

Document	Title	Abstract	Independent Claims	Patentee	Granted	Priority
EP3238723B1	DRUG FOR TREATING DISORDERS OF CORNEAL EPITHELIUM	A new agent for the treatment of a corneal epithelium disorder, which acts directly on corneal epithelial cells, is provided. Disclosed is an agent for the treatment of a corneal epithelium disorder, the agent comprising a compound of Formula (1) or a salt thereof as an active ingredient: wherein A is an aromatic ring, a heterocyclic ring, or an aliphatic ring; R2, R3, and R4, which may be identical or different, each independently are, for example, a hydrogen atom, a halogen atom; B is an aromatic ring which may have a substituent, a heterocyclic ring which may have a substituent; -X-, -Y-, and -Z-, which may be identical or different, each independently are O-, -NH-, -NR5-, -S-, -SO-, -SO2-, -CH2-, -CR6R7-, or -CO-; and -W- is -NR1-, -O-, or -CR8R9-.	<p>1. A compound of Formula (1) or a salt thereof, for use in the treatment of a corneal epithelium disorder: wherein A is a benzene ring; R 2 , R 3 , and R 4 , which may be identical or different, each independently are a hydrogen atom, a halogen atom, a hydroxy group, an alkyl group, a mercapto group, an alkoxy group, an alkylthio group, an alkylsulfonyl group, an acyl group, an acyloxy group, an amino group, an alkylamino group, a carboxy group, an alkoxycarbonyl group, a carbamoyl group, a nitro group, a cyano group, a trifluoromethyl group, an alkenyl group which may have a substituent, an alkynyl group which may have a substituent, an aryl group which may have a substituent, a heteroaryl group which may have a substituent, a benzyloxy group which may have a substituent, an aryloxy group which may have a substituent, a heteroaryloxy group which may have a substituent, an arylamino group which may have a substituent, an arylvinyl group which may have a substituent, or an arylethynyl group which may have a substituent; B is a cyclohexane ring; X is -NH-; Y is -NR 5 -; and Z is -CH 2 - (wherein R 5 is an acyl group which may have a substituent, a lower alkyl group which may have a substituent, an alkoxycarbonyl group which may have a substituent, a carbamoyl group which may have a substituent, or a sulfonyl group which may have a substituent) ; W is -NR 1 -; (wherein R 1 is a hydrogen atom, a lower alkyl group which may have a substituent, or an aryl group which may have a substituent) ; and a, b, and c each represent the position of a carbon atom; provided that: (i) said optional substituent is selected from the group consisting of a halogen atom, a hydroxy group, an alkyl group, a mercapto group, an alkoxy group, an alkylthio group, an alkylsulfonyl group, an acyl group, an acyloxy group, an amino group, an alkylamino group, a carboxy group, an alkoxycarbonyl group, a carbamoyl group, a nitro group, a cyano group, a trifluoromethyl group, an aryl group, and a heteroaryl group.</p> <p>6. A compound of Formula (1) or a salt thereof, for medical use in suppressing corneal epithelial cell apoptosis: wherein A is a benzene ring; R 2 , R 3 , and R 4 , which may be identical or different, each independently are a hydrogen atom, a halogen atom, a hydroxy group, an alkyl group, a mercapto group, an alkoxy group, an alkylthio group, an alkylsulfonyl group, an acyl group, an acyloxy group, an amino group, an alkylamino group, a carboxy group, an alkoxycarbonyl group, a carbamoyl group, a nitro group, a cyano group, a trifluoromethyl group, an alkenyl group which may have a substituent, an alkynyl group which may have a substituent, an aryl</p>	EA Pharma Co. Ltd., Tokyo 104-0042, JP, 101596318 EA PHARMA CO LTD	2020-02-05	2014-12-22

