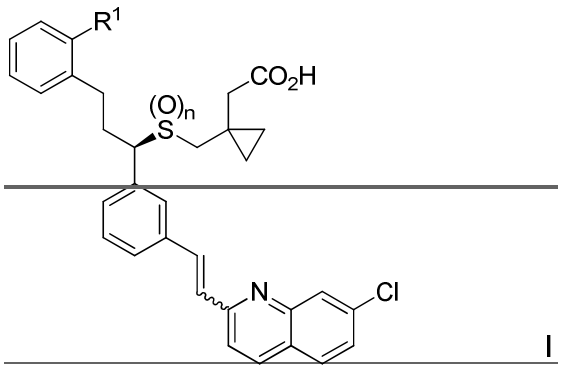
Claims

~~1. A peptide-containing compound that comprises a peptide component which is an amino acid sequence of from 2 to 45 amino acids in number, which peptide component is covalently bonded to one or more compounds of the formula I:~~



I

~~wherein:~~

~~R^1 is selected from the group consisting of $C(CH_3)_2OH$, $COCH_3$, $C(CH_3)=CH_2$ and $C(CH_3)_2H$; and~~

~~n is 0, 1 or 2,~~

~~as well as regioisomers, stereoisomers, and pharmaceutically or cosmetically acceptable salts of said peptide-containing compound.~~

~~10. A peptide-containing compound as claimed in Claim 1, wherein~~ the peptide component comprises a sequence of 6 to 12 amino acids.

~~12. A peptide-containing compound as claimed in Claim 1 or Claim 2, wherein the peptide component is coupled to at least one compound of formula I through a primary amide linkage formed at the N-terminal of said peptide component.~~

that

~~14. A peptide-containing~~ compound as claimed in any one of the preceding claims, ~~wherein the peptide component is coupled to at least one compound of formula I through a primary amide linkage formed through at least one free $-NH_2$ group in one or more amino acids in the sequence that is/are not the N-terminal amino acid.~~

~~16. A peptide-containing compound as claimed in any one of the preceding claims, wherein at least one of the amino acids in the peptide component is selected from the group lysine and/or arginine.~~

~~18. A peptide-containing compound as claimed in Claim 5, wherein at least about 5% of the amino acids are lysine and/or arginine, and/or at least about 20% of the amino acids are lysine and/or arginine.~~

~~20. A peptide-containing compound as claimed in any one of the preceding claims, wherein the peptide component is an anti-microbial and/or an anti-inflammatory peptide, or is a fragment, and/or a minor variant, of such a peptide.~~

~~22. A peptide-containing compound as claimed in any one of the preceding claims, wherein at least about 5% of the amino acids of the peptide component contain an aromatic group.~~

~~24. A peptide-containing compound as claimed in Claim 8, wherein at least about 10% of the amino acids contain an aromatic group.~~

~~26. A peptide-containing compound as claimed in Claim 8 or Claim 9, wherein the amino acids that contain an aromatic group are selected from the group consisting of tyrosine and 3,4-dihydroxyphenylalanine.~~

~~28. A peptide-containing compound as claimed in any one of the preceding claims, wherein the peptide component comprises one or more amino acid fragments/sequences of a mussel adhesive protein.~~

~~30. A peptide-containing compound as claimed in Claim 11, wherein the mussel adhesive protein is mefp-1.~~

1. ~~A peptide-containing compound as claimed in any one of the preceding claims, wherein the peptide component~~ comprises or consists of:

(a) the amino acid sequence:

X-Pro-Y-Z (SEQ ID No: 5),

wherein:

X represents a chain of 1 to 2 amino acid residues each independently selected from the group consisting of Ala and Lys;

Y is selected from the group consisting of Ser and pSer; and

Z represents a chain of 1 to 7 amino acid residues each independently selected from the group consisting of Tyr, pTyr, 3Hyp or 4Hyp, Thr, pThr, DOPA and Lys; ~~and~~

~~at least one of the Ala and/or Lys residues is bonded to one or more compounds of formula I.~~

