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(71) Applicant: AMERICAN HOME PRODUCTS CORPORATION [US/US]; Five Giralda Farms, Madison, NJ 07940-0874 (US).

(72) Inventors: SKOTNICKI, Jerauld, Stanley; 4 Tyler Court, Allentown, NJ 08501 (US). LEONE, Christina, Louise; 99 Bayard Lane, Princeton, NJ 08540 (US). SCHEHSER, Guy, Alan; 658 Bayberry Lane, Yardley, PA 19067 (US).

(74) Agents: ALICE, Ronald, W.; American Home Products Corporation, Five Giralda Farms, Madison, NJ 07940-0874 (US) et al.

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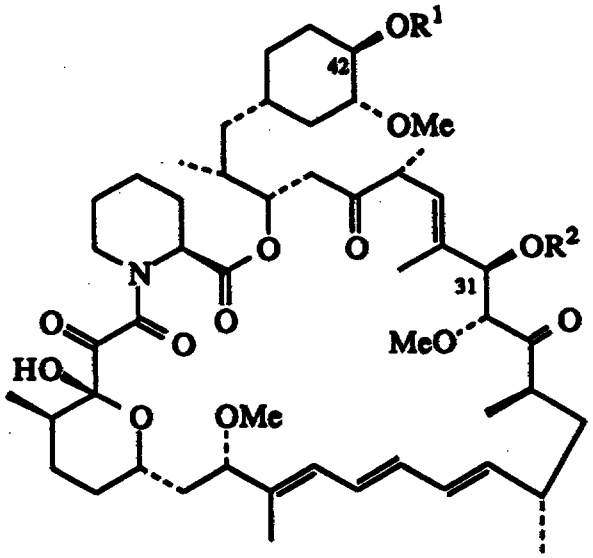
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(54) Title: RAPAMYCIN HYDROXYESTERS, PROCESS FOR THEIR PREPARATION AND PHARMACEUTICAL COMPOSITIONS CONTAINING THEM

(57) Abstract

A compound of structure (I) wherein R^1 and R^2 are each, independently, hydrogen or $-CO(CR^3R^4)_b(CR^5R^6)_dCR^7R^8R^9$; R^3 and R^4 are each, independently, hydrogen, alkyl, alkenyle, alkynyl, trifluoromethyl, or -F; R^5 and R^6 are each, independently, hydrogen, alkyl, alkenyl, alkynyl, $-(CR^3R^4)_fOR^{10}$, $-CF_3$, -F, or $-CO_2R^{11}$, or R^5 and R^6 may be taken together to form X or a cycloalkyl ring that is optionally mono-, di-, or tri-substituted with $-(CR^3R^4)_fOR^{10}$; R^7 is hydrogen, alkyl, alkenyl, alkynyl, $-(CR^3R^4)_fOR^{10}$, $-CF_3$, -F, or $-CO_2R^{11}$; R^8 and R^9 are each, independently, hydrogen, alkyl, alkenyl, alkynyl, $-(CR^3R^4)_fOR^{10}$, $-CF_3$, -F, or $-CO_2R^{11}$, or R^8 and R^9 may be taken together to form X or a cycloalkyl ring that is optionally mono-, di-, or tri-substituted with $-(CR^3R^4)_fOR^{10}$; R^{10} is hydrogen, alkyl, alkenyl, alkynyl, tri-(alkyl)silyl, tri-(alkyl)silylethyl, triphenylmethyl, benzyl, alkoxymethyl, tri-(alkyl)silylethoxymethyl, chloroethyl, or tetrahydropyranyl; R^{11} is hydrogen, alkyl, alkenyl, alkynyl, or phenylalkyl; X is 5-(2,2-dialkyl)[1,3]dioxanyl, 5-(2-spiro-cycloalkyl)[1,3]dioxanyl, 4-(2,2-dialkyl)[1,3]dioxanyl, 4-(2-spiro-cycloalkyl)[1,3]dioxanyl, 4-(2,2-dialkyl)[1,3]-dioxalanyl, or 4-(2-spiro-cycloalkyl)[1,3]dioxalanyl; b = 0-6; d = 0-6; and f = 0-6 with the proviso that R^1 and R^2 are both not hydrogen and further provided that either R^1 or R^2 contains at least one $-(CR^3R^4)_fOR^{10}$, X, or $-(CR^3R^4)_fOR^{10}$ substituted cycloalkyl group, or a pharmaceutically acceptable salt thereof which is useful as an immunosuppressive, antiinflammatory, antifungal, antiproliferative, and antitumor agent.



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