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(54) Titre : COMPOSITION ET METHODE POUR LE TRAITEMENT D'INFECTIONS VIRALES COURANTES  
 (54) Title: COMPOSITION AND METHOD FOR TREATING COMMON VIRAL INFECTIONS

(57) **Abrégé/Abstract:**

A nasal spray composition and method of use for the treatment of a variety of viral infections, including the common cold. The effective ingredient in the treatment is the compound L-Lysine monohydrochloride (lysine). The crystalline lysine is ground into a fine powder and dispersed in an aqueous medium. The solution is dispensed using an atomizer that delivers a fine mist. When used at specified time intervals throughout each 24 hour period, the duration of cold symptoms or symptoms of other common viral infections is noticeably diminished. There are no side effects and no toxic levels of lysine. The nasal spray composition is quite stable and non-irritating.



ABSTRACT OF THE INVENTION

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A nasal spray composition and method of use for the treatment of a variety of viral infections, including the common cold. The effective ingredient in the treatment is the compound L-Lysine monohydrochloride (lysine). The crystalline lysine is ground into a fine powder and dispersed in an aqueous medium. The solution is dispensed using an atomizer that delivers a fine mist. When used at specified time intervals throughout each 24 hour period, the duration of cold symptoms or symptoms of other common viral infections is noticeably diminished. There are no side effects and no toxic levels of lysine. The nasal spray composition is quite stable and non-irritating.

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FIELD OF THE INVENTION

5 The instant invention relates to a composition and method for treating a variety of viral infections, most specifically viral infections that affect mucous membranes, and for shortening the duration of such viral infections.

BACKGROUND OF THE INVENTION

10 Most people, at one time or another, are afflicted with inflammations of the upper respiratory tract that result in running nose, cough, sinus infection, sore throat, and ear fullness. These symptoms are often a part of what is usually called "the common cold" and are also associated with the flu. We are told that colds and flus must run  
15 their course and that other than rest and fluids there is not much to be done to speed the healing process. The various symptoms can be treated individually with a plethora of prescription and over-the-counter remedies. The cold itself has not yielded to any medication. Additionally, many of the over-the-counter medications given to treat cold symptoms cause drowsiness and other side effects which make them undesirable  
20 for many potential users.

Vitamin C has been widely used to prevent and treat colds, but recent studies have shown that its effects are questionable at best. The time-worn remedy of chicken soup has been found to actually provide some benefits to cold sufferers.

25 *Tulin-Silver* et al. in U.S. Pat. No. 5,508,282 teaches the application of ascorbic acid in a spray used directly on nasal membranes to shorten the duration of colds. The

nasal sprays contain ascorbic acid, caffeine, and other water soluble vitamins and minerals in an aqueous carrier at a pH range of 5.5 to 6.5. These preparations are designed to help repair cell membranes, stimulate the immune system and improve the sense of smell.

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Nasal sprays have also been found effective in delivering large protein molecules such as insulin directly into the body through mucous membranes. The absorption of such polypeptides has been enhanced by the addition of a chelating agent to the nasal spray composition. (U.S. Pat. No. 4,476,116 to *Anik*)

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A combination of the nucleoside inosine, lysine ororate and a vitamin, administered orally, has been found to have an anti-inflammatory effect on a variety of mucous membrane diseases such as gastric ulcer, periodontitis, and mouth ulcers. The combination can be administered in aqueous solution or as a gel , capsule or tablet.

15 (U.S. Pat. No. 4,405,610 to *Krnjevic*)

Amino acids such as alanine, glycine, serine, lysine and arginine when added to solutions of minerals such as zinc resulted in the mineral being absorbed more readily and acting more efficiently on the cellular tissue level in the target organ than  
20 when the minerals were administered alone. (U.S. Pat. No. 4,937,234 to *Fahim*)

*Kamishita et al.* in U.S. Pat. No. 4,983,386 teaches the use of an amino acid to increase the viscosity of an aqueous carboxyvinyl polymer solution used as a gel ointment base to which an active ingredient such as a hypnotic, sedative, anti-  
25 inflammatory or other medical substance is added. The ointment is applied topically as needed. A variety of amino acids were tested.