~~(d)~~(b) ~~A peptide-containing compound as claimed in any one of the preceding claims, wherein the peptide component comprises or consists of~~ the amino acid sequence:

G^1-Lys-Pro-G^2-T-Hyp-G^3-Lys (SEQ ID No: 7),

wherein

G^1 is absent or represents Ala;

G^2 is selected from the group consisting of Ser and pSer;

T is selected from the group consisting of DOPA, Tyr and pTyr;

Hyp is selected from the group consisting of 3Hyp and 4Hyp; and

G^3 represents a chain of 1 to 3, or 1 to 4, amino acid residues each independently selected from the group consisting of Tyr, pTyr, 3Hyp, 4Hyp, Thr, pThr and DOPA; ~~and at least one of the Lys residues, and/or, where present, the Ala residue, is bonded to at least one compound of formula I, as defined in Claim 1.~~

~~(g)~~(c) ~~A peptide-containing compound as claimed in Claim 14, wherein the peptide component comprises or consists of~~ the amino acid sequence:

Lys-Pro-G^2-T-Hyp-G^3-Lys (SEQ ID No: 8),

wherein G^2, T, Hyp and G^3 ~~in Claim 14.~~

~~15. A peptide-containing compound~~are as ~~claimed in Claim 14, wherein G^1 represents Ala.~~

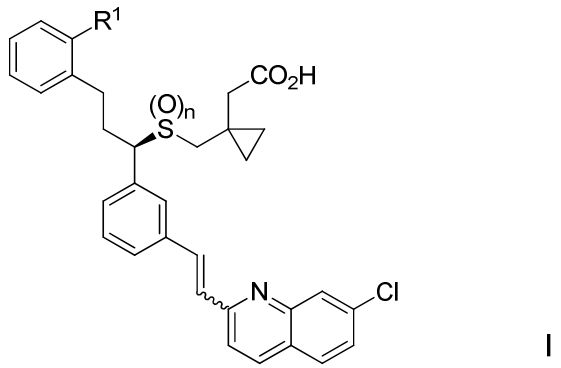
~~A peptide-containing compound as claimed in and one of Claims 14~~defined above; or ~~16, wherein the peptide component comprises or consists of~~

~~(h)~~(d) the amino acid sequence:

Ala-Lys-Pro-G^2-T-Hyp-Hyp-Thr-G^4-Lys (SEQ ID No: 9),

~~wherein G^2, T, and Hyp are as defined in Claim 14, and wherein~~ G^4 is selected from the group consisting of Tyr, pTyr, 3Hyp, 4Hyp, Thr, pThr and DOPA~~.~~, and G^2, T, and Hyp are as defined above; and

~~A peptide-containing compound as claimed in any~~at least one of ~~Claims 14~~the Lys residues, and/or, where present, the Ala residue, of the peptide component is covalently bonded to one or more compounds of the formula I.



I

wherein:

R^1 is selected from the group consisting of $-C(CH_3)_2OH$, $-COCH_3$, $-C(CH_3)=CH_2$ and $-C(CH_3)_2H$; and

n is 0, 1 or 2,

as well as regioisomers, stereoisomers, and pharmaceutically- or cosmetically-acceptable salts of said peptide-containing compound.

2. A peptide-containing compound as claimed in Claim 1, wherein~~17, wherein~~ G^1 represents Ala;

G^2 represents Ser~~.~~;

~~A peptide-containing compound as claimed in any one of Claims 14 to 18, wherein~~ T represents Tyr; and/or .

~~A peptide-containing compound as claimed in any one of Claims 14 to 19, wherein~~ G^3 or G^4 (as appropriate) represents Tyr or DOPA.

