

Document	Title	Abstract	Claims	Patentee	Granted	Priority
EP2535044B1	Enterically coated cysteamine bitartrate and cysteamine	The disclosure provides oral cysteamine and cystamine formulations useful for treating cystinosis and neurodegenerative diseases and disorders. The formulations provide controlled release compositions that improve quality of life and improve side effects.	1. A composition comprising cysteamine bitartrate and cystamine, or a pharmaceutically acceptable salt thereof, and one or more enteric coating materials that provide delivery of the cysteamine bitartrate and cystamine, or pharmaceutically acceptable salt thereof, to a region of the small intestine in which the pH is between 4.5 and 6.5.	The Regents of the University of California, Oakland, CA 94607, US, 100236880	2019-12-18	2006-01-27
EP2763676B1	APPLICATION OF 5-HT6 RECEPTOR ANTAGONISTS FOR THE ALLEVIATION OF COGNITIVE DEFICITS OF DOWN SYNDROME	Methods for treating Down syndrome and improving cognitive function of a patient with an intellectual disability are disclosed. 5-hydroxytryptophan sub-receptor six (5-HT6) receptor antagonists are provided for improving the cognition of a Down syndrome patient.	1. A 5-HT 6 receptor antagonist for use in a method of treating Down syndrome, wherein the 5-HT 6 receptor antagonist is an effective amount of a compound having a structure according to Formula II: wherein: R z is selected from -H, -OH, -O(alkyl), -O(aryl) -O-S-phenyl, -O-S(=O)-phenyl, -O-S(=O) 2 -phenyl, -O-S-alkyl, -O-S(=O)-alkyl, -O-S(=O) 2 -alkyl, -O-S-haloalkyl, -O-S(=O)-haloalkyl, -O-S(=O) 2 -haloalkyl, -O-S-2, 6-dihalophenyl, -O-S(=O)-2, 6-dihalophenyl, or -OS(=O) 2 -2, 6-dihalophenyl; R Y is selected from -H, -halogen, -NH 2, -NH(alkyl), -N(alkyl) 2, -NH(aryl), -N(aryl) 2, - N(aryl)(alkyl), -N-heterocycle, or -N-heterocycloalkyl, where, in the case of - N(alkyl) 2 or -N(aryl) 2, the alkyl groups or the aryl groups can be identical or different; R w is selected from -H, -OH, -O(alkyl), -O(aryl), -halogen, -alkyl, or haloalkyl; R v is selected from -H, -2-ethyl-NH(alkyl), -2-ethyl-N(alkyl) 2, -2-ethyl-NH(aryl), -2-ethyl-NH(arylalkyl), -2-ethyl-NH(benzyl), -2-ethyl-NH(alkoxybenzyl), -2-ethyl-NH(haloalkoxybenzyl), -2-ethyl-NH(m-haloalkoxybenzyl), -2-ethyl-N(aryl) 2, -2-ethyl-N(alkyl)(aryl), -3-propyl-NH(alkyl), -3-propyl-N(alkyl) 2, -3-propyl-NH(aryl), -3-propyl-N(aryl) 2, -3-propyl-N(aryl)(alkyl), -N-heterocycle, or -N-heterocycloalkyl, where, in the case of a dialkyl or diaryl nitrogen, the alkyl groups or the aryl groups can be identical or different; Z' is selected from -H, -CH 2 - -CHX-, -CX 2 - -CH(alkyl)-, -CH(aryl)-, -C(aryl)(alkyl)-, -C(alkyl) 2 -, -C(aryl) 2 -, -O-, -S-, -S(=O)-, or -S(=O) 2 - where X is a halogen and where, in the case of -C(alkyl) 2 - or -C(aryl) 2 -, the alkyl groups or the aryl groups can be identical or different; and R x is optionally present, and if present is selected from -H, -OH, -O(alkyl), -O(aryl), -halogen, -alkyl, -haloalkyl, or -aryl; and pharmaceutically acceptable hydrates, solvates, tautomers, and salts thereof.	The University of Utah Research Foundation, Salt Lake City, Utah 84108, US, 100237933	2019-12-25	2011-10-03
EP2958561B1	LIPOXIN ANALOGS FOR USE IN THE TREATMENT OF OPHTHALMIC DISEASES AND DISORDERS	This invention provides compounds, methods and compositions for the treatment of ophthalmic diseases and disorders, including retinal and choroidal disorders and related conditions. More particularly, the invention provides a method of using the provided pharmaceutical compositions for the treatment of ophthalmic diseases and disorders, including retinal and choroidal diseases, and related conditions, upon topical administration to the eye.	1. A compound for use in the reduction of retinal edema, ophthalmic angiogenesis or choroidal neovascularization in the treatment of a subject with an ophthalmic disease or disorder selected from the group consisting of diabetic retinopathy, diabetic macular edema, age related macular degeneration, chronic macular edema, retinal vein occlusions, wherein: the compound has an effective amount of a general stereochemical formula 12 or 13, wherein R is hydrogen, straight chained C 1-16 alkyl, or a salt -M,	University of Southern California, Los Angeles, CA 90015, US, 101321851	2019-12-11	2013-02-22

