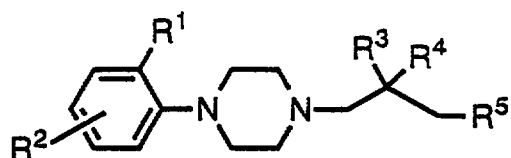


Abstract

The present invention relates to novel α_1 -adrenoceptor antagonists of the formula I



I

in which:

R^1 is acetylamino, amino, cyano, trifluoroacetylamino, halo, hydro, hydroxy, nitro, methylsulfonylamino, 2-propynyloxy, a group selected from (C_{1-6}) alkyl, (C_{3-6}) cycloalkyl,

(C_{3-6}) cycloalkyl (C_{1-4}) alkyl, (C_{1-6}) alkyloxy, (C_{3-6}) cycloalkyloxy, (C_{3-6}) cycloalkyl (C_{1-4}) alkyloxy and (C_{1-4}) alkylthio (which group is optionally further substituted with one to three halo atoms) or a group selected from aryl, aryl (C_{1-4}) -alkyl, heteroaryl, heteroaryl (C_{1-4}) alkyl, aryloxy, aryl (C_{1-4}) alkyloxy, heteroaryloxy and heteroaryl (C_{1-4}) alkyloxy (which aryl and heteroaryl are optionally further substituted with one to two radicals independently selected from halo and cyano);

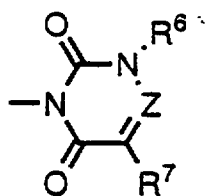
R^2 is cyano, halo, hydro, hydroxy or a group selected from (C_{1-6}) alkyl and (C_{1-6}) alkyloxy (which group is optionally further substituted with one to three halogen atoms);

R^3 and R^4 are both hydro or methyl or together are ethylene; and

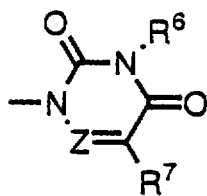
R^5 is a group selected from Formulae (a), (b), (c) and (d):

This Sheet Has Been Replaced

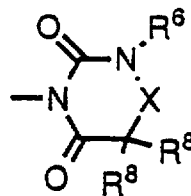




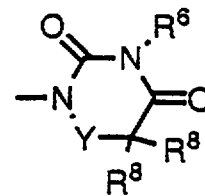
(a)



(b)



(c)



(d)

in which:

X is C(O), CH₂ or CH(OH);

5 Y is CH₂ or CH(OH);

Z is N or C(R⁹), wherein R⁹ is hydro, (C₁₋₆)alkyl or hydroxy;

R⁶ is hydro, a group selected from (C₁₋₆)alkyl, (C₃₋₆)cycloalkyl, (C₃₋₆)cycloalkyl(C₁₋₄)alkyl (which group is

optionally further substituted with one to three halo atoms)

10 or a group selected from aryl, heteroaryl, aryl(C₁₋₄)alkyl and heteroaryl(C₁₋₄)alkyl (which aryl and heteroaryl are

optionally further substituted with one to three radicals selected from halo, cyano, (C₁₋₆)alkyloxy, (C₁₋₆)alkyl and aryl);

15 R⁷ is (C₁₋₆)alkanoyl, carbamoyl, cyano, di(C₁₋₆)alkylamino, halo, hydro, hydroxy, hydroxyiminomethyl, (C₁₋₆)alkylsulfonyl, (C₁₋₆)alkylthio, a group selected from (C₁₋₆)alkyl, (C₃₋₆)cycloalkyl, (C₁₋₆)alkyloxy and (C₁₋₆)alkyloxy(C₁₋₄)alkyl (which group is optionally further substituted with one to

20 three radicals selected from halo, hydroxy or (C₁₋₆)alkyloxy) or a group selected from aryl, heteroaryl, aryl(C₁₋₄)alkyl and heteroaryl(C₁₋₄)alkyl (which aryl and heteroaryl are

25 aryl) or R⁷ and R⁹ together are tetramethylene; and each R⁸ is independently hydro, hydroxy, methyl or ethyl; and the pharmaceutically acceptable salts and N-oxides thereof.

This Sheet Has Been Replaced

