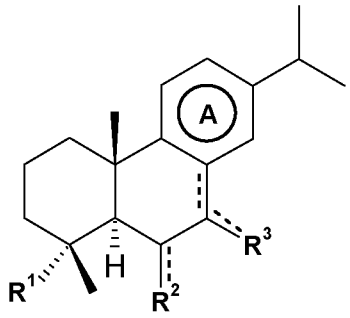
CLAIMS

1. A compound having the general formula I



I

wherein:

R^1 is $-CH=NOR^4$ with the meaning of iminoxy, $-CH_2NHOR^4$, $-CH_2XR^5$, $-CH=CHR^6$, $-CH=NR^7$, amino-(C_3-C_6)alkyl or heterocycloalkyl-alkyl wherein the heterocycloalkyl moiety is selected from the group consisting of piperidinyl, pyrrolidinyl and tetrahydrofuranyl;

R^7 is guanidino;

R^6 is amino-(C_1-C_6)alkyl or heterocycloalkyl-alkyl wherein the heterocycloalkyl moiety is selected from the group consisting of piperidinyl, pyrrolidinyl and tetrahydrofuranyl;

R^5 is amino-(C_1-C_6)alkyl or heterocycloalkyl-alkyl wherein the heterocycloalkyl moiety is selected from the group consisting of piperidinyl, pyrrolidinyl and tetrahydrofuranyl;

R^4 is H, amino-(C_1-C_6)alkyl, heterocycloalkyl, hydroxyalkyl, hydroxyalkyloxyalkyl, or carboxyalkyl;

X is O or S;

the endocyclic symbol ⎓ represents a single or a double bond and when it represents a double bond the symbol ⎓ linking R^3 to the carbocycle represents a single bond and the carbocycle ring A is partially unsaturated;

the symbol ⎓ linking R^2 to the carbocycle represents a single or a double bond;

R^2 is H or hydroxyl when the symbol ⎓ linking R^2 to the carbocycle represents a single bond; or

R^2 is O or N~OR^8 when the symbol ⎓ linking R^2 to the carbocycle represents a double bond with the meaning of carbonyl or oxime respectively;

R^8 is H or (C_1-C_6)alkyl;

the symbol ═ linking R^3 to the carbocycle represents a single or a double bond;

R^3 is H when the symbol ═ linking R^3 to the carbocycle represents a single bond; or

R^3 is O or N~OR^8 when the symbol ═ linking R^3 to the carbocycle represents a double bond with the meaning of carbonyl or oxime respectively;

carbocycle ring A is aromatic or partially unsaturated;

with the proviso that when **R^4** is H, **R^2** is not H;

their optically active forms such as enantiomers, diastereomers, their racemate forms, and pharmaceutically acceptable salts thereof.

2. The compound according to claim 1, wherein R^1 represents –CH=NOR^4.

3. The compound according to any of claims 1-2, wherein R^4 is amino-(C_1-C_6)alkyl or heterocycloalkyl.

4. Use of compounds according to any of claims 1-3 as a medicament.

5. The use according to claim 4 for prevention and/or treatment of hypertension, heart failure, cardiac hypertrophy, renal failure, glomerulosclerosis, proteinuria and vascular stenosis after vascular surgery.

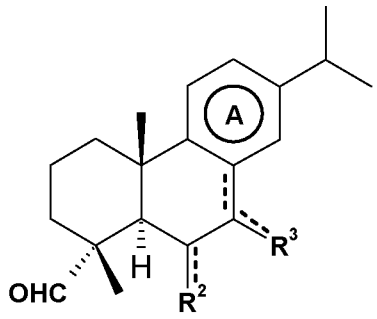
6. Use according to claim 5 wherein the cardiovascular disorder is hypertension.

7. The use according to claim 6 wherein hypertension is caused by the effects of endogenous ouabain.

8. A method of treatment of a patient affected by hypertension, heart failure, cardiac hypertrophy, renal failure, glomerulosclerosis, proteinuria and vascular stenosis after vascular surgery, comprising the administration of a compound according to claims 1-3.

9. A pharmaceutical composition comprising a compound according to claims 1-3 together with a pharmaceutically acceptable excipient.

