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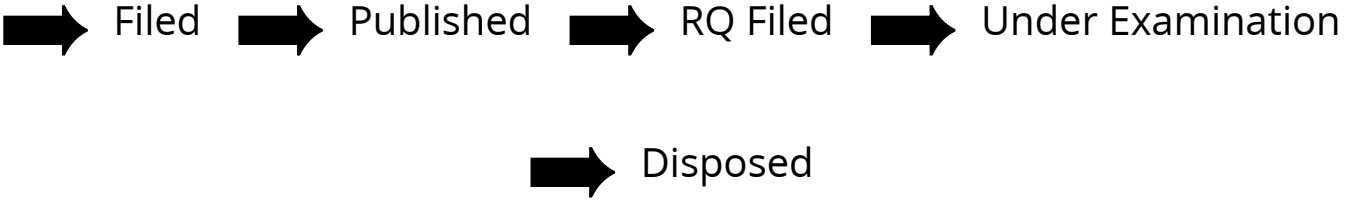
Application Details	
APPLICATION NUMBER	201921009581
APPLICATION TYPE	ORDINARY APPLICATION
DATE OF FILING	12/03/2019
APPLICANT NAME	1 . PANCHAL CHANDRAWADAN VISHWAMBHAR 2 . BANSODE HEMANT BALU 3 . DR. JOSHI SUMIT ASHOK 4 . DR. DAMA GANESH YOGIRAJ 5 . DR. AREHALLI S. MANJAPPA 6 . GURAV Prashant B. 7 . JADHAV Sachin Manik
TITLE OF INVENTION	MICROPARTICLES CONTAINING MONTELUKAST FOR INHALATION THERAPY.
FIELD OF INVENTION	CHEMICAL
E-MAIL (As Per Record)	
ADDITIONAL-EMAIL (As Per Record)	cvpanchal.mcpnilanga@gmail.com
E-MAIL (UPDATED Online)	
PRIORITY DATE	
REQUEST FOR EXAMINATION DATE	--
PUBLICATION DATE (U/S 11A)	19/04/2019

Application Status

APPLICATION STATUS	**Awaiting Request for Examination**

View Documents



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शासकीय जर्नल

OFFICIAL JOURNAL
OF
THE PATENT OFFICE

निर्गमन सं. 16/2019	शुक्रवार	दिनांक: 19/04/2019
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पेटेंट कार्यालय का एक प्रकाशन
PUBLICATION OF THE PATENT OFFICE

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(54) Title of the invention : MICROPARTICLES CONTAINING MONTELUKAST FOR INHALATION THERAPY.

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(57) Abstract :
ABSTRACT The present invention relates to microparticles containing Montelukast for inhalation therapy, specifically microparticles containing Montelukast sodium loaded chitosan and sodium alginate and a process for preparation thereof.

No. of Pages : 17 No. of Claims : 10

200260058

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

1750/- रूपये सकट/चेक/मनी ऑर्डर/डिड्रा
CBR संख्या 5874 दि. 12/03/2019
के संलग्न भेजा हुए।

	Application no:	201921009581
	Filing Date:	12-03-2019
	Amount of Fee Paid:	₹. 1750/-
	CBR No:	5874
रोकड़िया	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 ( )

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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

Microparticles containing Montelukast for inhalation therapy.

6. AUTHORISED REGISTERED PATENT AGENT (S)	IN/PA No.	N/A
	Name	N/A
	Mobile No.	N/A
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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: 12th March 2019.

(b) Signature(s):

(c) Name(s): **PANCHAL Chandrawadan Vishwambhar BANSODE Hemant Balu**

(a) Date: th March 2019.

(b) Signature(s):

(c) Name(s): **Dr. JOSHI Sumit Ashok Dr. DAMA Ganesh Yogiraj Dr. AREHALLI S. Manjappa**

(a) Date: th March 2019.

(b) Signature(s):

(c) Name(s): **GURAV Prashant B.** **JADHAV Sachin Manik**

(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 ~~provisional~~/complete specification relating to the invention is filed with this application.
- ~~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.~~
- There is no lawful ground of objection to the grant of the patent to me/us.
- I am/ ~~We are~~the true and first inventor(s).
- I am/ ~~We are~~the assignee or legal representative of true & first inventors.
- ~~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~~.
- ~~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.~~
- ~~My/Our application in India is based on international application under Patent Cooperation Treaty (PCT) as mentioned in Paragraph 9.~~
- ~~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 (**17**)	1750	
No. of claim(s)	No, of claims (**10**) and no. of pages (**2**)	0	
Abstract	No. of pages (**1**)	0	
No. of drawing(s)	No. of drawings and No. of pages	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 ☐ **1750** in cash/ ~~Banker's cheque/Bank Draft bearing No..... Date..... on ..... Bank.~~

~~I~~/Wehereby 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 12th day ofMarch2019.