Lysine has been found very effective in treating and preventing cold sores which are caused by herpes viruses and has also been used to treat genital herpes. The lysine was administered in tablet form. Lysine was also administered intravenously to successfully treat encephalitis. (Saturday Evening Post, Nov-Dec 1995 v267 p 54 and  
5 p 56) See also "The Metabolic Roles, Pharmacology, and Toxicology of Lysine", N. W. Flodin, J. Am. Coll. of Nutrition, Feb. 1997, pp 7 - 21.

None of these patents or articles specifically discuss treatments of viral infections by administration of lysine through nasal sprays or throat sprays. There is a need for a  
10 medicament that can easily be administered by nasal spray for the treatment of the common cold and other viral infections. There is a need for such a medicament that has no inflammatory effect on the body, specifically on mucous membranes, and virtually no side effects.

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#### BRIEF SUMMARY OF THE INVENTION

The present invention provides a safe and effective composition and method for treating common viral infections such as colds, flu and sore throat. A solution or  
20 suspension of L-lysine monohydrochloride in an aqueous medium is administered, as a spray, directly to mucous membranes at regular intervals during the duration of the viral infection.

It is an object of the present invention to provide an easily administered preparation  
25 that can be used by adults and children to effectively shorten the duration of the common cold.

It is another object of the present invention to provide a preparation that will have no side effects and is non-irritating.

It is further object of the present invention to provide a preparation that can prevent  
5 or lessen the symptoms of a cold if taken at the very onset of such symptoms.

Another object of the present invention is to provide a preparation that will shorten the duration of cold sores and other viral lesions.

10 Another object of the present invention is to provide a medicament that has a long shelf-life and requires no special storage facilities.

Other features and advantages of the invention will be seen from the following description and drawings.

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#### DETAILED DESCRIPTION OF THE INVENTION

The present invention is a solution of L-lysine monohydrochloride (hereinafter lysine)  
20 in an aqueous medium that may be used to treat the rhinitis and sinusitis usually attributed to viral infections such as colds and flu.

The crystalline lysine may be ground into a fine powder and dispersed in the aqueous medium. Depending on the concentration used, at least a portion of the  
25 lysine may not dissolve in the aqueous medium, but may remain in suspension. The lysine may be ground so finely that even when in suspension the particles may not be readily visible and should not cause any irritation to mucous membranes. The

aqueous solution-suspension will hereinafter be referred to as the "solution", with the understanding that there may be a suspension of the lysine particles present.

5 The aqueous medium may be distilled water, deionized water, or 0.1% to 0.9% saline solution. A preservative such as phenylcarbinol may be added to the solution. The solution may also be buffered using sodium bicarbonate or other buffering agent to achieve a pH of from 5.0 to 6.0, and more specifically a pH of 5.5. Uses of such preservatives and buffers are well known in the art. The beneficial effects of the solution were found to be the same for all of the above noted aqueous media.

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The solution may be administered using a small atomizer in the form of a plastic squeeze bottle fitted with an elongated nozzle having a small opening. Ideally the solution may be dispersed in a very fine spray or mist that can reach into the upper nasal passages. The solution may also be sprayed directly into the mouth where there is direct contact with the throat and tonsils. Drawing the mist down into the lungs may also have a beneficial effect since the increased surface area in the lungs enables additional absorption of the lysine directly into the bloodstream.

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The atomizer bottles most suitable for nasal sprays usually contain about 1.5 fluid ounces (oz.) of solution (44 ml). Concentrations of lysine per 1.5 oz. of solution of from 0 to 8000 mg have been used. Good results were seen in concentrations of 2000 - 6000 mg , but the best results were seen when the lysine was present in the amount of 3000 - 4000 mg per 1.5 oz. A typical concentration may be 3500 mg per 1.5 oz. Since there may be undissolved particles present, the solution should always be shaken before use for full utilization of the active ingredient.

