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(54) **Title**: A PROTOPANAXTRIOL COMPOSITION FOR THE TREATMENT OF PROSTATE CANCER AND BENIGN PROSTATIC HYPERPLASIA

(57) **Abstract**: The invention relates to a composition formed for the treatment of the prostate cancer and the benign prostatic hyperplasia.

Description

A PROTOPANAXTRIOL COMPOSITION FOR THE TREATMENT OF PROSTATE CANCER AND BENIGN PROSTATIC HYPERPLASIA

Technical Field

The invention relates to a protopanaxtriol composition formed for the treatment of the prostate cancer and the benign prostatic hyperplasia.

State of the Art

Today, the prostate cancer is a disease that occurs with the development of cancer in the prostate, which is a secretory gland associated with the male reproduction system. The cancer develops when the prostate cells undergo change and begin to increase in an uncontrolled manner. These cells may in time spread from the prostate to the other regions of the body, especially the bones and the lymph (metastasis). The prostate cancer may lead to such symptoms as pain, difficulty in urination and erectile dysfunction. On the other hand, these symptoms are observed only in the advancing stages of the disease.

In addition, today the simultaneously elevated levels of the testosterone and estrogen hormones underlie the cases of prostate cancer and benign prostatic hyperplasia. In fact, if testosterone is not converted to DHT by way of alpha reductase interaction, it interacts with aromatase to be converted to estradiol, which (the simultaneously elevated levels of testosterone and estrogen) in turn leads to the prostatic hyperplasia, and the prostate cancer in more serious conditions.

The existing therapies involve the use of alpha reductase blockers and the estrogen supplements. Such therapies usually fail to yield satisfactory results and they may also cause permanent fertility disorders and sexual dysfunctions. The same active agents also result in gynecomastia (enlargement of breast tissue) and feminization.

Also, the invention no. US20080129537P entitled "Diglycidic ether derivative therapeutics and methods for their use" provides a compound having a structure of the Formula I or Formula II. Uses of such compounds for the treatment of various indications, including prostate cancer, and the methods of treatment involving such compounds are also provided.

Also, the invention no. US19970046236P entitled "Aryl-substituted piperazines useful in the treatment of benign prostatic hyperplasia" relates to a series of aryl-substituted piperazines of the Formula (I), the pharmaceutical compositions containing the same and the intermediates used in the manufacture of the same. The compounds of the invention selectively inhibit the binding to alpha-1a adrenergic receptor, a receptor that plays a role in the benign prostatic hyperplasia. Therefore, the compounds are potentially useful in the treatment of this disease and other diseases.

As a result, the presence of the need for a composition of protopanaxtriol for the treatment of the prostate cancer and the benign prostatic hyperplasia and the inadequacy of the existing solutions have made it necessary to perform an improvement in the relevant art.

Object of the Invention

In order to eliminate the disadvantages of the state of the art, an object of the invention is to prevent the formation of the cancerous cells, reduce, by way of suppressing nf-kappa-b, the inflammation frequently encountered in the cases of benign prostatic hyperplasia and stimulate, owing to the anti-estrogenic character, the reduction of the prostate size back to the normal.

Another object of the invention is to benefit from the ability of protopanaxtriol to treat the benign prostatic hyperplasia and prostate cancer, owing to the prevention of the simultaneous development of malignant cells and tissue-selective anti-estrogenic properties.

Another object of the invention is to enable protopanaxtriol, which reduces the level of PSA (prostate specific antigen) effectively and within a short time, to reduce the expression of aromatase in the prostate tissues.

In order to achieve the aforesaid advantages, the invention is a composition for the treatment of the prostate cancer and benign prostatic hyperplasia, said composition being obtained by the components selected from the group consisting of 20-(s)-protopanaxtriol, 20-(s)-B-protopanaxtriol, 1-protopanaxtrion that are used individually or in combinations.

The structural and characteristic features and all the advantages of the invention will become more clearly understood from the detailed description provided below and therefore, the evaluation must be made taking this detailed description into consideration.

Detailed Description of the Invention

The invention is a protopanaxtriol composition formed for the treatment of the prostate cancer and the benign prostatic hyperplasia.

