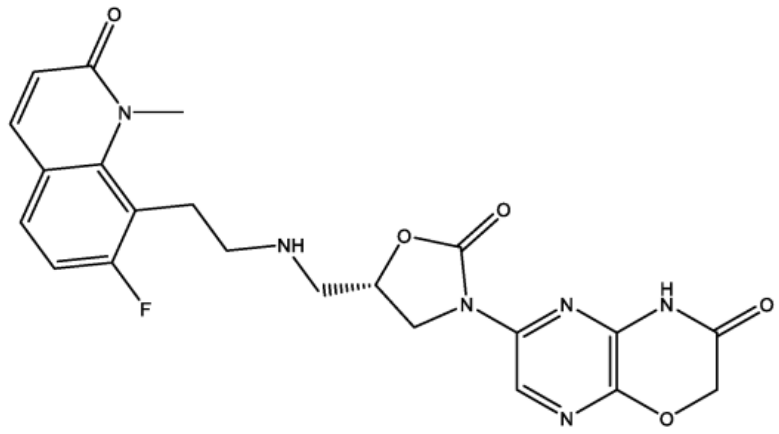
SUMMARY

[0006] In an aspect of the present disclosure, there is provided a formulation comprising: a) a compound of Formula (I) or its salt thereof;

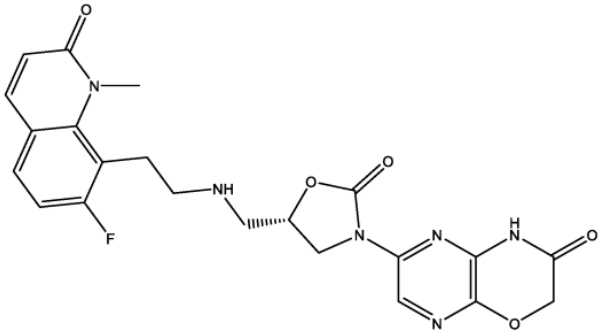


Formula (I)

b) a solubilising agent; c) a hydrotropic agent; and d) a pH modifier.

[0006a] In another aspect, there is provided a lyophilized formulation comprising the formulation as defined above.

[0007] In another example of the present disclosure, there is provided a lyophilized formulation comprising: a) a compound of Formula (I) or its salt thereof;



Formula (I)

b) a solubilising agent; c) a hydrotropic agent; and d) a pH modifier.

[0007a] In another aspect, there is provided a reconstituted formulation comprising: the lyophilized formulation as defined above or the formulation as defined above, with a reconstituting agent and a diluent

[0008] In one another example of the present disclosure, there is provided a reconstituted formulation comprising the lyophilized formulation as disclosed herein with a reconstituting agent and a diluent.

[0009] In yet another aspect of the present disclosure, there is provided a kit comprising: a) a first compartment comprising the formulation as disclosed herein; b) a second compartment comprising a facilitating agent; and c) optionally an accessory.

[00010] In further aspect of the present disclosure, there is provided a process for preparing the formulation as disclosed herein, the process comprising: a) contacting a solubilising agent with a compound of Formula (I) or it's salt in the presence of a second solvent under stirring at a temperature in a range of 18 to 40°C to obtain a first solution; b) adding a hydrotropic agent to the first solution under stirring to obtain a second solution; and c) mixing a pH modifier to the second solution followed by addition of a vehicle to obtain the formulation.

[00011] In more aspect of the present disclosure, there is provided a process for preparing the lyophilized formulation as disclosed herein, the process comprising: a) freezing the formulation as disclosed herein, at a temperature in a range of 0 to -50°C followed by drying at a pressure in a range of 10 µbar to 1 bar, to obtain the lyophilized formulation.

[00012] In further aspect of the present disclosure, there is provided a process for preparing the reconstituted formulation as disclosed herein, the process comprising: contacting the lyophilized formulation with a diluent followed by addition of a reconstituting agent.

[00012a] In another aspect, there is provided the use of the formulation as defined above.

[00012b] In another aspect, there is provided the use of the kit as defined above.

[00013] In further examples of the present disclosure, there is provided a method of treating a bacterial infection, the method comprising administering an effective amount of the formulation as disclosed herein, to a subject in need thereof.

[00013a] In another aspect, there is provided the use of the formulation as defined above in the manufacture of a medicament for treating a microbial infection.

[00014] In more examples of the present disclosure, there is provided a method of treating or preventing a disease or a condition, the method comprising

administering an effective amount of the formulation as disclosed herein, to a subject in need thereof.