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The lysine solution may also be dispensed as nasal drops, either using the conventional bulb dropper or by inverting the atomizer bottle and permitting the solution to drip out directly into the nose. The nasal drops may be easier to administer to young children and the dose may be better controlled by this method.

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Though the administration of lysine by nasal spray was developed to treat colds and flu, other benefits have been found. This treatment, in addition to oral ingestion of lysine, has increased the efficacy of the oral administration of lysine in the treatment of cold sores. Spraying the solution directly on cold sores, or applying the solution using a cotton applicator, has also been found to heal them much faster than just taking the lysine orally, and without side effects of any kind. Viral sore throats have responded to direct application of lysine by spraying the solution into the mouth. Sore throats were also treated by spraying the solution into both the nose and the mouth with good results.

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Administering the spray directly to the target areas serves a dual purpose. First those areas are all membranes through which the active ingredient can be absorbed directly into the bloodstream. Second, by depositing the lysine on the infected area, it can work on the concentration of virus in that area.

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Test subjects used the solutions at varying intervals of from one to four hours. Two sprays in each nostril constituted the usual dose. When the intervals were lengthened to six or more hours the treatment was found to be considerably less effective. All test subjects completed and signed a questionnaire and were advised of the proprietary nature of the test. The identity of the active ingredient was not disclosed.

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A group of twenty subjects were each given an atomizer bottle containing 1.5 oz. of 0.65% saline solution containing 3500 mg of lysine prepared according to the above description. They were instructed to use the preparation as soon as possible at the onset of cold or flu symptoms. All agreed to use the spray twice in each nostril every  
 5 three hours. Their reports are summarized in Tables I, II and III.

**TABLE I**

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Ten Test Subjects; cold virus; nose inhalation

Relief obtained in:	1	2	3	4	(days)
Number of subjects reporting:	5	3	2		

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**TABLE II**

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Four Test Subjects; cold virus; nose inhalation and mouth inhalation

Relief obtained in:	1	2	3	4	(days)
Number of subjects reporting:	3	1			

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**TABLE III**

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Six Test Subjects; flu virus; nose inhalation and mouth inhalation

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Relief obtained in:	1	2	3	4	(days)
Number of subjects reporting:		2	2	2	

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The administration of lysine in the form of a nasal spray was used by other test subjects after being exposed to persons with severe colds, that is persons who were frequently coughing and sneezing. The treatment was continued for at least three  
5 days, after which the test subjects reported that they never felt cold symptoms at all.

A test subject used nasal inhalation of lysine every three hours to treat a sore throat and reported relief after one day.

10 Lysine is well known to alleviate or even eliminate outbreaks of cold sores and other herpes infections. However, it is usually administered in the form of tablets which must be swallowed. Many people have experienced adverse effects such as gastric distress when high doses of lysine were taken orally. This resulted in the treatment  
15 being discontinued even when it was initially found to be quite helpful. When the lysine is taken orally it passes into the digestive system and is transported by way of the portal circulation to the liver. Only a small percentage of the lysine ingested actually finds its way into the rest of the bloodstream. It is for this reason that oral doses of lysine must be large and can cause adverse side effects usually in the form of gastric distress. By administering lysine by atomizer, the substance is deposited on  
20 the mucous membranes and absorbed directly into the bloodstream. Most of the lysine absorbed may be used by the body, and the initial concentration may be at the site of primary infection, the nasal passages, sinuses and throat.

25 One test subject, with a twenty year history of herpes simplex II outbreaks, reported that use of the nasal spray every three hours for one day stopped the outbreak. Another test subject, with a long history of recurring genital herpes outbreaks, used the nasal spray and the lysine tablets and found that the outbreaks responded much

faster than with the tablets alone. This subject also reported that regular use of the nasal spray has prevented herpes outbreaks to the point of almost eliminating them altogether.

