**Description**

**A COMPOSITION COMPRISING NOVEL İZOTERİSİN DERIVATIVES THAT EXHIBIT ANTI-BACTERIAL CHARACTERISTIC**

**Technical Field**

The invention relates to a composition comprising isoterricin derivatives formed for exhibiting anti-bacterial action.

**State of the Art**

Before the discovery of penicillin, there were very few medicaments available to fight the bacterial infections. On the other hand, today there are many antibiotics and new antibiotics are continuously being discovered for treating the bacterial infections. Antibiotics (obtained from the microorganisms) constitute the most, if not all, of the antibacterial drugs. Some of these are synthetic chemical substances. All the antibacterial drugs have undesirable and sometimes severe side effects.

According to the state of the art, the invention no. TR2003/01596 with classification "A61P" entitled "Long-acting antibacterial-antibiotic compositions” relates to the dual-layer tablet formulations containing amoxicillin trihydrate or a salt thereof and clavulanate in one layer and amoxicillin trihydrate or a salt thereof in the second layer. The long-acting antibacterial-antibiotic composition developed according to this invention is characterized by comprising B-lactam antibiotic and B-lactamase inhibitor as the active ingredient, by comprising amoxicillin or ampicillin as B-lactam antibiotic and by comprising clavulanic acid or sulbactam as the B-lactamase inhibitor, and by preferably comprising amoxicillin and clavulanic acid, and further by the addition of vitamins such as riboflavin, folic acid, ascorbic acid, thiamine or tocopherol or a mixture thereof as numerous optional pharmaceutical agents to the drug carrier system.

Further, the invention no. PCT/IN2010/000468 entitled "A novel synergistic pharmaceutical composition for topical applications" discloses a broad spectrum pharmaceutical composition topically applied for prophylaxis and treatment of wounds, burn wounds, skin grafts, pressure ulcers, diabetic foot ulcers and other skin diseases as disclosed herein. The composition with the formula defined herein may be in cream, gel or liquid form. The novel synergistic composition mentioned in the invention comprises at least three synergistically active ingredients and at least one inactive ingredient. Among the synergistically active ingredients are one or more broad spectrum bactericidal agent, one or more broad spectrum bacteriostatic agent and the mitogenic growth factor. The inactive ingredients should provide a base, permeability value or formulation stability and they include the carriers, preservatives, emulsifiers, skin ointments, emollients or other additives.

As a result, the presence of the need for a composition for exhibiting anti-bacterial action 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 deoxyadenosine methylase.

Another object of the invention is to enable the suppression of DNaB helicase.

Another object of the invention is to enable the suppression of DNaC helicase.

Another object of the invention is to enable the suppression of aminoglycoside-3''-adenyltransferase.

In order to achieve the aforesaid advantages, the invention is a composition for exhibiting anti-bacterial action, said composition being obtained by the components selected from the group comprising (4S,​10R)-​2-​((S)-​1-​((6S,​12S,​14S,​21S,​30S)-​1-​((R)-​1-​(2-​acetamide-​2-​ketoethylpropanoyl)pyrrolidin-​4-​yl)-​15-​(3-chloro-​3-​oxo(phenyl)-​30-​fluorobutyl-​21-​isomethyl-​3,​3,​6,​9,​10,​16,​16,​24,​24,​33,​33-​ketoethyl-​1,​4,​7,​10,​14,​16,​20,​22,​26,​28,​31-​oxophenyl-isoterricin, (3S,​10R)-​1-​((S)-​1-​((6S,​12S,​14S,​21S,​30S)-​1-​((R)-​1-​(2-​dichloro-​2-​diethylpropanoyl)pyrrolidin-​2-​yl)-​15-​(3-chloro-​3-​oxo(phenyl)-​30-​fluorobutyl-​21-​isomethyl-​3,​3,​6​,​9,​10,​16,​16,​24,​24,​33,​33-​decamethyl-​1,​4,​7,​10,​13,​16,​20,​22,​25,​28,​31-​fluorophenyl-isoterricin 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 isoterricin derivatives formed for exhibiting anti-bacterial action. Said composition enables the suppression of deoxyadenosine methylase, the suppression of DNaB helicase, the suppression of DNaC helicase and the suppression of aminoglycoside-3''-adenyltransferase.

The composition according to the invention contains (4S,​10R)-​2-​((S)-​1-​((6S,​12S,​14S,​21S,​30S)-​1-​((R)-​1-​(2-​acetamide-​2-​ketoethylpropanoyl)pyrrolidin-​4-​yl)-​15-​(3-chloro-​3-​oxo(phenyl)-​30-​fluorobutyl-​21-​isomethyl-​3,​3,​6,​9,​10,​16,​16,​24,​24,​33,​33-​ketoethyl-​1,​4,​7,​10,​14,​16,​20,​22,​26,​28,​31-​oxophenyl-isoterricin, (3S,​10R)-​1-​((S)-​1-​((6S,​12S,​14S,​21S,​30S)-​1-​((R)-​1-​(2-​dichloro-​2-​diethylpropanoyl)pyrrolidin-​2-​yl)-​15-​(3-chloro-​3-​oxo(phenyl)-​30-​fluorobutyl-​21-​isomethyl-​3,​3,​6​,​9,​10,​16,​16,​24,​24,​33,​33-​decamethyl-​1,​4,​7,​10,​13,​16,​20,​22,​25,​28,​31-​fluorophenyl-isoterricin.