~~32.~~3. A peptide-containing compound as claimed in Claim ~~20~~1 or Claim 2, wherein the peptide component comprises or consists of the amino acid sequence:

Ala-Lys-Pro-Ser-Tyr-Hyp-Hyp-Thr-DOPA-Lys (SEQ ID No: 4);

Ala-Lys-Pro-pSer-Tyr-Hyp-Hyp-Thr-DOPA-Lys (SEQ ID No: 11);

Ala-Lys-Pro-Ser-Tyr-Hyp-Hyp-Thr-Tyr-Lys (SEQ ID No: 12);

Ala-Lys-Pro-pSer-Tyr-Hyp-Hyp-Thr-Tyr-Lys (SEQ ID No: 13);

Lys-Pro-Ser-Tyr-Hyp-DOPA-Lys (SEQ ID No: 14); ~~and~~

Lys-Pro-Ser-pTyr-Hyp-DOPA-Lys (SEQ ID No: 15~~).~~);

~~16. A peptide-containing compound as claimed in Claim 21, wherein the peptide component comprises or consists of the amino acid sequence:~~

~~Ala-Lys-Pro-Ser-Tyr-Hyp-Hyp-Thr-Tyr-Lys (SEQ ID No: 12).~~

~~17. A peptide-containing compound as claimed in any one of Claims 14 to 19, wherein G^3 (or G^4, as appropriate) represents -V^1-Thr-Tyr-V^2-, wherein V^1 is bonded to Hyp and V^2 is bonded to Lys, and V^1 and V^2 are, independently, either absent or represent one or two Hyp groups.~~

~~18. A peptide-containing compound as claimed in Claim 23, wherein -V^1-Thr-Tyr-V^2- represents -Hyp-Thr-Tyr-, -Hyp-Thr-Tyr-Hyp- or -Thr-Tyr-Hyp-.~~

~~19. A peptide-containing compound as claimed in Claim 23, wherein the peptide component comprises or consists of the amino acid sequence:~~

Ala-Lys-Pro-Ser-Tyr-Hyp-Hyp-Thr-DOPA-Hyp-Lys (SEQ ID No: 18);

Ala-Lys-Pro-pSer-Tyr-Hyp-Hyp-Thr-DOPA-Hyp-Lys (SEQ ID No: 19);

Ala-Lys-Pro-Ser-Tyr-Hyp-Hyp-Thr-Tyr-Hyp-Lys (SEQ ID No: 20);

Ala-Lys-Pro-pSer-Tyr-Hyp-Hyp-Thr-Tyr-Hyp-Lys (SEQ ID No: 21);

Ala-Lys-Pro-Ser-Tyr-Hyp-Thr-DOPA-Hyp-Lys (SEQ ID No: 22),

Ala-Lys-Pro-pSer-Tyr-Hyp-Thr-DOPA-Hyp-Lys (SEQ ID No: 23);

Ala-Lys-Pro-Ser-Tyr-Hyp-Thr-Tyr-Hyp-Lys (SEQ ID No: 24); and

Ala-Lys-Pro-pSer-Tyr-Hyp-Thr-Tyr-Hyp-Lys (SEQ ID No: 25).

~~19. A peptide-containing compound as claimed in Claim 25, wherein the peptide component comprises or consists of the amino acid sequence:~~
~~Ala-Lys-Pro-Ser-Tyr-Hyp-Thr-Tyr-Hyp-Lys (SEQ ID No: 24)~~

~~19. A peptide-containing compound as claimed in any one of the preceding claims, wherein one or more compounds of formula I is/are linked to the peptide component through one or more Ala or Lys moieties.~~

~~19. A peptide-containing compound as claimed in Claim 27, wherein one or more compounds of formula I is/are linked through an N-terminal Ala or Lys moiety and/or a C-terminal Lys moiety.~~

~~19. A peptide-containing compound as claimed in any one of the preceding claims, wherein one or two compounds of formula I is/are linked to the peptide component.~~

~~19. A peptide-containing compound as claimed in Claim 29, wherein one compound of formula I is linked to the peptide component.~~

~~19. A peptide-containing compound as claimed in Claim 7, wherein the peptide component is a cecropin or LL-37.~~

~~19. A peptide-containing compound as claimed in Claim 31, wherein the peptide component is cecropin A or cecropin B.~~

~~19. A peptide-containing compound as claimed in any one of the preceding claims, wherein, in the one or more compounds of formula I, R^1 is selected from the group consisting of $-C(CH_3)_2OH$, $-C(CH_3)=CH_2$ and $-C(CH_3)_2H$; and/or n is 0.~~

~~50.~~4. A peptide-containing compound as claimed in any one of the preceding claims, wherein the one or more compounds of formula I is/are montelukast, montelukast styrene, or hydrogenated montelukast styrene.

