

N^{α} -Boc- N,N' -guanidino-diphenyl-D-homoarginine,
 $[\alpha]_D^{25} -9.2^{\circ}$ (C 0.8, MeOH);

N^{α} -Boc- N,N' -guanidino-methyl,ethyl-D-homoarginine,

N^{α} -Boc- N,N' -guanidino-methyl,propyl-D-homoarginine, and

N^{α} -Boc- N,N' -guanidino-methyl,butyl-D-homoarginine,

N^{α} -Boc- N,N' -guanidino-methyl,hexyl-D-homoarginine, $[\alpha]_D^{25} -2.2$ (C 0.4, EtOH).

PREPARATION 3

This Preparation illustrates the preparation of N,N' -guanidino-disubstituted-D-homoarginines as their toluenesulfonate salts.

A mixture of benzyl N^{α} -benzyloxycarbonyl- N,N' -guanidino-diisopropyl-D-homoargininate toluenesulfonate (3.25 g) and 100 mg of 10% Pd/C in 50 ml of glacial acetic acid is treated with hydrogen gas at atmospheric pressure for 4 hours. The catalyst is filtered on celite and the filtrate is concentrated to a solid, N,N' -guanidino-diisopropyl-D-homoarginine toluenesulfonate, $[\alpha]_D^{25} -3.5^{\circ}$ (C 0.5, MeOH).

Proceeding in a similar manner, but substituting the appropriate toluenesulfonate precursors, other N,N' -guanidino-disubstituted-D-homoarginine, D-arginine as their L-analogs, or similar analogs, may be prepared, for example, the following compounds:

N,N' -guanidino-diisopropyl-D-homoarginine, $[\alpha]_D^{25}$
 -10.5° (C 0.4, MeOH);

N,N' -guanidino-di(cyclohexyl)-D-homoarginine,
 $[\alpha]_D^{25} -7.6^{\circ}$ (C 0.1, MeOH);

N,N' -guanidino-diphenyl-D-homoarginine, $[\alpha]_D^{25}$
 -11.7° (C 0.5, MeOH)

N,N' -guanidino-di(n-propyl)-D-homoarginine, $[\alpha]_D^{25}$
 -7.1° (C 0.4, MeOH)

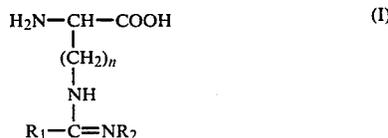
N,N' -guanidino-diethyl-D-homoarginine, $[\alpha]_D^{25}$
 -6.0° (C 0.1, MeOH)

N,N' -guanidino-di(n-hexyl)-D-homoarginine, $[\alpha]_D^{25}$
 -8.3° (C 0.3, MeOH)

N,N' -guanidino-di(n-butyl)-D-homoarginine, $[\alpha]_D^{25}$
 -6.3° (C 0.5, as their toluenesulfonate salts MeOH).

What is claimed is:

1. An α -amino acid which has the formula:

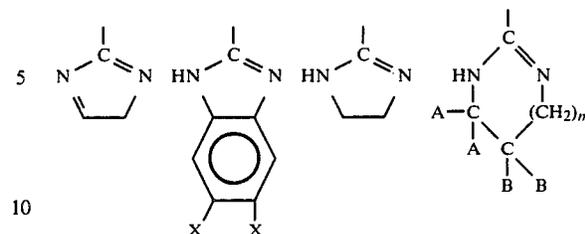


or a pharmaceutically acceptable salt thereof, wherein

n is 1 to 5;

R_1 is alkyl of 1-12 carbon atoms, halo lower alkyl or $-\text{NHR}_3$ wherein R_3 is alkyl of 2-6 carbon atoms, cycloalkyl, phenyl, benzyl, halo lower alkyl, morpholino or $-(\text{CH}_2)_n\text{N}(\text{R}_4)_2$ where n is 1-5 and R_4 is lower alkyl;

R_2 is hydrogen, alkyl of 1-6 carbon atoms, cycloalkyl, phenyl, benzyl, halo lower alkyl, morpholino or $-(\text{CH}_2)_n\text{N}(\text{R}_4)_2$ wherein n is 1-5 and R_4 is lower alkyl, but is not hydrogen when R_1 is NHR_3 ; or R_1 and R_2 comprises a ring represented by one of the following structural formulas:



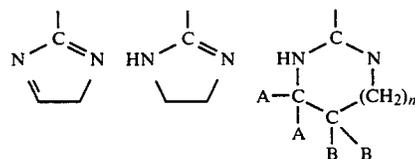
wherein

m is 0-6;

A and B are independently hydrogen, alkyl of 1-6 carbon atoms or cycloalkyl; and

X is halo or A.

2. A compound of claim 1 wherein n is 3 or 4, R_1 is $-\text{NHR}_3$ wherein R_3 is alkyl of 2-6 carbon atoms and R_2 is alkyl of 1-6 carbon atoms, or R_1 and R_2 comprise a ring represented by one of the following structural formulas:



wherein m is 0-2 and A and B are hydrogen or alkyl of 1 to 4 carbon atoms, or a pharmaceutically acceptable salt thereof.

3. A compound of claim 1 wherein R_1 is $-\text{NHR}_3$ in which R_3 is alkyl of 2-6 carbon atoms or cycloalkyl, or a pharmaceutically acceptable salt thereof A.

4. A compound according to claim 3 wherein R_1 is $-\text{NHR}_3$ wherein R_3 is alkyl of 2 to 6 carbon atoms and R_2 is the same as R_3 , or a pharmaceutically acceptable salt thereof.

5. A compound according to claim 4 wherein n is 4 and R_2 and R_3 are the same and are ethyl, n-propyl, n-butyl, or n-hexyl, or a pharmaceutically acceptable salt thereof.

6. A compound according to claim 5 which is N,N' -guanidino-diethylhomoarginine, or a pharmaceutically acceptable salt thereof.

7. A compound according to claim 5 which is N,N' -guanidino-dibutylhomoarginine, or a pharmaceutically acceptable salt thereof.

8. A compound according to claim 5 which is N,N' -guanidino-dipropylhomoarginine, or a pharmaceutically acceptable salt thereof.

9. A compound according to claim 3 wherein R_1 is $-\text{NHR}_3$ wherein R_3 is alkyl of 2 to 6 carbon atoms and R_2 is alkyl of 1 to 6 carbon atoms but is not the same as R_3 , or a pharmaceutically acceptable salt thereof.

10. A compound according to claim 9 which is N,N' -guanidino-methyl,propylhomoarginine, or a pharmaceutically acceptable salt thereof.

11. A compound according to claim 9 which is N,N' -guanidino-methyl,butylhomoarginine, or a pharmaceutically acceptable salt thereof.

12. A compound according to claim 9 which is N,N' -guanidino-methyl,hexylhomoarginine, or a pharmaceutically acceptable salt thereof.

13. A compound according to claim 1 which is N,N' -guanidino-bis(2,2,2-trifluoroethyl)homoarginine, or a pharmaceutically acceptable salt thereof.

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