

morpholine)acetate, ethyl 2-acetamido-2-(N-anilino)acetate, 2-acetamido-2-(N-(3-pyrazolylamino))acetate, ethyl 2-acetamido-2-(N-hydroxyamino)acetate, ethyl 2-acetamido-2-(N-(N-methylhydroxyamino))acetate, ethyl 2-acetamido-2-(N-(N,O-dimethylhydroxyamino))acetate, 2-acetamido-N-benzyl-2-aminoacetamide, 2-acetamido-N-benzyl-2-(methylamino)acetamide, 2-acetamido-N-benzyl-2-(ethylamino)acetamide, 2-acetamido-N-benzyl-2-(N-anilino)acetamide, 2-acetamido-N-benzyl-2-(N-(3-pyrazolylamino))acetamide, 2-acetamido-N-benzyl-2-(N,N-dimethylamino)acetamide, 2-acetamido-N-benzyl-2-(N-hydroxyamino)acetamide, 2-acetamido-N-benzyl-2-(N-hydroxyamino)acetamide, 2-acetamido-N-benzyl-2-(N²-phenylhydrazino)acetamide, 2-acetamido-N-benzyl-2-(N²-benzyloxycarbonylhydrazino)acetamide, 2-acetamido-N-benzyl-2-phenoxycetamide, 2-acetamido-N-benzyl-2-(methylmercapto)acetamide, 2-acetamido-N-benzyl-2-(ethylmercapto)acetamide, 2-acetamido-N-benzyl-2-(N-methoxyamino)acetamide, 2-acetamido-N-benzyl-2-(N-(N-methylhydroxyamino))acetamide, 2-acetamido-N-benzyl-2-(N-(N,O-dimethylhydroxyamino))acetamide, 2-acetamido-N-benzyl-2-(N-isoxazolidino)acetamide, 2-acetamido-N-benzyl-2-hydroxyacetamide, 2-acetamido-N-benzyl-2-(ethylmercapto)acetamide, 2,2-diacetamido-N-benzylacetamide, 2-acetamido-N-benzyl-2-trifluoroacetamidoacetamide, 2-acetamido-N-benzyl-2-(N,N,N-trimethylammonium)acetamide tetrafluoroborate, 2-acetamido-N-benzyl-2-(ethylmercapto)acetamide-S-oxide, 2-acetamido-N-benzyl-2-(S-ethylmercapto)acetamide-S-oxide, 2-acetamido-N-benzyl-2-(ethanesulfonyl)acetamide, 2-acetamido-N-benzyl-2-(N,N,N-trimethylammonium)acetamide tetrafluoroborate, 2-acetamido-N-benzyl-2-(1-pyrrole)acetamide, 2-acetamido-N-benzyl-2-(1-imidazole)acetamide, 2-acetamido-N-benzyl-2-(1-pyrazole)acetamide, 2-acetamido-N-benzyl-2-(1-(1,2,4-triazole))acetamide, 2-acetamido-N-benzyl-2-(1-tetrazole))acetamide, α -acetamido-N-benzyl-2-pyridylacetamide, α -acetamido-N-benzyl-2-pyridyl acetamide N-oxide, α -acetamido-N-benzyl-2-(S-thiophenoxy)-acetamide, α -acetamido-N-benzyl-2-(tetrahydrofuran)acetamide, methyl α -acetamido-2-methyl-2-furanacetate, α -acetamido-2-methyl-2-furanacetic acid, α -acetamido-N-benzyl-2-methyl-2-furanacetamide, α -thioacetamido-N-benzyl-2-furanacetamide, α -thioacetamido-N-benzyl-2-furanthioacetamide, α -acetamido-N-(3-pyridinylmethyl)-2-furanacetamide, α -acetamido-N-(4-pyridinylmethyl)-2-furanacetamide, α -acetamido-N-(1-oxo-3-pyridinylmethyl)-2-furanacetamide, α -acetamido-N-(1-oxo-4-pyridinylmethyl)-2-furanacetamide, R(-) α -acetamido-N-(4-fluorobenzyl)-2-furanacetamide, R(-) α -acetamido-N-(4-trifluoromethylbenzyl)-2-furanacetamide, methyl [acetamido(benzylcarbamoyl)methyl]carbamate, phenyl [acetamido(benzylcarbamoyl)methyl]carbamate, 1-[acetamido(benzylcarbamoyl)methyl]-3-methylurea), 1-[acetamido(benzylcarbamoyl)methyl]-3-phenylurea), 1-[acetamido(benzylcarbamoyl)methyl]-3-benzenesulfonylurea), 1-[acetamido(benzylcarbamoyl)methyl]-3-methylthiourea), 1-[acetamido(benzylcarbamoyl)methyl]-3-phenylthiourea), N-[acetamido(benzylcarbamoyl)methyl]phthalamic acid), 2-acetamido-N-benzyl-2-(N-succinimidyl)acetamide), benzyl N-[acetamido(benzylcarbamoyl)methyl]malonamate, ethyl N-[acetamido(benzylcarbamoyl)methyl]glycinate, benzyl N-[acetamido(benzylcarbamoyl)methyl]glycinate, N-[acetamido(benzylcarbamoyl)methyl]glycine, 2-acetamide-N-benzyl-2-(1-pyrrole)acetamide,