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			group which may have a substituent, a heteroaryl group which may have a substituent, a benzyloxy group which may have a substituent, an aryloxy group which may have a substituent, a heteroaryloxy group which may have a substituent, an arylamino group which may have a substituent, an arylvinyl group which may have a substituent, or an arylethynyl group which may have a substituent; B is a cyclohexane ring; X is -NH-; Y is -NR 5 -; and Z is -CH 2 - (wherein R 5 is an acyl group which may have a substituent, a lower alkyl group which may have a substituent, an alkoxy carbonyl group which may have a substituent, a carbamoyl group which may have a substituent, or a sulfonyl group which may have a substituent) ; W is -NR 1 -; (wherein R 1 is a hydrogen atom, a lower alkyl group which may have a substituent, or an aryl group which may have a substituent) ; and a, b, and c each represent the position of a carbon atom; provided that: (i) said optional substituent is selected from the group consisting of a halogen atom, a hydroxy group, an alkyl group, a mercapto group, an alkoxy group, an alkylthio group, an alkylsulfonyl group, an acyl group, an acyloxy group, an amino group, an alkylamino group, a carboxy group, an alkoxy carbonyl group, a carbamoyl group, a nitro group, a cyano group, a trifluoromethyl group, an aryl group, and a heteroaryl group.-			
EP3104844B1	COMPLEXES OF SIROLIMUS AND ITS DERIVATIVES, PROCESS FOR THE PREPARATION THEREOF AND PHARMACEUTICAL COMPOSITIONS CONTAINING THEM	The invention is directed to a stable complex with controlled particle size, increased apparent solubility and increased dissolution rate comprising as active compound Sirolimus or derivatives thereof, which is useful in the prophylaxis of organ rejection in patients receiving renal transplants, in the treatment of psoriasis, facial angiofibromas associated with tuberous sclerosis, fibrofolliculomas found in Birt-Hogg-Dubé Syndrome, chronic erosive oral lichen planus, Early Stage Cutaneous T-cell Lymphoma, Treatment of Autoimmune Active Anterior Uveitis, dry eye syndrome, age-related macular degeneration, diabetic macular edema, noninfectious uveitis, telangiectasia, inflammatory skin diseases (dermatitis, including psoriasis and lichen ruber planus), Pachyonychia Congenita and in the suppression of angiogenesis pathways. More specifically, the complex of the present invention possesses increased apparent solubility, permeability and enhanced biological performance including significantly improved exposure, earlier tmax, higher Cmax and higher trough concentrations at 24 hours which will allow the reduction of the dose. Furthermore, the complex of the present invention	1. A stable complex comprising a) as active compound selected from the group of Sirolimus or its salts; b) polyvinylpyrrolidone as a complexing agent; c) sodium-lauryl-sulfate as a pharmaceutically acceptable excipient, wherein said complex is obtained by continuous flow mixing process and has a particle size in the range between 50 nm and 600 nm, preferably 50 nm and 200 nm.	Druggability Technologies IP Holdco Limited, Swatar, BKR 4013, MT, 101540133 DRUGGABILITY TECH IP HOLDCO LIMITED	2020-02-12	2014-02-14

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		possesses exceptional stability as a redispersed solution allowing the development of liquid based formulation for transdermal and other topical applications. The invention also relates to methods of formulating and manufacturing complex according to the invention, pharmaceutical compositions containing it, its uses and methods of treatment using the complex and its compositions.				
