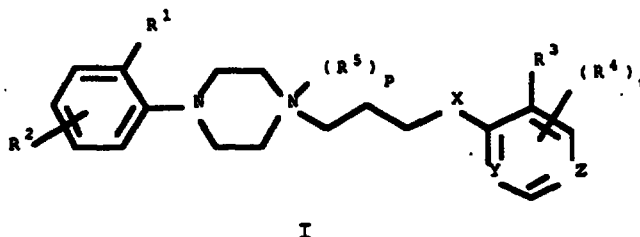


[3-(4-PHENYLPYPERAZIN-1-YL)PROPYL-AMINO, THIO AND OXY]-PYRIDINE, PYRIMIDINE AND BENZENE DERIVATIVES AS ALPHA-ADRENOCEPTOR ANTAGONISTS

ABSTRACT

The present invention relates to novel α_1 -adrenoceptor antagonists of Formula I:



in which:

p is 0 or 1;

t is 0, 1 or 2;

X is O, S or NR^6 (in which R^6 is hydro or (C₁-6)alkyl);

Y and Z are independently CH or N;

R^1 is hydro, hydroxy, halo, nitro, amino, cyano, (C₁-4)-alkylthio, acetylamino, trifluoroacetylamino,

methylsulfonylamino, (C₁-6)alkyl, (C₃-6)cycloalkyl,

(C₃-6)cycloalkyl(C₁-4)alkyl, oxazol-2-yl, aryl, heteroaryl,

aryl(C₁-4)alkyl, heteroaryl(C₁-4)alkyl, (C₁-6)alkyloxy,

(C₃-6)cycloalkyloxy, (C₃-6)cycloalkyl(C₁-4)alkyloxy,

2-propynyloxy, aryloxy, heteroaryloxy, aryl(C₁-4)alkyloxy or heteroaryl(C₁-4)alkyloxy (wherein alkyl is optionally

substituted with one to three halo atoms and aryl or

heteroaryl is optionally substituted with one to two

substituents independently selected from halo and cyano);

R^2 is hydro, hydroxy, halo, cyano, (C₁-6)alkyl or (C₁-6)-alkyloxy (wherein alkyl is optionally substituted with one to three halo atoms);

R^3 is $-\text{C}(\text{O})\text{R}^7$ (wherein R^7 is (C₁-6)alkyl, (C₃-6)cycloalkyl, di(C₁-4)alkylamino, N-(C₁-4)alkyl-N-(C₁-4)alkyloxyamino,

(C₁₋₄)alkyl((C₁₋₄)alkyloxy)amino, pyrrolidin-1-yl, piperidin-1-yl, morpholin-4-yl or piperazin-1-yl);

R⁴ is halo, hydroxy, cyano, (C₁₋₆)alkyl or (C₁₋₆)alkyloxy; and

R⁵ is (C₁₋₆)alkyl; and the pharmaceutically acceptable salts

and N-oxides thereof.