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			<p>wherein M is a cation selected from the group consisting of ammonium, tetra-alkyl ammonium, sodium, potassium, magnesium and zinc.</p> <p>9. A compound for use in the reduction of retinal edema, ophthalmic angiogenesis or choroidal neovascularization in the treatment of a subject with an ophthalmic disease or disorder selected from the group consisting of diabetic retinopathy, diabetic macular edema, age related macular degeneration, chronic macular edema, retinal vein occlusions, wherein: the compound has a structure of general formula 6: wherein: A is hydroxy, alkoxy, aryloxy, amino, alkylamino, dialkylamino or -OM, wherein M is a cation selected from the group consisting of ammonium, tetra-alkyl ammonium, sodium, potassium, magnesium and zinc; Z is CH₂CH₂ W is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, halo, hydroxy, alkoxy, aryloxy, carboxy, amino, alkylamino, dialkylamino, acylamino, or carboxamido; R_a, R_b and R_c are independently selected from a group consisting of hydrogen, alkyl, aryl, acyl or alkoxyacyl; R₁, R₂, R₃ and R₄ are independently selected from a group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, halo, hydroxy, alkoxy, aryloxy, acyl, carboxy, amino, alkylamino, dialkylamino, acylamino, or carboxamido.</p>			
EP2970128B1	BASE ADDITION SALTS OF NITROXOLINE AND USES THEREOF	Novel base addition salts of nitroxoline with improved solubility and increased urine secretion under physiological conditions are described. Pharmaceutical compositions and methods of treatment using the pharmaceutical compositions are also described. The present invention relates to novel base addition salts of nitroxoline having improved solubility and stability in aqueous solutions as compared to nitroxoline or other salts of nitroxoline. The present invention also relates to pharmaceutical compositions comprising the base addition salts of nitroxoline, and methods of treating or preventing diseases, disorders, and conditions using these pharmaceutical compositions.	<p>1. An isolated quaternary ammonium salt of nitroxoline, wherein the quaternary ammonium is choline.</p> <p>2. An isolated amine salt of nitroxoline, wherein the amine is a substituted or unsubstituted alkylamine selected from the group consisting of diethylamine, 2-diethylaminoethanol, N, N-dimethylethanolamine, and diolamine; a heterocyclic amine selected from the group consisting of piperazine and 1-(2-hydroxyethyl)-pyrrolidine; a basic amino acid selected from the group consisting of arginine and lysine; or N-methylglucamine.</p> <p>10. A crystal of nitroxoline choline salt, wherein the crystal has peaks at the diffraction angles (2θ) with an exactness of ±0.2θ: 9.96, 12.12, 17.72, and 20.08 in its powder X-ray diffraction pattern.</p>	Asieris Pharmaceutical Technologies Co. Ltd., Taizhou 225300, CN, 101519695	2019-12-04	2013-03-15
EP3142664B1	COMPOSITIONS AND METHODS FOR TREATING AND DIAGNOSING OCULAR DISORDERS	Disclosed herein are methods, compounds, such as bindaret, and compositions that are useful for the diagnosis, treatment, or prevention of an ocular disorder, including the discovery of agents that are efficacious against these disorders. Also included is the use of a fluorescent compound in an amount effective to indicate the presence of said ocular disorder in order to determine the efficacy of said compounds used in the diagnosis, treatment or prevention of said ocular disorders.	1. A composition for use in treating a diabetic eye disease, comprising an effective amount of a compound of Formula I: or a pharmaceutically acceptable salt thereof, wherein: each of R ₁ and R ₂ is independently H or a C ₁ -C ₆ alkyl and R ₃ is H or a C ₁ -C ₆ alkyl, and the compound is to be ophthalmically administered in an effective amount to a subject in need thereof.	Translatum Medicus Inc., Toronto, Ontario M5A 2M5, CA, 101593466	2019-12-04	2014-05-15