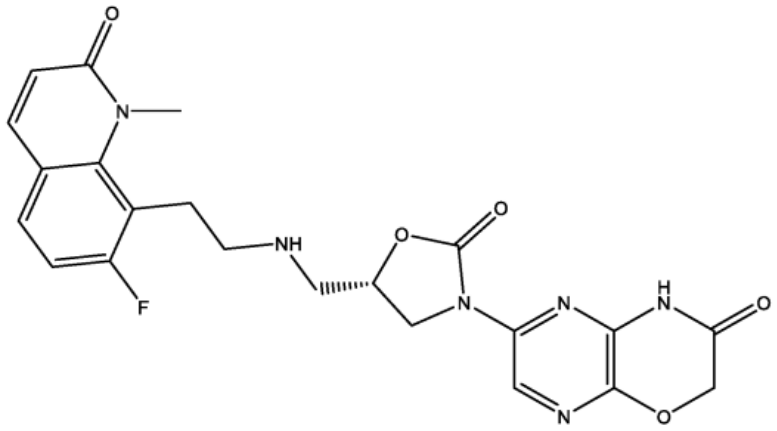
[00014a] In another aspect, there is provided the use of the formulation as defined above in the manufacture of a medicament for treating or preventing a disease or condition.

[00015] These and other features, aspects, and advantages of the present subject matter will become better understood with reference to the following description and appended claims. This summary is provided to introduce a selection of concepts in a simplified form. This summary is not intended to identify key features or

I/We claim:

1. A formulation comprising:

    a. a compound of Formula (I) or its salt thereof ;



Formula (I)

    b. a solubilising agent;

    c. a hydrotropic agent; and

    d. a pH modifier.

2. The formulation as claimed in claim 1, wherein the formulation comprises the compound of Formula (I) or its salt thereof in a weight range of 1 to 30 % (w/w); the solubilising agent in a weight range of 5 to 55% (w/w); the hydrotropic agent in a weight range of 1 to 30% (w/w); and the pH modifier in a weight range of 0.5 to 30% (w/w).

3. The formulation as claimed in claim 1, wherein the solubilising agent is selected from lactic acid, ascorbic acid, acetic acid, propionic acid, succinic acid, gluconic acid, benzoic acid, tartaric acid, glutaric acid, malic acid, fumaric acid or combinations thereof; the pH modifier is selected from potassium hydroxide, sodium hydroxide, l-arginine, histidine, glycine, sodium bicarbonate, or combinations thereof; the hydrotropic agent is selected from niacinamide,

sodium benzoate, sodium citrate sodium acetate, or combinations thereof; and the salt of compound of Formula (I) is selected from formate, mesylate, esylate, besylate, tosylate, actetate, propionate, fumarate, maleate, tartarate, succinate, glycolate, glutamate, aspartate, hydrochloride, hydrobromide, or sulphate.

4. The formulation as claimed in claim 1, wherein the formulation is stable at a temperature in a range of -70°C to 10 °C.
5. The formulation as claimed in claim 1, wherein the formulation has a pH in a range of 2 to 6.
6. The formulation as claimed in claim 1, wherein the formulation comprises a vehicle; and the vehicle is water .
7. The formulation as claimed in claim 1, wherein the formulation is capable of killing or inhibiting the growth of microorganisms; and the microorganism is selected from bacteria, virus, fungi, and protozoa.
8. The formulation as claimed in claim 1, wherein the formulation is an anti-infective agent against microorganism.
9. The formulation as claimed in any one of the claims 7 to 8, wherein the microorganism is selected from *Escherichia coli, Pseudomonas aeruginosa, Klebsiella pneumoniae, Acinetobacter baumannii, Enterobacter cloacae, Citrobacter spp., Proteus spp., Serratia marcescens, Salmonella species, Morganella morganii, Klebsiella oxytoca, Klebsiella aerogenes, Providencia spp., Neisseria gonorrhoea, Mycoplasma spp., Campylobacter sp, Fusobacterium spp., Bacteriodes fragilis, Prevotella sp., Shigella sp., Helicobacter pylori, Ureaplasma spp., Burkholderia gladioli, Burkholderia multivorans, Pandorea apista, Burkholderia cepacia, Burkholderia pseudomallei, Burkholderia mallei, Stenotrophomonas maltophilia, Achromobacter spp., Ralstonia picketii, Legionella pneumophila, Clostridium difficile, Staphylococcus aureus, Coagulase-negatives Staphylococcus, Streptococcus pyogenes, Streptococcus pneumoniae, Enterococcus faecium,*

Enterococcus faecalis, Bacillus anthracis, Yersinia pestis and Francisella tularensis.

10. The formulation as claimed in claim 1, wherein the formulation inhibits an enzyme selected from bacterial gyrase, topoisomerase IV, or combinations thereof.

11. The formulation as claimed in claim 1, wherein the formulation further comprises an additive, a therapeutic agent, or combinations thereof.

12. The formulation as claimed in claim 11, wherein the additive is selected from sorbitol, dextrose, sodium phosphate monobasic, sodium phosphate dibasic, sodium citrate, sodium bicarbonate, sodium chloride, or combinations thereof.

