE ASHWINI SOPAN**<br>Vishal Institute of Pharmaceutical Education and Research, Ale, Pune, Maharashtra, India, 412411.<br><br>4. **Dr. SUMIT Ashok Joshi**<br>SGMSPM's Sharadchandra Pawar College of Pharmacy, At Dumbarwadi, Post Khamundi, Taluka Junnar, Pune, Maharashtra, India, 410504.<br><br>5. **Dr. GANESH Yogiraj Dama**<br>SGMSPM's Sharadchandra Pawar College of Pharmacy, At Dumbarwadi, Post Khamundi, Taluka Junnar, Pune, Maharashtra, India, 410504.<br><br>hereby declare, |
| 2. Name, address and | (i) that ~~I/~~We have not made any application for the |

<table>
<tr><td colspan="2">nationality of the joint applicant</td><td colspan="4">same/substantially the same invention outside India.<br>Or<br>(ii) ~~that I/We who have made this application No…….dated ……alone/jointly with……..made for the same/substantially same invention, application(s) for patent in the other countries, the particulars of which are given below:~~</td></tr>
<tr><td>Name of the country</td><td>Date of application</td><td>Application No</td><td>Status of the application</td><td>Date of publication</td><td>Date of grant</td></tr>
<tr><td colspan="6">N/A</td></tr>
<tr><td colspan="2">3. Name and address of the assignee</td><td colspan="4">(iii) that the rights in the application(s) have been assigned to ……<br>that I/~~We~~ undertake that upto the date of the grant of the patent by the Controller, I/~~We~~ would keep him informed in writing the details regarding corresponding applications for patents filed outside India within six months from the date of filing of such application.<br><br>Dated this 22nd April 2021</td></tr>
<tr><td colspan="2">4. To be signed by the applicant or his authorized patent agent</td><td colspan="4">Signature</td></tr>
<tr><td colspan="2">5. Name of the natural person who has signed</td><td colspan="4">Digitally signed by,<br>Agent for the Applicant<br>Vijaykumar Shivpuje, IN/PA 1096,<br>Sri Kripa, Akshay Nagar,<br>Old Ausa Road, Latur, 413531,<br>Maharashtra, India.</td></tr>
<tr><td colspan="2"></td><td colspan="4">To,<br>The Controller of Patents,<br>The Patent Office,<br>at…Mumbai…</td></tr>
<tr><td colspan="6">Note: - Strike out whichever is not applicable</td></tr>
</table>