PCT WORLD ORGANISATION FOR INTELLECTUAL PROPERTY
International Office

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International patent classification[6] : C07K 5/103, A61K 38/07 — A1

(11) International publication number: WO 96/24611
(43) International publication date: 15 August 1996 (15.08.96)

(21) International application number: PCT/FR96/00198

(22) International filing date: 7 February 1996 (07.02.96)

(30) Data relating to the priority:
95/01,489 9 February 1995 (09.02.95) FR

(71) Applicant (for all designated States except US): RHONE-POULENC RORER S.A. [FR/FR]; 20, avenue Raymond-Aron, F-92160 Antony (FR).

(72) Inventor; and
(75) Inventor/Applicant (US only): François CLERC [FR/FR]; 35, boulevard Colbert, F-92160 Antony (FR).

(74) Representative: Jacques PILARD; Rhône-Poulenc Rorer S.A., Patents Directorate, 20, avenue Raymond-Aron, F-92165 Antony Cédex (FR).

(81) Designated States: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ARIPO Patent (KE, LS, MW, SD, SZ, UG), Eurasian Patent (AZ, BY, KG, KZ, RU, TJ, TM), European Patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI Patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).

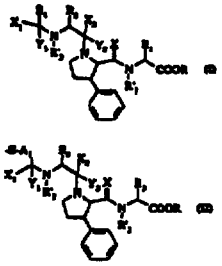
Published:
With the International Search Report.
Before expiry of the period provided for amending the claims, will be republished if such amendments are received.

As printed

(54) Title: NOVEL FARNESYL TRANSFERASE INHIBITORS, PREPARATION THEREOF, AND PHARMACEUTICAL COMPOSITIONS CONTAINING SAME

(54) Titre: INHIBITEURS DE FARNESYL TRANSFERASE, LEUR PREPARATION ET LES COMPOSITIONS PHARMACEUTIQUES QUI LES CONTIENNENT

(57) Abstract



Novel farnesyl transferase inhibitors of general formula (I), preparation thereof, and pharmaceutical compositions containing same. In general formula (I), R_1 is Y-S-A_1- (where Y is a hydrogen atom, an amino acid residue, a fatty acid residue, an alkyl or alkoxycarbonyl radical, or a radical R_4-S-, where R_4 is a C_{1-6} alkyl radical optionally substituted by a phenyl radical, or a radical of general formula (II), wherein A_1, X_1, Y_1, R_2, R'_2, X_2, Y_2, X, R_3, R'_3 and R are as defined below, and A_1 is a C_{1-4} alkylene radical optionally α-substituted in the $>C(X_1)(Y_1)$ grouping by an amino, alkylamino, alkanoylamino or alkoxycarbonylamino radical); X_1 and Y_1 are each a hydrogen atom or, taken together with the carbon atom to which they are attached, a >C=O grouping; R_2 is a straight or branched C_{1-4} alkyl radical optionally substituted by a cyclohexyl radical; R'_2 is hydrogen or alkyl; X_2 and Y_2 are each a hydrogen atom or, taken together with the carbon atom to which they are attached, a >C=O grouping; R_3 is a C_{1-4} alkyl radical optionally substituted by hydroxy, alkoxy, mercapto, alkylthio, alkylsulphinyl or alkylsulphonyl, with the proviso that, when R_3 is an alkyl radical substituted by a hydroxy radical, R_3 may form a lactone with the α-carboxy radical; R'_3 is hydrogen or alkyl; X is an oxygen or sulphur atom; and R is a hydrogen atom or an optionally substituted alkyl radical or an optionally substituted phenyl radical. These novel products have anticancer properties.