2-acetamido-N-benzyl-2-(1-pyrazole)acetamide, 2-acetamido-N-benzyl-2-(1-imidazole)acetamide, 2-acetamido-N-benzyl-2-(1-(1,2,4-triazole))acetamide, 2-acetamido-N-benzyl-2-(1-tetrazole))acetamide, α -acetamido-N-benzyl-1-(dimethylsulfamoyl)imidazole-4-acetamide, α -acetamido-N-benzyl-4-imidazole acetamide, α -acetamido-N-benzyl-2-imidazole acetamide, α -acetamido-N-benzyl-5-(tetrazole)acetamide, α -acetamido-N-benzyl-3-(1,2,4-triazole)acetamide, α -acetamido-N-benzyl-2-(carboxamide oxime)acetamide, α -acetamido-N-benzyl-2-(carboxamide oxime-(O-acetate))-acetamide, α -acetamido-N-benzyl-3-(1,2,4-oxadiazole)acetamide, α -acetamido-N-benzyl-2-(thioamide)acetamide), 2-acetamido-N-benzyl-2-vinylacetamide, 2-acetamido-N-benzyl-2-epoxyacetamide, potassium 2-acetamido-N-benzylacetamide-2-sulfonate, 2-acetamido-4-pentenic acid-N-benzylamide, α -acetamido-N-benzyl-2-(2-oxazole)-acetamide, and α -acetamido-N-benzyl-2-(2-thiazole)-acetamide.

23. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound from any one of claims 1-15 and 22 and a pharmaceutical carrier therefor.

24. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound from claim 16 and a pharmaceutical carrier therefor.

25. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound from claim 17 and a pharmaceutical carrier therefor.

26. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound from claim 18 and a pharmaceutical carrier therefor.

27. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound of claim 19 and a pharmaceutical carrier therefor.

28. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound of claim 20 and a pharmaceutical carrier therefor.

29. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound of claim 21 and a pharmaceutical carrier therefor.

30. A method of treating CNS disorders in an animal comprising administering to said animal an anti-convulsant effective amount of a compound according to any one of claims 1-15 and 22.

31. A method of treating CNS disorders in an animal comprising administering to said animal an anti-convulsant effective amount of a compound of claim 16.

32. A method of treating CNS disorders in an animal comprising administering to said animal an anti-convulsant effective amount of a compound of claim 17.

33. A method of treating CNS disorders in an animal comprising administering to said animal an anti-convulsant effective amount of a compound of claim 18.

34. A method of treating CNS disorders in an animal comprising administering to said animal an anti-convulsant effective amount of a compound of claim 19.

35. A method of treating CNS disorders in an animal comprising administering to said animal an anti-convulsant effective amount of a compound of claim 20.

36. A method of treating CNS disorders in an animal comprising administering to said animal an anti-convulsant effective amount of a compound of claim 21.

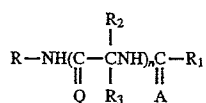
37. The compound according to any one of claims 1, 10, 12 or 14 wherein n is 1 and R is lower alkyl which is unsubstituted or substituted with an electron donating group or electron withdrawing group.

38. The compound according to any one of claims 1, 10, 12 or 14 wherein n is 1; R₁ is methyl and R is lower arylalkyl

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which is unsubstituted or substituted with an electron withdrawing group or electron donating group.

39. A compound of the formula



or the pharmaceutically acceptable salts thereof wherein

R is aryl, aryl lower alkyl, heterocyclic, heterocyclic lower alkyl, cycloalkyl or lower cycloalkyl lower alkyl, wherein R is unsubstituted or is substituted with at least one electron withdrawing group or an electron donating group;

R₁ is hydrogen or lower alkyl and R₁ is unsubstituted or substituted with at least one electron withdrawing group or at least one electron donating group;

A and Q are both O;

one of R₂ and R₃ is hydrogen and the other is lower alkyl which is substituted with an electron donating group or a electron withdrawing group and n is 1-4.

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40. The compound according to claim 39 wherein one of R₂ and R₃ is hydrogen and the other is lower alkyl substituted with an electron donating group.

41. The compound according to claim 40 wherein one of R₂ and R₃ is alkyl substituted with an electron donating group wherein alkyl is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, amyl or hexyl.

