**Description**

**A COMPOSITION COMPRISING SYNTHETIC PİKRORETOSİT DERIVATIVES THAT EXHIBIT THE CHARACTERISTIC OF SUPPRESSING DNA TOPOISOMERASE**

**Technical Field**

The invention relates to a composition comprising the synthetic picroretoside derivatives formed for suppressing DNA topoisomerase.

**State of the Art**

Deoxyribonucleic acid, or briefly DNA, is a nucleic acid that carries the genetic instructions required for the vital functions and biological development of all the organisms and some viruses. The primary role of DNA is the long-term storage of information. Topoisomerase (type 1: [5.99.1.2](http://www.expasy.org/enzyme/5.99.1.2) type 2: [5.99.1.3](http://www.expasy.org/cgi-bin/nicezyme.pl?5.99.1.3)) is an isomerase enzyme seen in the topology of [DNA](http://tr.wikipedia.org/wiki/DNA). Topoisomerases have both nuclease and ligase activity. These proteins alter the degree of overwinding in DNA. Some of these enzymes break a strand of DNA helix and enable the same to revolve around the other strand and then rejoin the break in the DNA. Other such enzymes break a strand of the DNA helix and enable the other strand to pass through said break and then rejoin the break. Topoisomerases are involved in many processes associated with DNA such as DNA duplication and transcription.

According to the state of the art, the invention no. EP2138490B1 with classification "C07D 403/04" entitled "Novel process for the synthesis of fluoroquinolones" relates to the process for the preparation of a fluoroquinolone compound of the formula (I) and/or the acid or base addition salts thereof, said process comprising reacting a substituted quinoline compound (II) with an amine compound (A1) in water and optionally separating the obtained compound (I). The preparation of the fluoroquinolone compound of the formula (I) and/or the acid or base addition salts thereof comprises the following: Reacting a substituted quinoline compound having the formula (ll) with an amine compound (A1) of formula R3R4NH in water and optionally separating the obtained compound (I) wherein R1 is H, alkyl or NRR1a; R2 is F; R3, R4 are (cyclo)alkyl, aralkyl (optionally substituted with OH or NRR1a), H or OH; or R3, R4 are heterocyclo (optionally substituted with alkyl, OH, alkoxy, -C(=O)alkyl, NRR1a, =NOR, aralkyl or (hetero)aryl wherein the alkyl or (hetero)aryl groups are optionally substituted with alkyl, halo, perfluoroalkyl, alkoxy or NRR1a); R5 is alkyl, aryl (optionally substituted with halo or OH), H, cycloalkyl, NR(CHO) or NRRIa; X is CR8 or N; R8 is H, halo, alkyl or alkoxy, or R8 together with R5 is heterocyclo (optionally substituted with alkyl); R, R1a are H or alkyl; R6 is halo; and R7 is alkyl. ACTIVITY: Antibacterial. – MECHANISM OF ACTION. Topoisomerase II inhibitor; DNA-gyrase inhibitor; DNA replication inhibitor; DNA transcription inhibitor.

Further, the invention no. EP1962850B1 entitled "Treatment of drug-resistant tumors" relates to the use of a subclass of camptothecin derivatives for the preparation of a medicament for the treatment of drug-resistant tumors and/or for the administration to patients who show polymorphisms in the gene coding for DNA topoisomerase I.

As a result, the presence of the need for a composition for suppressing DNA topoisomerase 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 suppress DNA topoisomerase.

Another object of the invention is to suppress the reverse transcriptase.

Another object of the invention is to suppress RNA polymerase.

In order to achieve the aforesaid advantages, the invention is a composition for suppressing DNA topoisomerase, said composition being obtained by the components selected from the group comprising 4-​[5,​6-​dihydro-​2-​(6-​methyl-​2-​pyridinyl)-​4H-​pyrrolo[1,​2-​b]pyrazol-​3-yl]-​6-​picroretoside ethyl ester, 4-​[5,​6-​dihydro-​2-​(6-​trimethyl-​2-chlorocoumaroyl)-​4H-​fluoro[1,​2-​b]pyrazol-​4-​yl]-​7-​picroretoside phenyl ester 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 the synthetic picroretoside derivatives formed for suppressing DNA topoisomerase. Said composition enables the suppression of DNA topoisomerase, the suppression of the reverse transcriptase and the suppression of RNA polymerase.

The composition according to the invention contains 4-​[5,​6-​dihydro-​2-​(6-​methyl-​2-​pyridinyl)-​4H-​pyrrolo[1,​2-​b]pyrazol-​3-​yl]-​6-​picroretoside ethyl ester, 4-​[5,​6-​dihydro-​2-​(6-​trimethyl-​2-chlorocoumaroyl)-​4H-​fluoro[1,​2-​b]pyrazol-​4-​yl]-​7-​picroretoside phenyl ester.

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

1-99% 4-​[5,​6-​dihydro-​2-​(6-​methyl-​2-​pyridinyl)-​4H-​pyrrolo[1,​2-​b]pyrazol-​3-yl]-​6-​picroretoside ethyl ester,

99-1% 4-​[5,​6-​dihydro-​2-​(6-​trimethyl-​2-chlorocoumaroyl)-​4H-​fluoro[1,​2-​b]pyrazol-​4-​yl]-​7-​picroretoside phenyl ester.

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 DNA topoisomerase and the manufacture thereof for this purpose.

**CLAIMS**

1. A composition for suppressing DNA topoisomerase, said composition being obtained by the components selected from the group comprising 4-​[5,​6-​dihydro-​2-​(6-​methyl-​2-​pyridinyl)-​4H-​pyrrolo[1,​2-​b]pyrazol-​3-yl]-​6-​picroretoside ethyl ester, 4-​[5,​6-​dihydro-​2-​(6-​trimethyl-​2-chlorocoumaroyl)-​4H-​fluoro[1,​2-​b]pyrazol-​4-​yl]-​7-​picroretoside phenyl ester that are used individually or in combinations.
2. A composition according to Claim 1 characterized in that it comprises 1-99% by weight 4-​[5,​6-​dihydro-​2-​(6-​methyl-​2-​pyridinyl)-​4H-​pyrrolo[1,​2-​b]pyrazol-​3-​yl]-​6-​picroretoside ethyl ester.
3. A composition according to Claim 1 characterized in that it comprises 99-1% by weight 4-​[5,​6-​dihydro-​2-​(6-​trimethyl-​2-chlorocoumaroyl)-​4H-​fluoro[1,​2-​b]pyrazol-​4-​yl]-​7-​picroretoside phenyl ester.
4. Use of the components according to Claims 1 to 3 obtained individually or in combinations selected from the group consisting of 4-​[5,​6-​dihydro-​2-​(6-​methyl-​2-​pyridinyl)-​4H-​pyrrolo[1,​2-​b]pyrazol-​3-​yl]-​6-​picroretoside ethyl ester, 4-​[5,​6-​dihydro-​2-​(6-​trimethyl-​2-chlorocoumaroyl)-​4H-​fluoro[1,​2-​b]pyrazol-​4-​yl]-​7-​picroretoside phenyl ester for the manufacture of a composition for suppressing DNA topoisomerase.

**ABSTRACT**

**A COMPOSITION COMPRISING SYNTHETIC PİKRORETOSİT DERIVATIVES THAT EXHIBIT THE CHARACTERISTIC OF SUPPRESSING DNA TOPOISOMERASE**

The invention relates to a composition comprising the synthetic picroretoside derivatives formed for suppressing DNA topoisomerase.

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