Abstract:
The present description relates to the use of bicyclic and tricyclic ring substituted 2-pyridinone compounds and forms thereof for treating ameliorating Neisseria gonorrhoeae. The present description relates to a compound of Formula (I): wherein R1, R2 and R3 are as defined herein, and forms and compositions thereof, and also relates to uses of a compound of Formula (I) or a form thereof and methods for treating or ameliorating Neisseria gonorrhoeae (N. gonorrhoeae) in a subject in need thereof, comprising, administering an effective amount of the compound to the subject.
BICYCLIC AND TRICYCLIC SUBSTITUTED 2-PYRIDINONE ANTIBACTERIAL COMPOUNDS

CROSS-REFERENCE TO RELATED APPLICATION

This application claims the benefit of U.S. Patent Provisional Application No. 62/048,166, filed September 9, 2014, the contents of which are incorporated by reference herein.

FIELD OF THE INVENTION

The present description relates to substituted 2-pyridinone compounds and forms and pharmaceutical compositions thereof and methods of using such compounds, forms or compositions thereof for treating or ameliorating Neisseria gonorrhoeae (N. gonorrhoeae). More particularly, the present description relates to bicyclic and tricyclic ring substituted 2-pyridinone compounds and forms and pharmaceutical compositions thereof and methods of using such compounds, forms or compositions thereof for treating or ameliorating a wild-type or drug-resistant form of N. gonorrhoeae.

BACKGROUND

Neisseria is a large genus of generally commensal Gram-negative bacteria that colonize the mucosal surfaces of many animals. The facile ability of N. gonorrhoeae to develop drug resistance makes N. gonorrhoeae a rapidly emerging global health threat, and is considered to be an emerging superbug. 820,000 new cases of N. gonorrhoeae are estimated to occur in the United States every year. With more than 100 million cases of N. gonorrhoeae reported worldwide, about 12% of drug-resistant N. gonorrhoeae is estimated to be penicillin resistant (penicillinR), about 23% is estimated to be tetracycline resistant (tetracyclineR) and about 13% is estimated to be quinolone resistant (quinoloneR).

The level of quinolone resistance in Taiwan and China is about 90% (Morbidity and Mortality Weekly, Feb 15, 2013). Other forms of drug-resistant N. gonorrhoeae include streptomycin-resistant (streptomycinR), ciprofloxacin-resistant (ciprofloxacinR) and ampicillin-resistant (ampicillinR). Currently, ceftriaxone (a cephalosporin) is the drug of last resort for treating N. gonorrhoeae. With few clinical trials underway for new drugs targeting N. gonorrhoeae, the discovery of new antibacterial agents to treat wild-type or drug-resistant forms of N. gonorrhoeae is urgently needed.
Although quinolones have been highly effective agents in the clinic, wide-scale deployment and generic usage of second generation quinolones (e.g., ciprofloxacin) has jeopardized their future long-term utility. Furthermore, fluoroquinolones had become the standard of care for treating *N. gonorrhoeae* in early 1999. As early as 2001, though, bacterial resistance to these agents was also on the rise. Within 6 years, *N. gonorrhoeae* resistance in certain patient populations went from less than 1% to greater than 40%. In 2007, the Centers for Disease Control (CDC) discontinued the use of ciprofloxacin as the standard of care for treating *N. gonorrhoeae*. Therefore, new drugs targeting wild-type or drug-resistant forms of *N. gonorrhoeae* would be expected to help address this important unmet medical need.

As resistance to marketed antibacterial agents continues to increase and new antibacterial agents have not been readily forthcoming from the pharmaceutical industry, the availability of new agents is essential to overcome pre-existing and burgeoning resistance. More particularly, an effective, orally deliverable monotherapy and novel compounds active against wild-type or drug-resistant forms of *N. gonorrhoeae* are urgently needed. New compounds and new therapies with combinations of antibacterial and antibiotic agents having additive or synergistic activities, including combinations with current agents, would enable longer clinical lifetimes for proven agents against *N. gonorrhoeae*. Accordingly, the availability of such compounds and therapies would provide a significant current and future human health benefit with a high probability of success on several fronts for the control of wild-type or drug-resistant forms of *N. gonorrhoeae* for a number of years to come.

All other documents referred to in the present application are incorporated by reference as though fully set forth herein.

**SUMMARY**

The present description relates to a compound of Formula (I):

![Formula (I)](image)
wherein R\textsubscript{1}, R\textsubscript{2} and R\textsubscript{3} are as defined herein, and forms and compositions thereof, and also relates to uses of a compound of Formula (I) or a form thereof and methods for treating or ameliorating *Neisseria gonorrhoeae* (*N. gonorrhoeae*) in a subject in need thereof, comprising, administering an effective amount of the compound to the subject.

In particular, the present description relates to a compound of Formula (I), and forms and compositions thereof, and to uses of a compound of Formula (I), and forms and compositions thereof, and methods for treating or ameliorating *N. gonorrhoeae* in a subject in need thereof, comprising, administering an effective amount of the compound, and forms and compositions thereof, to the subject.

The present description also relates to a compound of Formula (I) or a form thereof having activity against wild-type or drug-resistant forms of *N. gonorrhoeae*.

In particular, the present description relates to a compound of Formula (I) or a form thereof having activity against wild-type or drug-resistant forms of *N. gonorrhoeae*.

The present description also relates to a compound of Formula (I) or a form thereof having activity against drug-resistant forms of *N. gonorrhoeae*.

In particular, the present description relates to a compound of Formula (I) or a form thereof having activity against drug-resistant forms of *N. gonorrhoeae*.

The present description also relates to a compound of Formula (I) or a form thereof having activity against *N. gonorrhoeae* resistant to one or more known antibacterial or antibiotic agents, wherein drug resistance may be classified as intermediate resistance (IR), high level resistance (HLR), multi-drug resistant (MDR), multi-drug intermediate resistant (MD\textsuperscript{IR}) or extensively drug resistant (XDR).

More particularly, the present description relates to a compound of Formula (I) or a form thereof having activity against a IR, HLR, MDR, MD\textsuperscript{IR} or XDR form of *N. gonorrhoeae*.

The present description also relates to a compound of Formula (I) or a form thereof having activity against an aminoglycoside-resistant, beta-lactam-resistant, cephalosporin-resistant, macrolide-resistant, quinolone-resistant or tetracycline-resistant form of *N. gonorrhoeae*.
The present description further relates to a compound of Formula (I) or a form thereof in combination with known agents having additive or synergistic activity, thus providing a combination product for the treatment of *N. gonorrhoeae*.

The present description further relates to use of a compound of Formula (I) or a form thereof for treating or ameliorating wild-type or drug-resistant forms of *N. gonorrhoeae*.

More particularly, the present description relates to use of a compound of Formula (I) or a form thereof for treating or ameliorating wild-type forms of *N. gonorrhoeae*.

More particularly, the present description relates to use of a compound of Formula (I) or a form thereof for treating or ameliorating drug-resistant forms of *N. gonorrhoeae*.

The present description also relates to use of the compound of Formula (I) or a form thereof for treating or ameliorating *N. gonorrhoeae* resistant to one or more known antibacterial or antibiotic agents, wherein drug resistance may be classified as intermediate resistance (IR), high level resistance (HLR), multi-drug resistant (MDR), multi-drug intermediate resistant (MD\textsuperscript{IR}) or extensively drug resistant (XDR).

More particularly, the present description relates to use of a compound of Formula (I) or a form thereof for treating or ameliorating IR, HLR, MDR, MD\textsuperscript{IR} or XDR forms of *N. gonorrhoeae*.

The present description also relates to use of a compound of Formula (I) or a form thereof for treating or ameliorating an aminoglycoside-resistant, beta-lactam-resistant, cephalosporin-resistant, macrolide-resistant, quinolone-resistant or tetracycline-resistant form of *N. gonorrhoeae*.

The present description further relates to use of a compound of Formula (I) or a form thereof in combination with known agents having additive or synergistic activity, thus providing a combination product for the treatment of *N. gonorrhoeae*.

DETAILED DESCRIPTION

The present description relates to substituted 2-pyridinone compounds selected from a compound of Formula (I):
or a form thereof, wherein

\( R_i \) is a bicyclic or tricyclic ring system selected from the group consisting of:

wherein "*" represents a point of attachment for \( R_i \) to the 2-pyridinone of Formula (I); and, wherein \( R_i \) is substituted on available valences with one to five substituents each selected from \( R_5 \);

\( R_2 \) is hydrogen, cyano, \( \text{Ci}_g \text{alkyl} \), hydroxyl-\( \text{Ci}_g \text{alkyl} \), formyl-\( \text{Ci}_g \text{alkyl} \), \( \text{Ci-galkoxy-Ci-galkyl} \), \( \text{Ci-galkoxy} \), \( \text{C}_2 \text{-galkenyl} \), \( \text{C}_2 \text{-galkynl} \), carboxyl, amino-\( \text{Ci-galkyl} \), aryl or \( \text{C}_3 \text{-}_4 \text{cycloalkyl} \);

\( R_3 \) is hydrogen, hydroxyl, \( \text{Ci-galkoxy} \) or amino;

