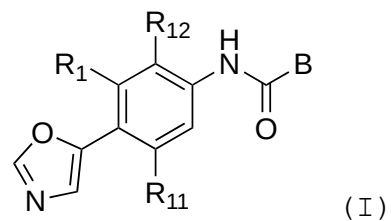
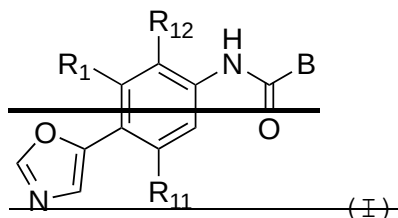


Claims

1. Use of a compound of the formula (I)



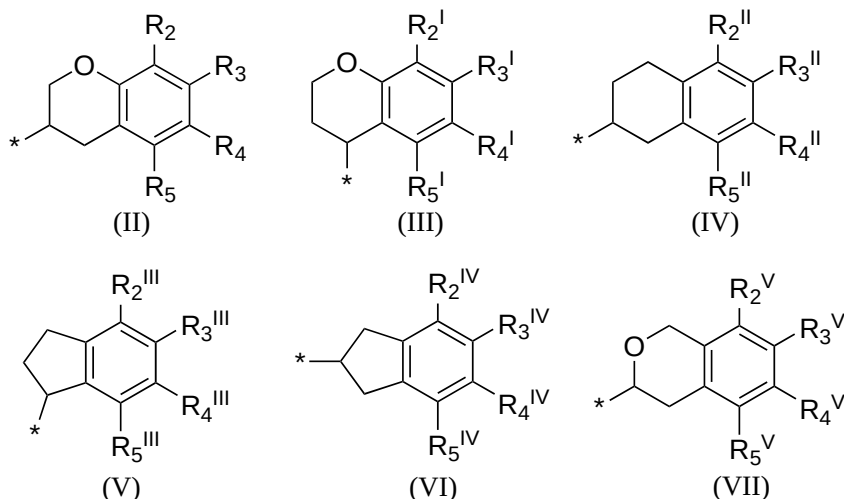
or a pharmaceutically acceptable salt, a racemic mixture, a corresponding enantiomer or, if applicable, a corresponding diastereomer thereof in the manufacture of a medicament for the treatment and/or prevention of ~~A method of treating and/or preventing a disease involving the retinal pigment epithelium, wherein the compound comprising administering the compound of the formula (I)~~



~~—~~or ~~thea~~ pharmaceutically acceptable salt, ~~thea~~ racemic mixture, ~~thea~~ corresponding enantiomer or, if applicable, ~~thea~~ corresponding diastereomer thereof is to be administered, wherein:

R_1 , R_{11} and R_{12} are independently selected from the group consisting of hydrogen, fluoro, chloro, methoxy, trifluoromethyl, methyl and difluoromethoxy, whereby at least one of R_1 , R_{11} and R_{12} is not hydrogen

B is selected from the group consisting of a residue of formula (II), (III), (IV), (V), (VI) and (VII)

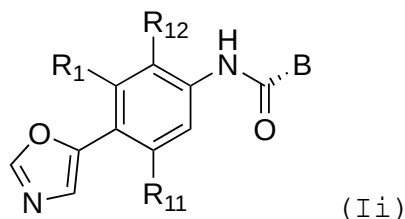


wherein,

5 "*" denotes the point of attachment to the remainder of the molecule, and

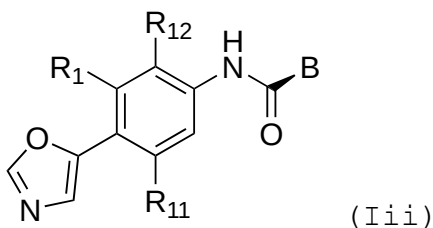
10 R₂, R₃, R₄, R₅, R₂^I, R₃^I, R₄^I, R₅^I, R₂^{II}, R₃^{II}, R₄^{II}, R₅^{II}, R₂^{III}, R₃^{III}, R₄^{III}, R₅^{III}, R₂^{IV}, R₃^{IV}, R₄^{IV}, R₅^{IV}, R₂^V, R₃^V, R₄^V, R₅^V are independently selected from the group consisting of hydrogen, a linear or branched alkyl having 1 to 3 carbon atoms, fluoro, chloro, bromo, methoxy, ethoxy, propoxy, trifluoromethyl and difluoromethoxy.

15 ~~1.2. A method~~ The use according to claim 1, wherein the compound of formula (I) the asymmetric center at ring position * of the residue of formula (II), (III), (IV), (V), (VI), (VII), (VIII) or (IX) has the configuration depicted in the compound of formula (Ii)



and B, R₁, R₁₁, R₁₂, R₂, R₃, R₄, R₅, R₂^I, R₃^I, R₄^I, R₅^I, R₂^{II}, R₃^{II}, R₄^{II}, R₅^{II}, R₂^{III}, R₃^{III}, R₄^{III}, R₅^{III}, R₂^{IV}, R₃^{IV}, R₄^{IV}, R₅^{IV}, R₂^V, R₃^V, R₄^V, R₅^V have the same definition as above.

5 ~~2.3. A method~~ The use according to claim 1, wherein the compound of formula (I) asymmetric center at ring position * of the residue of formula (II), (III), (IV), (V), (VI), (VII), (VIII) or (IX) has the configuration depicted in the compound of formula (Iii)



10

and B, R₁, R₁₁, R₁₂, R₂, R₃, R₄, R₅, R₂^I, R₃^I, R₄^I, R₅^I, R₂^{II}, R₃^{II}, R₄^{II}, R₅^{II}, R₂^{III}, R₃^{III}, R₄^{III}, R₅^{III}, R₂^{IV}, R₃^{IV}, R₄^{IV}, R₅^{IV}, R₂^V, R₃^V, R₄^V, R₅^V have the same definition as above.

