

CLAIMS

What is claimed is:

1. A pharmaceutical formulation, comprising:
(1S)-1-phenyl-2-pyridin-2-ylethylamine, a pharmaceutically acceptable salt thereof, a solvate thereof, or a prodrug thereof; and
a pharmaceutically acceptable topical carrier.
2. The pharmaceutical formulation of Claim 1, comprising a pharmaceutically acceptable salt of (1S)-1-phenyl-2-pyridin-2-ylethylamine.
3. The pharmaceutical formulation of Claim 2, wherein the pharmaceutically acceptable salt is a salt of a C1-C30 carboxylic acid.
4. The pharmaceutical formulation of Claim 3, wherein the C1-C30 carboxylic acid is a C1-C5 carboxylic acid.
5. The pharmaceutical formulation of Claim 3, wherein the C1-C30 carboxylic acid is a C6-C12 carboxylic acid.
6. The pharmaceutical formulation of Claim 3, wherein the C1-C30 carboxylic acid is a C13-C21 carboxylic acid.
7. The pharmaceutical formulation of Claim 3, wherein the C1-C30 carboxylic acid is a C22-C30 carboxylic acid.
8. The pharmaceutical formulation of Claim 3, wherein the C1-C30 carboxylic acid is a naturally occurring fatty acid.
9. The pharmaceutical formulation of Claim 8, wherein the naturally occurring fatty acid is a saturated fatty acid.

10. The pharmaceutical formulation of Claim 9, wherein the saturated fatty acid is caprylic acid, capric acid, lauric acid, stearic acid, arachidic acid, behenic acid, lignoceric acid, or cerotic acid.
11. The pharmaceutical formulation of Claim 9, wherein the naturally occurring fatty acid is an unsaturated fatty acid.
12. The pharmaceutical formulation of Claim 11, wherein the unsaturated fatty acid is a *cis*-unsaturated fatty acid.
13. The pharmaceutical formulation of Claim 12, wherein the *cis*-unsaturated fatty acid is myristoleic acid, palmitoleic acid, sapienic acid, oleic acid, linoleic acid, α -linoleic acid, arachidonic acid, eicosapentaenoic acid, erusic acid, or docosahexaenoic acid.
14. The pharmaceutical formulation of Claim 11, wherein the unsaturated fatty acid is a *trans*-unsaturated fatty acid.
15. The pharmaceutical formulation of Claim 14, wherein the *trans*-unsaturated fatty acid is elaidic acid, vaccenic acid, or linoelaidic acid.
16. The pharmaceutical formulation of Claim 9, wherein the naturally occurring fatty acid is a linear chain carboxylic acid comprising an even number of carbon atoms.
17. The pharmaceutical formulation of Claim 16, wherein the linear chain carboxylic acid comprising an even number of carbon atoms is caprylic acid, capric acid, lauric acid, stearic acid, arachidic acid, behenic acid, lignoceric acid, cerotic acid, myristoleic acid, palmitoleic acid, sapienic acid, oleic acid, linoleic acid, α -linoleic acid, arachidonic acid, eicosapentaenoic acid, erusic acid, or docosahexaenoic acid.
18. The pharmaceutical formulation of Claim 9, wherein the naturally occurring fatty acid is a linear chain carboxylic acid comprising an odd number of carbon atoms.

19. The pharmaceutical formulation of Claim 18, wherein the carboxylic acid comprising an odd number of carbon atoms is a pentadecanoic acid or a heptadecanoic acid.

20. The pharmaceutical formulation of Claim 9, wherein the naturally occurring fatty acid is an omega-3 fatty acid.

21. The pharmaceutical formulation of Claim 20, wherein the omega-3 fatty acid is α -linoleic acid, stearidonic acid, eicosatetraenoic acid, eicosapentaenoic acid, clupanodonic acid, tetracosapentaenoic acid, tetracosahexaenoic acid, or docosahexaenoic acid.