EP3192875B1	SUSTAINED-RELEASE PHARMACEUTICAL COMPOSITION FOR TREATING	The present invention relates to a terpenoid derivative that has the ability to activate the Keap1/Nrf2/ARE signaling pathway and is excellent in anti-inflammatory	1. A terpenoid derivative represented by the following formula (I):	Daiichi Sankyo Company Limited, Tokyo 103-8426, JP, 101226854	2019-12-18	2014-09-10

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	AND PREVENTING OPH- THALMIC DISEASES	action and cytoprotective action, and a sustained-release pharmaceutical composition effective for the treatment and prevention of a posterior eye disease caused by oxidative stress, comprising the terpenoid derivative as an active ingredient. The present invention provides a local administration-type sustained-release pharmaceutical composition for the treatment or prevention of a posterior eye disease, comprising the terpenoid derivative of the present invention as an active ingredient, wherein the sustained-release pharmaceutical composition maintains a pharmacological action thereof for 1 week or longer by the sustained release of the terpenoid derivative under physiological conditions and has a base material administrable to the vitreous body and a form administrable to the vitreous body	<p>2. A terpenoid derivative represented by the following formula (II):</p> <p>6. A method for producing a terpenoid derivative represented by the following formula (III): comprising using a compound represented by the formula (1) as a substrate, culturing together with this compound in a medium <i>Chaetomium</i> sp. SANK 11867 (Deposition No. NITE BP-01916) belonging to the genus <i>Chaetomium</i> capable of transforming the compound to the terpenoid derivative represented by the formula (III), and collecting the terpenoid derivative represented by the formula (III) from the culture.</p> <p>13. A nucleotide sequence having any of the following nucleotide sequences (f) to (j) and encoding a protein having hydroxylase activity against a substrate compound represented by the formula (2): (f) the nucleotide sequence described in SEQ ID NO: 3, (g) the nucleotide sequence described in SEQ ID NO: 4, (h) the nucleotide sequence of DNA hybridizing under stringent conditions to DNA comprising a complementary sequence of any nucleotide sequence defined in the nucleotide sequence (f), (i) a nucleotide sequence having 90% or higher identity to any nucleotide sequence defined in the nucleotide sequence (f), and (j) a nucleotide sequence which does not hybridize under stringent conditions to DNA comprising a complementary sequence of any nucleotide sequence defined in the nucleotide sequence (f) due to the degeneracy of the genetic code, but encodes the same amino acid sequence as the nucleotide sequence defined in any of (f) to (h).</p> <p>14. A protein having any of the following amino acid sequences (k) to (n) and having hydroxylase activity against a substrate compound represented by the formula (2): (k) the amino acid sequence described in SEQ ID NO: 5, (l) the amino acid sequence described in SEQ ID NO: 6, (m) an amino acid sequence derived from any amino acid sequence defined in the amino acid sequence (k) by the deletion, substitution, and/or addition of one amino acid, and (n) an amino acid sequence having 90% or higher identity to any amino acid sequence defined in the amino acid sequence (k).</p> <p>19. <i>Bacillus</i> sp. SANK 70214 (Deposition No. NITE BP-01914) belonging to the genus <i>Bacillus</i>.</p> <p>20. <i>Bacillus megaterium</i> SANK 70314 (Deposition No. NITE BP-01915) belonging to the genus <i>Bacillus</i>.</p>			