Signature:

Name: **PANCHAL Chandrawadan Vishwambhar**

Maharashtra College of Pharmacy,

Nilanga, Taluka – Nilanga, Dist- Latur, Maharashtra, India- 413521.

To,

The Controller of Patents

The Patent Office, at...**Mumbai**...

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 2

THE PATENT ACT 1970

(39 of 1970)

&

The Patents Rules, 2003

COMPLETE SPECIFICATION

(See section 10 and rule13)

1. TITLE OF THE INVENTION:

Microparticles containing Montelukast for inhalation therapy.

2. APPLICANT (S)

(a) NAME:
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3. Dr. JOSHI Sumit Ashok;
4. Dr. DAMA Ganesh Yogiraj;
5. Dr. AREHALLI S. Manjappa;
6. GURAV Prashant B.;
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3. PREAMBLE TO THE DESCRIPTION

The present invention relates to microparticles containing Montelukast for inhalation therapy, specifically microparticles containing Montelukast sodium loaded chitosan and sodium alginate 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.

Technical field of the invention:

The present invention relates to microparticles containing Montelukast for inhalation therapy, specifically microparticles containing Montelukast sodium loaded chitosan and sodium alginate and a process for preparation thereof.

Background of the invention:

Asthma is a chronic disease of the lungs in which the airways become blocked or narrowed causing breathing difficulty. There is an inflammation of the air passages that results in a temporary narrowing of the airways leading to wheezing, shortness of breath, chest tightness and coughing. Asthma is commonly divided into two types: allergic (extrinsic) asthma and non-allergic (intrinsic) asthma. There is still much research that needs to be done to fully understand how to prevent, treat and cure asthma. Even though most asthmatics do not die as a result of the disease, they may spend part of their daily lives coping with the symptoms. But, with proper management, people can live healthy and active lives.

Asthma is caused by obstruction of the lumen of bronchi by muexudate, goblet cell metaplasisa, Asthma is the result of chronic inflammation of the airways which subsequently result in increased contractibility of the surrounding smooth muscles. This among other factors leads to bouts of narrowing of the airway and the classic symptoms of wheezing. The narrowing is typically reversible with or without treatment. Chronically the airways smooth muscle may increase in size along with an increase in the numbers of mucous glands. Other cell types involved include: lymphocytes, macrophages, and neutrophils.

Unlike many other diseases, asthma is considered chronic which means that most people with asthma live a long time with their disease, coping with their symptoms. Despite advances in understanding the disease, and the availability of more efficacious medications, asthma is still a major cause of morbidity. This is often a result of under-diagnosis, under-treatment, lack of public understanding and knowledge about the disease, and

inadequate asthma supervision. It is estimated that more than 80 per cent of asthma deaths could be prevented with proper asthma education.

Because asthma is a chronic condition, it usually requires continuous medical management. Medication therapies are designed to treat the airway inflammation of asthma, thereby minimizing airway narrowing. Patients with moderate to severe asthma have to take long-term controller medication daily (for example, anti-inflammatory drugs, Inhaled steroids) to control the underlying inflammation and prevent symptoms and attacks. If symptoms occur, short-term medications such as inhaled short-acting β2-agonists) are also used to relieve them.

Corticosteroids, β-Blockers, anticholinergic, bronchodilators, masscell stabilizers, leukotriene antagonist, lipooxygenase inhibitors are widely used for cure of asthma.

Montelukast sodium is chemically [R-(E)]-l-[[[l-[3-[2-(7-chloro-2-quinolinyl) ethenyl]phenyl] -3- [2- (1 -hydroxy- 1 methylethyl) phenyl] propyl] thio] methyl] cyclopropaneacetic acid, monosodium salt. Montelukast sodium is commercially available as Singulair® as 10 mg tablets, 4mg and 5mg chewable tablets and as 4mg oral granules (marketed by Merck and Co., Inc.) in the United States. It is indicated for the prophylaxis and chronic treatment of asthma, for prevention of exercise-induced bronchoconstriction and for the relief of symptoms of allergic rhinitis (seasonal allergic rhinitis and perennial allergic rhinitis).