42. The compound according to claim 41 wherein one of R₂ and R₃ is methyl substituted with an electron donating group.

43. The compound according to claim 42 wherein the electron donating group is lower alkoxy.

44. The compound according to claim 43 wherein lower alkoxy is methoxy.

45. The compound according to any one of claims 39-44 wherein n is 1.

46. An anti-convulsant composition comprising an anti-convulsant effective amount of a compound from any one of claim 37-42 and a pharmaceutical carrier therefor.

47. A method of treating CNS disorders in an animal comprising administering to said animal an anti-convulsant effective amount of a compound of any one of claims 39-44.

* * * * *

UNITED STATES PATENT AND TRADEMARK OFFICE
CERTIFICATE OF CORRECTION

PATENT NO. : 5,654,301
DATED : August 5, 1997
INVENTOR(S) : Harold Kohn, et al.

Page 1 of 5

It is certified that error appears in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

Column 34.

Line 59: "Ch₃ H)" should read -- C₃ H) --
Line 59: "8.62 Hz" should read -- 8.62 (d,J=7.7 --
Line 60: "H," should read -- Hz, --

Column 35.

Line 15: "form" should read -- formed --
Line 35: "8.89" should read -- 8.99 --

Column 37.

Line 53: "α" should read -- 2 --
Line 60: "or" should read -- of --

Column 38.

Line 65: "13_c" should read -- 13_c --

Column 40.

Line 25: "2.33" should read -- 2.23 --
Line 25: "425" should read -- 4.25 --
Line 67: "(M³⁰=1)" should read -- (M⁺= 1) --

Column 41.

Line 25: "2-hydroxyamino" should read -- 2-(N-hydroxyamino) --

Column 42.

Line 55: "(M⁺ + 100)" should read -- (M⁺ + 1,100) --

Column 19.

Line 30: "intraperitoncally" should read -- intraperitoneally --

Column 27.

Line 45: "16 °" should read -- 169 ° --

Column 28.

Line 56: "7.1.7" should read -- 7.17 --

Column 30.

Line 37: "63" should read -- 6.3 --
Line 64: "785" should read -- 735 --

UNITED STATES PATENT AND TRADEMARK OFFICE
CERTIFICATE OF CORRECTION

PATENT NO. : 5,654,301
DATED : August 5, 1997
INVENTOR(S) : Harold Kohn, et al.

Page 2 of 5

It is certified that error appears in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

Column 31,

Line 21: "cbloroform" should read -- chloroform --
Line 49: "2.78" should read -- 2.75 --

Column 33,

Line 16: "(D,L)" should read -- (D,L) --
Line 38: "94:4" should read -- 96:4 --
Lines 41 & 42: "80" should read -- 8.0 --
Line 48: "C₃" should read -- C₆ --

Column 34,

Line 15: "130" should read -- 139 --
Line 41: "M + 1" should read -- M⁺ + 1 --

Column 43,

Lines 21 & 49: "acetamido" should read -- acetamide --
Line 59: "1.84" should read -- 1.84 --

Column 44,

Line 46, "n" should read -- a --
Line 46: "ethoxyacetamido" should read -- ethoxyacetamide --
Line 65: "acetamido" should read -- acetamide --

Column 45,

Line 18: after "spectrum" insert -- (FD) --
Line 39: "7.5" should read -- 7.52 --
Line 56: "73.3" should read -- 7.33 --

Column 46,

Line 25: "CH₃ Cl₂," should read -- CH₂ Cl₂ --

Column 49,

Lines 57-58: "O-methylhydroxyamino" should read -- O-dimethylhydroxyamino --

Column 50,

Line 40: "149" should read -- 14.9 --

UNITED STATES PATENT AND TRADEMARK OFFICE
CERTIFICATE OF CORRECTION

PATENT NO. : 5,654.301
DATED : August 5, 1997
INVENTOR(S) : Harold Kohn, et al.

Page 3 of 5

It is certified that error appears in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

Column 51,

Line 24: "accetamido" should read -- acetamide --
Line 38: "Dicacetamido" should read -- Diacetamide --
Line 46: "and-was" should read -- and was --

Column 52,

Line 16: "166.3.9" should read -- 166.39 --

Column 54,

Line 16: "Time" should read -- The --

Column 55,

Line 7: "H" should read -- It --

Column 56,

Line 55: "C₁" should read -- C₁₂ --
Line 66: "while" should read -- white --
Line 66: "alter" should read -- after --

Column 58,

Line 30: "dr" should read -- dt --

Column 59,

Line 62: after "1.89" insert -- (s, --
Line 63: Delete -- (s, --

Column 60,

Line 4: "1" should read -- 91 --

Column 61,

Line 30: "(C₂), H" should read -- CH₂), --

Column 63,

Line 38: "add" should read -- acid --

Column 65,

Line 10: "8.62" should read -- 8.61 --
Line 21: "arhydride" should read -- anhydride --

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