\( R_5 \) is hydrogen, halogen, hydroxyl, oxo, cyano, nitro, \( \text{Ci-galkyl} \), hydroxyl-\( \text{Ci-galkyl} \), halo-\( \text{Ci-galkyl} \), \( \text{Ci-galkoxy} \), halo-\( \text{Ci-galkoxy} \), \( \text{Ci-galkyl-thio} \), carboxyl,
Ci-galkyl-carbonyl, Ci-galkoxy-carbonyl, amino-carbonyl, amino, Ci-galkyl-amino,
(C1-galkyl)2-amino, C2-galkenyl-amino, (C2-galkenyl)2-amino, C2-galkynyl-amino,
(C2-galkynyl)2-amino, amino-Ci-galkyl, Ci-ioalkyl-amino-Ci-galkyl,
(C1-10alkyl)2-amino-C1-galkyl, C1-galkenyl-amino-Ci-galkyl,
(C2-galkenyl)2-amino-C1-galkyl, C1-galkynyl-amino-Ci-galkyl,
(C2-galkynyl)2-amino-C1-galkyl, halo-C1-galkyl-amino, halo-C1-galkyl-amino-Ci-galkyl,
halo-C1-galkyl-amino-Ci-galkyl, (halo-C1-galkyl)2-amino,
Ci-galkoxy-Ci-galkyl-amino, (Ci-galkoxy-Ci-galkyl-amino-Ci-galkyl)2-amino,
(C1-galkoxy-C1-galkyl)2-amino, Ci-galkoxy-Ci-galkyl-amino-Ci-galkyl, (Ci-galkoxy-Ci-galkyl)2-amino-C1-galkyl,
(C1-galkoxy-C1-galkyl)2-amino-C1-galkyl, amino-Ci-galkyl-amino,
(amino-Ci-galkyl-amino-Ci-galkyl)2-amino,
(C1-galkyl-amino-Ci-galkyl)2-amino-C1-galkyl, amino-Ci-galkyl-amino-Ci-galkyl,
(C1-galkyl-amino-Ci-galkyl)2-amino-C1-galkyl, amino-Ci-galkyl-amino-Ci-galkyl,
(C1-galkyl-amino-Ci-galkyl)2-amino-C1-galkyl, amino-Ci-galkyl-amino-Ci-galkyl,
(C1-galkyl-amino-Ci-galkyl)2-amino-C1-galkyl, amino-Ci-galkyl-amino-Ci-galkyl,
(C1-galkyl-amino-Ci-galkyl)2-amino-C1-galkyl, amino-Ci-galkyl-amino-Ci-galkyl,
(C1-galkyl-amino-Ci-galkyl)2-amino-C1-galkyl, amino-Ci-galkyl-amino-Ci-galkyl,
(C1-galkyl-amino-Ci-galkyl)2-amino-C1-galkyl, amino-Ci-galkyl-amino-Ci-galkyl,
(C1-galkyl-amino-Ci-galkyl)2-amino-C1-galkyl, amino-Ci-galkyl-amino-Ci-galkyl,
(C1-galkyl-amino-Ci-galkyl)2-amino-C1-galkyl, amino-Ci-galkyl-amino-Ci-galkyl,
(C1-galkyl-amino-Ci-galkyl)2-amino-C1-galkyl, amino-Ci-galkyl-amino-Ci-galkyl,
(C1-galkyl-amino-Ci-galkyl)2-amino-C1-galkyl, amino-Ci-galkyl-amino-Ci-galkyl,
(C1-galkyl-amino-Ci-galkyl)2-amino-C1-galkyl, amino-Ci-galkyl-amino-Ci-galkyl,
(C1-galkyl-amino-Ci-galkyl)2-amino-C1-galkyl, amino-Ci-galkyl-amino-Ci-galkyl,
(C1-galkyl-amino-Ci-galkyl)2-amino-C1-galkyl, amino-Ci-galkyl-amino-Ci-galkyl,
(C1-galkyl-amino-Ci-galkyl)2-amino-C1-galkyl, amino-Ci-galkyl-amino-Ci-galkyl,
(C1-galkyl-amino-Ci-galkyl)2-amino-C1-galkyl, amino-Ci-galkyl-amino-Ci-galkyl,
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{(C3-C14cycloalkyl-C18alkyl)2-amino-C18alkyl, aryl, aryl-C1galkyl, aryl-C1galkoxy, aryl-amino, (aryl-C18alkyl)amino, (aryl)2-amino, aryl-amino-C1galkyl, (aryl-C1galkyl)amino-C1galkyl, (aryl)2-amino-C1galkyl, aryl-C1galkyl-amino, (aryl-C1galkyl)2-amino, aryl-C1galkyl-amino-C1galkyl, (aryl-C1galkyl)2-amino-C1galkyl, aryl-C1galkyl-amino-C1galkyl, (aryl-C1galkyl)2-amino-C1galkyl, heteroaryl, heteroaryl-C1galkyl, heteroaryl-amino, (heteroaryl)2-amino, heteroaryl-amino-C1galkyl, (heteroaryl)2-amino-C1galkyl, heterocyclyl, heterocyclyl-C1galkyl, heterocyclyl-oxy, heterocyclyl-C1galkoxy, heterocyclyl-amino, (heterocyclyl)2-amino, heterocyclyl-amino-C1galkyl, (heterocyclyl-C1galkyl)2-amino, heterocyclyl-carbonyl, (heterocyclyl-carbonyl-oxy), wherein each instance of C3-n cycloalkyl, aryl, heterocyclyl is optionally substituted with one, two or three substituents each selected from R6; and, R6 is azido, halogen, hydroxyl, cyano, nitro, C1-galkyl, halo-C1-galkyl, hydroxyl-C1-galkyl, C1-galkoxy-C1-galkyl, C1-galkoxy, halo-C1-galkoxy, hydroxyl-C1-galkoxy, carboxyl, C1-galkyl-carbonyl, C1-galkoxy-carbonyl, amino, C1-galkyl-amino, (C1-galkyl)2-amino, amino-C1-galkyl-amino, (amino-C1-galkyl)amino-C1-galkyl-amino, (C1-galkyl)2-amino-C1galkyl-amino, (C1-galkyl)2-amino-C1galkyl-amino, (C1-galkyl)2-amino-C1galkyl-amino, (C1-galkyl)2-amino-C1galkyl-amino, (C1-galkyl)2-amino-C1galkyl-amino, (C1-galkyl)2-amino-C1galkyl-amino, (C1-galkyl)2-amino-C1galkyl-amino, halo-C1galkyl-amino, (halo-C1galkyl)2-amino, halo-C1galkyl-amino-C1galkyl, (halo-C1galkyl)2-amino-C1galkyl, amino-C1galkyl-amino-C1galkyl, C1galkyl-thio, amino-carbonyl, C1galkyl-amino-carbonyl, (C1galkyl)2-amino-carbonyl, C1galkyl-carbonyl-amino,
(carboxyl-Ci-galky^Ci-galky^amino-carbonyl-amino, C 3_{1,4}cycloalkyl, C 3_{1,4}cycloalkyl-amino, aryl, aryl-Ci_galkyl, aryl-amino, (aryl,Ci_galkyl)amino, (aryl)_2-amino, aryl-Ci-galkyl-amino, (aryl-Ci-galky^Ci-galky^amino, (aryl-Ci-galkyl)Vamino, aryl-Ci-galkyl-amino-Ci-galkyl, (aryl-Ci-galky^Ci-galky^amino-Ci-galkyl, (aryl-Ci_galkyl) 2-amino, aryl-amino-Ci-galkyl, aryl-Ci-galkoxy, aryl-Ci-galkoxy-carbonyl-amino, heteroaryl, heteroaryl-Ci-galkyl, heteroaryl-amino, (heteroaryl) 2-amino, heteroaryl-Ci-galkyl-amino, (heteroaryl-Ci_galkyl^Ci-galky^amino, (heteroaryl-Ci_galkyl) 2-amino, heteroaryl-Ci-galkyl-amino-Ci-galkyl, (heteroaryl-Ci-galky^Ci-galky^amino-Ci-galkyl, (heteroaryl-Ci_galkyl) 2-amino-Ci_galkyl, heterocyclyl, heterocyclyl-Ci-galkyl, heterocyclyl-amino-Ci-galkyl

wherein each instance of C 3_{1,4}cycloalkyl is optionally substituted with one substituent

selected from R 9; and,

wherein each instance of aryl is optionally substituted with one halogen substituent; and,

R 9 is Ci-galkyl, amino, Ci_galkyl-amino, (C 1_galkyl) 2-amino, amino-Ci_galkyl, Ci_galkyl-amino-Ci-galkyl, (C 1_galkyl) 2-amino-C 1_galkyl or aryl-Ci-galkyl-amino; and,

wherein a form of the compound is selected from the group consisting of a prodrug, salt, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

The present description further relates to use of a compound of Formula (I) or a form thereof wherein, R 5 is:

C 3_{1,4}cycloalkyl selected in each instance, when present, from cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl or cycloheptyl;

aryl selected in each instance, when present, from phenyl;

heteroaryl selected in each instance, when present, from pyrrolyl, thiazolyl, 1H-1,2,3-triazolyl, 1H-tetrazolyl, 2H-tetrazolyl, 1H-imidazolyl or pyridinyl; and,

heterocyclyl selected in each instance, when present, from azetidinyl, tetrahydrofuranyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, 1,4-diazepanyl, 1,3-dioxolanyl, 2,5-dihydro-1H-pyrrolyl, 4,5-dihydro-1H-imidazolyl, 1,4,5,6-tetrahydropyrimidinyl, 1,2,3,6-tetrahydropyridinyl, tetrahydro-2H-pyranyl, indolyl, 2,3-dihydrobenzo[d]oxazolyl, 3,4-dihydro-2H-benzo[b][1,4]oxazinyl, 3,4-...
dihydroisoquinolin-(1H)-yl, 1,2,3,4-tetrahydroisoquinolinyl, 1,2,3,4-
tetrahydroquinoxalinyl, (6H)-pyrrolo[3,4-b][1,4]oxazin-(2H)-yl, hexahydropyrrolo[3,4-b][1,4]oxazin-(2H)-yl, (4aR,7aS)-hexahydropyrrolo[3,4-
b][1,4]oxazin-(4aH)-yl, hexahydro-1H-cyclopenta[c]pyrrolyl, (cis)-octahydrocyclopenta[c]pyrrolyl, (3aR,6aR)-hexahydropyrrolo[3,4-c]pyrrol-(1H)-yl, (3aR,4R,6aS)-hexahydropyrrolo[3,4-c]pyrrol-(1H)-yl, (3aR,5r,6aS)-hexahydropyrrolo[3,4-c]pyrrol-(1H)-yl, (3aR,4R,6aS)-hexahydropyrrolo[3,4-c]pyrrol-(1H)-yl, (3aR,5r,6aS)-hexahydropyrrolo[3,4-c]pyrrol-(1H)-yl, 5H-pyrrolo[3,4-b]pyrrol-(7H)-yl, 5,7-
dihydro-6H-pyrrolo[3,4-b]pyridinyl, tetrahydro-1H-pyrrolo[3,4-b]pyridin-
(2H,7H,7aH)-yl, hexahydro-1H-pyrrolo[3,4-b]pyridin-(2H)-yl, (4aR,7aR)-hexahydro-
1H-pyrrolo[3,4-b]pyridin-(2H)-yl, octahydro-6H-pyrrolo[3,4-b]pyridinyl, 2,3,4,9-
tetrahydro-1H-carbazolyl, 1,2,3,4-tetrahydropyrazino[1,2-a]indolyl, 2,3-dihydro-1H-
pyrrolo[1,2-a]indolyl, 1,3-dihydro-2H-isoindolyl, octahydro-2H-isoindolyl, (3aS)-
1,3,3a,4,5,6-hexahydro-2H-isoindolyl, (3aR,4R,7aS)-1H-isoindol-
(3H,3aH,4H,5H,6H,7H,7aH)-yl, (3aR,7aS)-octahydro-2H-isoindolyl, (3aR,4R,7aS)-
octahydro-2H-isoindolyl, (3aR,4S,7aS)-octahydro-2H-isoindolyl, 2,5-
diazabicyclo[2.2.1]heptyl, 2,5-diazabicyclo[2.2.1]heptanyl, 2-azabicyclo[2.2.1]hept-
5-enyl, 3-azabicyclo[3.1.0]hexyl, 3-azabicyclo[3.1.0]hexanyl, (IR,5S,6s)-3-
azabicyclo[3.1.0]hexyl, (IR,5S,6s)-3-azabicyclo[3.1.0]hexanyl, (IR,5S)-3-
azabicyclo[3.1.0]hexyl, (IR,5S)-3-azabicyclo[3.1.0]hexanyl, 3,6-
diazabicyclo[3.1.0]hexyl, 3,6-diazabicyclo[3.1.0]hexanyl, (IR,5S,6R)-3-
azabicyclo[3.2.0]heptyl, (IR,5S,6R)-3-azabicyclo[3.2.0]heptyl, (IR,5S,6R)-3-
azabicyclo[3.2.0]heptyl, (IR,5R,6S)-3-azabicyclo[3.2.0]heptyl, (IR,5R)-3-
azabicyclo[3.2.0]heptyl, 5-azaspiro[2.4]heptyl, 5-azaspiro[2.4]heptyl, 2,6-
diazaspiro[3.3]heptanyl, 2,5-diazaspiro[3.4]octanyl, 2,6-diazaspiro[3.4]octanyl, 2,7-
diazaspiro[3.5]nonanyl, 2,7-diazaspiro[4.4]nonanyl, 2-azaspiro[4.5]decyl, 2-

The present description further relates to use of a compound of Formula (I) or a form
thereof wherein, R₅ is:

Cs-A°-cycloalkyl selected in each instance, when present, from cyclopropyl, cyclobutyl,
cyclopentyl, cyclohexyl or cyclohexyl;
aryl selected in each instance, when present, from phenyl;
heteroaryl selected in each instance, when present, from IH-pyrrol-1-yl, thiazol-2-yl, 1H-1,2,3-triazol-1-yl, IH-tetrazol-5-yl, 2H-tetrazol-2-yl, IH-imidazol-1-yl, pyridin-2-yl, pyridin-3-yl or pyridin-4-yl; or,
heterocyclyl selected in each instance, when present, from azetidin-1-yl, tetrahydrofuran-2-yl, pyrrolidin-1-yl, pyrrolidin-2-yl, pyrrolidin-3-yl, piperidin-1-yl, piperidin-2-yl, piperidin-3-yl, piperezin-2-yl, morpholin-4-yl, 1,4-diazezan-l-yl, 1,3-dioxolan-2-yl, 2,5-dihydro-IH-pyrrol-1-yl, 4,5-dihydro-IHimidazol-2-yl, 1,4,5,6-tetrahydroprimidin-2-yl, 1,2,3,6-tetrahydropridin-4-yl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-4-yl, tetrahydro-2H-pyran-6-yl, indoliny, 2,3-dihydrobenzo[d]oxazol-6-yl, 3,4-dihydro-2H-benzo[l]oxazin-4-yl, 3,4-dihydroisoquinolin-2(1H)-yl, 1,2,3,4-tetrahydroisoquinolin-1-yl, 1,2,3,4-tetrahydroquinoxalin-1-yl, (6H)-pyrrolo[3,4-b][1,4]oxazin-6(2H)-yl, hexahydropyrrolo[3,4-b][1,4]oxazin-6(2H)-yl, (4aR,7aS)-hexahydropyrrolo[3,4-b][1,4]oxazin-4(4aH)-yl, hexahydro-IH-cyclopenta[c]pyrrol-2-yl, (cis)-octahydropyrrolo[3,4-c]pyrrol-4-yl, (3aR,6aR)-hexahydropyrrolo[3,4-b]pyrrolo-2(IH)-yl, (3aR,4R,6aS)-hexahydropyrrolo[3,4-b]pyrrolo-2(IH)-yl, hexahydropyrrolo[3,4-b]pyrrol-5(IH)-yl, 3,4-dihydro-2H-pyrido[3,2-b][1,4]oxazin-4-yl, (3aR,6aR)-hexahydropyrrolo[3,4-b]pyrrol-5(IH)-yl, (3aR,6aS)-hexahydropyrrolo[3,4-c]pyrrol-2(IH)-yl, 5H-pyrrolo[3,4-b]pyridin-6(7H)-yl, 5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6(7H)-yl, tetrahydro-IH-pyrrolo[3,4-b]pyridin-6(2H,7H,7aH)-yl, hexahydro-IH-pyrrolo[3,4-b]pyridin-6(2H)-yl, (4aR,7aR)-hexahydro-IH-pyrrolo[3,4-b]pyridin-6(2H)-yl, octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl, 2,3,4,9-tetrahydro-IH-carbazolyl, 1,2,3,4-tetrahydroprazinol[1,2-a]indolyl, 2,3-dihydro-IH-pyrrolo[1,2-a]indolyl, 1,3-dihydro-2H-isooindol-2-yl, octahydro-2H-isooindol-2-yl, (3aS)-1,3,3,a,4,5,6-hexahydro-2H-isooindol-2-yl, (3aR,4R,7aS)-1H-isooindol-2(3H,3aH,4H,5H,6H,7H,7aH)-yl, (3aR,7aS)-octahydro-2H-isooindol-2-yl, (3aR,4R,7aS)-octahydro-2H-isooindol-2-yl, (3aR,4S,7aS)-octahydro-2H-isooindol-2-yl, 2,5-diazabicyclo[2.2.1]hept-2-yl, 2,5-diazabicyclo[2.2.1]heptan-2-yl, 2-aza bicyclo[2.2.1]hept-5-en-2-yl, 3-azabicyclo[3.1.0]hex-3-yl, 3-azabicyclo[3.1.0]hexan-3-yl, (IR,5S,6S)-3-azabicyclo[3.1.0]hex-3-yl, (IR,5S,6S)-3-azabicyclo[3.1.0]hexan-3-yl, (IR,5S)-3-
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azabicyclo[3.1.0]hexan-6-yl, 3,6-diazabicyclo[3.1.0]hex-3-yl, 3,6-
diazabicyclo[3.1.0]hexan-3-yl, (1S,5R,6R)-3-azabicyclo[3.2.0]hept-3-yl, (1S,5R,6S)-
3-azabicyclo[3.2.0]hept-3-yl, (1S,5R)-3-azabicyclo[3.2.0]heptan-3-yl, 5-
azaspiro[2.4]hept-5-yl, 5-azaspiro[2.4]heptan-5-yl, 2,6-diazaspiro[3.3]heptan-2-yl,
2,5-diazaspiro[3.4]octan-2-yl, 2,6-diazaspiro[3.4]octan-6-yl, 2,7-
The present description further relates to a compound of Formula (I) or a form
thereof, wherein:

\[ R_2 \text{ is hydrogen, cyano, } \text{Ci-galkyl, hydroxyl-Ci_galkyl, formyl-Ci_galkyl, Ci-galkoxy-Ci-galkyl, } \]
\[ \text{Ci-galkoxy, } \text{C}_2\text{-galkenyl, } \text{C}_2\text{-galkynl, carboxyl, amino-Ci-galkyl, aryl or } \text{C}_3\text{-i_cycloalkyl; } \]

\[ R_3 \text{ is hydrogen, hydroxyl, Ci-galkoxy or amino; } \]

\[ R_5 \text{ is hydrogen, halogen, cyano, Ci-galkyl, hydroxyl-Ci_galkyl, halo-Ci_galkyl, } \]
\[ (\text{Ci_galkyl})_2\text{-amino, amino-Ci-galkyl, Ci_i_oalkyl-amino-Ci_galkyl, } \]

\[ (\text{Ci_galkyl})_2\text{-amino-C}_1\text{-galkyl, Ci-galkyl-amino-Ci-galkyl-carbonyl, } \]
\[ (\text{Ci_galkyl})_2\text{-amino-C}_1\text{-galkyl-carbonyl or heterocyclyl-Ci_galkyl; } \]

wherein heterocyclyl is optionally substituted with one, two or three substituents each
selected from \( R_9 \); and,

\[ R_9 \text{ is Ci-galkyl, amino, Ci-galkyl-amino, } (\text{Ci_galkyl})_2\text{-amino, amino-Ci-galkyl, } \]

\[ \text{Ci-galkyl-amino-Ci-galkyl, } (\text{Ci_galkyl})_2\text{-amino-Ci-galkyl or aryl-Ci-galkyl-amino; and, } \]

wherein a form of the compound is selected from the group consisting of a prodrug, salt,
hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer,
stereoisomer, polymorph and tautomer form thereof.

The present description further relates to a compound of Formula (I) or a form
thereof, wherein the ring system \( R_{1a} \), \( R_{1b} \) and \( R_{1c} \) is selected from a \( R_{1a} \), \( R_{ib} \) and \( R_{ic} \) ring
system, respectively:
wherein "*" represents a point of attachment for R_lal, R_rib and R_lcl to the 2-pyridinone of Formula (I); and,

wherein R_{5a}, R_{5b}, R_{5c} and R_{5d}, when present, are selected from the group consisting of:

- hydrogen, halogen, hydroxyl, cyano, nitro, Ci-galkyl, hydroxyl-Ci-galkyl, halo-Ci-galkyl,
- Ci-galkoxy, halo-Ci-galkoxy, Ci-galkyl-thio, carboxyl, Ci-galkyl-carbonyl,
- Ci-galkoxy-carbonyl, amino-carbonyl, amino, Ci-galkyl-amino, (C_1-galkyl)_2-amino,
- C_2-galkenyl-amino, (C_2-galkenyl)_2-amino, C_2-galkynyl-amino, (C_2-galkynyl)_2-amino,
- amino-Ci-galkyl, Ci-isoalkyl-amino-Ci-galkyl, (C_1-oalkyl)_2-amino-C_1-galkyl,
- C^galkenyl-amino-Ci-galkyl, (C_2-galkenyl)_2-amino-C_1-galkyl,
- C^galkynyl-amino-Ci-galkyl, (C_2-galkynyl)_2-amino-C_1-galkyl,
- (halo-C_1-galkyl)_2-amino, halo-Ci-galkyl-amino-Ci-galkyl,
- (halo-C_1-galkyl)_2-amino-C_1-galkyl, Ci-galkoxy-Ci-galkyl-amino,
- (Ci-galkoxy-Ci-galkyl^amino-Ci-galkyl, (C_1-galkoxy-C_1-galkyl)_2-amino,
- Ci-galkoxy-Ci-galkyl-amino-Ci-galkyl,
- (Ci-galkoxy-Ci-galkyl^amino-Ci-galkyl,
- (C_1-galkoxy-C_1-galkyl)_2-amino-C_1-galkyl,
- (Ci-galkoxy-Ci-galkyl^amino-Ci-galkyl,
- (a-mino-Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
- [(C_1-galkyl)_2-amino-C_1-galkyl,C_1-galkyl]amino, amino-Ci-galkyl-amino-Ci-galkyl,
- (a-mino-Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
- (Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
- (C_1-galkyl)_2-amino-C_1-galkyl-amino-C_1-galkyl,
- [(C_1-galkyl)_2-amino-C_1-galkyl,C_1-galkyl]amino-C_1-galkyl,
- (Ci-galkyl-amino-Ci-galkyl^amino-Ci-galkyl,
- (C_1-galkyl)_2-amino-C_1-galkyl-amino-C_1-galkyl,
- hydroxyl-Ci-galkyl-amino, (hydroxyl-C_1-galkyl)_2-amino,
- hydroxyl-Ci-galkyl-amino-Ci-galkyl, (hydroxyl-Ci-galkyl^amino-Ci-galkyl,
- hydroxyl-C_1-galkyl-amino-C_1-galkyl-amino,
- (hydroxyl-Ci-galkyl-amino-Ci-galkyl^amino,
- [(hydroxyl-Ci-galkyl^amino-Ci-galkyl^amino-Ci-galkyl^amino,
- (Ci-galkyl-carbonyl-Ci-galkyl^amino-Ci-galkyl, Ci-galkyl-amino-carbonyl,
- (C_1-galkyl)_2-amino-carbonyl, Ci-galkyl-amino-Ci-galkyl-carbonyl,
(C₈alkyl)₂-amino-C₈alkyl-carbonyl, Cs-ncycloalkyl, Cs-ncycloalkyl-Ci-galkyl, Cs-ncycloalkyl-ox, Cs-ncycloalkyl-Ci-galkoxy, Cs-wcycloalkyl-amino,
Cs-^cycloalkyl-amino-Ci-galkyl, (Cs-wcycloalky^Ci-galky^amino-Ci-galkyl,
(Cs₃₋₁₄cycloalkyl)₂-amino-C₈alkyl, Cs-wcycloalkyl^galkyl-amino^galkyl,
(Cs-wcycloalkyl-Ci-galky^Ci-galky^amino-Ci-galkyl,
(Cs₃₋₁₄cycloalkyl-Ci-galkyl)₂-amino-C₈alkyl, aryl-Ci-galkyl, aryl-Ci-galkoxy,
aryl-amino, (aryl,^galkyl)amino, (aryl)₂-amino, aryl-amino-Ci-galkyl,
(aryl-Ci-galky^Ci-galky^amino-Ci-galkyl, (aryl)₂-amino-Cl_galkyl, aryl-Ci-galkyl-amino,
(aryl-Ci-galky^Ci-galky^amino-Ci-galkyl,
(aryl-C₁₋₁₄cycloalkyl)₂-amino-C₁₋₁₄cycloalkyl, heteroaryl, heteroaryl-Ci-galkyl, heteroaryl-amino,
heteroaryl-Ci-galkyl-amino, (heteroaryl-Ci-galky^Ci-galky^amino,
(heteroaryl-Ci-galkyl)₂-amino, heteroaryl-Ci-galkyl-amino-Ci-galkyl,
(heteroary^Ci-galky^amino-Ci-galkyl, (heteroaryl-Ci-galky^Ci-galky^amino-Ci-galkyl,
heterocyclyl, heterocyclyl-Ci-galkyl, heterocyclyl-ox, heterocyclyl-Ci-galkoxy,
heterocyclyl-amino, (heterocyclyl,C₁₋₁₄calkyl)amino, (heterocyclyl)₂-amino,
heterocyclyl-amino-Ci-galkyl, (heterocyclyl-Ci-galky^Ci-galky^amino-Ci-galkyl,
(heterocyclyl)₂-amino-C₁₋₁₄calkyl,
(heterocyclyl-Ci-galkyl-amino-Ci-galkyl,
(heterocyclyl-Ci-galky^Ci-galky^amino-Ci-galkyl,
(heterocyclyl-Ci-galkyl-amino-Ci-galkyl,
(heterocyclyl-C₁₋₁₄calkyl)₂-amino-C₁₋₁₄calkyl, heterocyclyl-ox-amino,
(heterocyclyl-ox)²-amino, (heterocyclyl-ox)²-amino,
(heterocyclyl-ox-Ci-galky^Ci-galky^amino, heterocyclyl-carbonyl or
heterocyclyl-carbonyl-ox;
wherein each instance of Cs-ncycloalkyl, aryl, heterocyclyl is optionally substituted with one,
two or three substituents each selected from R₆; and,
R₆ is azido, halogen, hydroxyl, cyano, nitro, Ci-galkyl, halo-Ci-galkyl, hydroxyl-Ci-galkyl,
Ci-galkoxy-Ci-galkyl, Ci-galkoxy, halo-Ci-galkoxy, hydroxyl-Ci-galkoxy, carboxyl,
Ci-galkyl-carbonyl, Ci-galkoxy-carbonyl, amino, Ci-galkyl-amino, (C₁₋₁₄calkyl)₂-amino,
amino-Ci-galkyl-amino, (amino-Ci-galky^Ci-galky^amino,
Ci-galkyl-amino-Ci-galkyl-amino, (Ci-galkyl-amino-Ci-galky^Ci-galky^amino,
(C₁₋₁₄calkyl)²-amino-C₁₋₁₄calkyl-amino, [(C₁₋₁₄calkyl)₂-amino-C₁₋₁₄calkyl,C₁₋₁₄calkyl]amino,
h halo-Ci-galkyl-amino, (halo-C1₈-alkyl)₂-amino, halo-Ci-galkyl-amino-Ci-galkyl,
(halo-C1₈-alkyl)₂-amino-C1₈-galkyl, amino-Ci-galkyl, Ci-galkyl-amino-Ci-galkyl,
(C1₈-galkyl)₂-amino-C1₈-galkyl, [(C1₈-galkyl)₂-amino-C1₈-galkyl,C1₈-galkyl]amino-C1₈-galkyl,
Ci-galkyl-thio, amino-carbonyl, Ci-galkyl-amino-carbonyl,
(C1₈-galkyl)₂-amino-C1₈-galkyl, (carboxyl-Ci-galkyl)Ci-galkyl-amino-carbonyl-amino,
C3₈i-cycloalkyl, Cs^-cycloalkyl-amino, aryl, aryl-Ci-galkyl, aryl-amino, (aryl,Ci-galkyl)amino,
(aryl)₂-amino, aryl-Ci-galkyl-amino, (aryl-Ci-galkyl)Ci-galkyl^-amino,
(aryl-C1₈-galkyl)₂-amino, aryl-Ci-galkyl-amino-Ci-galkyl,
(aryl-Ci-galkyl)Ci-galkyl^-amino-Ci-galkyl, (aryl-C1₈-galkyl)₂-amino-C1₈-galkyl,
arly-amino-Ci-galkyl, (aryl-Ci-galkyl)Ci-galkyl^-amino-Ci-galkyl,
(aryl-C1₈-galkyl)₂-amino-C1₈-galkyl, aryl-amino-carbonyl, aryl-Ci-galkoxy, aryl-Ci-galkoxy-carbonyl-amino, heteroaryl,
heteroaryl-Ci-galkyl, heteroaryl-amino, (heteroaryl)₂-amino,
heteroaryl-Ci-galkyl-amino, (heteroaryl-Ci-galkyl)Ci-galkyl^-amino,
(heteroaryl-C1₈-galkyl)₂-amino, heteroaryl-Ci-galkyl-amino-Ci-galkyl,
(heteroaryl-Ci-galkyl)Ci-galkyl^-amino-Ci-galkyl,
(heteroaryl-C1₈-galkyl)₂-amino-C1₈-galkyl, heterocyclyl, heterocyclyl-Ci-galkyl,
heterocyclyl-amino-Ci-galkyl or heterocyclyl-oxy;
wherein each instance of Cs^-cycloalkyl is optionally substituted with one substituent
selected from R⁹; and,
wherein each instance of aryl is optionally substituted with one halogen substituent; and,
R⁹ is Ci-galkyl, amino, Ci-galkyl-amino, (C1₈-galkyl)₂-amino, amino-C1₈-galkyl,
Ci-galkyl-amino-Ci-galkyl, (C1₈-galkyl)₂-amino-C1₈-galkyl or aryl-Ci-galkyl-amino; and,
wherein a form of the compound is selected from the group consisting of a prodrug, salt,
hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer,
stereoisomer, polymorph and tautomer form thereof.

