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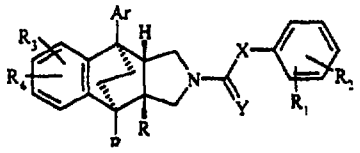
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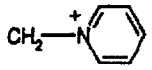
(54) Title: FARNESYL TRANSFERASE INHIBITORS

(54) Titre: INHIBITEURS DE FARNESYLE TRANSFERASE

(57) Abstract



(I)



(II)

The invention concerns novel products of general formula (I), the preparation, the pharmaceutical compositions containing them and their use for preparing medicines. In said formula, Ar represents a substituted or condensed phenyl radical, or polycyclic or heterocyclic aromatic radical; R represents a radical of general formula $-(CH_2)_m-X_1-(CH_2)_n-Z$ in which X_1 represents a single bond, O, S; m = 0, 1; n = 0, 1, 2; the CH_2 radicals can be substituted; Z represents carboxy, $COOR_6$, (R_6 = alkyl), $CON(R_7)(R_8)$ (R_7 = hydrogen or alkyl) and R_8 = hydrogen hydroxy, arylsulphonyl, heterocyclyl, amino optionally substituted, alkyloxy optionally substituted, alkyl optionally substituted, amino, $PO(OR_9)_2$ (R_9 = hydrogen or alkyl), a –NH–CO–T (T = hydrogen or alkyl optionally substituted), or else (II); R_1 and R_2 = hydrogen or halogen or alkyl, alkyloxy optionally substituted, alkylthio, alkyloxycarbonyl or else R_1 and R_2 in the ortho position relative to each other, form a heterocyclic compound containing 1 or 2 heteroatoms optionally substituted; R_3 and R_4 = hydrogen or halogen or alkyl, alkylene, alkyloxy, alkylthio, carboxy or alkyloxycarbonyl; R_5 = hydrogen, alkyl, alkylthio; X = O or S or –NH–, –CO– methylene, vinyldiyl, alkene–1,1–diyl or cycloalkan–1,1–diyl; and Y = O or S in racemic form and the optical isomers and salts of the product of general formula (I). The products of formula (I) are inhibitors of farnesyl transferase which remarkable tumoricidal and anti–leukemic properties.

(57) Abrégé

Nouveaux produits de formule générale (I), leurs préparations, les compositions pharmaceutiques qui les contiennent et leur utilisation pour la préparation de médicaments. Dans la formule générale (I), Ar représente un radical phényle substitué ou condensé, ou un radical aromatique polycyclique ou hétérocyclique, R représente un radical de formule générale $-(CH_2)_m-X_1-(CH_2)_n-Z$ dans lequel X_1 simple liaison, O, S; m = 0,1; n = 0, 1, 2; les radicaux CH_2 pouvant être substitués; Z représente carboxy, $COOR_6$, (R_6 = alcoyle), $CON(R_7)(R_8)$ (R_7 = hydrogène ou alcoyle) et R_8 = hydrogène, hydroxy, arylsulfonyle, hétérocyclyle, amino éventuellement substitué, alcoyloxy éventuellement substitué, alcoyle éventuellement substitué, amino, $PO(OR_9)_2$ (R_9 = hydrogène or alcoyle), un radical –NH–CO–T (T = hydrogène ou alcoyle éventuellement substitué), ou bien un radical de formule (II), R_1 et R_2 = hydrogène ou halogène ou alcoyle, alcoyloxy éventuellement substitué, alcoylthio, alcoyloxycarbonyle ou bien R_1 et R_2 en ortho l'un par rapport à l'autre, forment un hétérocycle contenant 1 ou 2 hétéroatomes éventuellement substitué, R_3 et R_4 = hydrogène ou halogène ou alcoyle, alcényle, alcoyloxy, alcoylthio, carbocy ou alcoyloxycarbonyle, R_5 = hydrogène, alcoyle, alcoylthio; X = O ou S ou –NH–, –CO–, méthylène, vinyldiyle, alcèn–1,1–diyle ou cycloalcan–1,1–diyle, et Y = O ou S sous forme racémique ainsi que les isomères optiques et les sels du produit de formule générale (I). Les produits de formule (I) sont des inhibiteurs de farnésyle transférase qui présentent des propriétés antitumorales et antileucémiques remarquables.

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