22. The pharmaceutical formulation of Claim 9, wherein the naturally occurring fatty acid is an omega-6 fatty acid.

23. The pharmaceutical formulation of Claim 22, wherein the omega-6 fatty acid is linoleic acid, γ -linoleic acid, dihomo- γ -linoleic acid, arachidonic acid, tetracosatetraenoic acid, tetracosapentaenoic acid, or osbond acid.

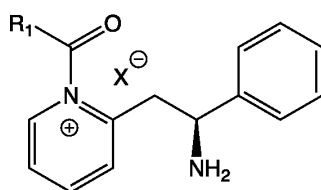
24. The pharmaceutical formulation of Claim 1, comprising a solvate of (1S)-1-phenyl-2-pyridin-2-ylethanamine.

25. The pharmaceutical formulation of Claim 1, wherein the solvate is a solvate with an alcohol selected from the group consisting of a C1-C30 alcohol, a C1-C20 alcohol, a C1-C10 alcohol, and a C1-C5 alcohol.

26. The pharmaceutical formulation of Claim 1, comprising a prodrug of (1S)-1-phenyl-2-pyridin-2-ylethanamine.

27. The pharmaceutical formulation of Claim 26, wherein the prodrug is represented by Chemical Formula 1:

Chemical Formula 1



wherein, in Chemical Formula 1,

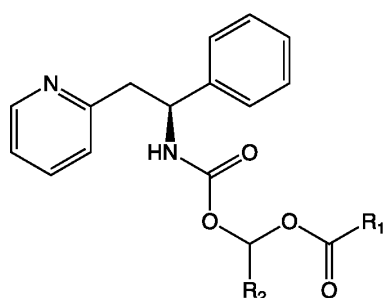
R_1 is a lipophilic moiety derived from a C1-C30 carboxylic acid having formula $R_1\text{-CO}_2\text{H}$, and

X is a moiety derived from an inorganic or organic acid.

28. The pharmaceutical formulation of Claim 27, wherein X is a halogen or a residue of a nitrogen-containing, sulfur-containing, or phosphorus-containing inorganic or organic acid.

29. The pharmaceutical formulation of Claim 26, wherein the prodrug is represented by Chemical Formula 2:

Chemical Formula 2



wherein, in Chemical Formula 2,

R_1 is a lipophilic moiety derived from a C1-C30 carboxylic acid having formula $R_1\text{-CO}_2\text{H}$,

R_2 is H or a C1-C10 unsubstituted or substituted hydrocarbon group, and

X is a moiety derived from an inorganic or organic acid.

30. The pharmaceutical formulation of Claim 29, wherein X is a halogen or a residue of a nitrogen-containing, sulfur-containing, or phosphorus-containing inorganic or organic acid.

31. The pharmaceutical formulation of Claim 29, wherein R_1 is a lipophilic moiety derived from a C8-C30 carboxylic acid having formula $R_1\text{-CO}_2\text{H}$.

32. The pharmaceutical formulation of Claim 29, wherein R₂ is selected from the group consisting of a C1-C10 alkyl group, a C1-C10 alkenyl group, and a C1-C10 alkynyl group.

33. The pharmaceutical formulation of Claim 1, further comprising an analgesic, an anesthetic, a medicinal agent, or a combination thereof.

34. The pharmaceutical formulation of Claim 33, wherein the medicinal agent is selected from the group consisting of an antifungal agent, an antibiotic, an antiseptic, an anti-inflammatory agent, and a neuroprotective agent.

35. The pharmaceutical formulation of Claim 1, wherein the pharmaceutically acceptable topical carrier comprises a skin retardant, a carrier, a solvent or solubilizer, a lipophilic component, a surfactant, a preservative or antioxidant, an anti-foaming agent, an emollient, a humectant, a skin protector, a penetration enhancer, a thickening agent, a bioadhesive polymer, a chelating agent, a buffering agent, or a combination thereof.

36. The pharmaceutical formulation of Claim 35, wherein the lipophilic component comprises an emulsifying agent, a stiffening agent, a hydrophobic material, a lipophilic solvent, or a combination thereof.