The present description also relates to use of a compound of Formula (I) or a form
thereof wherein R₃a, R₃b, R₃c and R₃d substituted on a R₃al, Ribi and R₃cl ring system is:
C₃₈i-cycloalkyl selected in each instance, when present, from cyclopropyl, cyclobutyl,
cyclopentyl, cyclohexyl or cycloheptyl;
aryl selected in each instance, when present, from phenyl;
heteroaryl selected in each instance, when present, from pyrryl, thiazolyl, 1H-1,2,3-
triazolyl, 1H-tetrazolyl, 2H-tetrazolyl, 1H-imidazolyl or pyridinyl; and,
heterocyclyl selected in each instance, when present, from azetidinyl, tetrahydrofuranyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, 1,4-diazeepanyl, 1,3-dioxolanyl, 2,5-dihydro-1H-pyrrolyl, 4,5-dihydro-1H-imidazolyl, 1,4,5,6-tetrahydropyrimidinyl, 1,2,3,6-tetrahydropyridinyl, tetrahydro-2H-pyranyl, indolinyl, 2,3-dihydrobenzo[d]oxazolyl, 3,4-dihydro-2H-benzo[b][1,4]oxazinyl, 3,4-dihydroisoquinolin-(1H)-yl, 1,2,3,4-tetrahydroisoquinolinyl, 1,2,3,4-tetrahydroquinoxalinyl, (6H)-pyrrolo[3,4-b][1,4]oxazin-(2H)-yl, hexahydropyrrolo[3,4-b][1,4]oxazin-(2H)-yl, (4aR,7aS)-hexahydropyrrolo[3,4-b][1,4]oxazin-(4aH)-yl, hexahydro-1H-cyclopenta[c]pyrrolyl, (cis)-octahydrocyclopenta[c]pyrrolyl, (3aR,6aR)-hexahydrocyclopenta[c]pyrrolo-(1H)-yl, (3aR,4R,6aS)-hexahydrocyclopenta[c]pyrrolo-(1H)-yl, (3aR,4S,6aS)-hexahydrocyclopenta[c]pyrrolo-(1H)-yl, (3aR,5r,6aS)-hexahydrocyclopenta[c]pyrrolo-(1H)-yl, hexahydropyrrolo[3,4-b]pyrrol-(1H)-yl, 3,4-dihydro-2H-pyrido[3,2-b][1,4]oxazinyl, (3aR,6aR)-hexahydropyrrolo[3,4-b]pyrrol-(1H)-yl, (3aR,6aS)-hexahydropyrrolo[3,4-c]pyrrol-(1H)-yl, 5H-pyrrolo[3,4-b]pyridin-(7H)-yl, 5,7-dihydro-6H-pyrrolo[3,4-b]pyridynyl, tetrahydro-1H-pyrrolo[3,4-b]pyridin-(2H,7H,7aH)-yl, hexahydro-IH-pyrrolo[3,4-b]pyridin-(2H)-yl, (4aR,7aR)-hexahydro-IH-pyrrolo[3,4-b]pyridin-(2H)-yl, octahydro-6H-pyrrolo[3,4-b]pyridinyl, 2,3,4,9-tetrahydro-1H-carbazolyl, 1,2,3,4-tetrahydropyrazino[1,2-a]indolyl, 2,3-dihydro-1H-pyrrolo[1,2-a]indolyl, 1,3-dihydro-2H-isoindolyl, octahydro-2H-isoindolyl, (3aS)-1,3,3a,4,5,6-hexahydro-2H-isoindolyl, (3aR,4R,7aS)-1H-isoindol-(3H,3aH,4H,5H,6H,7H,7aH)-yl, (3aR,7aS)-octahydro-2H-isoindolyl, (3aR,4R,7aS)-octahydro-2H-isoindolyl, (3aR,4S,7aS)-octahydro-2H-isoindolyl, 2,5-diazabicyclo[2.2.1]heptyl, 2,5-diazabicyclo[2.2.1]heptanyl, 2-azabicyclo[2.2.1]hept-5-enyl, 3-azabicyclo[3.1.0]hexyl, 3-azabicyclo[3.1.0]hexanyl, (IR,5S,6s)-3-azabicyclo[3.1.0]hexyl, (IR,5S,6s)-3-azabicyclo[3.1.0]hexanyl, (IR,5S)-3-azabicyclo[3.1.0]hexyl, (IR,5S)-3-azabicyclo[3.1.0]hexanyl, 3,6-diazabicyclo[3.1.0]hexyl, 3,6-diazabicyclo[3.1.0]hexanyl, (IR,5R,6R)-3-azabicyclo[3.2.0]heptyl, (IR,5R,6R)-3-azabicyclo[3.2.0]heptanyl, (IR,5R,6S)-3-azabicyclo[3.2.0]heptyl, (IR,5R,6S)-3-azabicyclo[3.2.0]heptanyl, (IR,5R)-3-azabicyclo[3.2.0]heptyl, 5-azaspiro[2.4]heptyl, 5-azaspiro[2.4]heptanyl, 2,6-diazaspiro[3.3]heptyl, 2,5-diazaspiro[3.4]octanly, 2,6-diazaspiro[3.4]octanyl, 2,7-
The present description also relates to use of a compound of Formula (I) or a form thereof wherein R₅a, R₅b, R₅c and R₅d substituted on a Rₜₐₜ, Ribi and Rₜₗₜ ring system is:

Cs-ncycloalkyl selected in each instance, when present, from cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl or cycloheptyl;

aryl selected in each instance, when present, from phenyl;

heteroaryl selected in each instance, when present, from 1H-pyrro-1-yl, thiazol-2-yl, 1H-1,2,3-triazol-1-yl, 1H-tetrazol-5-yl, 2H-tetrazol-2-yl, 1H-imidazol-1-yl, pyridin-2-yl, pyridin-3-yl or pyridin-4-yl; or,

heterocyclcyl selected in each instance, when present, from azetidin-1-yl, tetrahydrofuran-2-yl, pyrrolidin-1-yl, pyrrolidin-2-yl, pyrrolidin-3-yl, piperidin-1-yl, piperidin-2-yl, piperidin-3-yl, morpholin-4-yl, 1,4-diazepan-1-yl, 1,3-dioxolan-2-yl, 2,5-dihydro-1H-pyrorol-1-yl, 4,5-dihydro-1H-imidazol-2-yl, 1,4,5,6-tetrahydropyrimidin-2-yl, 1,2,3,6-tetrahydropyridin-4-yl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-4-yl, tetrahydro-2H-pyran-6-yl, indolinyl, 2,3-dihydrobenzo[d]oxazol-6-yl, 3,4-dihydro-2H-benzo[b][1,4]oxazin-4-yl, 3,4-dihydroisoquinolin-2(1H)-yl, 1,2,3,4-tetrahydroisoquinolin-1-yl, 1,2,3,4-tetrahydroquinoxalin-1-yl, (6H)-pyrrolo[3,4-b][1,4]oxazin-6(2H)-yl, hexahydropyrrolo[3,4-b][1,4]oxazin-6(2H)-yl, (4aR,7aS)-hexahydropyrrolo[3,4-b][1,4]oxazin-4(4aH)-yl, hexahydro-1H-cyclopenta[c]pyrrol-2-yl, (cis)-octahydrocyclopenta[c]pyrrol-4-yl, (3aR,6aR)-hexahydrocyclopenta[c]pyrrol-3a(1H)-yl, (3aR,4R,6aS)-hexahydrocyclopenta[c]pyrrol-2(1H)-yl, (3aR,5aR,6aS)-hexahydrocyclopenta[c]pyrrol-2(1H)-yl, (3aR,5aR,6aS)-hexahydrocyclopenta[c]pyrrol-2(1H)-yl, hexahydropyrrolo[3,4-b]pyrrol-5(1H)-yl, 3,4-dihydro-2H-pyrrolo[3,2-b][1,4]oxazin-4(4aH)-yl, (3aR,6aR)-hexahydropyrrolo[3,4-b]pyrrol-5(1H)-yl, (3aR,6aS)-hexahydropyrrolo[3,4-c]pyrrol-2(1H)-yl, 5H-pyrrolo[3,4-b]pyridin-6(7H)-yl, 5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-yl, tetrahydro-1H-pyrrolo[3,4-b]pyridin-6(2H,7H,7aH)-yl, hexahydro-1H-pyrrolo[3,4-b]pyridin-6(2H)-yl, (4aR,7aR)-hexahydro-1H-pyrrolo[3,4-b]pyridin-6-yl, 2,3,4,9-tetrahydro-1H-carbazolyl, 1,2,3,4-tetrahydropyrazino[1,2-a]indolyl, 2,3-dihydro-1H-pyrrolo[1,2-a]indolyl, 1,3-dihydro-2H-isindol-2-yl, octahydro-2H-isindol-2-yl, octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl, 2,3,4,9-tetrahydro-1H-carbazolyl, 1,2,3,4-tetrahydropyrazino[1,2-a]indolyl, 2,3-dihydro-1H-pyrrolo[1,2-a]indolyl, 1,3-dihydro-2H-isindol-2-yl, octahydro-2H-isindol-2-yl, (3aS)-1,3,3a,4,5,6-hexahydro-2H-isindol-2-yl, (3aR,4R,7aS)-1H-
isoindol-2(3H,3aH,4H,5H,6H,7H,7aH)-yl, (3aR,7aS)-octahydro-2H-isoindol-2-yl, (3aR,4R,7aS)-octahydro-2H-isoindol-2-yl, (3aR,4S,7aS)-octahydro-2H-isoindol-2-yl, 2,5-diazabicyclo[2.2.1]hept-2-yl, 2,5-diazabicyclo[2.2.1]heptan-2-yl, 2-
azabicyclo[2.2.1]hept-5-en-2-yl, 3-azabicyclo[3.1.0]hex-3-yl, 3-
aazabicyclo[3.1.0]hexan-3-yl, (lR,5S,6S)-3-azabicyclo[3.1.0]hex-3-yl, (lR,5S,6S)-3-
aazabicyclo[3.1.0]hexan-3-yl, (lR,5S)-3-azabicyclo[3.1.0]hexan-6-yl, 3,6-
diazabicyclo[3.1.0]hex-3-yl, 3,6-
diazabicyclo[3.1.0]hexan-3-yl, (lS,5R,6R)-3-azabicyclo[3.2.0]hept-3-yl, (lS,5R,6S)-
3-azabicyclo[3.2.0]hept-3-yl, (lS,5R)-3-azabicyclo[3.2.0]heptan-3-yl, 5-
azaspiro[2.4]hept-5-yl, 5-azaspiro[2.4]heptan-5-yl, 2,6-diazaspiro[3.3]heptan-2-yl,
2,5-diazaspiro[3.4]octan-2-yl, 2,6-diazaspiro[3.4]octan-6-yl, 2,7-
The present description further relates to a compound of Formula (I) or a form
thereof, wherein the ring system R_{1a}, R_{5a} and R_{1c} is selected from the R_{lal}, R_{i}^i and R_{lcl} ring system, respectively, and R_{2a}, R_{3b}, R_{5c} and R_{5d}, when present, are selected from the group
consisting of:
hydrogen, halogen, cyano, Ci-galkyl, hydroxyl-Ci-galkyl, halo-Ci-galkyl, amino-Ci-galkyl,
Ci-ioalkyl-amino-Ci-galkyl, (Ci-ioalkylVamino-Ci-galkyl,
Ci-galkyl-amino-Ci-galkyl, (Ci-galkylVamino-Ci-galkyl-carbonyl, (Ci-galkylVamino-Ci-galkyl-carbonyl or
heterocyclyl-Ci-galkyl;
wherein heterocyclyl is optionally substituted with one, two or three substituents each
selected from R_{9}; and,
R_{9} is Ci-galkyl, amino, Ci-galkyl-amino, (Ci-galkylVamino, amino-Ci-galkyl,
Ci-galkyl-amino-Ci-galkyl, (C_{1-galkyl})_{2}-amino-C_{1-galkyl or aryl-Ci-galkyl-amino;
wherein a form of the compound is selected from the group consisting of a prodrug, salt,
hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer,
stereoisomer, polymorph and tautomer form thereof.
The present description also relates to use of a compound of Formula (I) or a form
thereof wherein R_{5a}, R_{5b}, R_{5c} and R_{5d} substituted on a R_{lal}, R_{i}^i and R_{lcl} ring system is:
heterocyclyl selected in each instance, when present, from pyrrolidinyl.
The present description also relates to use of a compound of Formula (I) or a form thereof wherein \( R_{5a}, R_{5b}, R_{5c} \) and \( R_{5d} \) substituted on a \( R_{1a} \), \( R_{1b} \) and \( R_{1c} \) ring system is: heterocyclyl selected in each instance, when present, from pyrrolidin-1-yl.