The pulmonary drug delivery presents many advantages compared to other administration routes. The amount of drug administered to patients is lower compared to the traditional administration routes, systemic undesirable effects decrease and the first pass hepatic and renal effects are avoided.

Aerosols are an effective product to deliver therapeutic agents to the respiratory tract. Nebulizers, metered dose inhalers, or dry powder inhalers are commonly used for this purpose. Local delivery of medication to the lung

is highly desirable, especially in patients with specific pulmonary diseases. The principal advantages of local delivery include reduced systemic side effects and higher dose levels of the applicable medication at the site of drug action. Unlike the oral route of drug administration, pulmonary inhalation is not subject to first pass metabolism. Indeed, aerosol delivery has long been viewed as a promising approach for asthma.

A clinical study by Merck evaluated the Safety, Tolerability, and Pharmacokinetics of Inhaled Montelukast in Participants With Mild or Moderate Asthma.

The present inventors have prepared microparticles containing Montelukast sodium loaded with chitosan and sodium alginate and evaluated for its suitability as respirable dry powder formulation for lung delivery by spray drying technique.

Summary of the invention:

The present invention relates to microparticles containing Montelukast for inhalation therapy, specifically microparticles containing Montelukast sodium loaded chitosan and sodium alginate and a process for preparation thereof.

In an embodiment, the present invention relates to pharmaceutical composition comprising microparticles containing Montelukast.

In an aspect of the embodiment, the pharmaceutical composition is in the form of powder.

In another aspect of the embodiment, the particle size of the microparticles in the range of 3-5 µm.

In one more aspect of the embodiment, the microparticles are polymer loaded. In a specific aspect of the embodiment, the microparticles are

chitosan loaded. In another aspect of the embodiment, the micropartiles are sodium alginate loaded.

In yet another aspect of the embodiment, the composition is suitable for inhalation administration. In one more aspect of the embodiment, the composition is delivered via dry powder inhaler device.

In another embodiment, the present invention relates to A process for preparing a pharmaceutical powder composition comprising montelukast, said process comprising the steps of

a) Dissolving montelukast in ethanol to form mixture I;
b) Dissolving polymer and acetic acid to form mixture II;
c) Mixing mixture I in step (a) and mixture II in step (b) under stirring;
d) Spray drying the mixture in step (c) at predetermined conditions to form a powder.

An aspect of the embodiment, the polymer is chitosan or sodium alginate.

Detailed description of the invention:

The present invention relates to microparticles containing Montelukast for inhalation therapy, specifically microparticles containing Montelukast sodium loaded chitosan and sodium alginate and a process for preparation thereof.

The terms used in the specification are defined as follows.

As used herein, the term "montelukast" includes all its salts, isomers, stereoisomers, derivatives and the like. Specifically, "montelukast" includes montelukast sodium.

In an embodiment, the present invention relates to pharmaceutical composition comprising microparticles containing Montelukast.

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% a nd remain within the scope of the disclosed embodiments.

As used herein, the terms "comprising" (and any form of comprising, such as "comprise", "comprises", and "comprised"), "having" (and any form of having, such as "have" and "has"), "including" (and any form of including, such as "includes" and "include"), or "containing" (and any form of containing, such as "contains" and "contain"), are inclusive or open-ended and do not exclude additional, unrecited elements or method steps.

As used herein, the terms "treat," "treated," or "treating" mean both therapeutic treatment or prophylactic or preventative measures wherein the object is to prevent or slow down (lessen) an undesired physiological condition, disorder or disease, or obtain beneficial or desired clinical results. For purposes of this invention, beneficial or desired clinical results include, but are not limited to, alleviation of symptoms; diminishment of extent of condition, disorder or disease; stabilized (i.e., not worsening) state of condition, disorder or disease; delay in onset or slowing of condition, disorder or disease progression; amelioration of the condition, disorder or disease state or remission (whether partial or total), whether detectable or undetectable; an amelioration of at least one measurable physical parameter, not necessarily discernible by the patient; or enhancement or improvement of condition, disorder or disease.

In an aspect of the embodiment, the pharmaceutical composition is in the form of powder.

In another aspect of the embodiment, the particle size of the microparticles in the range of 3-5 µm. Specifically, the particle size of the microparticles is 3 µm or 3.1 µm or 3.2 µm or 3.25 µm or 3.3 µm or 3.4 µm or 3.5 µm or 3.6 µm or 3.7 µm or 3.75 µm or 3.8 µm or 3.9 µm or 4 µm or 4.1 µm

or 4.2 µm or 4.3 µm or 4.4 µm or 4.5 µm or 4.6 µm or 4.7 µm or 4.75 µm or 4.8 µm or 4.9 µm or 5 µm.