37. The pharmaceutical formulation of Claim 35, wherein the surfactant is a cationic surfactant, a non-ionic surfactant, an anionic surfactant, an amphoteric surfactant, or a combination thereof.

38. The pharmaceutical formulation of Claim 35, further comprising a lipid absorbent, a viscosity stabilizer, an anti-fading agent, a moisture absorbent, a fragrance, a colorant, a film-forming material, a refatting agent, or a combination thereof.

39. The pharmaceutical formulation of Claim 1, comprising on a weight basis:
about 0.5 to about 15 percent of (1S)-1-phenyl-2-pyridin-2-ylethanamine, a pharmaceutically acceptable salt thereof, a solvate thereof, or a prodrug thereof,
about 0.01 to about 1 percent of a chelating agent,

about 0.15 to about 1.5 percent of a cross-linked polyacrylic acid interpolymers,
about 0.15 to about 1.5 percent of a cross-linked polyacrylic acid homopolymer,
about 2.5 to about 6 percent oxybenzone,
about 0.25 to about 2.5 percent of an emulsifying agent,
about 5 to about 15 percent of a water-miscible alkylene glycol,
about 10 to about 30 percent of a C₂ to C₃ alkanol,
about 0.5 to about 2.5 percent of a cosmetic preservative,
about 0.02 to about 2 percent of an antioxidant,
about 0.001 to about 0.1 percent of an emollient,
a buffering agent in an amount sufficient to maintain a pH value of the formulation in the range of about 4.5 to about 6, and
the remainder water.

40. The pharmaceutical formulation of Claim 1, comprising on a weight basis:
about 10 percent of (1S)-1-phenyl-2-pyridin-2-ylethanamine, a pharmaceutically acceptable salt thereof, a solvate thereof, or a prodrug thereof,
about 0.05 percent disodium salt of ethylenediaminetetracetic acid,
about 1.25 percent of a cross-linked polyacrylic acid interpolymers,
about 0.5 percent of a cross-linked polyacrylic acid homopolymer,
about 5 percent of oxybenzone,
about 0.5 percent of PEG-40 hydrogenated castor oil,
about 10 percent propylene glycol,
about 10 percent of anhydrous ethanol,
about 9 percent isopropanol,
about 1 percent benzyl alcohol,
about 0.05 percent Vitamin E,
about 1 percent of butylated hydroxytoluene,
about 3 percent isopropyl myristate,
about 1.5 percent triethanolamine, and
the remainder water.

41. The pharmaceutical formulation of Claim 1, comprising on a weight basis:

about 5 percent of (1S)-1-phenyl-2-pyridin-2-ylethanamine, a pharmaceutically acceptable salt thereof, a solvate thereof, or a prodrug thereof,

about 0.05 percent disodium salt of ethylenediaminetetracetic acid,

about 1.25 percent of a cross-linked polyacrylic acid interpolymers,

about 0.5 percent of a cross-linked polyacrylic acid homopolymer,

about 5 percent of oxybenzone,

about 0.5 percent of PEG-40 hydrogenated castor oil,

about 10 percent propylene glycol,

about 10 percent of anhydrous ethanol,

about 9 percent isopropanol,

about 1 percent benzyl alcohol,

about 0.05 percent Vitamin E,

about 1 percent of butylated hydroxytoluene,

about 3 percent isopropyl myristate,

about 1.5 percent triethanolamine, and

the remainder water.

42. The pharmaceutical formulation of Claim 1, comprising on a weight basis:

about 0.5 percent of (1S)-1-phenyl-2-pyridin-2-ylethanamine, a pharmaceutically acceptable salt thereof, a solvate thereof, or a prodrug thereof,

about 0.05 percent disodium salt of ethylenediaminetetracetic acid,

about 1.25 percent of a cross-linked polyacrylic acid interpolymers,

about 0.5 percent of a cross-linked polyacrylic acid homopolymer,

about 5 percent of oxybenzone,

about 0.5 percent of PEG-40 hydrogenated castor oil,

about 10 percent propylene glycol,

about 10 percent of anhydrous ethanol,

about 9 percent isopropanol,

about 1 percent benzyl alcohol,

about 0.05 percent Vitamin E,

about 1 percent of butylated hydroxytoluene,

about 3 percent isopropyl myristate,

about 1.5 percent triethanolamine, and
the remainder water.

