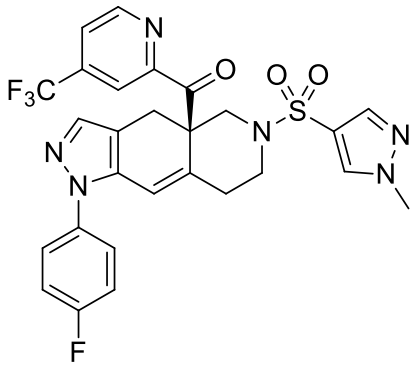


;

the formulation consisting of 20% relacorilant, 59.98% Lauroyl poloxyl-32 glycerides, 20% Propylene glycol monocaprylate, and 0.02% Butylated hydroxytoluene (BHT) ~~comprising:~~

~~about 18% to 22% relacorilant;~~

~~about 55% to 65% surfactant,~~

~~where said surfactant is selected from sorbitan surfactants, polysorbate surfactants, polyoxyl glyceride surfactants, ionic surfactants, and non-ionic surfactants;~~

~~and~~

~~about 18% to 22% solubilizer,~~

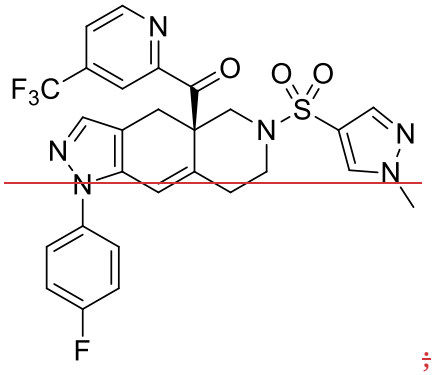
~~where said solubilizer is selected from polyethylene glycols, propylene glycol esters, ethanol, propylene glycol, glycerols, glycerin, *N*-methyl-2-pyrrolidone, dimethylacetamide, and dimethylsulfoxide, wherein said percentages are weight percent~~.

[0006b] In another aspect, the present disclosure refers to a unit dose for oral administration of relacorilant, consisting essentially of a softgel capsule containing a relacorilant formulation consisting of 20% relacorilant, 59.98% Lauroyl poloxyl-32 glycerides, 20% Propylene glycol monocaprylate, and 0.02% Butylated hydroxytoluene (BHT), wherein said percentages are weight percentages.

[0007] Applicant discloses herein formulations comprising the heteroaryl-ketone fused azadecalin (HKFA) compound (R)-(1-(4-fluorophenyl)-6-((1-methyl-1H-pyrazol-4-yl)sulfonyl)-4,4a,5,6,7,8-hexahydro-1H-pyrazolo[3,4-g]isoquinolin-4a-yl)(4-(trifluoromethyl)pyridin-2-yl)methanone (Example 18 of U.S. 8,859,774), also known as “relacorilant” and as “CORT125134”, which has the following structure:

WE CLAIM:

1. A formulation for oral administration of relacorilant, (R)-(1-(4-fluorophenyl)-6-((1-methyl-1H-pyrazol-4-yl)sulfonyl)-4,4a,5,6,7,8-hexahydro-1H-pyrazolo[3,4-g]isoquinolin-4a-yl)(4-(trifluoromethyl)pyridin-2-yl)methanone, which has the following structure:



;

the formulation comprising:

20% relacorilant;

59.98% to 60% surfactant,

where said surfactant is selected from sorbitan surfactants, polysorbate surfactants, polyoxyl glyceride surfactants, ionic surfactants, and non-ionic surfactants;

and

20% solubilizer,

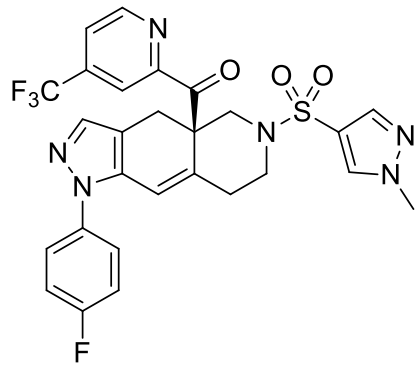
where said solubilizer is selected from polyethylene glycols, propylene glycol esters, ethanol, propylene glycol, glycerols, glycerin, *N*-methyl-2-pyrrolidone, dimethylacetamide, and dimethylsulfoxide, wherein said percentages are weight percent.

1. The formulation of claim 1, further including an antioxidant.

3. The formulation of claim 2, wherein the formulation comprises about 0.02% antioxidant.

4. The formulation of claim 2, wherein the surfactant is a lauroyl polyoxy glyceride.

~~5~~1. A formulation for oral administration of relacorilant, (R)-(1-(4-fluorophenyl)-6-((1-methyl-1H-pyrazol-4-yl)sulfonyl)-4,4a,5,6,7,8-hexahydro-1H-pyrazolo[3,4-g]isoquinolin-4a-yl)(4-(trifluoromethyl)pyridin-2-yl)methanone, which has the following structure:



;~~The formulation of claim 2,~~ the formulation consisting of 20% relacorilant, 59.98% Lauroyl poloxyl-32 glycerides, 20% Propylene glycol monocaprylate, and 0.02% Butylated hydroxytoluene (BHT).