EP3223799B1	A PROCESS FOR PREPARATION OF A DRUG-POLYMER COMPOSITION	The present invention relates to an improved active or inactive pharmaceutical ingredient-polymer composition and process of preparation thereof. In particular, the present invention relates to an improved active or inactive pharmaceutical ingredient-polymer composition and process of preparation thereof wherein the monomer	1. A process for preparation of a drug-polymer composition based on monomer and pharmaceutically active ingredient which produces composite sensitive to pH for the purpose of use in masking taste of bitter drugs, sustained release of Active Pharmaceutical Ingredient (API), enteric coating, multiple coating, film coating, pH	Patel Kirit, Naranpura, Ahmedabad 380013, IN, 101598996	2019-12-18	2014-11-30

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		encapsulates particles of active or inactive pharmaceutical ingredient at molecular level and with controlled polymerization process the monomer turns into the said polymer coat over the said active or inactive pharmaceutical ingredient that facilitates the disclosed invention to be completed a single step process.	sensitive coating, protection from outer atmosphere, stability of light sensitive material, stability of moisture sensitive material, smell masking, stability from ultraviolet (UV) radiation, prevent leaching of the coated material into the vehicle and increasing bioavailability of the API etc. comprising the steps of: (a) Preparing a uniform blend of the vehicle water saturated with salt and surfactants as part A, whereby the salt is selected from edible mineral salt; (b) Preparing a blend of the desired amount of active drug and thickener and adding it to part A with constant stirring keeping the suspension over a desired period of time in desired temperature as part B; (c) preparing a catalyst content with desired amount of DM water as part C; (d) Adding part C to part B with constant stirring and maintaining the desired temperature as part D; (e) Separately preparing a homogeneous blend containing desired monomers, from which polymer is formed, and a catalyst and pouring the entire content to the uniformly dispersed part D; (f) Initiating the reaction in an inert atmosphere for complete polymerization of the monomer, over the subject molecules, in the contents of step (e) by maintaining the desired pH, temperature, pressure and time; (g) Recovering the polymerized product by filtration and washing repeatedly; (h) Feeding the contents of step (g) to a spray drier for drying the final product; (i) wherein the monomers selected are the derivatives of acrylic acid and methacrylic acid, wherein the Acrylic Acid derivatives are selected from the group consisting of: Acrylic acid, Bromo acrylic acid, Bromo methyl acrylate, Ethylacrylate, Carboxyethyl acrylate, Propylacrylate, Fluoromethylacrylate, Benzoylhydroxyphenoxyethyl acrylate, Benzylpropylacrylate, Butyl acrylate, Butyl aminocarbonyl oxyethyl acrylate, Butyl bromoacrylate, Butylcyclohexyl acrylate, Carboxyethyl acrylate, Chloroethyl acrylate, Diethylamino ethyl acrylate, Ethylene glycol ethyl ether acrylate, Ethylene glycol ethylhexyl ether acrylate, Dimethylamino ethyl acrylate, Dimethylamino propyl acrylate, Ethyl acrylate, Bromomethyl acrylate, Cyano acrylate, Ethylene glycol dicyclopentenyl ether acrylate, Ethylene glycol methyl ether acrylate, Ethylene glycol phenyl ether acrylate, Ethyl ethylacrylate, Ethyl hexyl acrylate, Ethyl propylacrylate, Ethyl trimethylsilylmethyl acrylate, Hexyl acrylate, Hydroxybutyl acrylate, Hydroxyethyl acrylate, Hydroxyphenoxypropyl acrylate, Hydroxypropyl acrylate, Bornyl acrylate, Butyl acrylate, Decyl acrylate, Octyl acrylate, Lauryl acrylate, Methacrylic acid, Methyl acetamidoacrylate, Methyl acrylate, Methyl bromoacrylate, Methyl bromomethylacrylate, Methyl chloromethyl acrylate, Methyl hydroxy methylenebutyrate, Methyl fluoromethyl acrylate, Octadecyl acrylate, Pentabromobenzyl acrylate, Pentabromophenyl acrylate, Pentafluorophenyl acrylate,			

