Title (en)

ALKYLATED RAPAMYCIN DERIVATIVES

Title (de)

ALKYLIERTE RAPAMYCINDERIVATE

Title (fr)

DERIVES DE RAPAMYCINE ALKYLES

Publication

EP 0927182 A2 19990707 (EN)

Application

EP 97939749 A 19970903

Priority

- US 9715439 W 19970903
- US 70959196 A 19960909

Abstract (en)

[origin: WO9809970A2] This invention relates to compounds which possess immunosuppressive and/or anti tumor and/or antiinflammatory activity in vivo and/or inhibit thymocyte proliferation in vitro. These compounds are therefore useful in the treatment of transplantation rejection, autoimmune diseases such as lupus, rheumatoid arthritis, diabetes mellitus, multiple sclerosis and in the treatment of Candida albicans infections and also in treatment of diseases of inflammation. These compounds are represented by formula (I), wherein W and Y are OR<1> and X and Z together form a bond or W and X are OR<2> and Y and Z together form a bond, wherein: R<1> is selected from -(CH2)n-Ar where Ar is not phenyl, -(CH2CH2O)nCH3 where n is not 1, -CH2CH2CH2O(CH2CH2O)m-CH3, -(CH2)n-CH2CH(OR<3>)CH2OR<4> where R<3> and R<4> are H, C1-C10 alkyl, or R<3> and R<4> together are ethylene, methylene or dimethylmethylene; -CH2(CH2)n-OR<3> where R<3> is not H, C1-C10 alkyl, or C(O)C1-C10 alkyl; and -CH2(CH2)n-X where X is F, Cl, Br or I; R<2> is selected from H, C1-C10 alkyl, Ar(CH2)n-, C3-C10 alkenyl, -(CH2CH2O)nCH3, -CH2CH2CH2O(CH2CH2O)m-CH3, -CH2(CH2)n-OR<3>, -CH2(CH2)n-X where X is F, Cl, Br or I; and -(CH2)nCH2CH(OR<5>)CH2OR<6> where R<5> and R<6> are selected independently from H, C1-C10 alkyl, -(CH2)n-Ar, -CONH(CH2)n-Ar or COC(CH3)2-(CH2)n-Ar, -COR<7> and -CO2R<7>, where R<7> is C1-C6 alkyl, C2-C6 alkenyl, or Ar; n = 1-10 independently; m = 1-5 independently; and Ar is selected independently from phenyl, pyridinyl, quinolinyl, indolyl, furanyl; 1,2,3-triazolyl and tetrazolyl, and a pharmaceutically acceptable acid addition salt where one can be formed.

IPC 1-7

C07D 491/16; C07D 498/18; A61K 31/445

IPC 8 full level

A61K 31/4353 (2006.01); **A61P 11/06** (2006.01); **A61P 29/00** (2006.01); **A61P 31/10** (2006.01); **A61P 35/00** (2006.01); **A61P 37/06** (2006.01); **C07D 498/18** (2006.01)

CPC (source: EP)

A61P 11/06 (2017.12); **A61P 29/00** (2017.12); **A61P 31/10** (2017.12); **A61P 35/00** (2017.12); **A61P 37/06** (2017.12); **C07D 498/18** (2013.01)

Citation (search report)

See references of WO 9809970A2

Designated contracting state (EPC)

AT BE CH DE DK ES FI FR GB GR IE IT LI LU NL PT SE

DOCDB simple family (publication)

WO 9809970 A2 19980312; **WO 9809970 A3 19980416**; AU 4176897 A 19980326; CA 2266039 A1 19980312; CN 1235608 A 19991117; EP 0927182 A2 19990707; JP 2001500126 A 20010109

DOCDB simple family (application)

US 9715439 W 19970903; AU 4176897 A 19970903; CA 2266039 A 19970903; CN 97199423 A 19970903; EP 97939749 A 19970903; JP 51281598 A 19970903