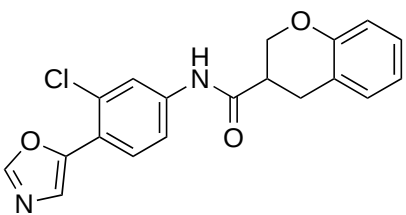
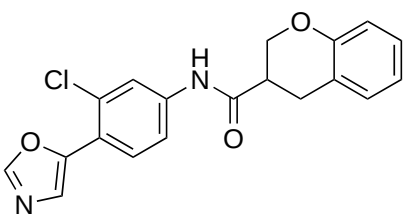
15 ~~3.4. A method~~ The use according to claim 1, wherein residue B is unsubstituted or monosubstituted.

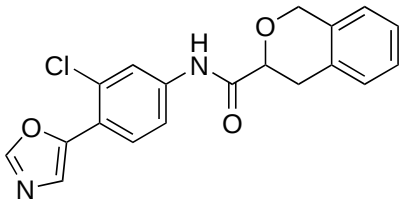
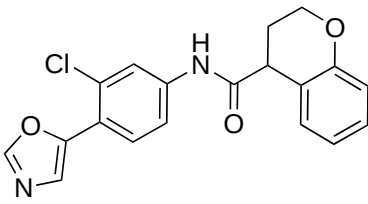
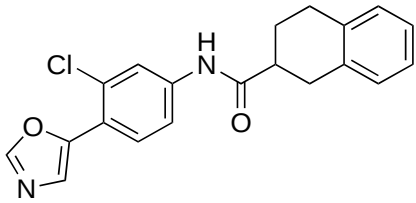
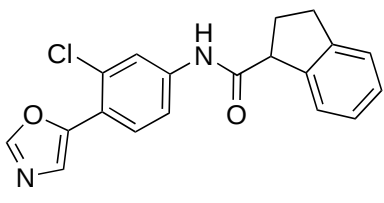
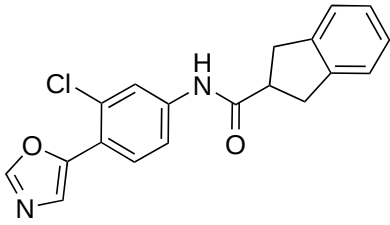
20 ~~4.5. A method~~ The use according to claim 1, wherein the compound of formula (I) R₃, R₄, R₃^I, R₄^I, R₃^{II}, R₄^{II}, R₃^{III}, R₄^{III}, R₃^{IV}, R₄^{IV}, R₃^V, R₄^V are independently selected from the group consisting of hydrogen, chloro, fluoro, methoxy and ethoxy.

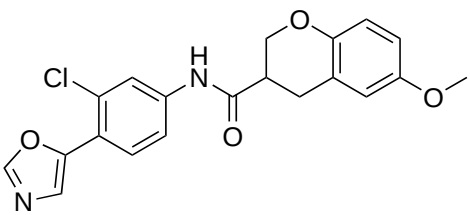
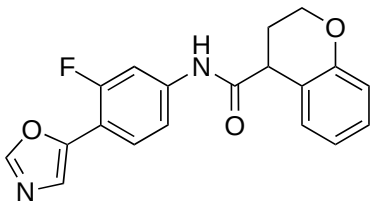
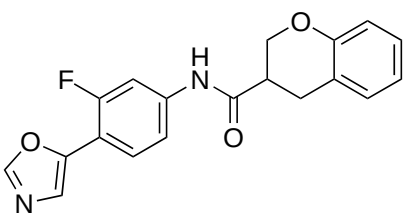
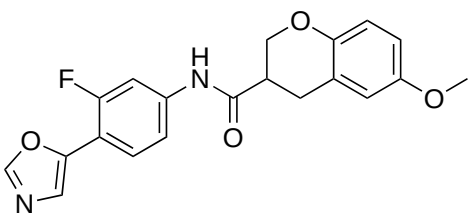
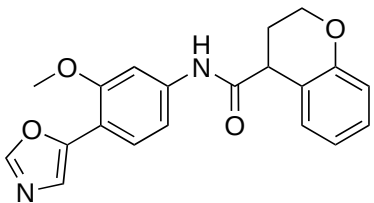
~~5.6. A method~~ The use according to claim 5, wherein the compound of formula (I) R_3 , R_3^I , R_3^{II} , R_3^{III} , R_3^{IV} , or R_3^V is selected from the group consisting of fluoro and chloro, and R_2 , R_4 , R_5 , R_2^I , R_4^I , R_5^I , R_2^{II} , R_4^{II} , R_5^{II} , R_2^{III} , R_4^{III} , R_5^{III} , R_2^{IV} , R_4^{IV} , R_5^{IV} , R_2^V , R_4^V , R_5^V are all hydrogen.