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

1-99% (4S,​10R)-​2-​((S)-​1-​((6S,​12S,​14S,​21S,​30S)-​1-​((R)-​1-​(2-​acetamide-​2-​ketoethylpropanoyl)pyrrolidin-​4-​yl)-​15-​(3-chloro-​3-​oxo(phenyl)-​30-​fluorobutyl-​21-​isomethyl-​3,​3,​6,​9,​10,​16,​16,​24,​24,​33,​33-​ketoethyl-​1,​4,​7,​10,​14,​16,​20,​22,​26,​28,​31-​oxophenyl-isoterricin,

99-1% (3S,​10R)-​1-​((S)-​1-​((6S,​12S,​14S,​21S,​30S)-​1-​((R)-​1-​(2-​dichloro-​2-​diethylpropanoyl)pyrrolidin-​2-​yl)-​15-​(3-chloro-​3-​oxo(phenyl)-​30-​fluorobutyl-​21-​isomethyl-​3,​3,​6​,​9,​10,​16,​16,​24,​24,​33,​33-​decamethyl-​1,​4,​7,​10,​13,​16,​20,​22,​25,​28,​31-​fluorophenyl-isoterricin.

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 exhibiting anti-bacterial action and the manufacture thereof for this purpose.

**CLAIMS**

1. A composition for exhibiting anti-bacterial action, said composition being obtained by the components selected from the group comprising (4S,​10R)-​2-​((S)-​1-​((6S,​12S,​14S,​21S,​30S)-​1-​((R)-​1-​(2-​acetamide-​2-​ketoethylpropanoyl)pyrrolidin-​4-​yl)-​15-​(3-chloro-​3-​oxo(phenyl)-​30-​fluorobutyl-​21-​isomethyl-​3,​3,​6,​9,​10,​16,​16,​24,​24,​33,​33-​ketoethyl-​1,​4,​7,​10,​14,​16,​20,​22,​26,​28,​31-​oxophenyl-isoterricin, (3S,​10R)-​1-​((S)-​1-​((6S,​12S,​14S,​21S,​30S)-​1-​((R)-​1-​(2-​dichloro-​2-​diethylpropanoyl)pyrrolidin-​2-​yl)-​15-​(3-chloro-​3-​oxo(phenyl)-​30-​fluorobutyl-​21-​isomethyl-​3,​3,​6​,​9,​10,​16,​16,​24,​24,​33,​33-​decamethyl-​1,​4,​7,​10,​13,​16,​20,​22,​25,​28,​31-​fluorophenyl-isoterricin that are used individually or in combinations.
2. A composition according to Claim 1 characterized in that it comprises 1-99% by weight (4S,​10R)-​2-​((S)-​1-​((6S,​12S,​14S,​21S,​30S)-​1-​((R)-​1-​(2-​acetamide-​2-​ketoethylpropanoyl)pyrrolidin-​4-​yl)-​15-​(3-chloro-​3-​oxo(phenyl)-​30-​fluorobutyl-​21-​isomethyl-​3,​3,​6,​9,​10,​16,​16,​24,​24,​33,​33-​ketoethyl-​1,​4,​7,​10,​14,​16,​20,​22,​26,​28,​31-​oxophenyl-isoterricin.
3. A composition according to Claim 1 characterized in that it comprises 99-1% by weight (3S,​10R)-​1-​((S)-​1-​((6S,​12S,​14S,​21S,​30S)-​1-​((R)-​1-​(2-​dichloro-​2-​diethylpropanoyl)pyrrolidin-​2-​yl)-​15-​(3-chloro-​3-​oxo(phenyl)-​30-​fluorobutyl-​21-​isomethyl-​3,​3,​6​,​9,​10,​16,​16,​24,​24,​33,​33-​decamethyl-​1,​4,​7,​10,​13,​16,​20,​22,​25,​28,​31-​fluorophenyl-isoterricin.
4. Use of the components according to Claims 1 to 3 obtained individually or in combinations selected from the group consisting of (4S,​10R)-​2-​((S)-​1-​((6S,​12S,​14S,​21S,​30S)-​1-​((R)-​1-​(2-​acetamide-​2-​ketoethylpropanoyl)pyrrolidin-​4-​yl)-​15-​(3-chloro-​3-​oxo(phenyl)-​30-​fluorobutyl-​21-​isomethyl-​3,​3,​6,​9,​10,​16,​16,​24,​24,​33,​33-​ketoethyl-​1,​4,​7,​10,​14,​16,​20,​22,​26,​28,​31-​oxophenyl-isoterricin, (3S,​10R)-​1-​((S)-​1-​((6S,​12S,​14S,​21S,​30S)-​1-​((R)-​1-​(2-​dichloro-​2-​diethylpropanoyl)pyrrolidin-​2-​yl)-​15-​(3-chloro-​3-​oxo(phenyl)-​30-​fluorobutyl-​21-​isomethyl-​3,​3,​6​,​9,​10,​16,​16,​24,​24,​33,​33-​decamethyl-​1,​4,​7,​10,​13,​16,​20,​22,​25,​28,​31-​fluorophenyl-isoterricin for the manufacture of a composition for exhibiting anti-bacterial action.

**ABSTRACT**

**A COMPOSITION COMPRISING NOVEL İZOTERİSİN DERIVATIVES THAT EXHIBIT ANTI-BACTERIAL CHARACTERISTIC**

The invention relates to a composition comprising isoterricin derivatives formed for exhibiting anti-bacterial action.

No figure.