

Title (en)
ALKYLATED RAPAMYCIN DERIVATIVES

Title (de)
ALKYLIERTE RAPAMYCINDERIVATE

Title (fr)
DERIVES DE RAPAMYCINE ALKYLES

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Application
EP 97939749 A 19970903

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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.

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IPC 8 full level
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