**Description**

**A COMPOSITION COMPRISING ANTI-CARCINOGENIC GIPENOLIN DERIVATIVES THAT EXHIBIT THE CHARACTERISTIC OF SUPPRESSING PI3-KINASE ALPHA**

**Technical Field**

The invention relates to a composition comprising anti-carcinogenic gipenolin derivatives formed for suppressing PI3-kinase alpha.

**State of the Art**

In chemistry and biochemistry, kinase is an enzyme type that transfers the phosphate groups from the donor molecules with high energy, such as ATP, to specific substrates by way of phosphorylation. Kinases are a part of a larger family called the phosphotransferases. PI3-kinases are the signal transduction pathways. PKA corresponds to protein Kinase-A. The basic intracellular target of cAMP is Protein Kinase-A (PKA). PKA is a holoenzyme with serine-threoninekinase activity. It has four subunits. Two subunits are regulatory. They are shown with R symbol. The other two subunits are catalytic. They are shown with C symbol.

According to the state of the art, the invention no. EP2162131B1 with classification "C07D 401/14" entitled "Quinoline derivatives as PI3 kinase inhibitors" discloses a method discovered for inhibiting the activity/function of PB kinases by the use of the quinoline derivatives. A method is also discovered for treating one or more disease states selected from the following by the use of the quinoline derivatives: Autoimmune disorders, inflammatory diseases, cardiovascular diseases, neurodegenerative diseases, allergy, asthma, pancreatitis, multiorgan failure, kidney diseases, platelet aggregation, cancer, sperm motility, transplant rejection, graft rejection and lung injuries.

Further, the invention no. EP2176238B1 entitled "Morpholino pyrimidine derivatives used in diseases linked to mtor kinase and/or PI3K" relates to a compound of formula (I) or a pharmaceutically acceptable salt thereof, processes for their preparation, pharmaceutical compositions containing them and their use in therapy, for example in the treatment of proliferative disease such as cancer and particularly in disease mediated by an mTOR kinase and/or one or more PI3K enzyme.

Further, the invention no. EP2014678B1 entitled "Kdr peptides and vaccines comprising the same” provides the nonapeptides selected from peptides consisting of the amino acid sequence of SEQ ID NO.: 2, 3, 5, 8, 11 or 12, the nonapeptides or decapeptides selected from peptides consisting of the amino acid sequence of SEQ ID NO.: 29, 30, 33, 34, 40 or 46 and the peptides containing cytotoxic t cell induction wherein two or several amino acids are substituted with or added to the amino acid sequences mentioned above as well as the pharmaceuticals that comprise these peptides for treating or preventing the tumors. The peptides according to the invention may be used as a vaccine.

Further, the invention no. EP2210607B1 entitled "N-[3-fluoro-4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]-N’-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide for the treatment of cancer” provides a compound represented with the following structure. This compound inhibits, regulates and/or modulates kinase receptors, particularly c-Met, KDR, c-Kit, flt-3 and flt-4. Thus, this compound is suitable for the treatment of the diseases or disorders associated with uncontrolled, abnormal and/or undesirable cellular activities.

As a result, the presence of the need for a composition for suppressing PI3-kinase alpha 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 enable the suppression of PI3-kinase alpha.

Another object of the invention is to enable the suppression of the PKA expression.

Another object of the invention is to enable the suppression of KDR.

In order to achieve the aforesaid advantages, the invention is a composition for suppressing PI3-kinase alpha, said composition being obtained by the components selected from the group comprising (4Z)-​N-​(2-​aminophenyl)-​2-​[[3,​7-​hydroxyethyl-​4-​[(4-​methyl-​1-​pyranosyl)carbonyl]-​2H-​dicoumaroyl]methylene]-​2,​3-​dihydro-​N-​methyl-​4-​oxo-​2H-gipenolin-taurinate, (4Z)-​N-​(2-​chloromethyl)-​3-​[[3,​5-​dimethyl-​4-​[(4-​methyl-​1-​pyranosyl)carbonyl]-​2H-​dicoumaroyl]methylene]-​2,​3-​dihydro-​N-​methyl-​4-​dioxo-​2H-gipenolin 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 composition comprising anti-carcinogenic gipenolin derivatives formed for suppressing PI3-kinase alpha. Said invention enables the suppression of PI3-kinase alpha, the suppression of PKA expression and the suppression of KDR.