In one more aspect of the embodiment, the microparticles are polymer loaded. In a specific aspect of the embodiment, the microparticles are chitosan loaded. In another aspect of the embodiment, the micropartiles are sodium alginate loaded.

Chitosan is a linear polysaccharide composed of randomly distributed β-(1→4)-linked D-glucosamine (deacetylated unit) and N-acetyl-D-glucosamine (acetylated unit). It is made by treating the chitin shells of shrimp and other crustaceans with an alkaline substance, like sodium hydroxide.

Sodium alginate ($NaC_6H_7O_6$) is a linear polysaccharide derivative of alginic acid comprised of 1, 4-β-d-mannuronic (M) and α-l-guluronic (G) acids. Sodium alginate is a cell wall component of marine brown algae, and contains approximately 30 to 60% alginic acid. The conversion of alginic acid to sodium alginate allows its solubility in water, which assists its extraction.

In yet another aspect of the embodiment, the composition is suitable for inhalation administration. In one more aspect of the embodiment, the composition is delivered via dry powder inhaler device.

The dry powder inhaler (DPI) device is paramount to the success of a DPI product. It is the vehicle the formulation is delivered through for local or systemic effect via pulmonary the route. The successful delivery of drugs into the deep lung depends on the integration between device performance and powder formulations. The combination of the device and the formulation needs to demonstrate safety, efficacy, bioequivalence and reliability for product approval. Many factors affect the device performance. Some of the factors include mouth piece configuration, grid structure and mouthpiece length, impaction angle of the powder with devices and air inlet size. The device may be capsule based device, blister based device, reservoir or cartilage based device or any other type of device.

In another embodiment, the present invention relates to A process for preparing a pharmaceutical powder composition comprising montelukast, said process comprising the steps of

a) Dissolving montelukast in ethanol to form mixture I;
b) Dissolving polymer and acetic acid to form mixture II;
c) Mixing mixture I in step (a) and mixture II in step (b) under stirring;
d) Spray drying the mixture in step (c) at predetermined conditions to form a powder.

Spray drying is a method of producing a dry powder from a liquid or slurry by rapidly drying with a hot gas. A consistent particle size distribution is a reason for spray drying some industrial products such as catalysts. Air is the heated drying medium; however, if the liquid is a flammable solvent such as ethanol or the product is oxygen-sensitive then nitrogen is used.

All spray dryers use some type of atomizer or spray nozzle to disperse the liquid or slurry into a controlled drop size spray. The most common of these are rotary disk and single-fluid high pressure swirl nozzles. Atomizer wheels are known to provide broader particle size distribution, but both methods allow for consistent distribution of particle size. Alternatively, for some applications two-fluid or ultrasonic nozzles are used. Depending on the process needs, drop sizes from 10 to 500 μm can be achieved with the appropriate choices. The most common applications are in the 100 to 200 μm diameter range. The dry powder is often free-flowing.

The most common type of spray dryers is called single effect. There is a single source of drying air at the top of the chamber. In most cases the air is blown in the same direction as the sprayed liquid (co-current). A fine powder is produced, but it can have poor flow and produce a lot of dust. To overcome the dust and poor flow of the powder, a new generation of spray dryers called multiple effect spray dryers has been produced. Instead of drying the liquid in one stage, drying is done through two steps: the first at the top (as per single effect) and the second with an integrated static bed at the bottom of the chamber. The bed provides a humid environment which causes smaller

particles to clump, producing more uniform particle sizes, usually within the range of 100 to 300 µm. These powders are free-flowing due to the larger particle size.

The fine powders generated by the first stage drying can be recycled in continuous flow either at the top of the chamber (around the sprayed liquid) or at the bottom, inside the integrated fluidized bed. The drying of the powder can be finalized on an external vibrating fluidized bed.

The hot drying gas can be passed in as a co-current, same direction as sprayed liquid atomizer, or counter-current, where the hot air flows against the flow from the atomizer. With co-current flow, particles spend less time in the system and the particle separator (typically a cyclone device). With counter-current flow, particles spend more time in the system and are usually paired with a fluidized bed system. Co-current flow generally allows the system to operate more efficiently.

The solvents used in spray drying include water or organic solvents.

An aspect of the embodiment, the polymer is chitosan or sodium alginate.

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.