43. The pharmaceutical formulation of Claim 1, comprising on a weight basis:
about 0.5 to about 15 percent of (1S)-1-phenyl-2-pyridin-2-ylethanamine, a
pharmaceutically acceptable salt thereof, a solvate thereof, or a prodrug thereof,
a non-basic polymeric skin penetration enhancer present in an amount sufficient to enhance
skin penetration of lanicemine, wherein the polymeric skin penetration enhancer is a member of the
group consisting of a water-dispersable acid polymer, a polysaccharide gum, or a combination thereof;
and a carrier consisting essentially of water; and
a lipophilic solvent which is a combination of one or more aliphatic C₂ to C₈ alcohols and an
aliphatic C₈ to C₃₀ ester, wherein the lipophilic solvent is present in an amount of about 10 percent to
about 40 percent, wherein the ratio of the amount by weight of the aliphatic alcohol to the amount by
weight of the ester is in the range of about 7 to about 1.

44. The pharmaceutical formulation of Claim 43, wherein the non-basic polymeric skin
penetration enhancer is a cross-linked polyacrylic acid interpolymer, a cross-linked polyacrylic acid
homopolymer, 2-dimethylaminopropionic acid dodecyl ester or a pharmaceutically acceptable salt
thereof, or a combination thereof.

45. The pharmaceutical formulation of Claim 43, wherein the non-basic skin penetration
enhancer may be present in the amount of 1.5 to 1.75 percent based on the weight of the formulation.

46. The pharmaceutical formulation of Claim 43, wherein the lipophilic solvent is ethyl
alcohol, isopropyl alcohol, isopropyl myristate, or a combination thereof.

47. The pharmaceutical formulation of Claim 43, further comprising a chelating agent in the
amount of 0.01 to 0.1 percent based on the weight of the formulation.

48. The pharmaceutical formulation of Claim 47, wherein the chelating agent is disodium
salt of ethylenediaminetetraacetic acid.

49. The pharmaceutical formulation of Claim 43, further comprising oxybenzone in the amount of 1 to 7 percent based on the weight of the formulation.
50. The pharmaceutical formulation of Claim 43, further comprising an emulsifying agent in the amount of 0.01 to 1 percent based on the weight of the formulation.
51. The pharmaceutical formulation of Claim 50, wherein the emulsifying agent is PEG-40 hydrogenated castor oil.
52. The pharmaceutical formulation of Claim 43, further comprising a water-miscible alkylene glycol in the amount of 7 to 12 percent based on the weight of the formulation.
53. The pharmaceutical formulation of Claim 52, wherein the water-miscible alkylene glycol is propylene glycol.
54. The pharmaceutical formulation of Claim 43, further comprising a cosmetic preservative in the amount of 0.05 to 0.15 percent based on the weight of the formulation.
55. The pharmaceutical formulation of Claim 54, wherein the cosmetic preservative is benzyl alcohol.
56. The pharmaceutical formulation of Claim 43, further comprising an antioxidant in the amount of 1 to 1.1 percent based on the weight of the formulation.
57. The pharmaceutical formulation of Claim 56, wherein the antioxidant is vitamin E, butylated hydroxytoluene, or a combination thereof.
58. The pharmaceutical formulation of Claim 43, further comprising a buffering agent in an amount sufficient to maintain a pH value of the formulation in the range of 4.5 to 6.
59. The pharmaceutical formulation of Claim 58, wherein the buffering agent may be triethanolamine.

