

Title (en)
COMPOUNDS AND COMPOSITIONS FOR TREATING DISEASES ASSOCIATED WITH SERINE PROTEASE, PARTICULARLY TRYPTASE, ACTIVITY

Title (de)
MILDE FLÜSSIGE REINIGUNGSZUSAMMENSETZUNGEN IN FORM EINER MIKROEMULSION

Title (fr)
COMPOSES ET COMPOSITIONS POUR TRAITEMENT DE MALADIES ASSOCIEES A UNE SERINE PROTEASE, EN PARTICULIER UNE ACTIVITE DE TRYPTASE

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Application
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Abstract (en)
[origin: WO9845275A1] Novel compounds, compositions and methods effective for the prevention and treatment of mast-cell mediated inflammatory disorders are described. A preferred aspect of the invention are compounds of Formula (II) in which: the dashed lines independently represent optional bonds; each R<2> independently is (C1-6)alkyl, (C1-6)alkyloxy, halo or hydroxy; each R<3> independently is (C1-6)alkyl, (C1-6)alkyloxy, halo or hydroxy; X<3> is -C(O)- or -CR<7>R<8>-, X<8> is -CH(R<1>)n1- or -C(R<1>)n1=, wherein R<1> is amino(N1-4)azolidinyl, amino(N1-4)azolyl, (N1-4)azolidinyl, (N1-4)azolyl, -NHC(NH)NR<9>R<9>, -C(NR<9>)R<9>, -C(NH)NHR<10>, -C(NH)NR<10>R<10> or - (CR<11>R<11>)yNH2, or X<8> is -N= or -NH(R<1>)n1-, wherein R<1> is -C(NR<9>)R<9>, -C(NH)NHR<10> or -C(NH)NR<10>R<10>, wherein each R<9> independently is hydrogen or (C1-6)alkyl and each R<10> independently is (C1-6)alkyl; and X<9> is -CH(R<4>)- or -C(R<4>)=, wherein R<4> is -R<12>, -OR<12>, -N(R<13>)R<12>, -SR<12>, -S(O)R<12>, -S(O)2R<12>, -S(O)2OR<12>, -S(O)2N(R<13>)R<12>, -N(R<13>)S(O)2R<12>, -C(O)R<12>, -C(O)OR<12>, -C(O)N(R<13>)R<12>, -N(R<13>)C(O)R<12>, -OC(O)N(R<13>)R<12>, -N(R<13>)C(O)OR<12>, -(CH2)n4N(R<13>)C(O)N(R<13>)R<12>, -OP(O)(OR<13>)OR<12> or -C(O)N(R<14>)CH(COOH)R<12>, or X<9> is -N= or -N(R<4>)-, wherein R<4> is -C(O)R<12>, -C(O)OR<12>, -C(O)N(R<13>)R<12>, -OC(O)N(R<13>)R<12> or -C(O)N(R<14>)CH(COOH)R<12>, wherein R<12>, R<13> and R<14> are as defined in the Summary of the Invention; R<5> is hydrogen or (C1-4)alkyl, R<6> is hydrogen or (C1-4)alkyl, which alkyl optionally is substituted with one to two substituents independently selected from (C1-4)alkyloxy, hydroxy and sulfo, R<7> is hydrogen or methyl and R<8> is hydrogen, methyl or hydroxy. The compounds, compositions and methods are effective for the prevention and treatment of inflammatory diseases associated with the respiratory tract, such as asthma and allergic rhinitis, as well as other types of immunomediated inflammatory disorders, such as rheumatoid arthritis, conjunctivitis and inflammatory bowel disease, various dermatological conditions, as well as certain viral conditions. The compounds comprise potent and selective inhibitors of the mast cell protease tryptase. The compositions for treating these conditions include oral, inhalant, topical and parenteral preparations as well as devices comprising such preparations.

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