EP3096766B1	OPHTHALMIC COMPOSITIONS COMPRISING IOTA-CARRAGEENAN	The present invention relates to a pharmaceutical composition useful in the prophylactic or therapeutic topical treatment of viral eye infections caused by adenovirus of subtype D or influenza A virus of subtype H7. The composition in its ready-for-use formulation comprises iota carrageenan as an active antiviral ingredient and is essentially free of a metal halide salt or contains no more than 0.5% w/v of a metal halide salt.	1. An ophthalmic pharmaceutical composition for topical administration comprising iota carrageenan at a concentration of from 0.05% to 1% by weight of the ready-for-use preparation, water, an osmolality adjusting agent selected from mannitol or sorbitol, an at least one ophthalmologically compatible additive which is a pH adjusting agent or buffer system, further comprising ethylenediaminetetraacetic acid disodium salt dihydrate (EDTA) with the proviso that the composition in its ready-for-use formulation contains no more than 0.5% w/v of a metal halide salt.	VISUFARMA B.V., 1096 HA Amsterdam, NL, 101848335 VISUFARMA B V	2020-02-26	2014-01-22
EP3062618B1	CRYSTALLINE FORMS OF THERAPEUTIC COMPOUNDS AND USES THEREOF	Described herein is certain crystalline forms of Compound 3, as well as pharmaceutical compositions employing the crystalline forms. Also provided are particles (e.g., nanoparticles) comprising such crystalline forms or pharmaceutical compositions. In certain examples, the particles are mucus penetrating particles (MPPs). The present invention further relates to methods of treating or preventing diseases using crystalline forms or pharmaceutical compositions.	1. 7-(3-(4-(4-Fluoro-2-methyl-1H-indol-5-yloxy)-6-methoxyquinazolin-7-yloxy)propyl)-2-oxa-7-azaspiro[3.5]nonane in crystalline Form A or crystalline Form B, wherein Form A is a form of 7-(3-(4-(4-fluoro-2-methyl-1H-indol-5-yloxy)-6-methoxyquinazolin-7-yloxy)propyl)-2-oxa-7-azaspiro[3.5]nonane having an X-ray powder diffraction (XRPD) pattern with peaks at 6.11±0.3, 9.63±0.3, 16.41±0.3, 18.60±0.3, 20.36±0.3 and 23.01±0.3 degrees two theta, or 1.445±0.03, 0.917±0.03, 0.540±0.03, 0.477±0.03, 0.436±0.03 and 0.386±0.03 nm (14.45±0.3, 9.17±0.3, 5.40±0.3, 4.77±0.3, 4.36±0.3 and 3.86±0.3 Å) in d-spacing, wherein Form B is a form of 7-(3-(4-(4-fluoro-2-methyl-1H-indol-5-yloxy)-6-methoxyquinazolin-7-yloxy)propyl)-2-oxa-7-azaspiro[3.5]nonane having an X-Ray Powder Diffraction (XRPD) pattern with peaks at 7.70±0.3, 13.53±0.3, 17.27±0.3, 18.44±0.3, 19.73±0.3, 23.10±0.3 and 26.07±0.3 degrees two theta or 1.147±0.03, 0.654±0.03, 0.513±0.03, 0.481±0.03, 0.450±0.03, 0.385±0.03 and 0.341±0.03 nm (11.47±0.3, 6.54±0.3, 5.13±0.3, 4.81±0.3, 4.50±0.3, 3.85±0.3 and 3.41±0.3 Å) in d-spacing, and wherein the XRPD pattern is obtained using Cu/Kα radiation at a wavelength of 0.154059 nm (1.54059 Å).	Kala Pharmaceuticals Inc., Wattertown, MA 02472, US, 101809891 KALA PHARMACEUTICALS INC	2020-02-05	2013-11-01
EP3219316B1	MIXTURE OF FATTY ACIDS (F.A.G., FATTY ACIDS GROUP) FOR USE IN THE TREATMENT OF INFLAMMATORY PATHOLOGIES	This invention relates to a mixture of at least three fatty acids selected from palmitic acid, oleic acid, stearic acid, linoleic acid, alpha-linolenic acid, gamma-linolenic acid, eicosapentaenoic acid (EPA), docosahexaenoic acid (DHA), azelaic acid and myristic acid.	1. Pharmaceutical, cosmetic and/or dietary composition comprising a mixture of at least five fatty acids selected from palmitic acid, oleic acid, stearic acid, linoleic acid, alpha linolenic acid, gamma linolenic acid, eicosapentaenoic acid (EPA), docosahexaenoic acid (DHA), azelaic acid and myristic acid and the	Again Life Italia Srl, 36015 Schio (VI), IT, 101552327 AGAIN LIFE ITALIA SRL	2020-02-19	2013-03-08

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			physiologically acceptable excipient N-2 hydroxyethyl palmitamide.			