Examples: Powder formulations according to the invention:

SN	Montelukast	Chitosan	Sodium Alginate	1% Acetic acid	Distilled Water
1	10mg	200mg	-	300ml	-
2	10mg	150mg	-	300ml	-
3	10mg	100 mg	-	300ml	-
4	10mg	-	200mg	-	300ml
5	10mg	-	150mg	-	300ml
6	10mg	-	100mg	-	300ml
7	10mg	100mg	150mh	300ml	-
8	10mg	100mg	50mg	300ml	-

Manufacturing process:

1. Preparation of Polymer solution I:

Chitosan is dissolved in 300 ml of 1% acetic acid with continuous stirring. A clear homogeneous solution is formed. Sodium Alginate is soaked over-night in distil water and prepared polymeric solution of sodium alginate.

2. Preparation of Drug Solution II:

Montelukast 50 mg is dissolve in Ethanol. This solution is added to 300 ml of polymer solution with continuous stirring; homogeneous solution is formed.

Spray drying was co-currently performed using Spray drier (Technosearch instrument, SPD-D-111, Spray dryer, India) with a standard 0.7 mm nozzle. When the liquid was fed to the nozzle with a peristaltic pump, atomization occurred by the force of the compressed air, disrupting the liquid into small droplets. The droplets together with hot air were blown into a chamber where the solvent in the droplets was evaporated and discharged out through an exhaust tube. The dry product was then collected in a collection bottle.

The solvent quantity (500 ml) kept constant for all over the experiment, and drug: polymer ratio is changed in different examples.

The yield of microparticles was from (80) to (87) % dependent upon the polymer concentration.

Following conditions were maintained during the process of spray drying for all the formulations

- Nozzle diameter: 0.7 mm
- Atomization pressure: 1.5 kg/cm^2
- Feed rate: 2 ml/min
- Vacuum in the system: 60 mm/Wc
- Air flow: 30 m^3/hr
- Inlet temperature: 99^0c
- Outlet temperature: 55^0c
- Inlet High temperature: 101^0c
- Outlet High temperature: 97^0c
- Cool Temperature: 20^0c

The microparticles were evaluated for

a. <u>Drug content:</u>

   A yield quantity of microparticle of Montelukast Sodium was taken. The amount of drug present in this amount of powder was determined by, dissolving the powder mixture in 50 ml of ethanol and suitably diluted with PBS. pH 7.4 and UV absorbance was measured at 285.7nm. Drug content was calculated from formula.

b. <u>In- vitro dissolution studies:</u>

   Dissolution test was performed on a USP Type II tablet dissolution test apparatus at a stirring speed of 150 rpm. A dialysis membrane (Himedia, LA 387) was cut into equal pieces of about 5 cm x 3 cm and pre-treated. Microparticles (50 mg) were accurately weighed out on the pre-treated dialysis membrane and sealed with clips. The pouch thus formed was attached to the paddles of the apparatus using cotton threads over the clips. 900 ml of phosphate-buffered at pH of 7.4 was used as a dissolution medium to ensure sink conditions. Samples were

withdrawn for analysis at specified time points, and assessed for Montelukast Sodium content by UV spectroscopy (Lab India 3200) at 285.7 nm.

c. Differential Scanning Calorimetry (DSC):

DSC is measurement of rate of heat evolved or absorbed by the sample, during a temperature programme. It is thermal method whereby the energy necessary to establish a zero temperature difference between a substance and a reference material is recorded as function of temperature or time when both substance and reference material are heated or cooled at a predetermined rate. The DSC graph is recorded with chart abscissa indicating the transition temperature. The area of peak measures total energy transfer to or from the sample. DSC was performed on DSC D60. About 5 mg of samples is sealed in aluminium pans and heated at rate of 10^0c /min. Covering temperature range of 40-300^{0}C under nitrogen atmosphere of flow rate 100 ml /min using DSC D60, Japan.

d. FTIR Spectroscopy (FTIR):

Infrared Spectroscopy is most powerful technique used for the chemical evaluation. It provides useful information about structure of molecule. IR studies of drug and polymer is used to determine the drug-polymer incompatibility. IR spectra of the drug and polymer were obtained by Potassium bromide method using Bruker Alpha-T IR Spectrophotometer in order to rule out drug-excipient interactions.

e. Particle Size analysis:

Different techniques employed for determination of particle size and size distribution. Particle Size Analysis was performed by dilution method. Particle Size Analysis was performed on Beckman Coulter Counter, India.

It will be understood that various modifications may be made to the aspects disclosed herein. Therefore, the above description should not be construed as limiting, but merely as exemplifications of preferred embodiments. Other arrangements and methods may be implemented by those skilled in the art without departing from the scope and spirit of this invention.