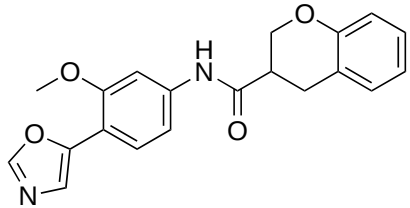
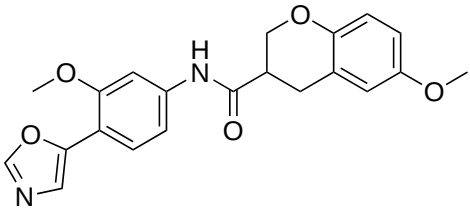
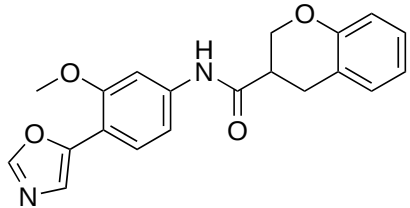
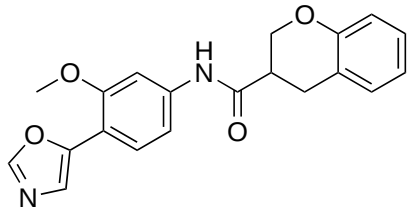
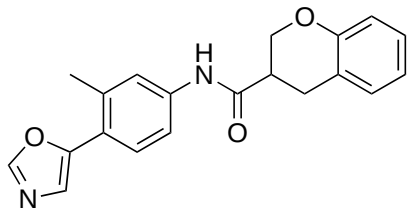
~~6.7. A method~~ The use according to claim 5, wherein the compound of formula (I), R_4 , R_4^I , R_4^{II} , R_4^{III} , R_4^{IV} , or R_4^V is selected from the group consisting of methoxy and ethoxy, and R_2 , R_3 , R_5 , R_2^I , R_3^I , R_5^I , R_2^{II} , R_3^{II} , R_5^{II} , R_2^{III} , R_3^{III} , R_5^{III} , R_2^{IV} , R_3^{IV} , R_5^{IV} , R_2^V , R_3^V , R_5^V are all hydrogen.

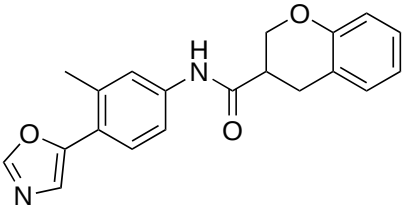
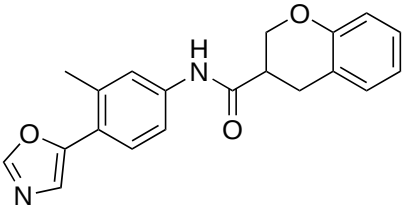
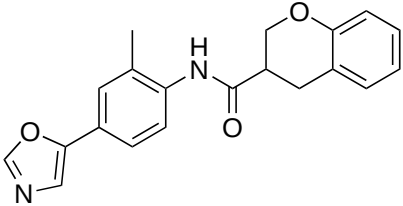
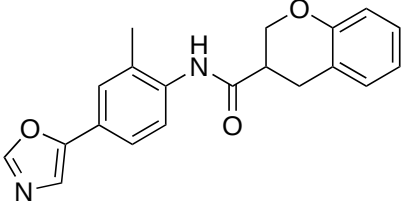
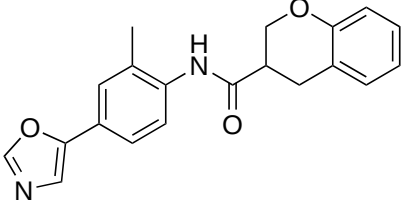
~~7.8. A method~~ The use according to claim 1, wherein the compound of formula (I) is selected from the group consisting of compounds 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, 23, 24, 25, 26, 27, 28, 29, 30, 31, 32, 33, 34, 35, 36, 37, 38, 39, 40, 41, 42, 43, 44, 45, 46 and 47:

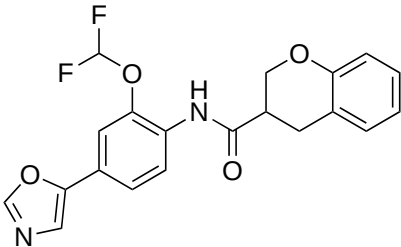
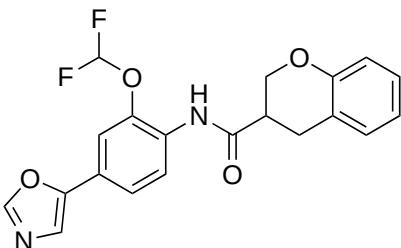
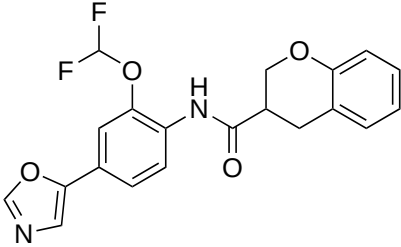
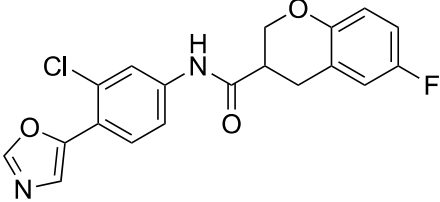
1	 enantiomer with the shorter retention time from the chiral HPLC resolution
2	 enantiomer with the longer retention time from the chiral HPLC resolution

