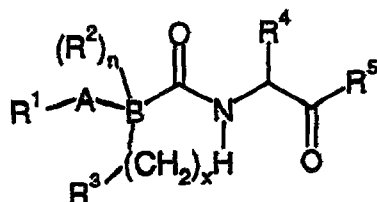


Novel amides with heterocyclic substituents, their preparation and use

Abstract of the disclosure

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Amides of the formula I



10 and its tautomeric and isomeric forms, possible enantiomeric and diastereomeric forms, and possible physiologically tolerated salts, in which the variables have the following meanings:

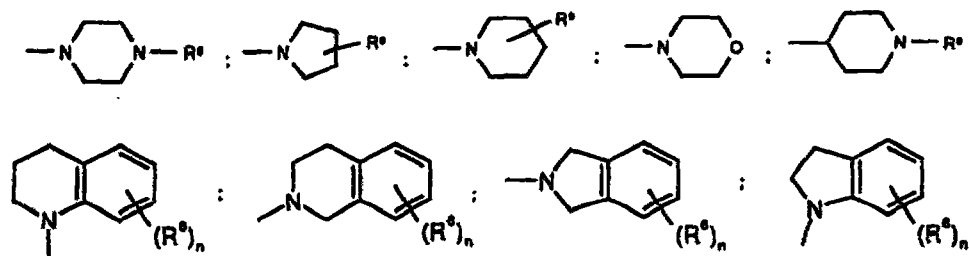
15 R^1 can be hydrogen, $\text{C}_1\text{-C}_6\text{-alkyl}$, branched and unbranched, phenyl, naphthyl, quinolyl, pyridyl, pyrimidyl, pyrazyl, pyridazyl, quinazolyl, quinoxalyl, thienyl, benzo-

20 thienyl, benzofuranyl, furanyl and indolyl, it being possible for the rings also to be substituted by to 3 R^6 radicals, and

25 R^2 are hydrogen, $\text{C}_1\text{-C}_6\text{-alkyl}$, branched or unbranched, $\text{O-C}_1\text{-C}_6\text{-alkyl}$, branched or unbranched, $\text{C}_2\text{-C}_6\text{-alkenyl}$, $\text{C}_2\text{-C}_6\text{-alkynyl}$, $\text{C}_1\text{-C}_6\text{-alkyl-phenyl}$, $\text{C}_2\text{-C}_6\text{-alkenyl-phenyl}$, $\text{C}_2\text{-C}_6\text{-alkynyl-phenyl}$, OH, Cl, F, Br, I, CF_3 , NO_2 , NH_2 , CN, COOH, $\text{COO-C}_1\text{-C}_4\text{-alkyl}$, $\text{NHCO-C}_1\text{-C}_4\text{-alkyl}$, NHCO-phenyl , CONHR^9 , $\text{NHSO}_2\text{-C}_1\text{-C}_4\text{-alkyl}$, $\text{NHSO}_2\text{-phenyl}$, $\text{SO}_2\text{-C}_1\text{-C}_4\text{-alkyl}$ and $\text{SO}_2\text{-phenyl}$, and

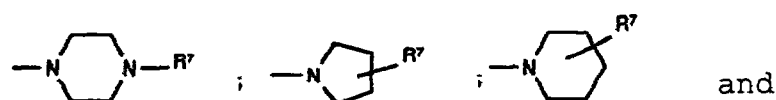
30

R^3 can be NR^7R^8 or a ring such as



5 R^4 is $-C_1-C_6$ -alkyl, branched or unbranched, which may also carry phenyl, pyridyl, thienyl, cyclohexyl, indolyl or naphthyl ring which is in turn substituted by a maximum of two R^6 radicals, and

10 R^5 is hydrogen, $COOR^{11}$ and $CO-Z$ in which Z is $NR^{12}R^{13}$ and



15 R^6 is hydrogen, C_1-C_4 -alkyl, branched or unbranched, $-O-C_1-C_4$ -alkyl, OH , Cl , F , Br , I , CF_3 , NO_2 , NH_2 , CN , $COOH$, $COO-C_1-C_4$ -alkyl, $-NHCO-C_1-C_4$ -alkyl, $-NHCO$ -phenyl, $-NHSO_2-C_1-C_4$ -alkyl, $-NHSO_2$ -phenyl, $-SO_2-C_1-C_4$ -alkyl and $-SO_2$ -phenyl, and

20 R^7 is hydrogen, C_1-C_6 -alkyl, linear or branched, and which may be substituted by a phenyl ring which itself may also be substituted by one or two R^{10} radicals, and

25 R^8 is hydrogen, C_1-C_6 -alkyl, linear or branched, which may be substituted by a phenyl ring which may itself also be substituted by one or two R^{10} radicals, and

