NOVEL HYDROXY-6-HETEROARYLPHENANTHRIDINES AND THEIR USE AS PDE4 INHIBITORS

Abstract: Compounds of formula (I), in which R1 is hydroxyl, 1-4C-alkoxy, 3-7C-cycloalkoxy, 3-7C-cycloalkylmethoxy, 2,2-difluoroethoxy, or completely or predominantly fluorine-substituted 1-4C-alkoxy, R2 is hydroxyl, 1-4C-alkoxy, 3-7C-cycloalkoxy, 3-7C-cycloalkylmethoxy, 2,2-difluoroethoxy, or completely or predominantly fluorine-substituted 1-4C-alkoxy, or in which R1 and R2 together are a 1-2C-alkylenedioxy group, R3 is hydrogen or 1-4C-alkyl, R31 is hydrogen or 1-4C-alkyl, either, in a first embodiment (embodiment a) according to the present invention, R4 is -O-R41, in which R41 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, hydroxy-2-4C-alkyl, 1-7C-alkylcarbonyl, or completely or predominantly fluorine-substituted 1-4C-alkyl, and R5 is hydrogen or 1-4C-alkyl, or, in a second embodiment (embodiment b) according to the present invention, R4 is hydrogen or 1-4C-alkyl, and R5 is -O-R51, in which R51 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, hydroxy-2-4C-alkyl, 1-7C-alkylcarbonyl, or completely or predominantly fluorine-substituted 1-4C-alkyl, Har is optionally substituted by R6 and/or R7 and/or R8, and is a 5- to 10-membered monocylic or fused bicyclic unsaturated or partially saturated heteroaryl radical comprising 1 to 4 heteroatoms selected independently from the group consisting of oxygen, nitrogen and sulfur, are novel effective PDE4 inhibitors.

NO FIGURE