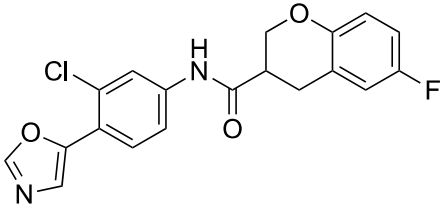
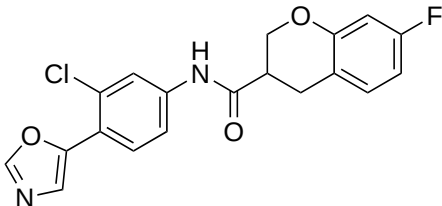
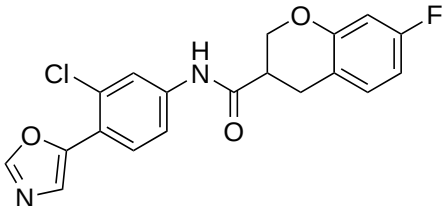
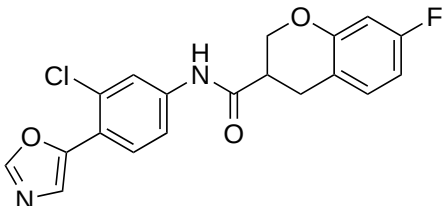
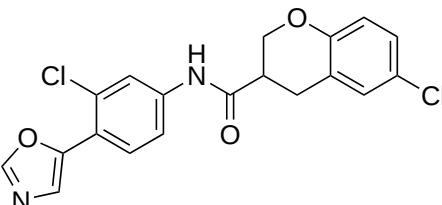
3	 (racemate)
4	 (racemate)
5	 (racemate)
6	 (racemate)
7	

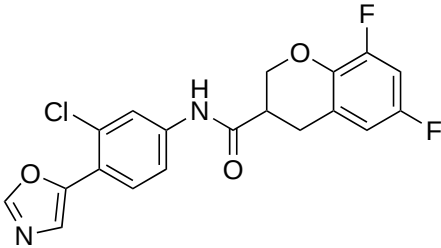
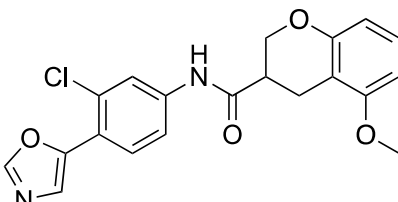
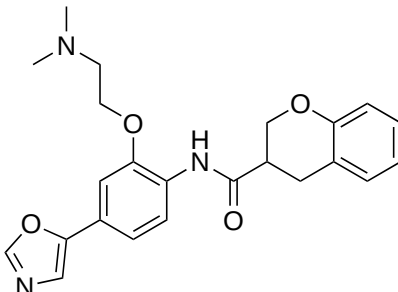
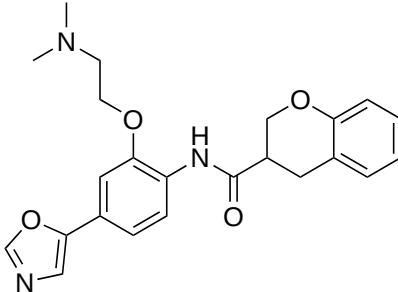
8	 (racemate)
9	 (racemate)
10	 (racemate)
11	 (racemate)
12	 (racemate)

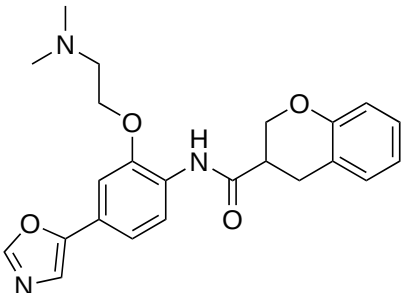
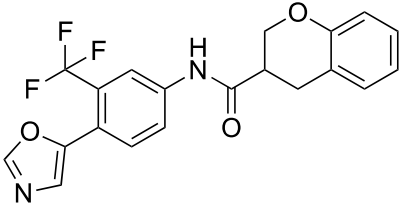
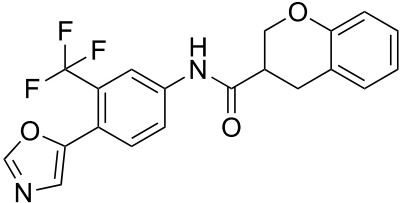
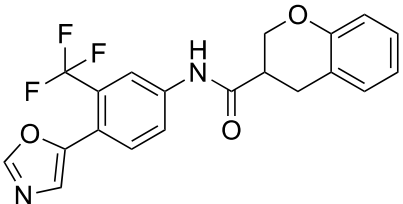
13	 (racemate)
14	 (racemate)
15	 enantiomer with the shorter retention time from the chiral HPLC resolution
16	 enantiomer with the longer retention time from the chiral HPLC resolution
17	 (racemate)

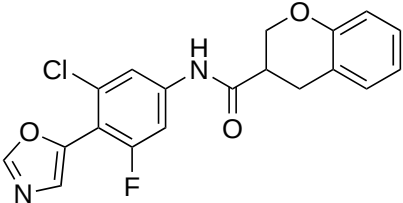
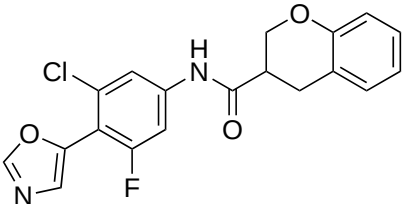
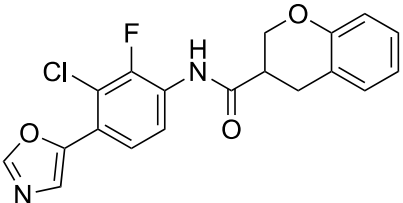
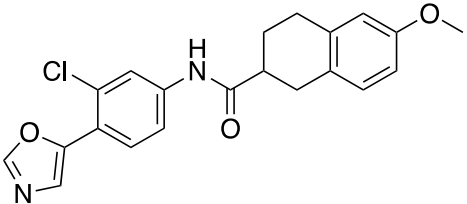
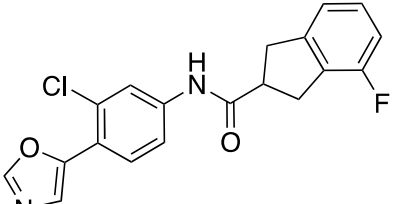
18	 enantiomer with the shorter retention time from the chiral HPLC resolution
19	 enantiomer with the longer retention time from the chiral HPLC resolution
20	 (racemate)
21	 enantiomer with the shorter retention time from the chiral HPLC resolution
22	 enantiomer with the longer