The present description further relates to a compound of Formula (I) or a form thereof, wherein the ring system \( R_{1a} \), \( R_{1b} \) and \( R_{1c} \) is selected from the \( R_{1a} \), \( R_{1b} \) and \( R_{1c} \) ring system, respectively, and \( R_{5a}, R_{5b}, R_{5c} \) and \( R_{5d} \), when present, are selected from the group consisting of (where "Ring" in the table below indicates whether an \( R_{1a} \), \( R_{1b} \) or \( R_{1c} \) ring system is selected; and, "—" indicates that one or more of \( R_{5a}, R_{5b} \), \( R_{5c} \) or \( R_{5d} \) are not present):

<table>
<thead>
<tr>
<th>Cpd</th>
<th>Ring</th>
<th>( R_{5a} )</th>
<th>( R_{5b} )</th>
<th>( R_{5c} )</th>
<th>( R_{5d} )</th>
</tr>
</thead>
<tbody>
<tr>
<td>3</td>
<td>( R_{1b} )</td>
<td>H</td>
<td>H</td>
<td>CH(_2)-pyrrolidin-1-yl</td>
<td>H</td>
</tr>
<tr>
<td>4</td>
<td>( R_{1b} )</td>
<td>H</td>
<td>CH(_2)-N([(CH(_3))(CH(_2)CH(_3)))]</td>
<td>H</td>
<td>H</td>
</tr>
<tr>
<td>5</td>
<td>( R_{1b} )</td>
<td>H</td>
<td>CH(_2)-NH(_2)</td>
<td>H</td>
<td>H</td>
</tr>
<tr>
<td>9</td>
<td>( R_{1b} )</td>
<td>H</td>
<td>H</td>
<td>H</td>
<td>H</td>
</tr>
<tr>
<td>10</td>
<td>( R_{1b} )</td>
<td>H</td>
<td>CH(_2)-N([(CH(_3))(CH(_2)CH(_3)))]</td>
<td>CH(_3)</td>
<td>H</td>
</tr>
<tr>
<td>14</td>
<td>( R_{1b} )</td>
<td>H</td>
<td>CH(_2)-N(CH(_3))(_2)</td>
<td>CH(_3)</td>
<td>H</td>
</tr>
<tr>
<td>15</td>
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<td>H</td>
<td>CH(_2)-N([(CH(_3))(CH(_2)CH(_3)))]</td>
<td>CH(_3)</td>
<td>H</td>
</tr>
<tr>
<td>19</td>
<td>( R_{1a} )</td>
<td>CH(_3)</td>
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<td>H</td>
</tr>
<tr>
<td>20</td>
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<td>H</td>
<td>H</td>
<td>CN</td>
<td>H</td>
</tr>
<tr>
<td>22</td>
<td>( R_{1a} )</td>
<td>CH(_3)</td>
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<td>H</td>
</tr>
<tr>
<td>23</td>
<td>( R_{1a} )</td>
<td>CH(_3)</td>
<td>(CH(_2))(_2)-pyrrolidin-1-yl</td>
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<td>H</td>
</tr>
<tr>
<td>24</td>
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<td>CH(_3)</td>
<td>(CH(_2))(_2)-NH(_2)</td>
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<td>H</td>
</tr>
<tr>
<td>25</td>
<td>( R_{1b} )</td>
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<td>CH(_2)-N(CH(_3))(_2)</td>
<td>CF(_3)</td>
<td>H</td>
</tr>
<tr>
<td>26</td>
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<td>H</td>
<td>CH(_2)-NHCH(_2)CH(_3)</td>
<td>CF(_3)</td>
<td>H</td>
</tr>
<tr>
<td>27</td>
<td>( R_{1a} )</td>
<td>CH(_3)</td>
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<td>H</td>
<td>H</td>
</tr>
<tr>
<td>28</td>
<td>( R_{1a} )</td>
<td>CH(_3)</td>
<td>(CH(_2))(_2)-NHCH(_2)CH(_3)</td>
<td>H</td>
<td>H</td>
</tr>
<tr>
<td>29</td>
<td>( R_{1b} )</td>
<td>H</td>
<td>CH(_2)-N(CH(_3))(_2)</td>
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<td>H</td>
</tr>
<tr>
<td>30</td>
<td>( R_{1a} )</td>
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<td>CH(_2)-N(CH(_3))(_2)</td>
<td>H</td>
<td>H</td>
</tr>
<tr>
<td>31</td>
<td>( R_{1a} )</td>
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<td>CH(_2)-pyrrolidin-1-yl</td>
<td>H</td>
<td>H</td>
</tr>
<tr>
<td>32</td>
<td>( R_{1b} )</td>
<td>H</td>
<td>(CH(_2))(_2)-NH(_2)</td>
<td>H</td>
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</tbody>
</table>
wherein a form of the compound is selected from the group consisting of a prodrug, salt, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

<table>
<thead>
<tr>
<th>Cpd</th>
<th>Ring</th>
<th>R&lt;sub&gt;5a&lt;/sub&gt;</th>
<th>R&lt;sub&gt;5b&lt;/sub&gt;</th>
<th>R&lt;sub&gt;5c&lt;/sub&gt;</th>
<th>R&lt;sub&gt;5d&lt;/sub&gt;</th>
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<tr>
<td>33</td>
<td>R₅a₁</td>
<td>CH₃</td>
<td>CH₂-NH(CH₃)₂CH₃</td>
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<td>H</td>
</tr>
<tr>
<td>34</td>
<td>R₅b₁</td>
<td>H</td>
<td>(CH₃)₂-N(CH₃)₂</td>
<td>H</td>
<td>H</td>
</tr>
<tr>
<td>35</td>
<td>R₅b₁</td>
<td>H</td>
<td>(CH₃)₂-pyrrolidin-1-yl</td>
<td>H</td>
<td>H</td>
</tr>
<tr>
<td>36</td>
<td>R₅b₁</td>
<td>H</td>
<td>H</td>
<td>(CH₃)₂-N(CH₃)₂</td>
<td>H</td>
</tr>
<tr>
<td>37</td>
<td>R₅b₁</td>
<td>H</td>
<td>CH₂-N(CH₃)₂</td>
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<td>H</td>
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<tr>
<td>38</td>
<td>R₅b₁</td>
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<td>H</td>
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<tr>
<td>39</td>
<td>R₅b₁</td>
<td>H</td>
<td>C(O)CH₂-NHCH₃</td>
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<td>H</td>
</tr>
<tr>
<td>40</td>
<td>R₅b₁</td>
<td>H</td>
<td>C(O)CH₂-N(CH₃)₂</td>
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<td>41</td>
<td>R₅b₁</td>
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</tr>
<tr>
<td>42</td>
<td>R₅b₁</td>
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<td>CH(CH₃)-NHCH₃</td>
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</tr>
<tr>
<td>43</td>
<td>R₅b₁</td>
<td>H</td>
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</tr>
<tr>
<td>45</td>
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<td>H</td>
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<tr>
<td>46</td>
<td>R₅a₁</td>
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<td>H</td>
<td>H</td>
<td>6-F</td>
</tr>
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<td>49</td>
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<td>51</td>
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<td>52</td>
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<tr>
<td>53</td>
<td>R₅a</td>
<td>CH₃</td>
<td>H</td>
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<td>H</td>
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</tbody>
</table>
The present description further relates to a compound of Formula (I) or a form thereof, wherein the ring system $R^*$, $R_n$ and $R_{lm}$ is selected from a $R_{lk1}$, $R_{li1}$ and $R_{lm}$ ring system, respectively:

wherein "*" represents a point of attachment for $R_{lk1}$, $R_{li1}$ and $R_{lm}$ to the 2-pyridinone of Formula (I); and,

wherein $R_{sa}$, $R_{sb}$, $R_{sc}$, $R_{sa}$, $R_{se}$ and $R_{si}$, when present, are selected from the group consisting of:

hydrogen, halogen, hydroxyl, cyano, nitro, $C_1$-alkyl, hydroxyl-$C_1$-alkyl, halo-$C_1$-alkyl,

$C_1$-alkoxy, halo-$C_1$-alkoxy, $C_1$-alkyl-thio, carboxyl, $C_1$-alkyl-carbonyl,

$C_2$-alkenyl-amino, amino-carbonyl, amino, $C_1$-$C_2$-alkyl-amino, $(C_1$-$C_2$-alkyl)$_2$-amino,

$C_2$-alkynyl-amino, $(C_2$-alkyl)$_2$-amino, $C_2$-alkynyl-amino, $(C_2$-alkynyl)$_2$-amino,

amino-$C_1$-alkyl, $C_1$-$C_2$-alkyl-amino-$C_1$-alkyl, $(C_1$-$C_2$-alkyl)$_2$-amino-$C_1$-alkyl,

$C_1$-$C_2$-alkenyl-amino-$C_1$-alkyl, $(C_1$-$C_2$-alkenyl)$_2$-amino-$C_1$-alkyl,

$C_1$-$C_2$-alkynyl-amino-$C_1$-alkyl, $(C_1$-$C_2$-alkynyl)$_2$-amino-$C_1$-alkyl,

halo-$C_1$-alkyl-amino, $(halo-C_1$-alkyl)$_2$-amino,

halo-$C_1$-alkyl-amino-$C_1$-alkyl, $(halo-C_1$-alkyl)$_2$-amino-$C_1$-alkyl,

$C_1$-alkoxycarbonyl, halo-$C_1$-alkoxycarbonyl, $C_1$-alkylthio, carboxyl, $C_1$-alkyl-carbonyl,

$C_1$-alkoxy-carbonyl, amino-carbonyl, amino, $C_1$-$C_2$-alkyl-amino, $(C_1$-$C_2$-alkyl)$_2$-amino,

$C_2$-alkenyl-amino, $(C_2$-alkyl)$_2$-amino, $C_2$-alkynyl-amino, $(C_2$-alkynyl)$_2$-amino,

amino-$C_1$-alkyl, $C_1$-$C_2$-alkyl-amino-$C_1$-alkyl, $(C_1$-$C_2$-alkyl)$_2$-amino-$C_1$-alkyl,

$C_1$-$C_2$-alkenyl-amino-$C_1$-alkyl, $(C_1$-$C_2$-alkenyl)$_2$-amino-$C_1$-alkyl,