30 R^9 is hydrogen, C_1-C_6 -alkyl, branched or unbranched, which may also carry a sub-

stituent R^{16} , or phenyl, pyridyl, pyrimidyl, pyridazyl, pyrazinyl, pyrazyl, naphthyl, quinolyl, imidazolyl, which may also carry one or two substituents R^{14} , and

5

R^{10} can be hydrogen, C_1 - C_4 -alkyl, branched or unbranched, $-O$ - C_1 - C_4 -alkyl, OH, Cl, F, Br, I, CF_3 , NO_2 , NH_2 , CN, COOH, COO - C_1 - C_4 -alkyl, $-NHCO$ - C_1 - C_4 -alkyl, $-NHCO$ -phenyl, $-NHSO_2$ - C_1 - C_4 -alkyl, $-NHSO_2$ -phenyl, $-SO_2$ - C_1 - C_4 -alkyl and $-SO_2$ -phenyl, and

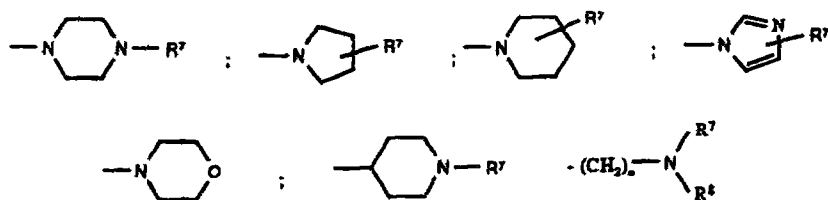
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R^{11} is hydrogen, C_1 - C_6 -alkyl, linear or branched, and which may be substituted by a phenyl ring which may itself also be substituted by one or two R^{10} radicals, and

15

R^{12} is hydrogen, C_1 - C_6 -alkyl, branched and unbranched, and

20



[sic]

25

R^{13} is hydrogen, C_1 - C_6 -alkyl, branched or unbranched, which may also be substituted by a phenyl ring which may also carry an R^{10} radical, and by [lacuna] and

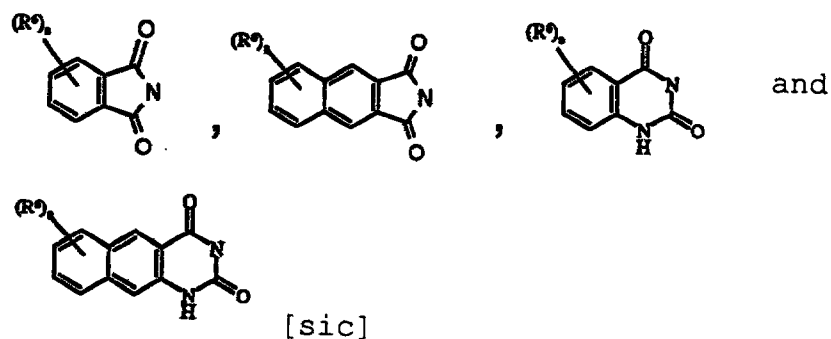
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R^{14} is hydrogen, C_1 - C_6 -alkyl, branched or unbranched, O - C_1 - C_6 -alkyl, branched or unbranched, OH, Cl, F, Br, I, CF_3 , NO_2 , NH_2 , CN, COOH, COO - C_1 - C_4 -alkyl, or two R^{14} radicals may represent a bridge $OC(R^{15})_2O$, and

R^{15} is hydrogen, C_1 - C_6 -alkyl, branched and unbranched, and

5 R^{16} can be a phenyl, pyridyl, pyrimidyl, pyridazyl, pyrazinyl, pyrazyl, pyrrolyl, naphthyl, quinolyl, imidazolyl ring, which may also carry one or two substituents R^6 , and

10 A is $-(CH_2)_m-$, $-(CH_2)_m-O-(CH_2)_o-$,
 $-(CH_2)_o-S-(CH_2)_m-$, $-(CH_2)_o-SO-(CH_2)_m-$,
 $-(CH_2)_o-SO_2-(CH_2)_m-$, $-CH=CH-$, $-C\equiv C-$,
 $-CO-CH=CH-$, $-(CH_2)_o-CO-(CH_2)_m-$,
 $-(CH_2)_m-NHCO-(CH_2)_o-$, $-(CH_2)_m-CONH-(CH_2)_o-$,
 15 $-(CH_2)_m-NHSO_2-(CH_2)_o-$, $-NH-CO-CH=CH-$,
 $-(CH_2)_m-SO_2NH-(CH_2)_o-$, $-CH=CH-CONH-$ and



20 R^1 -A together are also
 [lacuna]
 and

25 B is phenyl, pyridine, pyrimidine, pyrazine, imidazole and thiazole, and

x is 1, 2 or 3, and

n is a number 0, 1 or 2, and

30 m, o is, independently of one another, a number 0, 1, 2, 3 or 4.