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			<p>Polyethyleneglycol acrylate, Polyethyleneglycol diacrylate, Polyethyleneglycol methyl ether acrylate, Polypropyleneglycol acrylate, Tetrahydrofurfuryl acrylate, Tetrahydropyranyl acrylate, Trimethoxysilyl propyl acrylate, Trimethylhexyl acrylate, Undecenyl acrylate, and wherein the Methacrylic acid derivatives are selected from the group consisting of: Allyl methacrylate, Aminoethyl methacrylate hydrochloride, Benzotriazol hydroxyphenyl ethyl methacrylate, Benzyl methacrylate, Amino ethyl methacrylate, Bromoisobutyryloxy ethyl methacrylate, Butylamino ethyl methacrylate, Butyl methacrylate, Carbazole ethylmethacrylate, Chloro hydroxypropyl methacrylate, Cyclohexyl methacrylate, Diethylamino ethyl methacrylate, Diethylene glycol butyl ether methacrylate, Diethylene glycol methyl ether methacrylate pricing, Diisopropylamino ethyl methacrylate, Dimethylamino ethyl methacrylate, Ethoxyethyl methacrylate, Ethyleneglycol dicyclopentenyl ether methacrylate, Ethyleneglycol methacrylate phosphate, Ethyleneglycol methyl ether methacrylate, Ethyleneglycol phenyl ether methacrylate, Ethylhexyl methacrylate, Ethyl methacrylate, Ferrocenylmethyl methacrylate, Furfuryl methacrylate, Glycidyl methacrylate, Glycidyl methacrylate, Glycosyloxyethyl methacrylate, Hexyl methacrylate, Hydroxybutyl methacrylate, Hydroxyethyl methacrylate, Hydroxypropyl methacrylate, Bornyl methacrylate, Isobutyl methacrylate, Isocyanatoethyl methacrylate, Isodecyl methacrylate, Lauryl methacrylate, Methyl methacrylate, Methylthioethyl methacrylate, Methacryloyloxyethyl maleate, Methacryloyloxyethyl succinate, Morpholinoethyl methacrylate, Naphthyl methacrylate, Imidazolidinyl ethyl methacrylate, Pentabromophenyl methacrylate, Pentafluorophenyl methacrylate, Phenylene dimethacrylate, Phenyl methacrylate, Polyethylene glycol behenyl ether methacrylate, Polypropylene glycol methacrylate, Propyl methacrylate, Pyrenemethyl methacrylate, Solketal methacrylate, Stearyl methacrylate, TEMPO methacrylate, Tetrahydrofurfuryl methacrylate, Tribromophenyl methacrylate, Trichlorosilyl propyl methacrylate, Triethylene glycol methyl ether methacrylate, Trimethoxysilyl propyl methacrylate, Trimethylcyclohexyl methacrylate, Trimethylsilyl methacrylate, Trimethylsilyloxy ethyl methacrylate, Trimethylsiloxy silyl propyl methacrylate, Vinyl methacrylate.</p> <p>12. A process for preparation of a drug-polymer composition as claimed in 1 wherein the said process is carried out at a temperature in the range of 20-95° C. and for a period of 1-24 hours.</p>			
EP3288966B1	PHARMACEUTICAL COMPOUND	The present invention relates to compounds comprising a quaternary ammonium group, their use in skin diseases, and their preparation.	1. (C 2 H 5) 3 N - CH 2 - CO - Nle - Glu - His - D-Phe - Arg - Trp - NH 2 or a pharmaceutically acceptable salt thereof.	VALLAURIX PTE. LTD., 089316 Singapore, SG, 101521998	2019-12-25	2015-04-28

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			12. Pharmaceutical composition comprising (C ₂ H ₅) ₃ N-CH ₂ -CO-Nle-Glu-His-D-Phe-Arg-Trp-NH ₂ or a pharmaceutically acceptable salt thereof and at least one pharmaceutically-acceptable ingredient. 14. Method of preparing compound (C ₂ H ₅) ₃ N-CH ₂ -CO-Nle-Glu-His-D-Phe-Arg-Trp-NH ₂ , by providing tripeptide D-Phe-Arg-Trp (4-6); - coupling tripeptide (4-6) D-Phe-Arg-Trp with histidine (3); - coupling quaternary ammonium compound (C ₂ H ₅) ₃ N-CH ₂ -COO- with dipeptide Nle-Glu (1-2); and - coupling dipeptide Nle-Glu (1-2) carrying the quaternary ammonium group with the tetrapeptide His-D-Phe-Arg-Trp (3-6) to prepare the (C ₂ H ₅) ₃ N-CH ₂ -CO-Nle-Glu-His-D-Phe-Arg-Trp-NH ₂ .			
