Title (en)

TOCOLYTIC OXYTOCIN RECEPTOR ANTAGONISTS.

Title (de)

TOKOLYTISCHE OXYTOCINREZEPTORANTAGONISTEN.

Title (fr)

ANTAGONISTES RECEPTEURS D'OXYTOCINE TOCOLYTIQUE.

Publication

EP 0663827 A4 19951115 (EN)

Application

EP 93923134 A 19930927

Priority

- US 9309152 W 19930927
- US 95793892 A 19921007

Abstract (en)

[origin: WO9407496A1] Compounds of the formula X-Y-Z-R1, wherein X is (I) or (II); W is hydrogen or acetate; Y is -CO-, -SO2- -CO(CH2)m- or -(CH2)m-; Z is N, O, S, -CHR-, -CR=CH-, -CH=, -(CH2)m- or -CHCHOH-; R is hydrogen, C1-5 alkyl, C1-5 alkoxycarbonylamino or quinuclidinylaminocarbonylamino; R1 is -CH3, -CH(CH3)2, C1-5 alkoxycarbonyl; aryl, heterocyclic and lowercycloalkyl substituted by R2 and/or R3, -NR4R5 or -NCOR6; R2 is hydrogen, hydroxy, carboxyl, acetyl, nitro, halogen, mono-, di- or tri-C1-3 alkyl, spirocyclic indenyl, N-spiroindanepiperidinyl, O-R where R is as defined above, O-Het where Het is imidazole or benzimidazole or azimidobenzene, or where R2 is further defined as -COR6, -(CH2)m-NHCOR7, -(CH2)mNHCOOR7, -(CH2)m-NR8R9, -(CH2)m-NHCO-(CH2)mR7, -(CH2)m-NHCO-CHR7R7, -(CH2)m-NHCO-CH=CHR7, -(CH2)m-CO-O-R7, -(CH2)m-CO-O-(CH2)mR7, -(CH2)m-CO-O-CHR7R7, -(CH)m-CO-O-CH=CHR7, -NHSO2R- where R is as defined above, NHSO2R7, -(CH2)m-O-R10, -SO2R10, -COR11, aryl loweralkyl, alkylsulfonylalkyl, alkylsulfonylalkylamido, R3 is one or two of hydrogen, hydroxyl or C1-5 alkyl; R4 is hydrogen, C1-5 alkyl, or C6-10 cycloalkyl; R5 is hydrogen or acetyl; R6 is (A) or (B); R7 is alkylcarbamate alkyl, aryl alkyl or heterocyclyl alkyl substituted by R12, hydrogen, C1-4 alkyl, NSO2R12 or NHO-C1-4 alkyl; R8 is hydrogen or C1-5 alkyl; R9 is hydrogen or C1-5 alkyl; R10 is -CH3, alkaryl, alkarylalkyl or azimidobenzene; R11 is -CH3, aralkyl or heterocyclylalkyl; R12 is hydrogen, C1-5 alkyl or C1-5 alkoxy; and m is an integer of from 0 to 5. Such compounds are useful as oxytocin and vasopressin antagonists.
[origin: WO9407496A1] Compounds of the formula X-Y-Z-R<1>, wherein X is (I) or (II); W is hydrogen or acetate; Y is -CO-, -SO2- -CO(CH2)m- or -(CH2)m-; Z is N, O, S, -CHR-, -CR=CH-, -CH=, -(CH2)m- or -CHCHOH-; R is hydrogen, C1-5 alkyl, C1-5 alkoxycarbonylamino or quinuclidinylaminocarbonylamino; R<1> is -CH3, -CH(CH3)2, C1-5 alkoxycarbonyl; aryl, heterocyclic and lowercycloalkyl substituted by R<2> and/or R<3>, -NR<4>R<5> or -NCOR<6>; R<2> is hydrogen, hydroxy, carboxyl, acetyl, nitro, halogen, mono-, di- or tri-C1-3 alkyl, spirocyclic indenyl, N-spiroindanepiperidinyl, O-R where R is as defined above, O-Het where Het is imidazole or benzimidazole or azimidobenzene, or where R<2> is further defined as -COR<6>, -(CH2)m-NHCOR<7>, -(CH2)mNHCOOR<7>, -(CH2)m-NR<8>R<9>, -(CH2)m-NHCO-(CH2)mR<7>, -(CH2)m-NHCO-CHR<7>R<7>, -(CH2)m-NHCO-CH=CHR<7>, -(CH2)m-CO-O-R<7>, -(CH2)m-CO-O-(CH2)mR<7>, -(CH2)m-CO-O-CHR<7>R<7>, -(CH)m-CO-O-CH=CHR<7>, -NHSO2R- where R is as defined above, NHSO2R<7>, -(CH2)m-O-R<10>, -SO2R<10>, -COR<11>, aryl loweralkyl, alkylsulfonylalkyl, alkylsulfonylalkylamido, R<3> is one or two of hydrogen, hydroxyl or C1-5 alkyl; R<4> is hydrogen, C1-5 alkyl, or C6-10 cycloalkyl; R<5> is hydrogen or acetyl; R<6> is (A) or (B); R<7> is alkylcarbamate alkyl, aryl alkyl or heterocyclyl alkyl substituted by R<12>, hydrogen, C1-4 alkyl, NSO2R<12> or NHO-C1-4 alkyl; R<8> is hydrogen or C1-5 alkyl; R<9> is hydrogen or C1-5 alkyl; R<10> is -CH3, alkaryl, alkarylalkyl or azimidobenzene; R<11> is -CH3, aralkyl or heterocyclylalkyl; R<12> is hydrogen, C1-5 alkyl or C1-5 alkoxy; and m is an integer of from 0 to 5. Such compounds are useful as oxytocin and vasopressin antagonists.

IPC 1-7

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Citation (search report)

- [X] EP 0486280 A2 19920520 - MERCK & CO INC [US]
- [X] EP 0444945 A2 19910904 - MERCK & CO INC [US]
- See references of WO 9407496A1

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