~~51.~~5. A peptide-containing compound as defined in any of the preceding claims, or a pharmaceutically- or cosmetically-acceptable salt thereof, for use as a pharmaceutical.

~~52.~~6. A pharmaceutical formulation comprising a compound as defined in any one of Claims 1 to ~~34~~4, or a pharmaceutically- or cosmetically-acceptable salt thereof, and a pharmaceutically- or cosmetically-acceptable, adjuvant, diluent or carrier

~~19. A pharmaceutical formulation as claimed in Claim 36 that is suitable for, adapted for, and/or packaged and presented for, topical administration, wherein the pharmaceutically- or cosmetically-acceptable adjuvant, diluent or carrier is a topical adjuvant, diluent or carrier.~~

~~19. A formulation as claimed in Claim 36 or Claim 37, which is in the form of a gel, a spray, a cream, an ointment or a dry powder.~~

~~19. A pharmaceutical formulation as claimed in any one of Claims 36 to 38, which further includes another antiinflammatory agent.~~

~~19. A kit of parts comprising components:~~
~~(A) a pharmaceutical formulation as defined in any one of Claims 36 to 38; and~~
~~(B) a pharmaceutical formulation including another antiinflammatory agent in admixture with a pharmaceutically-acceptable adjuvant, diluent or carrier,~~
~~which components (A) and (B) are each provided in a form that is suitable for administration in conjunction with the other.~~

64.7. The use of apeptide-containing compound as defined in any one of Claims 1 to 344, or a pharmaceutically- or cosmetically-acceptable salt thereof, aor formulation as claimed in any one of Claims 36 to 39, or a kit of parts as claimeddefined in Claim 406, for the manufacture a medicament foruse in the treatment of inflammation, an inflammatory disorder, and/or of a disorder characterised by inflammation, or for use in the treatment of idiopathic pulmonary fibrosis.

8. AA compound, or a formulation, for use as claimed in Claim 417, wherein:

(a) the inflammatory disorder comprises inflammation of one or more of the soft tissue, the joints, the nerves, the vascular system, one or more internal organs, one or more mucosal surfaces or the skin.;

(c)(b) A use as claimed in Claim 41, wherein thethe inflammatory disorder comprises inflammation of one or more mucosal surfaces and is selected from oral mucositis, aphthous ulcers, otitis media, laryngitis, tracheitis, esophagitis, gastritis, enteritis and enterocolitis (including, bacillary dysentery, chronic amoebic dysentery, schistosomiasis, nonspecific ulcerative colitis and, regional enteritis),, cervicitis and endocervicitis, endometritis, inflammation caused by inhalation injury, mucosal inflammation associated with cancers, and mucosal inflammation associated with an infection.;

(c) A use as claimed in Claim 42, wherein the inflammatory disorder comprises inflammation of the heart, the stomach, the intestine, the lung, the liver, the spleen, the kidney, the pancreas, the bladder, the ovary or the prostate, pericarditis, myocarditis, endocarditis, pneumonia, hepatitis, splenitis, nephritis pancreatitis, cystitis, oophoritis, prostatitis or a gastric ulcer;

(c)(d) the inflammatory disorder is selected from psoriasis, acne, eczema, dermatitis, seborrheic dermatitis, rhinitis, conjunctivitis, hemorrhoids, a chronic obstructive pulmonary disease, including emphysema or chronic bronchitis, and an inflammatory bowel disease.;

19. A use as claimed in Claim 44 wherein the disorder is chronic obstructive pulmonary disease, emphysema or chronic bronchitis.

19. A use as claimed in Claim 44 wherein the inflammatory bowel disease is ulcerative colitis.

19. A use as claimed in Claim 44 wherein the disorder is asthma, allergic rhinitis or allergic/atopic dermatitis.

19. A use as claimed in Claim 41, wherein the disorder comprises inflammation of the

eye and surrounding area~~.~~

~~(e)~~(e) ~~A use as claimed in Claim 48, wherein the disorder is,~~ conjunctivitis, keratitis or optic neuritis~~.~~; and/or

(f) the disorder characterised by inflammation is, or results in, a wound or a burn.