EP3419684B1	COMPOSITE BIOMATERIALS WITH CONTROLLED RELEASE OF THE ACTIVE INGREDIENT, PREPARATION METHOD, AND USES	The invention relates to a collagen-based composite biomaterial composed of at least one hydrophobic organic polymer and at least one active ingredient, to a method for the preparation thereof, a dressing comprising a composite biomaterial of said type, an abdominal wall reinforcement comprising a composite biomaterial of said type, and the uses of said composite biomaterial, especially in the field of therapeutics.	1. Synthetic composite biomaterial comprising collagen, at least one organic polymer and at least one active ingredient, characterized in that : - the organic polymer is biodegradable, biocompatible, hydrophobic and has a glass transition temperature of less than or equal to 50°C, and an average molar mass ranging from 5 to 120 KDa, - the collagen is in the form of striated fibrils in which the periodicity of the striations is 67 nm, - the mass ratio of collagen/organic polymer ranges from 10/1 to 1/3, - the active principle is a hydrophobic active ingredient chosen from among anti-inflammatories, antibiotics, compounds that promote tissue repair or scarring and one of their mixtures.	Centre National de la Recherche Scientifique, 75016 Paris, FR, 101246353 Sorbonne Université, 75006 Paris 6, FR, 101733327	2019-12-11	2016-02-22
EP3357492B1	MULTILAYER TABLET FOR ADMINISTRATION OF MAGNESIUM	Disclosed is a multilayer tablet for controlled release of magnesium, which takes place by means of immediate release into the stomach and sustained release into the intestinal tract. The tablet is used to treat magnesium deficiency.	1. A multilayer tablet for controlled release of a magnesium salt, oxide or hydroxide, for use in the treatment of states, disorders or conditions caused by magnesium deficiency, comprising: (a) an immediate gastric release layer containing a magnesium oxide or hydroxide, or a magnesium salt selected from magnesium acetate, ascorbate, aspartate, carbonate, chloride, citrate, potassium citrate, dicitrate, fumarate, gluconate, glycerophosphate, lactate, phosphate 5 hydrate, pidolate, propionate and phosphate; a disintegrating agent and a binding polymer; (b) a sustained-release layer containing (i) a polymer able to form a hydrogel matrix when it comes into contact with the fluids of the gastrointestinal tract, (ii) an organic acid able to dissociate in the gastrointestinal fluids, selected from citric acid, tartaric acid, ascorbic acid and lactic acid, and (iii) a magnesium oxide or hydroxide or a magnesium salt as defined above; and optionally, (c) an inert layer inserted between layers (a) and (b), containing a disintegrating agent and a water-insoluble diluent, wherein said layers (a), (b) and (c) are exposed to the gastrointestinal fluids after the tablet is swallowed.	S.I.I.T. S.r.l.-Servizio Internazionale Imballaggi Termosaldanti, 20090 Trezzano sul Naviglio MI, IT, 100809786	2019-12-04	2017-02-02