Protopanaxtriol, the ingredient of the composition according to the invention, is a very active anti-mutagenic glucopyranoside derivative naturally contained by the ginseng family in trace amounts. It prevents the formation of the cancerous cells, reduces, by way of suppressing nf-kappa-b, the inflammation frequently encountered in the cases of benign prostatic hyperplasia and stimulates, owing to the anti-estrogenic character, the reduction of the prostate size back to the normal.

Protopanaxtriol has the ability to treat the benign prostatic hyperplasia and prostate cancer, owing to its prevention of the simultaneous development of malignant cells and its tissue-selective anti-estrogenic properties.

Protopanaxtriol, which reduces the level of PSA (prostate specific antigen) effectively and within a short time, reduces the expression of aromatase in the prostate tissues.

The composition according to the invention comprises 20-(s)-protopanaxtriol, 20-(s)-B-protopanaxtriol, 1-protopanaxtrion.

Said formulation is obtained by a mixture of the aforesaid components according to the following ratios by weight:
30-22% 20-(s)-protopanaxtriol,
50-18% 20-(s)-B-protopanaxtriol,
20-10% 1-protopanaxtrion.

The composition is obtained from the aforesaid components selected from the aforesaid group and used according to the mentioned weight ratio ranges individually or in combinations.

Said invention also encompasses the use of said protopanaxtriol composition for the treatment of prostate cancer and benign prostatic hyperplasia and the manufacture thereof for this purpose.

CLAIMS

1. A composition for the treatment of the prostate cancer and benign prostatic hyperplasia, said composition being obtained by the components selected from the group consisting of 20-(s)-protopanaxtriol, 20-(s)-B-protopanaxtriol, 1-protopanaxtrion that are used individually or in combinations.

2. A composition according to Claim 1 characterized in that it comprises 30-22% by weight 20-(s)-protopanaxtriol.

3. A composition according to Claim 1 characterized in that it comprises 50-18% by weight 20-(s)-B-protopanaxtriol.

4. A composition according to Claim 1 characterized in that it comprises 20-10% by weight 1-protopanaxtrion.

5. Use of the components according to Claims 1 to 4 obtained individually or in combinations from the group consisting of 20-(s)-protopanaxtriol, 20-(s)-B-protopanaxtriol, 1-protopanaxtrion for the manufacture of a composition for the treatment of the prostate cancer and benign prostatic hyperplasia.

INTERNATIONAL SEARCH REPORT

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A. CLASSIFICATION OF SUBJECT MATTER
INV. A61K31/575 A61K36/258 A61P35/00
ADD.

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
EPO-Internal, WPI Data, PAJ, EMBASE, BIOSIS

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	LI WEI ET AL: "Anti-androgen-independent prostate cancer effects of ginsenoside metabolites In Vitro: Mechanism and possible structure-activity relationship investigation", ARCHIVES OF PHARMACAL RESEARCH (SEOUL), vol. 32, no. 1, January 2009 (2009-01), pages 49-57, XP002717609, ISSN: 0253-6269 the whole document	1-5

X	WO 03/103682 A1 (PANAGIN PHARMACEUTICALS INC [CA]; HUANG DONG [CA]) 18 December 2003 (2003-12-18) the whole document	1-5

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[X] Further documents are listed in the continuation of Box C.

[X] See patent family annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent but published on or after the international filing date

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"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

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12 December 2013	14/01/2014

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INTERNATIONAL SEARCH REPORT

International application No
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C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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X	WO 2005/040189 A1 (PANAGIN PHARMACEUTICALS INC [CA]; HUANG WINTER [CA]) 6 May 2005 (2005-05-06) the whole document	1,5
X	WO 2004/056379 A1 (PANAGIN PHARMACEUTICALS INC [CA]; HUANG DONG [CA]) 8 July 2004 (2004-07-08) the whole document	1,5
X	GUANGTONG CHEN ET AL: "Microbial transformation of 20()-protopanaxatriol byand their cytotoxic activities against two human prostate cancer cell lines", BIOTECHNOLOGY LETTERS, SPRINGER NETHERLANDS, DORDRECHT, vol. 35, no. 1, 18 September 2012 (2012-09-18), pages 91-95, XP035147146, ISSN: 1573-6776, DOI: 10.1007/S10529-012-1053-X the whole document	1-5

INTERNATIONAL SEARCH REPORT

Information on patent family members

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