$C_1$-$C_2$-alkynyl-amino-$C_1$-alkyl, $(C_1$-$C_2$-alkynyl)$_2$-amino-$C_1$-alkyl,
(Ci-galkyl-amino-Ci-galkyl^Ci-galky^amino-Ci-galkyl, 
(Ci-galkyl)2-amino-Ci-galkyl-amino-Ci-galkyl, 
[(Ci-galkyl)2-amino-Ci-galkyl,Ci-galkyl]amino-Ci-galkyl, 
(Ci-galkyl-amino-Ci-galkyl)2-amino-Ci-galkyl, hydroxyl-Ci-galkyl-amino, 
5 (hydroxyl-Ci-galkyl^Ci-galkyl^amino, (hydroxyl-Ci-galkyl)2-amino, 
hydroxyl-Ci-galkyl-amino-Ci-galkyl, (hydroxyl-Ci-galkyl^Ci-galkyl^amino-Ci-galkyl, 
hydroxyl-Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl-amino, 
(hydroxyl-Ci-galkyl-amino-Ci-galkyl^Ci-galkyl^amino, 
(hydroxyl-Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl-amino, 
[(hydroxyl-Ci-galkyl^Ci-galkyl^amino-Ci-galkyl, 
(Ci-galkyl-carbonyl^Ci-galkyl^amino-Ci-galkyl, Ci-galkyl-amino-carbonyl, 
(Ci-galkyl)2-amino-carbonyl, Ci-galkyl-amino-Ci-galkyl-carbonyl, 
(Ci-galkyl)2-amino-Ci-galkyl-carbonyl, Cs-ncycloalkyl, Cs-ncycloalkyl-Ci-galkyl, 
Cs-ncycloalkyl-oxyl, Cs-ncycloalkyl-Ci-galkyl-oxyl, Cs-wcycloalkyl-aminol, 
10 Cs-Cycloalkyl-amino-Ci-galkyl, (Cs-Cycloalkyl^Ci-galkyl^amino-Ci-galkyl, 
(C314-cycloalkyl)2-amino-Ci-galkyl, Cs-wcycloalkyl-Ci-galkyl-amino-Ci-galkyl, 
(Cs-wcycloalkyl-Ci-galkyl^Ci-galkyl^amino-Ci-galkyl, 
(C314-cycloalkyl-Ci-galkyl)2-amino-Ci-galkyl, aryl, aryi-Ci-galkyl, aryl-Ci-galkoxy, 
aryl-amino, (aryl^galkyl)amino, (aryl)2-amino, aryl-amino-Ci-galkyl, 
20 (aryl^Ci-galkyl^amino-Ci-galkyl, (aryl)2-amino-Ci-galkyl, aryl-Ci-galkyl-amino, 
(aryl-Ci-galkyl^Ci-galkyl^amino-Ci-galkyl, (aryl)2-amino-Ci-galkyl, heteroaryl-Ci-galkyl, heteroaryl-Ci-galkyl-amino, 
heteroaryl-Ci-galkyl-a-mino, (heteroaryl-Ci-galkyl^Ci-galkyl^amino, 
(heteroaryl-Ci-galkyl^Ci-galkyl-amino-Ci-galkyl, 
(heteroaryl^Ci-galkyl^amino-Ci-galkyl, (heteroaryl-Ci-galkyl^Ci-galkyl^amino-Ci-galkyl, 
heterocyclyl, heterocyclyl-Ci-galkyl, heterocyclyl-oxyl, heterocyclyl-Ci-galkyl-oxyl, 
heterocyclyl-amino, (heterocyclyl-C18alkyl)amino, (heterocyclyl)2-amino, 
heterocyclyl-amino-Ci-galkyl, (heterocyclyl-Ci-galkyl^Ci-galkyl^amino-Ci-galkyl, 
(heterocyclyl-Ci-galkyl-amino-Ci-galkyl, 
(heterocyclyl-Ci-galkyl^Ci-galkyl^amino-Ci-galkyl,
(heterocyclyl-C₈alkyl)₂-amino-C₈alkyl, heterocyclyl-oxy-amino,
(heterocyclyl-oxy-Ci-galky^amino, (heterocyclyl-oxy)₂-amino,
(heterocyclyl-oxy-Ci-galky^amino, heterocyclyl-carbonyl or heterocyclyl-carbonyl-oxy;
wherein each instance of Cs-ncycloalkyl, aryl, heterocyclyl is optionally substituted with one, two or three substituents each selected from R₆; and,
R₆ is azido, halogen, hydroxyl, cyano, nitro, Ci-galkyl, halo-Ci-galkyl, hydroxyl-Ci-galkyl, Ci-galkoxy-Ci-galkyl, Ci-galkyl, Ci-galkoxy-Ci-galkyl, amino, Ci-galkyl-amino, (Ci-galkyl)₂-amino, amino-Ci-galkyl-amino, (amino-Ci-galky^amino,
Ci-galkyl-amino-Ci-galkyl-amino, (Ci-galkyl-amino-Ci-galky^amino,
(Ci-galkyl)₂-amino-Ci-galkyl-amino, [(Ci-galkyl)₂-amino-Ci-galkyl,Ci-galkyl]amino, halo-Ci-galkyl-amino, (halo-Ci-galkyl)₂-amino, halo-Ci-galkyl-amino-Ci-galkyl,
(halo-Ci-galkyl)₂-amino-Ci-galkyl-amino, Ci-galkyl-amino, Ci-galkyl-amino-Ci-galkyl,
(Ci-galkyl)₂-amino-Ci-galkyl, [(Ci-galkyl)₂-amino-Ci-galkyl,Ci-galkyl]amino-Ci-galkyl,
Ci-galkyl-thio, amino-carbonyl, Ci-galkyl-amino-carbonyl,
(Ci-galkyl)₂-amino-carbonyl, Ci-galkyl-carbonyl-amino,
(carboxyl-Ci-galky^amino-carbonyl-amino, Cs-ncycloalkyl,
C₃-14cycloalkyl-amino, aryl, aryl-C₁₈alkyl, aryl-amino, (aryl,C₁₈alkyl)amino,
(aryl)₂-amino, aryl-Ci-galkyl-amino, (aryl-Ci-galky^amino,
(aryl-Ci-galkyl)₂-amino, aryl-Ci-galkyl-amino-Ci-galkyl,
(aryl-Ci-galky^amino-Ci-galkyl, (aryl-C₁₈alkyl)₂-amino-Ci-galkyl,
aryl-amino-Ci-galkyl, (aryl-Ci-galky^amino-Ci-galkyl, (aryl)₂-amino-Ci-galkyl,
aryl-amino-carbonyl, aryl-Q-galkoxy, aryl-Ci-galkoxy-carbonyl-amino, heteroaryl,
heteroaryl-Ci-galkyl, heteroaryl-amino, (heteroaryl)₂-amino,
heteroaryl-Ci-galkyl-amino, (heteroaryl-Ci-galky^amino,
(heteroaryl-Ci-galkyl)₂-amino, heteroaryl-Ci-galkyl-amino-Ci-galkyl,
(heteroaryl-Ci-galky^amino-Ci-galkyl,
(heteroaryl-C₁₈alkyl)₂-amino-Ci-galkyl, heterocyclyl, heterocyclyl-Ci-galkyl,
heterocyclyl-amino-Ci-galkyl or heterocyclyl-oxy;
wherein each instance of Cs-^cycloalkyl is optionally substituted with one substituent selected from R₉; and,
wherein each instance of aryl is optionally substituted with one halogen substituent; and,
R is C-galkyl, amino, C-galkyl-amino, (C<sub>1</sub>—<sub>8</sub>alkyl)<sub>2</sub>-amino, amino-C-galkyl,
Ci-galkyl-amino-Ci-galkyl, (C<sub>1</sub>—<sub>8</sub>alkyl)<sub>2</sub>-amino-C<sub>1</sub>—<sub>8</sub>alkyl or aryl-Ci-galkyl-amino; and,
wherein a form of the compound is selected from the group consisting of a prodrug, salt, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

The present description also relates to use of a compound of Formula (I) or a form thereof wherein R<sub>5a</sub>, R<sub>5b</sub>, R<sub>5c</sub>, R<sub>5d</sub>, R<sub>5e</sub> and R<sub>5f</sub> substituted on a R<sub>1k1</sub>, R<sub>1l1</sub> and R<sub>1l1l</sub> ring system is:

Cs-cycloalkyl selected in each instance, when present, from cyclopropyl, cyclobutyl,
cyclopentyl, cyclohexyl or cycloheptyl;
aryl selected in each instance, when present, from phenyl;
heteroaryl selected in each instance, when present, from pyrrolyl, thiazolyl, 1H-1,2,3-triazolyl, 1H-tetrazolyl, 2H-tetrazolyl, 1H-imidazolyl or pyridinyl; and,
heterocyclyl selected in each instance, when present, from azetidinyl, tetrahydrofuranyl,
pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, 1,4-diazepanyl, 1,3-dioxolanyl,
2,5-dihydro-1H-pyrrolyl, 4,5-dihydro-1H-imidazolyl, 1,4,5,6-tetrahydropyrimidinyl,
1,2,3,6-tetrahydropyridinyl, tetrahydro-2H-pyranyl, indolinyl, 2,3-dihydrobenzo[d]oxazolyl,
3,4-dihydro-2H-benzo[b][1,4]oxazinyl, 3,4-dihydroisoquinolin-(1H)-yl, 1,2,3,4-tetrahydroisoquinolinyl,
1,2,3,4-tetrahydroquinolininyl, (6H)-pyrrolo[3,4-b][1,4]oxazin-(2H)-yl,
hexahydropyrrolo[3,4-b][1,4]oxazin-(2H)-yl, (4aR,7aS)-hexahydropyrrolo[3,4-b]
[1,4]oxazin-(4aH)-yl, hexahydro-1H-cyclopenta[c]pyrrolyl, (cis)-octahydrocyclopenta[c]pyrrolyl,
(3aR,6aR)-hexahydrocyclopenta[c]pyrrolyl-(1H)-yl, (3aR,4R,6aS)-hexahydrocyclopenta[c]
pyrrol-(1H)-yl, (3aR,4S,6aS)-hexahydrocyclopenta[c]pyrrol-(1H)-yl,
(3aR,5r,6aS)-hexahydrocyclopenta[c]pyrrol-(IH)-yl, (3aR,5r,6aS)-hexahydrocyclopenta[c]
pyrrol-(IH)-yl, hexahydropyrrolo[3,4-b]pyrrol-(IH)-yl, 3,4-dihydro-2H-pyrido[3,2-
b][1,4]oxazinyl, (3aR,6aR)-hexahydropyrrolo[3,4-b]pyrrol-(IH)-yl, (3aR,6aS)-
hexahydropyrrolo[3,4-c]pyrrol-(IH)-yl, 5H-pyrrolo[3,4-b]pyridin-(7H)-yl, 5,7-
dihydro-6H-pyrrolo[3,4-b]pyridinyl, tetrahydro-1H-pyrrolo[3,4-b]pyridin-
(2H,7H,7aH)-yl, hexahydro-1H-pyrrolo[3,4-b]pyrrol-(2H)-yl, (4aR,7aR)-hexahydro-
1H-pyrrolo[3,4-b]pyridin-(2H)-yl, octahydro-6H-pyrrolo[3,4-b]pyridinyl, 2,3,4,9-
tetrahydro-1H-carbazolyl,
1,2,3,4-tetrahydropyrazino[1,2-alindolyl, 2,3-dihydro-1H-pyrrolo[1,2-alindolyl,
1,3-dihydro-2H-isoindolyl, octahydro-2H-isoindolyl, (3aS)-

The present description also relates to use of a compound of Formula (I) or a form thereof wherein R_{5a}, R_{5b}, R_{5c}, R_{5d}, R_{5e} and R_{5f} substituted on a R_{ikl}, R_{ihl} and R_{lmi} ring system is:

Cs-ncycloalkyl selected in each instance, when present, from cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl or cycloheptyl;

aryl selected in each instance, when present, from phenyl;

heteroaryl selected in each instance, when present, from 1H-pyrrol-1-yl, thiazol-2-yl, 1H-1,2,3-triazol-1-yl, 1H-tetrazol-5-yl, 2H-tetrazol-2-yl, 1H-imidazol-1-yl, pyridin-2-yl, pyridin-3-yl or pyridin-4-yl; or,

heterocyclyl selected in each instance, when present, from azetidin-1-yl, tetrahydrofuran-2-yl, pyrrolidin-1-yl, pyrrolidin-2-yl, pyrrolidin-3-yl, piperidin-1-yl, piperidin-2-yl, piperidin-3-yl, piperazin-1-yl, piperazin-2-yl, morpholin-4-yl, 1,4-diazepan-1-yl, 1,3-dioxolan-2-yl, 2,5-dihydro-1H-pyrrol-1-yl, 4,5-dihydro-1H-imidazol-2-yl, 1,4,5,6-tetrahydroprymimidin-2-yl, 1,2,3,6-tetrahydropryridin-4-yl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-4-yl, tetrahydro-2H-pyran-6-yl, indolinyl, 2,3-dihydrobenzo[d]oxazol-6-yl, 3,4-dihydro-2H-benzo[b][1,4]oxazin-4-yl, 3,4-dihydrosoquinolin-2(1H)-yl, 1,2,3,4-tetrahydroisoquinolin-1-yl, 1,2,3,4-tetrahydroquinolin-1-yl, 1,2,3,4-tetrahydroquinoxalin-1-yl, (6H)-pyrrolo[3,4-b][1,4]oxazin-6(2H)-yl, hexahydropyrrolo[3,4-b][1,4]oxazin-6(2H)-yl, (4aR,7aS)-hexahydropyrrolo[3,4-