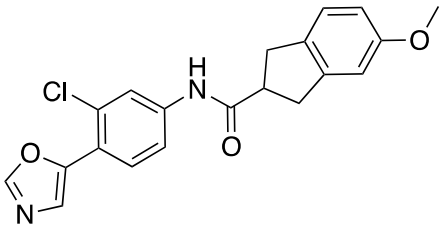
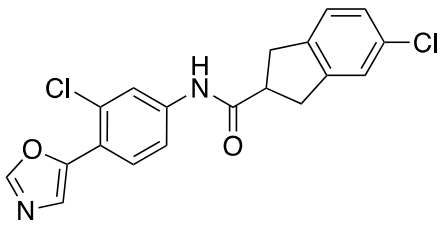
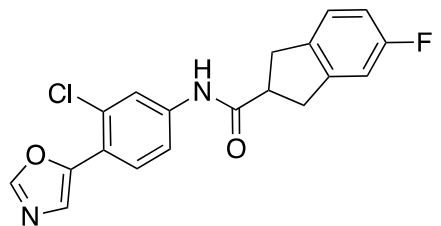
	retention time from the chiral HPLC resolution
23	 (racemate)
24	 enantiomer with the shorter retention time from the chiral HPLC resolution
25	 enantiomer with the longer retention time from the chiral HPLC resolution
26	 enantiomer with the shorter retention time from the chiral HPLC resolution

27	 enantiomer with the longer retention time from the chiral HPLC resolution
28	 (racemate)
29	 enantiomer with the shorter retention time from the chiral HPLC resolution
30	 enantiomer with the longer retention time from the chiral HPLC resolution
31	

	(racemate)
32	 (racemate)
33	 (racemate)
34	 (racemate)
35	 enantiomer with the shorter retention time from the chiral HPLC resolution

36	 enantiomer with the longer retention time from the chiral HPLC resolution
37	 (racemate)
38	 enantiomer with the shorter retention time from the chiral HPLC resolution
39	 enantiomer with the longer retention time from the chiral HPLC resolution

40	 enantiomer with the shorter retention time from the chiral HPLC resolution
41	 enantiomer with the longer retention time from the chiral HPLC resolution
42	 (racemate)
43	 (racemate)
44	 (racemate)

45	 (racemate)
46	 (racemate)
47	 (racemate)

~~8.9.~~ The method The use according to claim 1, wherein the retinal cells are regenerated via the proliferation and/or differentiation of retinal pigment epithelium cells.

~~9.10.~~ The method The use according to claim 1, wherein the retinal disease is selected from the group consisting of a disease leading to atrophy, degeneration or death of the retinal pigment epithelium.

~~10.11.~~ The method The use according to claim 10, wherein

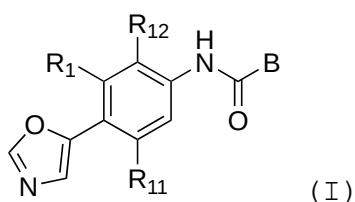
the retinal disease is selected from the group consisting of early age-related macular degeneration, dry age-related macular degeneration, geographic atrophy (GA) and wet age-related macular degeneration.

5 ~~11.12.~~ ~~The method~~ The use according to claim 11, wherein the retinal disease is dry age-related macular degeneration.

10 ~~12.13.~~ ~~The method~~ The use according to claim 10, wherein the retinal disease is selected from the group consisting of Best disease, autosomal recessive bestrophinopathy (ARB), gyrate atrophy, North Carolina macular dystrophy, central areolar choroidal dystrophy (CACD), Sorsby macular dystrophy, familial dominant drusen, cuticular or basal laminar drusen, retinopathy of prematurity, myopic degeneration, polypoidal choroidal vasculopathy (PCV), central serious retinopathy, angioid streaks, retinal detachment, retinal dialysis, Vogt-Koyanagi-Harada (VKH), acute posterior multifocal placoid pigment epitheliopathy (APMPPE), persistent placoid maculopathy (PPM) 15 relentless placoid chorioretinopathy (RPC), serpiginous choroiditis, serpiginous-like choroiditis (multifocal serpiginoid choroiditis), multiple evanescence white dot syndrome (MEWDS) or Birdshot 20 uveitis (vitiliginous chorioretinitis), toxoplasmosis, toxocariasis, rubella, Behçets disease, choroidal hemangioma, trauma, choroidal rupture, idiopathic retinitis - vasculitis - aneurysms and neuroretinitis (IRVAN), sympathetic ophthalmia, post-operative 25 inflammation, or non-arteritic ischemic optic 30

neuropathy as well as retinal degeneration associated with systemic disease such as diabetes mellitus, sickle cell disease or radiation retinopathy.

