**Description**

**A COMPOSITION CONTAINING PICRORETINE DERIVATIVES THAT TRIGGER THE ENDOGENOUS PHENETHYLAMINE PRODUCTION AND THE USE THEREOF FOR NOOTROPIC PURPOSE**

**Technical Field**

The invention relates to a composition containing picroretine derivatives formed for triggering the endogenous phenethylamine production.

**State of the Art**

Amphetamine (alpha-methyl-phenethylamine) is a synthetic stimulant used for the treatment of numerous disorders including narcolepsy and attention deficit and hyperactivity disorder, and as an appetite suppressor for the weight control. Nootropic is the general name given to the drugs that act on the brain to protect cerebral cortex against hypoxia and to reinforce the functions of the brain such as learning, memory, attention and consciousness without leading to sedative or psycho-stimulant effects.

According to the state of the art, the invention no. EP1343750B1 with classification “C07C 213/02” entitled “Process for the preparation of phenethylamine derivatives” discloses a process for the preparation of a compound of formula wherein R1 is hydrogen, hydroxyl, or unsubstituted or substituted alkyl or alkoxy, R2 is hydrogen or a substituent which can be converted to hydrogen, and n is 0, 1 or 2, comprising hydrogenating a compound of formula wherein R1, R2 and n are as defined above, in the presence of a nickel or cobalt catalyst.

Further, the invention no. EP2496555B1 with classification “C07D 207/263“ entitled “4r,5s-enantiomer of 2-(5-methyl-2-oxo-4-phenyl-pyrrolidin-1-yl)-acetamide with nootropic activity” relates to 4R,5S-enantiomer of 2-(5-methyl-2-oxo-4-phenyl-pyrrolidin-1-yl)-acetamide having cognition enhancing activity of high pharmacological value and to a method for the preparation of the same wherein said method of preparation comprises the synthesis of 5S-methyl-4R-phenylpyrrolidin-2-one, N-alkylation of the same with ethyl haloacetate and subjecting the intermediate product of ethyl 2-(5S-methyl-2-oxo-4R-phenyl-pyrrolidin-1-yl)-acetate to a process with ammonia.

As a result, the presence of the need for a composition for triggering the endogenous phenethylamine production 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 an increase in the endogenous phenethylamine production.

Another object of the invention is to enable the suppression of phenylethanolamine N-methyltransferase.

Another object of the invention is to enable the stimulation of the production and release of acetylcholine in the prefrontal cortex region.

In order to achieve the aforesaid advantages, the invention is a composition for triggering the endogenous phenethylamine production, said composition being obtained by the components selected from the group comprising 2,​2-​difluoro-​N-​[(1R,​2R)-​2-​hydroxy-​1-​(hydroxyethyl)-​2-​(4-​aminophenyl)ethyl]-​picroretine,   2,​2-​diamino-​N-​[(1R,​2R)-​4-​dihydroxy-​1-​(hydroxyethyl)-​2-​(4-​aminophenyl)ketoethyl]-​picroretine 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 containing picroretine derivatives formed for triggering the endogenous phenethylamine production. Said composition enables an increase in the endogenous phenethylamine production, enables the suppression of phenylethanolamine N-methyltransferase, and enables the stimulation of the production and release of acetylcholine in the prefrontal cortex region.

The composition according to the invention contains 2,​2-​difluoro-​N-​[(1R,​2R)-​2-​hydroxy-​1-​(hydroxyethyl)-​2-​(4-​aminophenyl)ethyl]-​picroretine,   2,​2-​diamino-​N-​[(1R,​2R)-​4-​dihydroxy-​1-​(hydroxyethyl)-​2-​(4-​aminophenyl)ketoethyl]-​picroretine.

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

1-99% 2,​2-​difluoro-​N-​[(1R,​2R)-​2-​hydroxy-​1-​(hydroxyethyl)-​2-​(4-​aminophenyl)ethyl]-​picroretine,

99-1% 2,​2-​diamino-​N-​[(1R,​2R)-​4-​dihydroxy-​1-​(hydroxyethyl)-​2-​(4-​aminophenyl)ketoethyl]-​picroretine.

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 triggering the endogenous phenethylamine production and the manufacture thereof for this purpose.

**CLAIMS**

1. A composition for triggering the endogenous phenethylamine production, said composition being obtained by the components selected from the group comprising 2,​2-​difluoro-​N-​[(1R,​2R)-​2-​hydroxy-​1-​(hydroxyethyl)-​2-​(4-​aminophenyl)ethyl]-​picroretine,   2,​2-​diamino-​N-​[(1R,​2R)-​4-​dihydroxy-​1-​(hydroxyethyl)-​2-​(4-​aminophenyl)ketoethyl]-​picroretine that are used individually or in combinations.
2. A composition according to Claim 1 characterized in that it comprises 1-99% by weight 2,​2-​difluoro-​N-​[(1R,​2R)-​2-​hydroxy-​1-​(hydroxyethyl)-​2-​(4-​aminophenyl)ethyl]-​picroretine.
3. A composition according to Claim 1 characterized in that it comprises 99-1% by weight 2,​2-​diamino-​N-​[(1R,​2R)-​4-​dihydroxy-​1-​(hydroxyethyl)-​2-​(4-​aminophenyl)ketoethyl]-​picroretine.
4. Use of the components according to Claims 1 to 3 obtained individually or in combinations selected from the group consisting of 2,​2-​difluoro-​N-​[(1R,​2R)-​2-​hydroxy-​1-​(hydroxyethyl)-​2-​(4-​aminophenyl)ethyl]-​picroretine,   2,​2-​diamino-​N-​[(1R,​2R)-​4-​dihydroxy-​1-​(hydroxyethyl)-​2-​(4-​aminophenyl)ketoethyl]-​picroretine for the manufacture of a composition for triggering the endogenous phenethylamine production.

**ABSTRACT**

**A COMPOSITION CONTAINING PICRORETINE DERIVATIVES THAT TRIGGER THE ENDOGENOUS PHENETHYLAMINE PRODUCTION AND THE USE THEREOF FOR NOOTROPIC PURPOSE**

The invention relates to a composition formed for triggering the endogenous phenethylamine production.

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