The present description further relates to a compound of Formula (I) or a form thereof, wherein the ring system R_i, R_n and R_m is selected from the R_i, R_n and R_m ring system, respectively, and R_{sa}, R_{sb}, R_{sc}, R_{sd}, R_{se} and R_{sf}, when present, are selected from the group consisting of:

hydrogen, C_{1-8}alkyl or (C_{alkyl})Vamino;
wherein a form of the compound is selected from the group consisting of a prodrug, salt, hydrate, solvate, clathrate, isotope, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

The present description further relates to a compound of Formula (I) or a form thereof, wherein the ring system $R^\wedge$, $R_n$ and $R_{lm}$ is selected from a $R_{1kl}$, $R_{1ji}$ and $R_{lm}$ ring system, respectively, and $R_{5a}$, $R_{5b}$, $R_{5c}$, $R_{5d}$, $R_{5e}$ and $R_{5f}$, when present, are selected from the group consisting of (where "Ring" in the table below indicates whether an $R_m$, $R_m$ or $R_{lm}$ ring system is selected; and, "-" indicates that one or more of $R_{5a}$, $R_{5b}$, $R_{5c}$, $R_{5a}$, $R_{5e}$ or $R_{5f}$ are not present):

<table>
<thead>
<tr>
<th>Cpd</th>
<th>Ring</th>
<th>$R_{5a}$</th>
<th>$R_{5b}$</th>
<th>$R_{5c}$</th>
<th>$R_{5d}$</th>
<th>$R_{5e}$</th>
<th>$R_{5f}$</th>
</tr>
</thead>
<tbody>
<tr>
<td>7</td>
<td>$R_{1ml}$</td>
<td>H</td>
<td>H</td>
<td>--</td>
<td>--</td>
<td>--</td>
<td>--</td>
</tr>
<tr>
<td>8</td>
<td>$R_{1ml}$</td>
<td>H</td>
<td>CH$_3$</td>
<td>--</td>
<td>--</td>
<td>--</td>
<td>--</td>
</tr>
<tr>
<td>12</td>
<td>$R_{1kl}$</td>
<td>H</td>
<td>--</td>
<td>N(CH$_3$)$_2$</td>
<td>H</td>
<td>H</td>
<td>H</td>
</tr>
<tr>
<td>17</td>
<td>$R_{1ii}$</td>
<td>H</td>
<td>H</td>
<td>--</td>
<td>--</td>
<td>--</td>
<td>--</td>
</tr>
<tr>
<td>18</td>
<td>$R_{1ii}$</td>
<td>H</td>
<td>CH$_3$</td>
<td>--</td>
<td>--</td>
<td>--</td>
<td>--</td>
</tr>
</tbody>
</table>

wherein a form of the compound is selected from the group consisting of a prodrug, salt, hydrate, solvate, clathrate, isotope, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

The present description further relates to a compound of Formula (I) or a form thereof, wherein the ring system $R^\wedge$, $R_{ji}$ and $R_{ij}$ is selected from a $R_m$, $R_m$ and $R_{ij}$ ring system, respectively:

![rihil rm rm](image)

wherein "*" represents a point of attachment for $R_m$, $R_{ii}$ and $R_{ij}$ to the 2-pyridinone of Formula (I); and,

wherein $R_{5a}$ and $R_{5b}$, when present, are selected from the group consisting of:
hydrogen, halogen, hydroxyl, cyano, nitro, Ci-galkyl, hydroxyl-Ci-galkyl, halo-Ci-galkyl,
Ci-galkoxy, halo-Ci-galkoxy, Ci-galkyl-thio, carboxyl, Ci-galkyl-carbonyl,
Ci-galkoxy-carbonyl, amino-carbonyl, amino, Ci-galkyl-amino, (C_{1-8}alkyl)_2-amino,
C_{2-8}galkenyl-amino, (C_{2-8}galkenyl)_2-amino, C_{2-8}galkynyl-amino, (C_{2-8}galkynyl)_2-amino,
amin Ci-galkyl, Ci-ioalkyl-amino-Ci-galkyl, (C_{1-8}oalkyl)_2-amino-C_{1-8}alkyl,
C^galkenyl-amino-Ci-galkyl, (C_{2-8}galkenyl)_2-amino-C_{1-8}alkyl,
C^galkynyl-amino-Ci-galkyl, (C_{2-8}galkynyl)_2-amino-C_{1-8}alkyl, halo-Ci-galkyl-amino,
(halo-C_{1-8}alkyl)_2-amino, halo-Ci-galkyl-amino-Ci-galkyl,
(halo-C_{1-8}alkyl)_2-amino-C_{1-8}alkyl, Ci-galkoxy-Ci-galkyl-amino,
(Ci-galkoxy-Ci-galkyl)^amino, (C_{1-8}galkoxy-C_{1-8}galkyl)_2-amino,
Ci-galkoxy-Ci-galkyl-amino-Ci-galkyl,
(Ci-galkoxy-Ci-galkyl)^amino-Ci-galkyl,
(Ci-galkoxy-Ci-galkyl)_2-amino-C_{1-8}alkyl, amino-Ci-galkyl-amino,
(halo-C_{1-8}alkyl)_2-amino, halo-Ci-galkyl-amino-Ci-galkyl,
(halo-C_{1-8}alkyl)_2-amino-C_{1-8}alkyl, Ci-galkoxy-Ci-galkyl-amino,
(Ci-galkoxy-Ci-galkyl)^amino, (C_{1-8}galkoxy-C_{1-8}galkyl)_2-amino,
Ci-galkoxy-Ci-galkyl-amino-Ci-galkyl,
(Ci-galkoxy-Ci-galkyl)^amino-Ci-galkyl,
(Ci-galkoxy-Ci-galkyl)_2-amino-C_{1-8}alkyl, amino-Ci-galkyl-amino,
(halo-C_{1-8}alkyl)_2-amino, halo-Ci-galkyl-amino-Ci-galkyl,
(halo-C_{1-8}alkyl)_2-amino-C_{1-8}alkyl, Ci-galkoxy-Ci-galkyl-amino,
(Ci-galkoxy-Ci-galkyl)^amino, (C_{1-8}galkoxy-C_{1-8}galkyl)_2-amino,
Ci-galkoxy-Ci-galkyl-amino-Ci-galkyl,
(Ci-galkoxy-Ci-galkyl)^amino-Ci-galkyl,
(Ci-galkoxy-Ci-galkyl)_2-amino-C_{1-8}alkyl, amino-Ci-galkyl-amino,
(halo-C_{1-8}alkyl)_2-amino, halo-Ci-galkyl-amino-Ci-galkyl,
(halo-C_{1-8}alkyl)_2-amino-C_{1-8}alkyl, Ci-galkoxy-Ci-galkyl-amino,
(Ci-galkoxy-Ci-galkyl)^amino, (C_{1-8}galkoxy-C_{1-8}galkyl)_2-amino,
Ci-galkoxy-Ci-galkyl-amino-Ci-galkyl,
(C3-14 cycloalkyl)2-amino-C18 alkyl, Cs-wcycloalkyl-Cgalkyl-amino-Cgalkyl,
(Cs-wcycloalkyl-Cgalkyl)2-amino-Cgalkyl, aryl, aryl-Ci-galkyl, aryl-Q-galkoxy,
aryl-amino, (aryl-galkyl)amino, (aryl)2-amino, aryl-amino-Ci-galkyl,
(ary-Ci-galkyl)galkyl-amino-Cgalkyl, (ary-Ci-galkyl)2-amino-Cgalkyl, aryl-Ci-galkyl-amino,
aryl-Ci-galkyl-amino-Ci-galkyl, (aryl-Ci-galkyl)galkyl-amino-Ci-galkyl, aryl-Ci-galkyl-amino-Ci-galkyl,
(aryl-Ci-galkyl)2-amino-Cgalkyl, heteroaryl, heteroaryl-Ci-galkyl, heteroaryl-amino,
heteroaryl-Ci-galkyl-amino, (heteroaryl-Ci-galkyl)galkyl-amino-Ci-galkyl,
(heteroaryl)2-amino-Cgalkyl, heteroaryl-amino-Ci-galkyl, (heteroaryl-Ci-galkyl)2-amino-Cgalkyl,
heterocyclyl, heterocyclyl-Ci-galkyl, heterocyclyl-oxy,
heterocyclyl-Ci-galkoxy, heterocyclyl-amino,
heterocyclyl-Ci-galkyl-amino, (heterocyclyl-Ci-galkyl)2-amino-Cgalkyl,
heterocyclyl-carbonyl or heterocyclyl-carbonyl-oxy;

wherein each instance of Cs-galkyl, aryl, heterocyclyl is optionally substituted with one,
two or three substituents each selected from R6; and,

R6 is azido, halogen, hydroxyl, cyano, nitro, Ci-galkyl, halo-Ci-galkyl, hydroxyl-Ci-galkyl,
Ci-galkoxy-Ci-galkyl, Ci-galkoxy, halo-Ci-galkoxy, hydroxyl-Ci-galkoxy, carboxyl,
Ci-galkyl-carbonyl, Ci-galkoxy-carbonyl, amino, Ci-galkyl-amino, (C1-galkyl)2-amino,
amino-Ci-galkyl-amino, (amino-Ci-galkyl)galkyl-amino,
Ci-galkyl-amino-Ci-galkyl-amino, (Ci-galkyl-amino-Ci-galkyl)galkyl-amino,
(C1-galkyl)2-amino-C1-galkyl-amino, [(C1-galkyl)2-amino-C1-galkyl,C1-galkyl]amino,
halo-Ci-galkyl-amino, (halo-C1-galkyl)2-amino, halo-Ci-galkyl-amino-Ci-galkyl,
(halo-C1-galkyl)2-amino-C1-galkyl, amino-Ci-galkyl, Ci-galkyl-amino-Ci-galkyl,
(C1-galkyl)2-amino-C1-galkyl, [(C1-galkyl)2-amino-C1-galkyl,C1-galkyl]amino-C1-galkyl,
Ci-galkyl-thio, amino-carbonyl, Ci-galkyl-amino-carbonyl, 
(C_{1-8}alkyl)_{2}-amino-carbonyl, Ci-galkyl-carbonyl-amino, 
carboxyl-Ci-galkyl-amino-carbonyl-amino, Cs-cycloalkyl, 
C_{3-14}cycloalkyl-amino, aryl, aryl-C_{1-8}alkyl, aryl-amino, (aryl,C_{1-8}alkyl), amino, 
(aryl)_{2}-amino, aryl-Ci-galkyl-amino, (aryl-Ci-galkyl^Ci-galkyl^amino, 
(aryl-C_{1-8}alkyl)_{2}-amino, aryl-Ci-galkyl-amino-Ci-galkyl, 
(aryl-Ci-galkyl)^Ci-galkyl^amino-Ci-galkyl, (aryl-C_{1-8}alkyl), amino-Ci-galkyl, 
arlyl-amino-carbonyl, aryl-Ci-galkoxy, aryl-Ci-galkoxy-carbonyl-amino, heteroaryl, 
heteroaryl-Ci-galkyl, heteroaryl-amino, (heteroaryl)_{2}-amino, 
heteroaryl-Ci-galkyl-amino, (heteroaryl-Ci-galkyl^Ci-galkyl^amino, 
heteroaryl-C_{1-8}alkyl, heteroaryl-Ci-galkyl-amino-Ci-galkyl, 
heteroaryl-Ci-galkyl^Ci-galkyl^amino-Ci-galkyl, (heteroaryl-C_{1-8}alkyl), 
(heteroaryl-C_{1-8}alkyl)_{2}-amino-C_{1-8}alkyl, heterocyclyl, heterocyclyl-Ci-galkyl, 
heterocyclyl-amino-Ci-galkyl or heterocyclyl-oxy;

wherein each instance of Cs-cycloalkyl is optionally substituted with one substituent 
selected from R_{9}; and,

wherein each instance of aryl is optionally substituted with one halogen substituent; and, 
R_{9} is Ci-galkyl, amino, Ci-galkyl-amino, (C_{1-8}alkyl), amino-Ci-galkyl, 
Ci-galkyl-amino-Ci-galkyl, (C_{1-8}alkyl)_{2}-amino-C_{1-8}alkyl or aryl-Ci-galkyl-amino; and, 
wherien a form of the compound is selected from the group consisting of a prodrug, salt, 
hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomr, 
stereoisomer, polymorph and tautomer form thereof.