10. Process for synthesizing compounds of claim 1, wherein the symbol R^1 is –CH=NOR^4 with the meaning of iminoxy; carbocycle ring A is aromatic or partially unsaturated and R^2 and R^3 are as defined in claim 1, comprising reacting a compound of Formula II,



Formula (**II**)

wherein carbocycle ring A is aromatic or partially unsaturated and R^2 and R^3 are as defined above, with a compound of formula (**III**)

R^4ONH_2. xHCl (formula (**III**)

wherein R^4 is as defined above, and x is an integer comprised between 0 and 3; in pyridine at room temperature.

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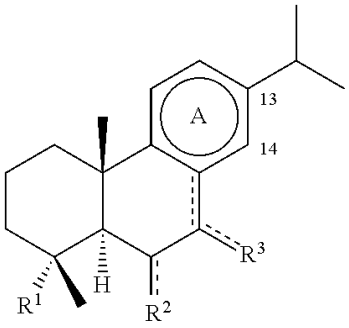


wherein:

R^1 is —CH═NOR^4 with the meaning of iminoxy, —CH_2NHOR^4, —CH_2XR^5, —CH═CHR^6, —CH═NR^7, or NH_2—(C_3-C_6)alkyl-;

R^7 is guanidino;

R^6 is NH_2—(C_1-C_6)alkyl-;

R^5 is NH_2—(C_1-C_6)alkyl-;

R^4 is NH_2—(C_1-C_6)alkyl-, piperidinyl, hydroxy-(C_1-C_6)-alkyl-, hydroxy-(C_1-C_6)-alkyloxy-(C_1-C_6)-alkyl-, or carboxy-(C_1-C_6)-alkyl-;

X is O or S;

the endocyclic symbol --- represents a single or a double bond and when it represents a double bond the symbol --- linking R^3 to the carbocycle represents a single bond and the carbocycle ring A is partially unsaturated possessing only one double bond between carbon atoms 13-14;

the symbol --- linking R^2 to the carbocycle represents a single or a double bond; R^2 is H or hydroxyl when the symbol --- linking R^2 to the carbocycle represents a single bond; or

R^2 is O or N˜OR^8 when the symbol --- linking R^2 to the carbocycle represents a double bond with the meaning of carbonyl or oxime respectively;

R^8 is H or (C_1-C_6)alkyl;

the symbol ⎓ linking R^3 to the carbocycle represents a single or a double bond; R^3 is H when the symbol ⎓ linking R^3 to the carbocycle represents a single bond; or

R^3 is O or N˜OR^8 when the symbol ⎓ linking R^3 to the carbocycle represents a double bond with the meaning of carbonyl or oxime respectively;

carbocycle ring A is aromatic or partially unsaturated possessing only one double bond between carbon atoms 13-14;

their optically active forms such as enantiomers, diastereomers, their racemate forms, and pharmaceutically acceptable salts thereof.

2. The compound according to claim 1, wherein R^1 represents —CH═NOR^4.

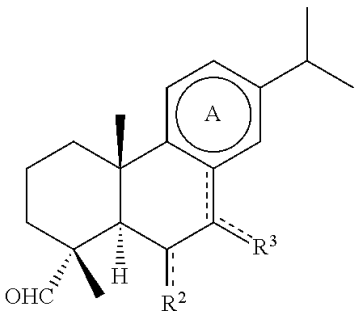
3. The compound according to claim 1, wherein R^4 is NH_2—(C_1-C_6)alkyl.

4. A medicament comprising an effective amount of a compound according to claim 1.

5. A pharmaceutical composition comprising a compound according to claim 1 together with a pharmaceutically acceptable excipient.

6. Process for synthesizing compounds of claim 1, wherein the symbol R^1 is —CH═NOR^4 with the meaning of iminoxy; carbocycle ring A is aromatic or partially unsaturated and R^2 and R^3 are as defined above, comprising reacting a compound of Formula II,

Formula (II)



wherein carbocycle ring A is aromatic or partially unsaturated and R^2 and R^3 are as defined above, with a compound of formula (III)

$R^4ONH_2 .xHCl$ (formula (III))

wherein R^4 is as defined above, and x is an integer between 0 and 3; in pyridine at room temperature.

7. The medicament according to claim 4, wherein said effective amount comprises from 0.1 to 50% by weight.

8. The medicament according to claim 4, wherein said effective amount comprises from 1 to 40% by weight.