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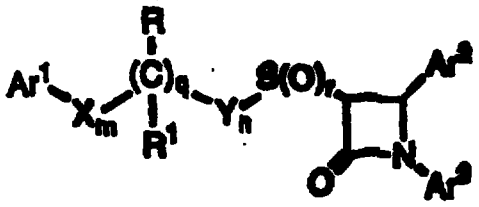
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(54) Title: SULFUR-SUBSTITUTED AZETIDINONE COMPOUNDS USEFUL AS HYPOCHOLESTEROLEMIC AGENTS

(57) Abstract



(I)

Sulfur-substituted azetidinone hypocholesterolemic agents of formula (I), or a pharmaceutically acceptable salt thereof, wherein: $Ar^1$ is aryl, $R^{10}$-substituted aryl or heteroaryl; $Ar^2$ is aryl or $R^4$-substituted aryl; $Ar^3$ is aryl or $R^5$-substituted aryl; X and Y are $-CH_2-$, -CH(lower alkyl)- or -C(dilower alkyl)-; R is $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$ or $-O(CO)NR^6R^7$; $R^1$ is hydrogen, lower alkyl or aryl; or R and $R^1$ together are =O; q is 0 or 1; r is 0, 1 or 2; m and n are 0-5; provided that the sum of m, n and q is 1-5; $R^4$ is selected from lower alkyl, $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}-COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, -(lower alkylene)-$COOR^6$ and $-CH=CH-COOR^6$; $R^5$ is selected from $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, -NR?6?R7 $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}-COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, $-CF_3$, -CN, $-NO_2$, halogen, -(lower alkylene)$COOR^6$ and $-CH=CH-COOR^6$; $R^6$, $R^7$ and $R^8$ are H, lower alkyl, aryl or aryl-substitued lower alkyl; $R^9$ is lower alkyl, aryl or aryl-sybstituted lower alkyl; and $R^{10}$ is selected from lower alkyl, $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $COR^6$, $-SO_2NR^6R^7$, $-S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}-COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, $-CF_3$, -CN, $-NO_2$ and halogen; are disclosed, as well as pharmaceutical compositions containing them, and a method of lowering serum cholesterol by administering said compounds, alone or in combination with a cholesterol biosynthesis inhibitor.