~~13.~~14. A compound of the formula (I)

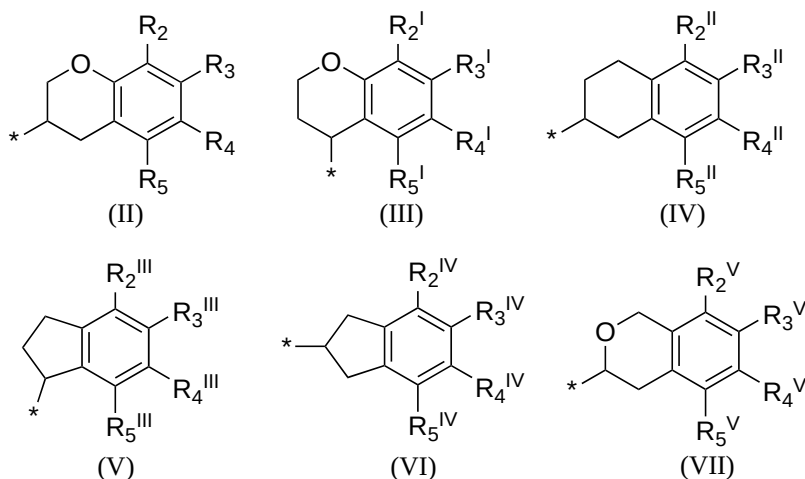


or a pharmaceutically acceptable salt, a racemic mixture, a corresponding enantiomer or, if applicable, a corresponding diastereomer thereof,

wherein:

R₁, R₁₁ and R₁₂ are independently selected from the group consisting of hydrogen, fluoro, chloro, methoxy, trifluoromethyl, methyl and difluoromethoxy, whereby at least one of R₁, R₁₁ and R₁₂ is not hydrogen,

B is selected from the group consisting of a residue of formula (II), (III), (IV), (V), (VI) and (VII)



wherein,

"*" denotes the point of attachment to the remainder of the molecule, and

5 $R_2, R_3, R_4, R_5, R_2^I, R_3^I, R_4^I, R_5^I, R_2^{II}, R_3^{II}, R_4^{II}, R_5^{II}, R_2^{III}, R_3^{III}, R_4^{III}, R_5^{III}, R_2^{IV}, R_3^{IV}, R_4^{IV}, R_5^{IV}, R_2^V, R_3^V, R_4^V, R_5^V$ are independently selected from the group consisting of hydrogen, a linear or branched alkyl having 1 to 3 carbon atoms, fluoro, chloro, bromo, methoxy, ethoxy, propoxy, trifluoromethyl and difluoromethoxy,

10

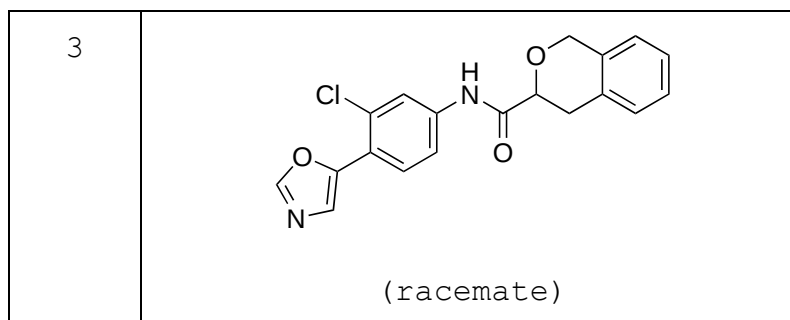
with the proviso that if

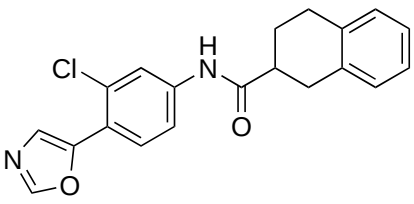
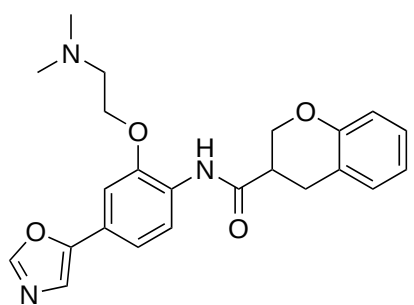
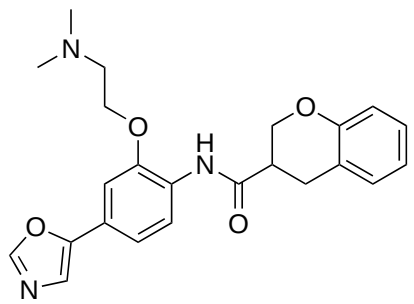
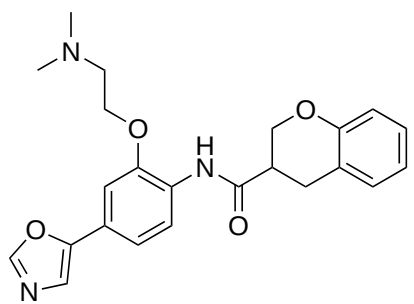
a) R_{11} is hydrogen and