We claim:

1. A pharmaceutical composition comprising microparticles containing Montelukast.

2. The pharmaceutical composition according to the claim 1, wherein the composition is in the form of powder.

3. The pharmaceutical composition according to claim 1, wherein the particle size of microparticles is in the range of 3-5 µm.

4. The pharmaceutical composition according to claim 1, wherein the microparticles are chitosan loaded.

5. The pharmaceutical composition according to claim 1, wherein the microparticles are sodium alginate loaded.

6. The pharmaceutical composition according to claim 1, wherein the composition is suitable for inhalation administration.

7. The pharmaceutical composition according to claim 1, wherein the composition is delivered via dry powder inhaler device.

8. A process for preparing a pharmaceutical powder composition comprising montelukast, said process comprising the steps of
   a) Dissolving montelukast in ethanol to form mixture I;
   b) Dissolving polymer and acetic acid to form mixture II;
   c) Mixing mixture I in step (a) and mixture II in step (b) under stirring;
   d) Spray drying the mixture in step (c) at predetermined conditions to form a powder.

9. The process according to claim 8, wherein the polymer is chitosan.

10. The process according to claim 8, wherein the polymer is sodium alginate.

Dated this, 12th March 2019.

( )

PANCHAL Chandrawadan Vishwambhar

Maharashtra College of Pharmacy,

Nilanga, Taluka – Nilanga, Dist- Latur,

Maharashtra, India- 413521.

ABSTRACT

The present invention relates to microparticles containing Montelukast for inhalation therapy, specifically microparticles containing Montelukast sodium loaded chitosan and sodium alginate and a process for preparation thereof.

E-3/3523/2019.

FORM 3

THE PATENTS ACT, 1970

(39 OF 1970)

and

THE PATENTS RULES, 2003

STATEMENT AND UNDERTAKING UNDER SECTION 8

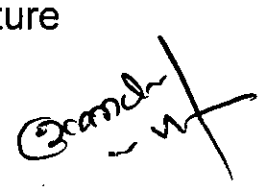
[See section 8, rule 12]

200260057

1. Name of the applicant (s),	I/We **PANCHAL Chandrawadan Vishwambhar** Maharashtra College of Pharmacy, Nilanga, Taluka – Nilanga, Dist- Latur, Maharashtra, India- 413521. **BANSODE Hemant Balu** 289, Shivaji Nagar, Gopalpur, Tal. Pandharpur, Dist. Solapur, Maharashtra, India-413304. **Dr. JOSHI Sumit Ashok** Department of Pharmacology, Shrigajanan Maharaj Shikshan Prasarak Mandal Sharadchandra Pawar College of Pharmacy, Dumbarwadi, Taluka – Junnar, Dist- Pune, Maharshtra, India -412409. **Dr. DAMA Ganesh Yogiraj** Department of Pharmacognosy, Shrigajanan Maharaj Shikshan Prasarak Mandal Sharadchandra Pawar College of Pharmacy, Dumbarwadi, Taluka – Junnar, Dist- Pune, Maharshtra, India -412409. **Dr. AREHALLI S. Manjappa** Department of Pharmaceutics, Tatyasaheb Kore College of Pharmacy, Warnanagar, Taluka-Panhala, Dist- Kolhapur, Maharashtra, India- 416113. **GURAV Prashant B.**

	Department of Pharmaceutics, Indira Institute of Pharmacy, At & Post Sadavali (Devrukh), Dist. Ratnagiri, Maharashtra, India-415804. **<u>JADHAV Sachin Manik</u>** S/O Manik Jadhav, Plot No. 5, Gat No. 84/9-C, 'Krushnakunj', Mali Vasti, Takali Road, Pandharpur. Dist. Solapur, Maharashtra, India-413304. hereby declare,
2. Name, address and nationality of the joint applicant	(i) that I/We have not made any application for the same /substantially the same invention outside India. **Or** (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:~~

Name of the country	Date of application	Application No	Status of the application	Date of publication	Date of grant
N/A					

3. Name and address of the assignee	(iii) that the rights in the application(s) have been assigned to ..... 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. Dated this 12th day of March 2019.
4. To be signed by the applicant or his authorized patent agent	Signature 
5. Name of the natural person who has signed	**<u>PANCHAL Chandrawadan Vishwambhar</u>** Maharashtra College of Pharmacy, Nilanga, Taluka – Nilanga,

	Dist- Latur, Maharashtra, India- 413521.
	To, The Controller of Patents, The Patent Office, at...**Mumbai**...
Note: - Strike out whichever is not applicable	

