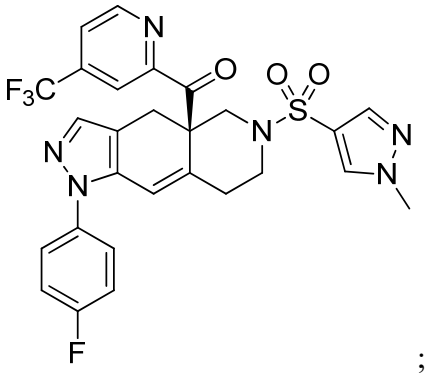


;

the formulation comprising:

20% ~~about 18% to 22%~~ relacorilant;

59.98% to 60% ~~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

20% ~~about 18% to 22%~~ solubilizer,

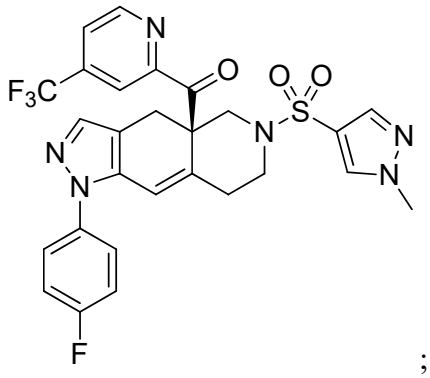
where said solubilizer is selected from polyethylene glycols, propylene glycol esters, ethanol, propylene glycol, glycerols, glycerin, *N*-methyl-2-pyrrolidone, dimethylacetamide, and dimethylsulfoxide, wherein said percentages are weight percent.

[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:

~~about 18% to 22~~20% relacorilant;

~~about 55~~59.98% to 6~~5~~0% 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~~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. The formulation of claim 2, consisting of 20% relacorilant, 59.98% Lauroyl poloxyl-32 glycerides, 20% Propylene glycol monocaprylate, and 0.02% Butylated hydroxytoluene (BHT)

6. The formulation of claim 5, 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. The formulation of claim 5, 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. The formulation of claim 5, 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. The formulation of claim 5, 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. The formulation of claim 5, 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. The formulation of claim 5, 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. The formulation of claim 5, 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. The formulation of claim 5, 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. 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. The unit dose for oral administration of relacorilant of claim 14, 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. The unit dose for oral administration of relacorilant of claim 14, 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. The unit dose for oral administration of relacorilant of claim 14, said softgel capsule containing a relacorilant formulation consisting of 100 mg relacorilant, 299.9 mg ~~Gelucire~~ Lauroyl poloxyl-32 glycerides, 100 mg Propylene glycol monocaprylate, and 0.1 mg Butylated hydroxytoluene (BHT).

18. The unit dose for oral administration of relacorilant of claim 14, 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. The unit dose for oral administration of relacorilant of claim 14, 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. The unit dose for oral administration of relacorilant of claim 14, 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. The unit dose for oral administration of relacorilant of claim 14, 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. The unit dose for oral administration of relacorilant of claim 14, 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).