~~A~~

9. A compound, or a formulation, for use as claimed in Claim ~~49~~8, wherein ~~the~~ :

(a) the inflammatory disorder is asthma, allergic rhinitis, allergic/atopic dermatitis or seborrheic dermatitis;

(b) the inflammatory bowel disease is ulcerative colitis or Crohn's disease;

~~(a)~~(c) the inflammatory disorder of the eye is selected from allergic conjunctivitis, acute epithelial keratitis, nummular keratitis, interstitial keratitis, disciform keratitis, neurotrophic keratitis, mucous plaque keratitis, herpes simplex keratitis, herpes zoster keratitis, bacterial keratitis, fungal keratitis acanthamoebic keratitis, onchocercal keratitis, superficial punctate keratitis, ulcerative keratitis, exposure keratitis photokeratitis and contact lens acute red eye~~.~~;

~~(c)(a) A use as claimed in Claim 41, wherein the disorder characterised by inflammation is, or results in, a wound or a burn.~~

~~(e)~~(d) ~~A use as claimed in Claim 51, wherein~~ the wound comprises an abrasion, a scratch, an incision, a laceration, a skin puncture, an avulsion, a bruise, a scar or a blister~~.~~; and/or

~~(g)~~(e) ~~A use as claimed in Claim 51 or Claim 52, wherein~~ the disorder resulting in a wound is hemorrhoids or ulcerative colitis.

~~19. A~~ peptide-containing~~The use of a~~ compound as defined in any one of Claims 1 to ~~34~~4, or a pharmaceutically- or cosmetically-acceptable salt thereof, or ~~a~~ formulation as ~~claimed in any one of Claims 36 to 38~~defined in Claim 6, for ~~the manufacture a medicament for~~ use in the treatment of ~~a~~ lung condition and/or a fibrotic condition~~.~~

~~67.~~10. ~~A use as claimed in Claim 54 wherein the condition is,~~ selected from lung fibrosis, renal fibrosis, liver fibrosis, silicosis, acute bronchitis, chronic bronchitis, tracheobronchitis, bronchial asthma, status asthmatics, bronchiectasis, upper respiratory tract infections, including the common cold and influenza), allergic airway inflammation, bacterial pneumonia, viral pneumonia, mycoplasma pneumonia, reckettsia, radiaton pneumonia, pneumococcal ~~(including,~~ staphylococcal pneumonia, streptococcal ~~and~~pneumonia, gram-negative bacillus~~)~~ pneumonia, pulmonary candidiasis ~~(including,~~ aspergillosis,

mucormycosis, histoplasmosis, actinomycosis and, nocardiosis), pulmonary mycosis, cryptococcosis, lung abscesses, anaphylactic pneumonia (, Leoffer`s syndrome), extrinsic allergic alveolitis, pulmonary eosinophia (, eosinophilosis), obstructive pulmonary emphysema, pulmonary edema, pulmonary tuberculosis, respiratory alkalosis (acidosis), acute lung injury, interstitial lung disease, empyema, lung fibroma and cor pulmonale.

68.11. A compound, or a formulation, for use as claimed in Claim 5410, wherein the condition is selected from idiopathic pulmonary fibrosis or acute lung injury.

19. The use of aA peptide-containing compound as defined in any one of Claims 1 to 344, or a pharmaceutically- or cosmetically-acceptable salt thereof, aor formulation as claimed in any one of Claims 36 to 39, or a kit of parts as claimeddefined in Claim 40, for the manufacture a medicament6, for use in the treatment of a viral infection.