200260059

E-5/456/2019

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. PANCHAL Chandrawadan Vishwambhar;** **2. BANSODE Hemant Balu;** **3. Dr. JOSHI Sumit Ashok;** **4. Dr. DAMA Ganesh Yogiraj;** **5. Dr. AREHALLI S. Manjappa;** **6. GURAV Prashant B.;** **7. JADHAV Sachin Manik**

hereby declare that the true and first inventor(s) of the invention disclosed in the provisional specification filed in pursuance of ~~my~~/our application numbered 201921009581 dated 12/03/2019 ~~is~~/are **PANCHAL Chandrawadan Vishwambhar; BANSODE Hemant Balu; Dr. JOSHI Sumit Ashok; Dr. DAMA Ganesh Yogiraj; Dr. AREHALLI S. Manjappa; GURAV Prashant B.; JADHAV Sachin Manik.**

2. INVENTORS

INVENTOR (1)

(a) NAME: **PANCHAL Chandrawadan Vishwambhar**

(B) NATIONALITY: Indian

(C) ADDRESS: Maharashtra College of Pharmacy,
Nilanga, Taluka – Nilanga, Dist- Latur, Maharashtra, India- 413521.

Dated this 12th March 2019.

Signature: -

Name of the signatory: - **PANCHAL Chandrawadan Vishwambhar**

INVENTOR (2)

(a) NAME: **BANSODE Hemant Balu**

(B) NATIONALITY: Indian

(C) ADDRESS: 289, Shivaji Nagar, Gopalpur, Tal. Pandharpur,
Dist. Solapur, Maharashtra, India-413304.

Dated this th March 2019.

Signature: -

Name of the signatory: - **BANSODE Hemant Balu**

INVENTOR (3)

(a) NAME: **Dr. JOSHI Sumit Ashok**

(B) NATIONALITY: Indian

(C) ADDRESS: Department of Pharmacology, Shrigajanan Maharaj Shikshan Prasarak Mandal Sharadchandra Pawar College of Pharmacy, Dumbarwadi, Taluka – Junnar, Dist- Pune, Maharshtra, India -412409.

Dated this th March 2019.

Signature: -

Name of the signatory: - **Dr. JOSHI Sumit Ashok**

INVENTOR (4)

(a) NAME: **Dr. DAMA Ganesh Yogiraj**

(B) NATIONALITY: Indian

(C) ADDRESS: Department of Pharmacognosy, Shrigajanan Maharaj Shikshan Prasarak Mandal Sharadchandra Pawar College of Pharmacy, Dumbarwadi, Taluka – Junnar, Dist- Pune, Maharshtra, India -412409.

Dated this th March 2019.

Signature: -

Name of the signatory: - **Dr. DAMA Ganesh Yogiraj**

INVENTOR (5)

(a) NAME: **Dr. AREHALLI S. Manjappa.**

(B) NATIONALITY: Indian

(C) ADDRESS: Department of Pharmaceutics,
Tatyasaheb Kore College of Pharmacy, Warnanagar, Taluka- Panhala,
Dist- Kolhapur, Maharashtra, India- 416113.

Dated this th March 2019.

Signature: -

Name of the signatory: - **Dr. AREHALLI S. Manjappa.**

INVENTOR (6)

(a) NAME: **GURAV Prashant B.**

(B) NATIONALITY: Indian

(C) ADDRESS: Department of Pharmaceutics,
Indira Institute of Pharmacy, At & Post Sadavali (Devrukh),
Dist. Ratnagiri, Maharashtra, India-415804.

Dated this th March 2019.

Signature: -

Name of the signatory: - **GURAV Prashant B.**

INVENTOR (7)

(a) NAME: **JADHAV Sachin Manik**

(B) NATIONALITY: Indian

(C) ADDRESS: S/O Manik Jadhav, Plot No. 5, Gat No. 84/9-C, 'Krushnakunj',
Mali Vasti, Takali Road, Pandharpur. Dist. Solapur,
Maharashtra, India-413304.

Dated this th March 2019.

Signature: -

Name of the signatory: - **JADHAV Sachin Manik**

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 th day of March 2019.

Signature of the additional inventor(s) : -

Name: -

To, The Controller of Patent,

The Patent Office, at...**Mumbai**...

D-13685

& CN 1/3414/2019

200260056

Date: 12th March 2019.

To,

The Controller of Patents & Designs,

Patent Office Branch, Mumbai,

S. M. Road, Antop Hill,

Mumbai – 400037.

