

Title (en)  
SUBSTITUTED AZETIDINONE COMPOUNDS USEFUL AS HYPOCHOLESTEROLEMIC AGENTS

Title (de)  
SUBSTITUIERTE AZETIDINONVERBINDUNGEN ALS HYPOCHOLESTEROLEMISCHE MITTEL

Title (fr)  
COMPOSES D'AZETIDINONE SUBSTITUES UTILISES COMME AGENT HYPERCHOLESTEROLEMIANT

Publication  
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Application  
**EP 95925237 A 19950615**

Priority  
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Abstract (en)  
[origin: WO9535277A1] Substituted azetidinone hypocholesterolemic agents of formula (I) or a pharmaceutically acceptable salt thereof, wherein: Ar<1> is aryl or R<3>-aryl; Ar<2> is aryl or R<4>-aryl; R<1> is selected from the group consisting of -(CH<sub>2</sub>)<sub>q</sub>-, wherein q is 2-6; -(CH<sub>2</sub>)<sub>e</sub>-Z-(CH<sub>2</sub>)<sub>r</sub>-, wherein Z is -O-, -C(O)-, phenylene, -NR<10>- or -S(O)O-2-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6; -(C<sub>2</sub>-C<sub>6</sub> alkenylene)-; and -(CH<sub>2</sub>)<sub>f</sub>-V-(CH<sub>2</sub>)<sub>g</sub>-, wherein V is C<sub>3</sub>-C<sub>6</sub> cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6; R<2> is -(lower alkylene)-COR<5> or -(CH=CH)-COR<5>; R<3> and R<4> are independently 1-3 substituents selected from lower alkyl, -OR<6>, -O(CO)R<6>, -O(CO)OR<9>, -O(CH<sub>2</sub>)<sub>1-5</sub>OR<6>, -O(CO)NR<6>R<7>, -NR<6>R<7>, -NR<6>(CO)R<7>, -NR<6>(CO)OR<9>, -NR<6>(CO)NR<7>R<8>, -NR<6>SO<sub>2</sub>R<9>, -COOR<6>, -CONR<6>R<7>, -COR<6>, -SO<sub>2</sub>NR<6>R<7>, S(O)O-2R<9>, -O(CH<sub>2</sub>)<sub>1-10</sub>-COOR<6>, -O(CH<sub>2</sub>)<sub>1-10</sub>CONR<6>R<7>, -(lower alkylene)-COOR<6>, -CH=CH-COOR<6>, -CF<sub>3</sub>, -CN, -NO<sub>2</sub> and halogen; R<5> is -OR or -NRR<12>; R, R<6>, R<7>, R<8> and R<12> are independently selected from hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; R<9> is lower alkyl, aryl or aryl-substituted lower alkyl; and R<10> is hydrogen, lower alkyl, aryl lower alkyl or -C(O)R<6>; are disclosed, as well as a method of lowering serum cholesterol by administering said compounds, alone or in combination with a cholesterol biosynthesis inhibitor, and pharmaceutical compositions containing them.

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