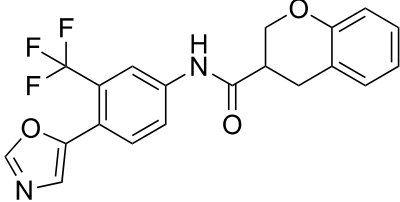
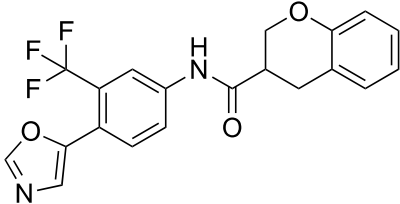
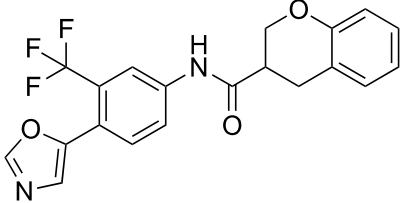
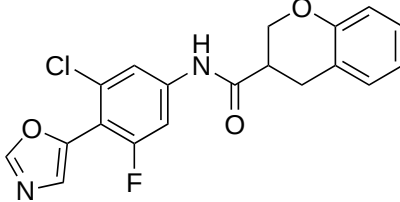
b) one of R_1 and R_{12} is selected from the group consisting of fluoro, chloro and methoxy, trifluoromethyl, methyl and difluoromethoxy, whereas
15 the other of R_1 and R_{12} is hydrogen, then

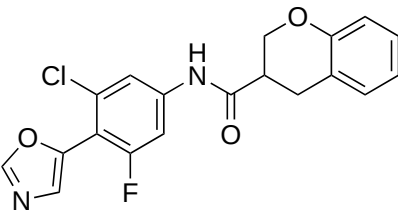
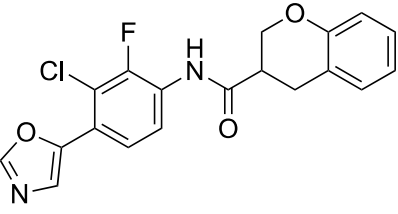
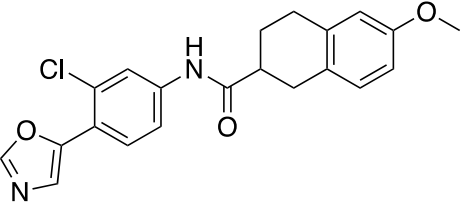
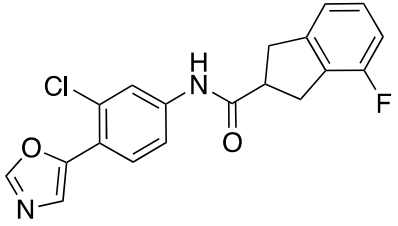
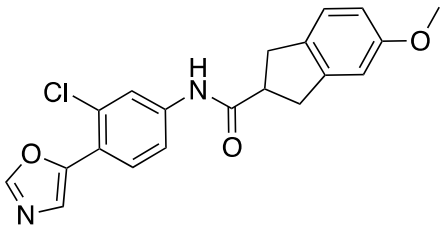
B is either a residue of formula (IV) or (VII).

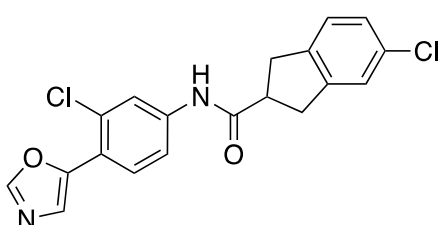
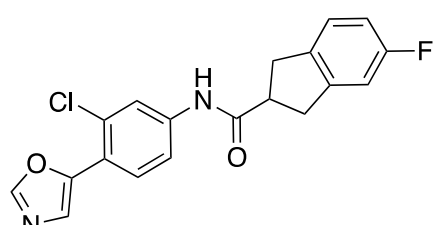
~~14.~~ 15. Compound according to claim 14, wherein the
20 compound of formula (I) is selected from the group consisting of compounds 3, 5, 34, 35, 36, 37, 38, 39, 40, 41, 42, 43, 44, 45, 46 and 47:



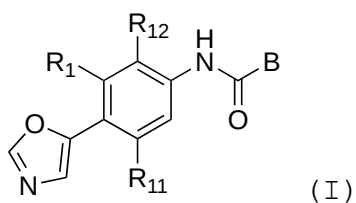
5	 (racemate)
34	 (racemate)
35	 enantiomer with the shorter retention time from the chiral HPLC resolution
36	 enantiomer with the longer retention time from the chiral

	HPLC resolution
37	 (racemate)
38	 enantiomer with the shorter retention time from the chiral HPLC resolution
39	 enantiomer with the longer retention time from the chiral HPLC resolution
40	 enantiomer with the shorter retention time from the chiral HPLC resolution

41	 enantiomer with the longer retention time from the chiral HPLC resolution
42	 (racemate)
43	 (racemate)
44	 (racemate)
45	

	(racemate)
46	 (racemate)
47	 (racemate)

15.16. The pharmaceutical composition comprising a compound

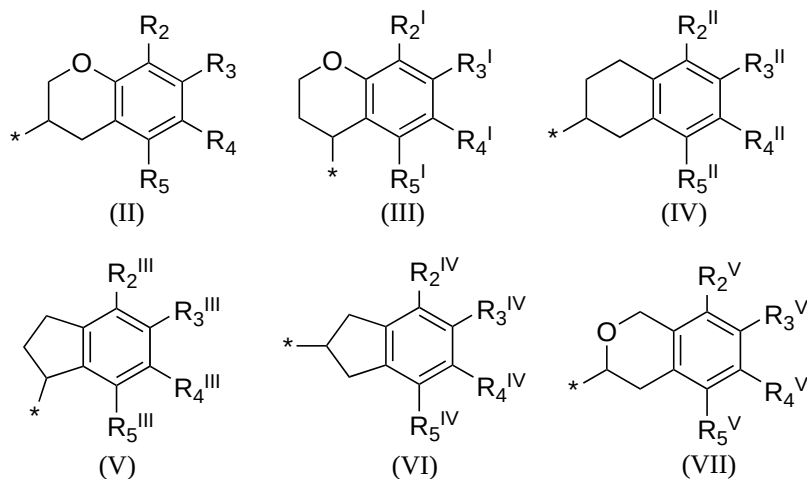


5 or a pharmaceutically acceptable salt, a racemic mixture, a corresponding enantiomer or, if applicable, a corresponding diastereomer thereof,

wherein:

10 R₁, R₁₁ and R₁₂ are independently selected from the group consisting of hydrogen, fluoro, chloro, methoxy, trifluoromethyl, methyl and difluoromethoxy, whereby at least one of R₁, R₁₁ and R₁₂ is not hydrogen

B is selected from the group consisting of a residue of formula (II), (III), (IV), (V), (VI) and (VII)



wherein,

5 "*" denotes the point of attachment to the remainder of the molecule, and

R₂, R₃, R₄, R₅, R₂^I, R₃^I, R₄^I, R₅^I, R₂^{II}, R₃^{II}, R₄^{II}, R₅^{II}, R₂^{III}, R₃^{III}, R₄^{III}, R₅^{III}, R₂^{IV}, R₃^{IV}, R₄^{IV}, R₅^{IV}, R₂^V, R₃^V, R₄^V, R₅^V are independently selected from the group consisting of hydrogen, a linear or branched alkyl having 1 to 3 carbon atoms, fluoro, chloro, bromo, methoxy, ethoxy, propoxy, trifluoromethyl and difluoromethoxy

10

as a therapeutically active substance and a pharmaceutically acceptable carrier and/or adjuvant for use in the treatment and/or prevention of a disease involving the retinal pigment epithelium.

15

~~16.~~17. The pharmaceutical composition according to claim 16, wherein the pharmaceutical preparation is suitable for intraocular injection or for topical ophthalmic applications.

20

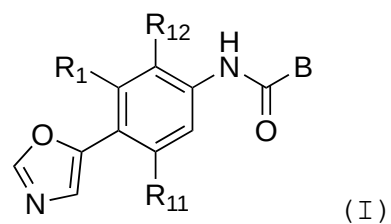
~~17.~~18. The pharmaceutical composition according to claim

16, comprising a pharmaceutically acceptable salt of the compound of formula (I).

~~18-19.~~ 19. The pharmaceutical composition according to claim 16, further comprising one or more additional therapeutic agents.

~~19-20.~~ 20. The pharmaceutical composition according to claim 16, wherein the pharmaceutical composition provides controlled release properties.

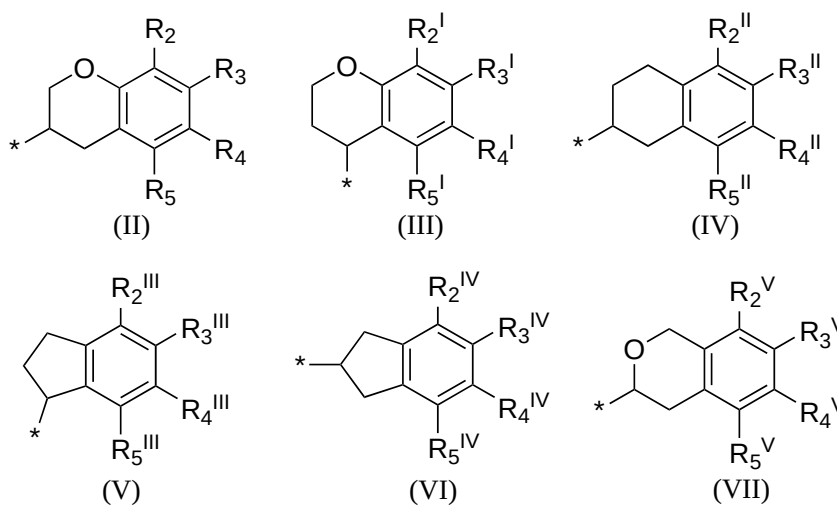
21. A compound of the formula (I)



or a pharmaceutically acceptable salt, a racemic mixture, a corresponding enantiomer or, if applicable, a corresponding diastereomer thereof, for use in therapy, wherein:

R₁, R₁₁ and R₁₂ are independently selected from the group consisting of hydrogen, fluoro, chloro, methoxy, trifluoromethyl, methyl and difluoromethoxy, whereby at least one of R₁, R₁₁ and R₁₂ is not hydrogen

B is selected from the group consisting of a residue of formula (II), (III), (IV), (V), (VI) and (VII)



wherein,

"*" denotes the point of attachment to the remainder of the molecule, and

5 R_2 , R_3 , R_4 , R_5 , R_2^I , R_3^I , R_4^I , R_5^I , R_2^{II} , R_3^{II} , R_4^{II} , R_5^{II} , R_2^{III} , R_3^{III} , R_4^{III} , R_5^{III} , R_2^{IV} , R_3^{IV} , R_4^{IV} , R_5^{IV} , R_2^V , R_3^V , R_4^V , R_5^V are independently selected from the group consisting
of hydrogen, a linear or branched alkyl having 1 to 3
carbon atoms, fluoro, chloro, bromo, methoxy, ethoxy,
10 propoxy, trifluoromethyl and difluoromethoxy.