EP2779994B1	SUSPENSIONS OF CYCLOSPORIN A FORM 2	Disclosed herein are methods of making suspensions of cyclosporin A Form 2.	<p>1. A formulation comprising cyclosporin A form 2, and a vehicle, wherein the vehicle comprises at least one surfactant and at least one stabilizer.</p> <p>9. A method of preparing a formulation of cyclosporin, the method comprising the steps of mixing cyclosporin A form 2 with a vehicle to form a suspension; milling the suspension.</p> <p>12. A formulation comprising particles of cyclosporin A form 2; and a vehicle, wherein the average size (d90) of the particles is less than 10 µm, wherein the vehicle comprises at least one surfactant and at least one stabilizer.</p>	ALLERGAN INC., Irvine, CA 92612, US, 100074706 ALLERGAN INC	2020-02-19	2011-11-15
EP3254703B1	ADENO-ASSOCIATED VIRUS VIRIONS WITH VARIANT CAPSID AND METHODS OF USE THEREOF	The present disclosure provides adeno-associated virus (AAV) virions with altered capsid protein, where the AAV virions exhibit greater infectivity of retinal cells, when administered via intravitreal injection, compared to wild-type AAV. The present disclosure further provides methods of delivering a gene product to a retinal cell in an individual, and methods of treating ocular disease.	<p>1. A recombinant adeno-associated virus (rAAV) virion or pharmaceutical composition comprising said virion, for use in a method of treating an ocular disease in an individual in need thereof, wherein the composition comprises a pharmaceutically acceptable excipient, and wherein the recombinant adeno-associated virus (rAAV) virion comprises: a) a variant AAV capsid protein, wherein the variant AAV capsid protein comprises an insertion of a peptide in the capsid protein GH loop relative to a corresponding parental AAV capsid protein, wherein the insertion comprises an amino acid sequence selected from LALGETTRPA (SEQ ID NO:45); LANETITRPA (SEQ ID NO:46), LAKAGQANNA (SEQ ID NO:47), LAKDPKTTNA (SEQ ID NO:48), KDTDTR (SEQ ID NO:57), RAGGSVG (SEQ ID NO:58), AVDTTKF (SEQ ID NO:59), STGKVPN (SEQ ID NO:60), LAKDTRTTRA (SEQ ID NO:61), LARAGGSVGA (SEQ ID NO:62), LAAVDTTKFA (SEQ ID NO:63), and LASTGKVPNA (SEQ ID NO:64); and b) a heterologous nucleic acid comprising a nucleotide sequence encoding a gene product; wherein the variant capsid protein infects a retinal cell.</p> <p>7. A recombinant adeno-associated virus (rAAV) virion comprising: a) a variant AAV capsid protein, wherein the variant AAV capsid protein comprises an insertion of a peptide in the capsid protein GH loop relative to a corresponding parental AAV capsid protein, wherein the insertion comprises an amino acid sequence selected from LALGETTRPA (SEQ ID NO:45); LANETITRPA (SEQ ID NO:46), LAKAGQANNA (SEQ ID NO:47), LAKDPKTTNA (SEQ ID NO:48), LAKDTRTTRA (SEQ ID NO:61), LARAGGSVGA (SEQ ID NO:62), LAAVDTTKFA (SEQ ID NO:63), and LASTGKVPNA (SEQ ID NO:64), and wherein the variant capsid protein confers infectivity of a retinal cell; and b) a heterologous nucleic</p>	The Regents of the University of California, Oakland, CA 94607, US, 100236880 UNIV CALIFORNIA	2020-02-19	2011-04-22

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			acid comprising a nucleotide sequence encoding a gene product.			
EP3338777B1	ESTER PRO-DRUGS OF [3-(1-(1H-IMIDAZOL-4-YL)ETHYL)-2-METHYLPHENYL] METHANOL FOR TREATING RETINAL DISEASES	The present invention relates to method of treating retinal diseases in a subject in need of such treatment, which comprises administering a therapeutically effective amount of a composition comprising an ester pro-drugs of [3-(1-(1 H-imidazol-4-yl)ethyl)-2-methylphenyl] methanol, processes for preparing them, pharmaceutical compositions containing them and their use as pharmaceuticals.	<p>1. A compound of Formula I, its individual enantiomers, its individual diastereoisomers, its hydrates, its solvates, its crystal forms, its individual tautomers or a pharmaceutically acceptable salt thereof, for use in enhancing vision in patients with vision loss, wherein: R 1 is H or C 1-3 alkyl; R 2 is H or C 1-3 alkyl; R 3 is H, C 1-10 alkyl, heterocycle or aryl; R is C 1-10 alkyl, heterocycle or aryl; and "alkyl" is a saturated monovalent alkane moiety having straight or branched alkane moieties or combinations thereof which may optionally be substituted by amino groups, aryl groups, halogens and wherein one methylene (-CH 2 -) can be replaced by carbonyl, -NH-, carboxyl, amide, sulfur or by oxygen; and "heterocycle" is an aromatic or non-aromatic 5 to 10 membered monocyclic or bicyclic ring containing at least one heteroatom selected from O or N or S or combinations thereof, interrupting the carbocyclic ring structure which may optionally be substituted by C 1-6 alkyl, amino, halogen, -O(C 1-6 alkyl), -OC(O)(C 1-6 alkyl), -C(O)O(C 1-6 alkyl), -NHC(O)(C 1-6 alkyl), -C(O)NH(C 1-6 alkyl), -S(C 1-6 alkyl) groups; and "aryl" is an organic moiety derived from an aromatic hydrocarbon consisting of a monocyclic or bicyclic ring containing 6-10 carbon atoms by removal of one hydrogen atom which may optionally be substituted by C 1-6 alkyl, amino, halogen, -O(C 1-6 alkyl), -OC(O)(C 1-6 alkyl), -C(O)O(C 1-6 alkyl), -NHC(O)(C 1-6 alkyl), -C(O)NH(C 1-6 alkyl), and -S(C 1-6 alkyl) groups.</p> <p>6. A pharmaceutical composition for use in enhancing vision in patients with vision loss, wherein said pharmaceutical composition comprises a compound of Formula I, its individual enantiomers, its individual diastereoisomers, its hydrates, its solvates, its crystal forms, its individual tautomers or a pharmaceutically acceptable salt thereof, wherein: R 1 is H or C 1-3 alkyl; R 2 is H or C 1-3 alkyl; R 3 is H, C 1-10 alkyl, heterocycle or aryl; R is C 1-10 alkyl, heterocycle or aryl; and "alkyl" is a saturated monovalent alkane moiety having straight or branched alkane moieties or combinations thereof which may optionally be substituted by amino groups, aryl groups, halogens and wherein one methylene (-CH 2 -) can be replaced by carbonyl, -NH-, carboxyl, amide, sulfur or by oxygen; and "heterocycle" is an aromatic or non-aromatic 5 to 10 membered monocyclic or bicyclic ring containing at least one heteroatom selected from O or N or S or combinations thereof, interrupting the carbocyclic ring structure which may optionally be substituted by C 1-6</p>	Allergan Inc., Irvine, CA 92612, US, 101713410 ALLERGAN INC	2020-02-05	2010-09-16

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			alkyl, amino, halogen, -O(C 1-6 alkyl), -OC(O)(C 1-6 alkyl), -C(O)O(C 1-6 alkyl), -NHC(O)(C 1-6 alkyl), -C(O)NH(C 1-6 alkyl), -S(C 1-6 alkyl) groups; and "aryl" is an organic moiety derived from an aromatic hydrocarbon consisting of a monocyclic or bicyclic ring containing 6-10 carbon atoms by removal of one hydrogen atom which may optionally be substituted by C 1-6 alkyl, amino, halogen, -O(C 1-6 alkyl), -OC(O)(C 1-6 alkyl), -C(O)O(C 1-6 alkyl), -NHC(O)(C 1-6 alkyl), -C(O)NH(C 1-6 alkyl), and -S(C 1-6 alkyl) groups.			
EP1879553B1	OCULAR THERAPY USING ALPHA-2 ADRENERGIC RECEPTOR AGONISTS HAVING ENHANCED ANTERIOR CLEARANCE RATES	Ophthalmically therapeutic materials, such as liquid-containing compositions and polymeric drug delivery systems, include a therapeutic component which includes an alpha 2 adrenergic receptor agonist that is cleared from the anterior segment of an individual's eye to which the material is administered. The alpha 2 adrenergic receptor agonist may have a vitreal half-life greater than about three hours. The present materials are effective in treating an ocular condition(s) that affect the anterior segment of an eye, or the anterior and posterior segment of the eye. The materials are suitable for intravitreal or periocular administration and can provide prolonged drug delivery and therapeutic benefits to patients to which the materials have been administered. The alpha 2 adrenergic receptor agonists can be provided in liquid-containing formulations and/or bioerodible and/or non-bioerodible polymeric implants and microparticles. Methods of making and using the present materials are also described.	1. An ophthalmically therapeutic material, comprising: a therapeutic component comprising a therapeutically effective amount of an alpha 2 adrenergic receptor agonist having a structure effective in providing elimination of the agonist from the anterior chamber of an eye to which the agonist is administered, wherein the alpha 2 adrenergic receptor agonist is selected from: 11. A method of producing an ophthalmically therapeutic material, comprising: selecting an alpha 2 adrenergic receptor agonist; and combining the selected alpha 2 adrenergic receptor agonist with a liquid carrier component or a polymeric component to form a material suitable for administration to an eye, wherein the alpha 2 adrenergic receptor agonist is selected from:	ALLERGAN INC., Irvine, CA 92612, US, 100074706 ALLERGAN INC	2020-02-12	2005-05-10