71.12. A use as claimed in Claim 57 wherein the viral infection is, caused by one or more viruses selected from an adenovirus, human papillomavirus, BK virus, JC virus, herpes simplex, type 1 virus, herpes simplex, type 2 virus, varicella-zoster virus, Epstein–Barr virus, human cytomegalovirus, human herpes virus, type 8, smallpox, hepatitis B virus, parvovirus B19, human astrovirus, a norovirus, Norwalk virus, coxsackievirus, hepatitis A virus, poliovirus, a rhinovirus, a coronovirus, hepatitis C virus, yellow fever virus, dengue virus, West Nile virus, tick-borne encephalitis virus, human immunodeficiency virus, rubella virus, Lassa virus, hantavirus, Crimean-Congo hemorrhagic fever virus, Hantaan virus, Ebola virus, Marburg virus, Ravn virus, an influenza virus, measles virus, mumps virus, parainfluenza virus, respiratory syncytial virus, rhabdoviridae, hepatitis E virus, rotavirus, orbivirus, coltivirus, Banna virus and hepatitis D virus.

72.13. AA compound, or a formulation, for use as claimed in Claim 5812, wherein the virus is selected from an adenovirus, human papillomavirus, herpes simplex, type 1 virus, herpes simplex, type 2 virus, a rhinovirus, a coronavirus, includingan influenza A virus (e.g. H1N1 and H3N2 viruses), an influenza B virus or influenza C virus), a parainfluenza virus and a respiratory syncytial virus.

73.14. A compound, or a formulation, for use as claimed in Claim 5913, wherein the virus may causecauses an infection of the airways.

19. A use as claimed in Claim 58, wherein the virus is human immunodeficiency virus.

~~19. A~~ compound, ~~formulation or kit of parts for use, use~~ or ~~metho~~da formulation, for use as claimed in any one of Claims ~~35~~5 or 7 to ~~62~~14 (as appropriate), wherein the compound(s) or salt thereof is administered ~~topically~~ in the form of a topical formulation~~.~~

~~78.~~15. ~~A compound, formulation or kit of parts for use, use or method as claimed in Claim 62, wherein~~ to treat the relevant condition ~~is treated~~ by way of direct topical administration to the skin, or to a mucosal surface.

~~19. A compound,~~ or a formulation ~~or kit of parts for use, use or method as claimed in Claim 62, wherein the relevant condition is treated by way of direct topical administration to a mucosal surface.~~

~~81.~~16. ~~A compound, a formulation, or a kit of parts, for use, a use or a method~~, for use as claimed in any one of Claims ~~41~~5 or 7 to ~~64~~15 (as appropriate), wherein the compound(s) is/are administered by oral, intravenous, intraarterial, intravascular, perivascular, intramuscular, cutaneous, subcutaneous, transmucosal, sublingual, buccal, rectal, intravaginal, transdermal, nasal, pulmonary, tracheal, bronchial, intraperitoneal~~,~~ or anorectal ~~or other parenteral~~ delivery.

~~20. A compound, formulation or kit of parts for use, use or method as claimed in Claim 65, wherein the administration is pulmonary by way of a spray comprising a powder aerosol or an aqueous mist using for atomization.~~

~~21. A compound, formulation or kit of parts for use, use or method as claimed in Claim 66, wherein the spray is liquid comprising a water (aerosol) spray and the excipients may comprise one of more of a viscosity modifier, a sugar, an emulsifier, a buffering agent, an alcohol and a preservative.~~

~~22. A compound, formulation or kit of parts for use, use or method as claimed in Claim 66 or Claim 67, wherein administration is conducted from an inhalation devices selected from a pressurized metered-dose inhaler, a dry powder inhaler, a soft mist inhaler or a nebulizer.~~

~~23. A process for the preparation of a peptide-containing compound as claimed in any one of Claims 1 to 34 which process comprises the reaction of a compound of formula I as defined in any one of Claims 1, 35 or 36 with a peptide component as defined in any one of Claims 1 to 26, 31 or 32.~~

~~24. A process for the preparation of a pharmaceutical formulation as defined in any one of Claims 36 to 39, which process comprises bringing into association a peptide-containing compound as defined in any one of Claims 1 to 34 with one or more pharmaceutically-acceptable adjuvant, diluent or carrier.~~

~~A process for the preparation of a kit of parts as defined in Claim 40, which process comprises bringing into association component (A) of the kit of parts with component (B) of the kit of parts.~~