13. A lyophilized formulation comprising: the formulation as claimed in claim 1.

14. A reconstituted formulation comprising: the lyophilized formulation as claimed in claim 13 or the formulation as claimed in claim 1, with a reconstituting agent and a diluent.

15. The reconstituted formulation as claimed in claim 14, wherein the reconstituting agent is water; the diluent is selected from dextrose, sorbitol, sodium bicarbonate, glucose, mannitol, sucrose, or sodium chloride; and the diluent is in a weight range of 0.9- 25% (w/v) in a first solvent.

16. The formulation as claimed in claim 15, wherein the first solvent is water.

17. A kit comprising:

    a. a first compartment comprising the formulation as claimed in claim 1 or 13 or 14;
    b. a second compartment comprising a facilitating agent; and
    c. optionally an accessory.

18. The kit as claimed in claim 17, wherein the facilitating agent is selected from water for injection; and the accessory is selected from diluent bag, infusion tubing, needles and connector.

19. A process for preparing the formulation as claimed in claim 1, the process comprising:

a. contacting a solubilising agent with a compound of Formula (I) or it's salt thereof in the presence of a second solvent under stirring at a temperature in a range of 18 to 40 ℃ to obtain a first solution;
b. adding a hydrotropic agent to the first solution under stirring to obtain a second solution; and
c. mixing a pH modifier to the second solution optionally followed by addition of a vehicle to obtain the formulation.

20. The process as claimed in claim 19, wherein two or more solubilising agents are mixed prior to contacting with the compound of Formula (I) or its salt thereof.

21. The process as claimed in claim 19, wherein the first solution has a pH in a range of 2 to 3; and the second solution has a pH in a range of 2.5 to 4.

22. The process as claimed in claim 19, wherein the formulation is filtered after adding the vehicle.

23. The process as claimed in claim 19, wherein the second solvent is water; and the vehicle is water.

24. A process for preparing the lyophilized formulation as claimed in claim 19, the process comprising: a) freezing the formulation as claimed in claim 1 at a temperature in a range of 0 to -50℃ followed by drying at a pressure in a range of 10 μbar to 1 bar, to obtain the lyophilized formulation.

25. The process as claimed in claim 24, wherein freezing is carried out for a time period in a range of 30 minutes to 40 hours; and drying is carried out for a time period in a range of 20 minutes to 150 hours.

26. A process for preparing the reconstituted formulation as claimed in claim 14, the process comprising: contacting the lyophilized formulation as claimed in claim 13 with a diluent followed by addition of a reconstituting agent.

27. The process as claimed in claim 26, wherein the process is carried out for a time period in a range of 60 seconds to 10 minutes.

28. The process as claimed in claim 26, wherein the reconstituted formulation is stable for a time period range of 20 to 30 hours at a temperature in a range of 20 to 35°C.

29. The process as claimed in claim 26, wherein the reconstituted formulation has a pH in a range of 3 to 5; and has osmolality in a range of 300-500 mOs-mol/kg.

30. Use of the formulation as claimed in claim 1 or claim 13 or claim 14.

31. Use of the kit as claimed in claim 17.

32. Use of the formulation as claimed in claim 1 or claim 13 or claim 14 in the manufacture of a medicament for treating a microbial infection.

33. The use as claimed in claim 32, wherein the microbial infection is caused by bacteria, virus, fungi, or protozoa.

34. Use of the formulation as claimed in claim 1 or claim 13 or claim 14 in the manufacture of a medicament for treating or preventing a disease or condition.

35. The use as claimed in claim 34, wherein the disease or the condition is mediated by gram-positive, gram-negative bacterial species or combinations thereof.

36. The use as claimed in claim 34, wherein the disease or the condition is selected from complicated and uncomplicated urinary tract infections (cUTI, eg., pyelonephritis, cystitis), intra-abdominal infections (cIAI), bloodstream infections, Hospital-Acquired Bacterial Pneumonia (HABP, Nosocomial Pneumonia),Ventilator-Associated Bacterial Pneumonia (VABP), Community-Acquired Bacterial Pneumonia (CABP), cystic fibrosis secondary infections (CFI), skin and soft tissue infections (SSTIs), endocarditis, meningitis, dysentery and diarrhoae, typhoid, *Clostridium difficile* associated colitis and diarrhoea (CDAD), *Helicobacter pylori* associated peptic ulcer, sexually transmitted diseases caused by Chlamydia trachomatis, gonorrhoea caused by Neisseria gonorrhoeae, syphilis caused by Treponema pallidum, or bioterrorism associated bacterial diseases caused by Anthrax (Bacillus anthracis), Bubonic Plague (Yersinia pestis), Tularemia (Francisella tularensis), Glanders

(Burkholderia mallei), Melioidosis (Burkholderia pseudomallei) or Q fever (Coxiella burnetii).