- 5 None of the test subjects reported experiencing any side effects or discomfort of any form from the use of the lysine solutions.

Lysine is a naturally occurring amino acid. It is present in many proteins and released into the body when the proteins are broken down during normal digestion.

- 10 No toxic levels of lysine have been found. Though the recommended treatment calls for use of the nasal spray every three hours, any frequency comfortable to the user may be acceptable.

- At times around the clock use of the nasal and/or mouth inhalation may not be possible because the subject sleeps through the night. To maintain a therapeutic level of lysine in the bloodstream, a 250mg or 500mg tablet may be taken at bedtime. The specific dose may depend on whether or not the subject experiences gastric distress from oral ingestion of lysine.

- 20 It is believed that lysine acts by preventing the virus from replicating. Therefore, if the concentration of lysine in the bloodstream can be maintained at high levels, eventually the virus titer will decrease to a level that can be fought by the body's own defenses. The higher the concentration of lysine in the blood, the more effective it is in preventing the virus from replicating and the faster the body can fight the virus.

- 25 The use of the nasal spray permits high concentrations of lysine to be maintained without the side effects realized through oral administration and puts the lysine where it is needed.

The full benefits of lysine treatment may be realized when used in the entire upper respiratory system and nasal passages. Mouth inhalation of the spray may be beneficial, but use of a nebulizer may be better for introducing the solution directly  
5 into the lungs. The large surface area in the lungs enables greater quantities of lysine to be absorbed directly into the bloodstream in a very short time period.

If nasal use causes sneezing or requires blowing the nose right after use, another single spray into each nostril may be recommended. When mouth inhalation is used  
10 and coughing and expectoration occur, another single spray into the mouth may be used.

As shown above, the use of lysine by targeting the infected area appears to shorten the duration of the outbreak and can even prevent illnesses such as colds if used  
15 directly after contact with an infected person. Essentially the use of the spray in the nose, mouth and/or lungs amounts to a topical application of lysine to the infected area. Thus, the duration of a cold is considerably lessened with use of lysine administered by nasal spray. This approach is supported by the fast healing response observed when lysine solution was applied directly to cold sores in contrast  
20 to the time it took to heal the cold sores using oral lysine alone.

An unexpected result of the administration of lysine by nasal spray was the draining of sinuses and opening of nasal passages. Two test subjects with damaged nasal passages causing difficulty in breathing through the nose reported that immediately  
25 after using the nasal spray their nasal passages cleared and they could breathe normally. For them the lysine spray appeared to act as a natural decongestant and no side effects were experienced.

While the preferred embodiments of the present invention have been illustrated and described in detail, it is to be understood that this invention is not limited thereto and may be otherwise practiced within the scope of the following claims.

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I claim:

1. A stable, non-irritating composition for treating a variety of viral infections by administration of the composition directly to the mucous membranes of the upper respiratory tract in the form of a fine mist, said composition comprising at least 1000 mg of lysine per 44 ml of an aqueous carrier.
2. The composition of claim 1 wherein said lysine is supplied as L-lysine monohydrochloride.
3. The composition of claim 1 wherein the aqueous carrier is deionized water.
4. The composition of claim 1 wherein the aqueous carrier is distilled water.
5. The composition of claim 1 wherein the aqueous carrier is saline solution.
6. The composition of claim 5 wherein the concentration of saline is between 0.1% and 0.9%.
7. The composition of claim 1 further comprising a preservative.
8. The composition of claim 7 wherein the preservative is phenylcarbinol.
9. The composition of claim 1 wherein the solution is buffered.
10. The composition of claim 9 wherein the buffer is sodium bicarbonate.

11. The composition of claim 9 wherein the pH of the solution is between 5.0 and 6.0.

12. The composition of claim 9 wherein the pH is 5.5.

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13. The composition of claim 2 wherein the concentration of lysine is between 2000mg and 8000mg .

14. The composition of claim 2 wherein the concentration of lysine is between  
10 3000mg and 4000mg .