~~6~~2. The formulation of claim ~~5~~1, consisting of 25 mg relacorilant, 74.975 mg Lauroyl poloxyl-32 glycerides, 25 mg Propylene glycol monocaprylate, and 0.025 mg Butylated hydroxytoluene (BHT).

~~7~~3. The formulation of claim ~~5~~1, consisting of 50 mg relacorilant, 149.95 mg Lauroyl poloxyl-32 glycerides, 50 mg Propylene glycol monocaprylate, and 0.05 mg Butylated hydroxytoluene (BHT).

~~8~~4. The formulation of claim ~~5~~1, consisting of 100 mg relacorilant, 299.9 mg Lauroyl poloxyl-32 glycerides, 100 mg Propylene glycol monocaprylate, and 0.1 mg Butylated hydroxytoluene (BHT).

~~9~~5. The formulation of claim ~~5~~1, consisting of 200 mg relacorilant, 599.8 mg Lauroyl poloxyl-32 glycerides, 200 mg Propylene glycol monocaprylate, and 0.2 mg Butylated hydroxytoluene (BHT).

~~10~~6. The formulation of claim ~~5~~1, consisting of 300 mg relacorilant, 899.7 mg Lauroyl poloxyl-32 glycerides, 300 mg Propylene glycol monocaprylate, and 0.3 mg Butylated hydroxytoluene (BHT).

~~11~~7. The formulation of claim ~~5~~1, consisting of 400 mg relacorilant, 1199.6 mg Lauroyl poloxyl-32 glycerides, 400 mg Propylene glycol monocaprylate, and 0.4 mg Butylated hydroxytoluene (BHT).

~~12~~8. The formulation of claim ~~5~~1, consisting of 500 mg relacorilant, 1499.5 mg Lauroyl poloxyl-32 glycerides, 500 mg Propylene glycol monocaprylate, and 0.5 mg Butylated hydroxytoluene (BHT).

~~13~~9. The formulation of claim ~~5~~1, consisting of 750 mg relacorilant, 2249.25 mg Lauroyl poloxyl-32 glycerides, 750 mg Propylene glycol monocaprylate, and 0.75 mg Butylated hydroxytoluene (BHT).

~~14~~10. A unit dose for oral administration of relacorilant, consisting essentially of a softgel capsule containing a relacorilant formulation consisting of 20% relacorilant, 59.98% Lauroyl poloxyl-32 glycerides, 20% Propylene glycol monocaprylate, and 0.02% Butylated hydroxytoluene (BHT), wherein said percentages are weight percentages.

~~15~~11. The unit dose for oral administration of relacorilant of claim ~~14~~10, said softgel capsule containing a relacorilant formulation consisting of 25 mg relacorilant, 74.975 mg Lauroyl poloxyl-32 glycerides, 25 mg Propylene glycol monocaprylate, and 0.025 mg Butylated hydroxytoluene (BHT).

~~16~~12. The unit dose for oral administration of relacorilant of claim ~~14~~10, said softgel capsule containing a relacorilant formulation consisting of 50 mg relacorilant, 149.95 mg Lauroyl poloxyl-32 glycerides, 50 mg Propylene glycol monocaprylate, and 0.05 mg Butylated hydroxytoluene (BHT).

~~17~~13. The unit dose for oral administration of relacorilant of claim ~~14~~10, said softgel capsule containing a relacorilant formulation consisting of 100 mg relacorilant, 299.9 mg Lauroyl poloxyl-32 glycerides, 100 mg Propylene glycol monocaprylate, and 0.1 mg Butylated hydroxytoluene (BHT).

~~18~~14. The unit dose for oral administration of relacorilant of claim ~~14~~10, said softgel capsule containing a relacorilant formulation consisting of 200 mg relacorilant, 599.8 mg

Lauroyl poloxyl-32 glycerides, 200 mg Propylene glycol monocaprylate, and 0.2 mg Butylated hydroxytoluene (BHT).

~~19~~15. The unit dose for oral administration of relacorilant of claim ~~14~~10, said softgel capsule containing a relacorilant formulation consisting of 300 mg relacorilant, 899.7 mg Lauroyl poloxyl-32 glycerides, 300 mg Propylene glycol monocaprylate, and 0.3 mg Butylated hydroxytoluene (BHT).

~~20~~16. The unit dose for oral administration of relacorilant of claim ~~14~~10, said softgel capsule containing a relacorilant formulation consisting of 400 mg relacorilant, 1199.6 mg Lauroyl poloxyl-32 glycerides, 400 mg Propylene glycol monocaprylate, and 0.4 mg Butylated hydroxytoluene (BHT).

~~21~~17. The unit dose for oral administration of relacorilant of claim ~~14~~10, said softgel capsule containing a relacorilant formulation consisting of 500 mg relacorilant, 1499.5 mg Lauroyl poloxyl-32 glycerides, 500 mg Propylene glycol monocaprylate, and 0.5 mg Butylated hydroxytoluene (BHT).

~~22~~18. The unit dose for oral administration of relacorilant of claim ~~14~~10, said softgel capsule containing a relacorilant formulation consisting of 750 mg relacorilant, 2249.25 mg Lauroyl poloxyl-32 glycerides, 750 mg Propylene glycol monocaprylate, and 0.75 mg Butylated hydroxytoluene (BHT).