Subject: Filing of Indian complete patent application entitled, "Microparticles containing Montelukast for inhalation therapy".

Dear Sir,

We intend to file complete patent application for an invention entitled "Microparticles containing Montelukast for inhalation therapy". Please find enclosed herewith the following documents for the same:

- Form 1
- Form 2
- Form 3
- Form 5
- Abstract
- Cash INR. 1750 /-

We request you to take these documents on record and acknowledge the receipt of the documents.

Thanking you.

Yours sincerely,

PANCHAL Chandrawadan Vishwambhar

Maharashtra College of Pharmacy,

Nilanga, Taluka – Nilanga, Dist- Latur, Maharashtra, India- 413521.

IPO MUMBAI 12-03-2019 17:27

D.No. 17227

E-101/4120/2019

200262030

Date: 27th March 2019.

To,

The Controller of Patents & Designs,

Patent Office Branch, Mumbai,

S. M. Road, Antop Hill,

Mumbai – 400037.

Subject: Submission of Form 9 for Indian complete patent application entitled, "Microparticles containing Montelukast for inhalation therapy" filed on 12th March 2019 numbered 201921009581.

Dear Sir,

We have filed complete patent application for an invention entitled "Microparticles containing Montelukast for inhalation therapy" on 12th March 2019 numbered 201921009581. We intend to file form 9 for the same.

Please find enclosed herewith the following documents for the same:

- Form 9
- Cash INR. 2750 /-

We request you to take these documents on record and acknowledge the receipt of the documents.

Thanking you.

Yours sincerely,

PANCHAL Chandrawadan Vishwambhar

Department of Pharmacognosy,

Maharashtra College of Pharmacy,

Nilanga, Taluka – Nilanga,

Dist- Latur, Maharashtra, India- 413521.

भारत सरकार, पेटेन्ट कार्यालय मुंबई

27 MAR 2019

GOVT. OF INDIA, PATENT OFFICE MUMBAI

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200262031

2750/- रुपये सकद/चेक/मनी ऑर्डर द्वारा CDR संख्या ..7253.. दि..27/03/2019 के खाते जमा हुए।

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

1. **PANCHAL Chandrawadan Vishwambhar**

Department of Pharmacognosy, Maharashtra College of Pharmacy, Nilanga, Taluka – Nilanga, Dist- Latur, Maharashtra, India- 413521.

2. **BANSODE Hemant Balu**

289, Shivaji Nagar, Gopalpur, Tal. Pandharpur, Dist. Solapur, Maharashtra, India-413304.

3. **Dr. JOSHI Sumit Ashok**

Department of Pharmacology, Shrigajanan Maharaj Shikshan Prasarak Mandal Sharadchandra Pawar College of Pharmacy, Dumbarwadi, Taluka – Junnar, Dist- Pune, Maharshtra, India -412409.

4. **Dr. DAMA Ganesh Yogiraj**
Department of Pharmacognosy, Shrigajanan Maharaj Shikshan Prasarak Mandal Sharadchandra Pawar College of Pharmacy, Dumbarwadi, Taluka – Junnar, Dist-Pune, Maharshtra, India -412409.

5. **Dr. AREHALLI S. Manjappa.**
Department of Pharmaceutics, Tatyasaheb Kore College of Pharmacy, Warnanagar, Taluka- Panhala, Dist-Kolhapur, Maharashtra, India- 416113.

6. **GURAV Prashant B.**
Department of Pharmaceutics, Indira Institute of Pharmacy, At & Post Sadavali (Devrukh), Dist. Ratnagiri, Maharashtra, India-415804.

7. **JADHAV Sachin Manik**
S/O Manik Jadhav, Plot No. 5, Gat No. 84/9-C, 'Krushnakunj', Mali Vasti, Takali Road, Pandharpur. Dist. Solapur, Maharashtra, India-413304.

hereby request for early publication of ~~my~~/our application for patent No. **201921009581** dated **12th March 2019** under section 11A(2) of the act.

Dated this 27th day of March 2019.

2. To be signed by the applicant or his authorized registered patent agent.

Signature

Name of the person who has signed

PANCHAL Chandrawadan Vishwambhar

Department of Pharmacognosy, Maharashtra College of Pharmacy,
Nilanga, Taluka – Nilanga,
Dist- Latur, Maharashtra,
India- 413521.

To,
The Controller of Patents,
The Patent Office,
At **Mumbai.**

Ph. No. 9860786596
Email cvpanchal.mcpnilanga@gmail.com