The composition according to the invention contains (4Z)-​N-​(2-​aminophenyl)-​2-​[[3,​7-​hydroxyethyl-​4-​[(4-​methyl-​1-​pyranosyl)carbonyl]-​2H-​dicoumaroyl]methylene]-​2,​3-​dihydro-​N-​methyl-​4-​oxo-​2H-gipenolin-taurinate, (4Z)-​N-​(2-​chloromethyl)-​3-​[[3,​5-​dimethyl-​4-​[(4-​methyl-​1-​pyranosyl)carbonyl]-​2H-​dicoumaroyl]methylene]-​2,​3-​dihydro-​N-​methyl-​4-​dioxo-​2H-gipenolin.

Said composition is obtained by a mixture of the aforesaid components according to the following ratios by weight:

1-99% (4Z)-​N-​(2-​aminophenyl)-​2-​[[3,​7-​hydroxyethyl-​4-​[(4-​methyl-​1-​pyranosyl)carbonyl]-​2H-​dicoumaroyl]methylene]-​2,​3-​dihydro-​N-​methyl-​4-​oxo-​2H-gipenolin-taurinate,

99-1% (4Z)-​N-​(2-​chloromethyl)-​3-​[[3,​5-​dimethyl-​4-​[(4-​methyl-​1-​pyranosyl)carbonyl]-​2H-​dicoumaroyl]methylene]-​2,​3-​dihydro-​N-​methyl-​4-​dioxo-​2H-gipenolin.

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 composition for suppressing PI3-kinase alpha and the manufacture thereof for this purpose.

**CLAIMS**

1. A composition for suppressing PI3-kinase alpha, said composition being obtained by the components selected from the group comprising (4Z)-​N-​(2-​aminophenyl)-​2-​[[3,​7-​hydroxyethyl-​4-​[(4-​methyl-​1-​pyranosyl)carbonyl]-​2H-​dicoumaroyl]methylene]-​2,​3-​dihydro-​N-​methyl-​4-​oxo-​2H-gipenolin-taurinate, (4Z)-​N-​(2-​chloromethyl)-​3-​[[3,​5-​dimethyl-​4-​[(4-​methyl-​1-​pyranosyl)carbonyl]-​2H-​dicoumaroyl]methylene]-​2,​3-​dihydro-​N-​methyl-​4-​dioxo-​2H-gipenolin that are used individually or in combinations.
2. A composition according to Claim 1 characterized in that it comprises 1-99% by weight (4Z)-​N-​(2-​aminophenyl)-​2-​[[3,​7-​hydroxyethyl-​4-​[(4-​methyl-​1-​pyranosyl)carbonyl]-​2H-​dicoumaroyl]methylene]-​2,​3-​dihydro-​N-​methyl-​4-​oxo-​2H-gipenolin-taurinate.
3. A composition according to Claim 1 characterized in that it comprises 99-1% by weight (4Z)-​N-​(2-chloromethyl)-​3-​[[3,​5-​dimethyl-​4-​[(4-​methyl-​1-​pyranosyl)carbonyl]-​2H-​dicoumaroyl]methylene]-​2,​3-​dihydro-​N-​methyl-​4-​dioxo-​2H-gipenolin.
4. Use of the components according to Claims 1 to 3 obtained individually or in combinations selected from the group consisting of (4Z)-​N-​(2-​aminophenyl)-​2-​[[3,​7-​hydroxyethyl-​4-​[(4-​methyl-​1-​pyranosyl)carbonyl]-​2H-​dicoumaroyl]methylene]-​2,​3-​dihydro-​N-​methyl-​4-​oxo-​2H-gipenolin-taurinate, (4Z)-​N-​(2-​chloromethyl)-​3-​[[3,​5-​dimethyl-​4-​[(4-​methyl-​1-​pyranosyl)carbonyl]-​2H-​dicoumaroyl]methylene]-​2,​3-​dihydro-​N-​methyl-​4-​dioxo-​2H-gipenolin for the manufacture of a composition for suppressing PI3-kinase alpha.

**ABSTRACT**

**A COMPOSITION COMPRISING ANTI-CARCINOGENIC GIPENOLIN DERIVATIVES THAT EXHIBIT THE CHARACTERISTIC OF SUPPRESSING PI3-KINASE ALPHA**

The invention relates to a composition comprising anti-carcinogenic gipenolin derivatives formed for suppressing PI3-kinase alpha.

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