60. The pharmaceutical formulation of Claim 43, comprising on a weight basis:

- about 10 percent of (1S)-1-phenyl-2-pyridin-2-ylethanamine, a pharmaceutically acceptable salt thereof, a solvate thereof, or a prodrug thereof;
- about 1.25 percent of a cross-linked polyacrylic acid interpolymer and about 0.5 percent of a cross-linked polyacrylic acid homopolymer;
- about 19 percent of a mixture of one or more aliphatic alcohols and about 3 percent of an aliphatic ester;
- about 0.05 percent of a chelating agent;
- about 10 percent oxybenzone;
- about 0.5 percent of an emulsifying agent;
- about 10 percent of a water-miscible alkylene glycol;
- about 1 percent of a cosmetic preservative;
- about 1.05 percent of a mixture of antioxidants;
- about 1.5 percent of a pH modifier; and
- the remainder water.

61. The pharmaceutical formulation of Claim 43, comprising on a weight basis:

- about 5 percent of (1S)-1-phenyl-2-pyridin-2-ylethanamine, a pharmaceutically acceptable salt thereof, a solvate thereof, or a prodrug thereof;
- about 1.25 percent of a cross-linked polyacrylic acid interpolymer and about 0.5 percent of a cross-linked polyacrylic acid homopolymer;
- about 19 percent of a mixture of one or more aliphatic alcohols and about 3 percent of an aliphatic ester;
- about 0.05 percent of a chelating agent;
- about 5 percent oxybenzone;
- about 0.5 percent of an emulsifying agent;
- about 10 percent of a water-miscible alkylene glycol;
- about 1 percent of a cosmetic preservative;
- about 1.05 percent of a mixture of antioxidants;
- about 1.5 percent of a pH modifier; and
- the remainder water.

62. The pharmaceutical formulation of Claim 43, comprising on a weight basis:

- about 2.5 percent of (1S)-1-phenyl-2-pyridin-2-ylethanamine, a pharmaceutically acceptable salt thereof, a solvate thereof, or a prodrug thereof;
- about 1.25 percent of a cross-linked polyacrylic acid interpolymer and about 0.5 percent of a cross-linked polyacrylic acid homopolymer;
- about 19 percent of a mixture of one or more aliphatic alcohols and about 3 percent of an aliphatic ester;
- about 0.05 percent of a chelating agent;
- about 3 percent oxybenzone;
- about 0.5 percent of an emulsifying agent;
- about 10 percent of a water-miscible alkylene glycol;
- about 1 percent of a cosmetic preservative;
- about 1.05 percent of a mixture of antioxidants;
- about 1.5 percent of a pH modifier; and
- the remainder water.

63. A method for treating neuropathic pain, comprising administering to a patient in need of such treatment a therapeutically effective amount of the pharmaceutical formulation, comprising:

- (1S)-1-phenyl-2-pyridin-2-ylethanamine, a pharmaceutically acceptable salt thereof, a solvate thereof, or a prodrug thereof; and
- a pharmaceutically acceptable topical carrier.

64. The method of Claim 63, wherein the neuropathic pain is peripheral neuropathy, mononeuropathy, mononeuritis multiplex, polyneuropathy, autonomic neuropathy, neuritis, phantom limb pain, reflex-sympathetic dystrophy, causalgia, syringomyelia, painful scar, specific neuralgias at any location of the body, back pain, diabetic neuropathy, alcoholic neuropathy, metabolic neuropathy, inflammatory neuropathy, chemotherapy-induced neuropathy, herpetic neuralgias, traumatic odontalgia, endodontic odontalgia, thoracic-outlet syndrome, cervical, thoracic or lumbar radiculopathy with nerve compression, cancer with nerve invasion, traumatic-avulsion injury, mastectomy, thoracotomy pain, spinal-cord-injury, stroke, abdominal-cutaneous nerve entrapments, tumors of neural tissues, arachnoiditis, stump pain, fibromyalgia, regional sprains or strains, myofascial pain,

psoriatic arthropathy, polyarteritis nodosa, osteomyelitis, burns involving nerve damage, AIDS-related pain syndromes, sunburn associated syndromes, or connective tissue disorders.