The present description also relates to use of a compound of Formula (I) or a form 
thereof wherein R_{5a} and R_{5b} substituted on a R_{1ii}, R_{ii} and R_{ii}, ring system is: 
Cs-cycloalkyl selected in each instance, when present, from cyclopropyl, cyclobutyl, 
cyclopentyl, cyclohexyl or cycloheptyl; 
aryl selected in each instance, when present, from phenyl; 
heteroaryl selected in each instance, when present, from pyrrolyl, thiazolyl, 1H-1,2,3-
triazolyl, 1H-tetrazolyl, 2H-tetrazolyl, 1H-imidazolyl or pyridinyl; and, 
heterocyclyl selected in each instance, when present, from azetidinyl, tetrahydrofuran, 
pyrroldinyl, piperidinyl, pipazinyl, morpholinyl, 1,4-diazepanyly, 1,3-dioxolanyl, 
2,5-dihydro- 1H-pyrrolyl, 4,5-dihydro- 1H-imidazolyl, 1,4,5,6-tetrahydroimidimidinyl,
The present description also relates to use of a compound of Formula (I) or a form thereof wherein R₅ₐ and R₅ₐ substituted on a Rᵢᵢ, Rᵢᵢ and Rᵢᵢ ring system is:

Cs^-cycloalkyl selected in each instance, when present, from cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl or cycloheptyl;

aryl selected in each instance, when present, from phenyl;

heteroaryl selected in each instance, when present, from IH-pyrrol-1-yl, thiazol-2-yl, 1H-1,2,3-triazol-1-yl, 1H-tetrazol-5-yl, 2H-tetrazol-2-yl, IH-imidazol-1-yl, pyridin-2-yl, pyridin-3-yl or pyridin-4-yl; or,

heterocyclyl selected in each instance, when present, from azetidin-1-yl, tetrahydropyran-2-yl, pyrrolidin-1-yl, pyrrolidin-2-yl, pyrrolidin-3-yl, piperidin-1-yl, piperidin-2-yl, piperidin-3-yl, piperidin-4-yl, piperazin-1-yl, piperazin-2-yl, morpholin-4-yl, 1,4-diazepan-1-yl, 1,3-dioxolan-2-yl, 2,5-dihydro-IH-pyrrol-1-yl, 4,5-dihydro-IH-imidazol-2-yl, 1,4,5,6-tetrahydroprymidin-2-yl, 1,2,3,6-tetrahydroprymidin-4-yl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-4-yl, tetrahydro-2H-pyran-6-yl, indoliny1, 2,3-dihydrobenzoxazol-6-yl, 3,4-dihydro-2H-benzo[b][1,4]oxazin-4-yl, 3,4-dihydroisoquinolin-2(1H)-yl, 1,2,3,4-tetrahydroisoquinolin-1-yl, 1,2,3,4-tetrahydroquinoxalin-1-yl, (6H)-pyrrolo[3,4-b][1,4]oxazin-6(2H)-yl, hexahydropyrrolo[3,4-b][1,4]oxazin-6(2H)-yl, (4aR,7aS)-hexahydropyrrolo[3,4-b][1,4]oxazin-6(2H)-yl, (6H)-pyrrolo[3,4-b][1,4]oxazin-6(2H)-yl, (3aR,6aR)-hexahydrocyclopenta[c]pyrrol-2-yl, (cis)-octahydrocyclopenta[c]pyrrol-4-yl, (3aR,6aR)-hexahydrocyclopenta[c]pyrrol-3a(IH)-yl, (3aR,4R,6aS)-hexahydrocyclopenta[c]pyrrol-2(1H)-yl, (3aR,4S,6aS)-hexahydrocyclopenta[c]pyrrol-2(1H)-yl, (3aR,5r,6aS)-hexahydrocyclopenta[c]pyrrol-2(1H)-yl, hexahydropyrrolo[3,4-b]pyrrol-5(1H)-yl, 3,4-dihydro-2H-pyrido[3,2-b][1,4]oxazin-4-yl, (3aR,6aR)-hexahydropyrrolo[3,4-b]pyrrol-5(1H)-yl, (3aR,6aS)-hexahydropyrrolo[3,4-c]pyrrol-2(1H)-yl, 5H-pyrrolo[3,4-b]pyrindin-6(7H)-yl, 5,7-dihydro-6H-pyrrolo[3,4-b]pyrindin-6-yl, tetrahydro-IH-pyrrolo[3,4-b]pyrindin-6(2H,7aH)-yl, hexahydro-IH-pyrrolo[3,4-b]pyrindin-6(2H)-yl, (4aR,7aR)-hexahydro-IH-pyrrolo[3,4-b]pyrindin-6(2H)-yl, octahydro-6H-pyrrolo[3,4-b]pyrindin-6-yl, 2,3,4,9-tetrahydro-IH-carbazolyl, 1,2,3,4-tetrahydroprazino[1,2-a]indolyl, 2,3-dihydro-IH-pyrrolo[1,2-a]indolyl, 1,3-dihydro-2H-isouindol-2-yl, octahydro-2H-isouindol-2-yl, (3aS)-1,3,3a,4,5,6-hexahydro-2H-isouindol-2-yl, (3aR,4R,7aS)-IH-isouindol-2(3H,3aH,4H,5H,6H,7H,7aH)-yl, (3aR,7aS)-octahydro-2H-isouindol-2-yl, (3aR,4R,7aS)-octahydro-2H-isouindol-2-yl, (3aR,4R,7aS)-octahydro-2H-isouindol-2-yl, (3aR,4S,7aS)-octahydro-2H-isouindol-2-yl, (3aR,4S,7aS)-octahydro-2H-isouindol-2-yl,
2,5-diazabicyclo[2.2.1]hept-2-yl, 2,5-diazabicyclo[2.2.1]heptan-2-yl, 2-
azabicyclo[2.2.1]hept-5-en-2-yl, 3-azabicyclo[3.1.0]hex-3-yl, 3-
azabicyclo[3.1.0]hexan-3-yl, (IR,5S,6s)-3-azabicyclo[3.1.0]hexan-3-yl, (IR,5S)
3-azabicyclo[3.1.0]hex-3-yl, (IR,5S)-3-azabicyclo[3.1.0]hexan-3-yl, (IR,5S)
3-azabicyclo[3.1.0]hexan-3-yl, (IR,5S)-3-azabicyclo[3.1.0]hex-6-yl, (IR,5S)
3-azabicyclo[3.1.0]hexan-6-yl, 3,6-diazabicyclo[3.1.0]hex-3-yl, 3,6-
diazabicyclo[3.1.0]hexan-3-yl, (1S,5R,6s)-3-azabicyclo[3.1.0]hexan-3-yl,
(1S,5R,6s)-3-azabicyclo[3.1.0]hex-6-yl, 3,6-diazaspiro[3.3]heptan-3-yl,
3,6-diazaspiro[3.4]octan-2-yl, 2,6-diazaspiro[3.4]octan-6-yl, 2,7-

The present description further relates to a compound of Formula (I) or a form
thereof, wherein the ring system $R_{m} R_{i}$ and $R_{ij}$ is selected from the $R_{i}, R_{ii}$ and $R_{ij}$ ring
system, respectively, and $R_{5a}$ and $R_{5b}$, when present, are selected from the group consisting
of:
hydrogen or Calkyl;
wherein a form of the compound is selected from the group consisting of a prodrug, salt,
hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer,
stereoisomer, polymorph and tautomer form thereof.

The present description further relates to a compound of Formula (I) or a form
thereof, wherein the ring system $R_{m} R_{i}$ and $R_{ij}$ is selected from the $R_{ii}$, $R_{ii}$ and $R_{ij}$ ring
system, respectively, and $R_{5a}$ and $R_{5b}$, when present, are selected from the group consisting of
(where "Ring" in the table below indicates whether an $R_{m}$, $R_{m}$ and $R_{ij}$ ring system is
selected; and, "—" indicates that one or more of $R_{5a}$ or $R_{5b}$ are not present):

<table>
<thead>
<tr>
<th>Cpd</th>
<th>Ring</th>
<th>$R_{5a}$</th>
<th>$R_{5b}$</th>
</tr>
</thead>
<tbody>
<tr>
<td>11</td>
<td>$R_{ibl}$</td>
<td>CH$_3$</td>
<td>H</td>
</tr>
<tr>
<td>13</td>
<td>$R_{ijl}$</td>
<td>H</td>
<td>--</td>
</tr>
<tr>
<td>16</td>
<td>$R_{ijl}$</td>
<td>H</td>
<td>--</td>
</tr>
<tr>
<td>21</td>
<td>$R_{ibl}$</td>
<td>H</td>
<td>H</td>
</tr>
</tbody>
</table>
wherein a form of the compound is selected from the group consisting of a prodrug, salt, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

The present description further relates to a compound of Formula (I) or a form thereof, wherein the ring system R₁d, R₁e, R₁f and R₁g is selected from a R₁d, R₁e, R₁f and R₁g ring system, respectively:

![Chemical Structures](attachment)

wherein "*" represents a point of attachment for R₁d, R₁e, R₁f and R₁g to the 2-pyridinone of Formula (I); and,

wherein R₅a, R₅b, R₅c and R₅d, when present, are selected from the group consisting of:

- hydrogen, halogen, hydroxyl, cyano, nitro, Ci-galkyl, hydroxy-Ci-galkyl, halo-Ci-galkyl, Ci₅alkoxy, halo-Ci₅alkoxy, Ci-galkyl-thio, carboxyl, Ci-galkyl-carbonyl, Ci₅alkoxy-carbonyl, amino-carbonyl, amino, C₁₅alkyl-amino, (C₁₅alkyl)₂-amino, C₂₅alkenyl-amino, (C₂₅alkenyl)₂-amino, C₂₅alkynyl-amino, (C₂₅alkynyl)₂-amino, amino-Ci₅alkyl, Ci₅alkynyl-amino-Ci₅alkyl, (C₁₅alkynyl)₂-amino-Ci₅alkyl, haloc Ci₅alkyl-amino, (halo-Ci₅alkyl)₂-amino, halo-Ci₅alkyl-amino-Ci₅alkyl, Ci₅alkoxy-Ci₅alkyl-amino, (Ci₅alkoxy-Ci₅alkyl)₂-amino, (Ci₅alkoxy-Ci₅alkyl)₂-amino-Ci₅alkyl, Ci₅alkyl-amino-Ci₅alkyl-amino-Ci₅alkyl, (Ci₅alkyl)₂-amino-Ci₅alkyl, Ci₅alkyl-amino-Ci₅alkyl-amino-Ci₅alkyl,
(Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(C$_1$ galkyl)$_2$-amino-C$_1$ galkyl-amino-C$_1$ galkyl,
[(C$_1$ galkyl)$_2$-amino-C$_1$ galkyl,C$_1$ galkyl]amino-C$_1$ galkyl,
(C$_1$ galkyl-amino-C$_1$ galkyl)$_2$-amino-C$_1$ galkyl, hydroxyl-Ci-galkyl-amino,
(hydroxyl-Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(hydroxyl-C$_1$ galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(hydroxyl-C$_1$ galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(hydroxyl-C$_1$ galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(hydroxyl-C$_1$ galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(hydroxyl-C$_1$ galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(hydroxyl-C$_1$ galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(hydroxyl-C$_1$ galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(hydroxyl-C$_1$ galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(hydroxyl-C$_1$ galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(hydroxyl-C$_1$ galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(Ci-galkyl-carbonyl-Ci-galkyl-amino-Ci-galkyl, Ci-galkyl-amino-carbonyl,
(C$_1$ galkyl)$_2$-amino-carbonyl, Ci-galkyl-amino-Ci-galkyl-carbonyl,
(C$_1$ galkyl)$_2$-amino-C$_1$ galkyl-carbonyl, Cs-ncycloalkyl, Cs-ncycloalkyl-Ci-galkyl,
Cs-ncycloalkyl-oxy, Cs-ncycloalkyl-Ci-galkoxy, Cs-wcycloalkyl-aminoo,
(Cs-wcycloalkyl-Ci-galkyl-amino-Ci-galkyl,
(Cs-wcycloalkyl-Ci-galkyl-amino-Ci-galkyl,
(Cs-wcycloalkyl-Ci-galkyl-amino-Ci-galkyl,
(C$_3$-$1_{4}$ cycloalkyl) $_2$-amino-C$_1$ galkyl, Cs-wcycloalkyl-Ci-galkyl-amino-Ci-galkyl,
(Cs-wcycloalkyl-Ci-galkyl-amino-Ci-galkyl,
(C$_{3_{-4}}$ cycloalkyl-C$_1$ galkyl)$_2$-amino-C$_1$ galkyl, aryl, aryl-Ci-galkyl, aryl-Ci-galkoxy,
aryl-amino, (aryl,$^g$alkyl)amino, (aryl)$_2$-amino, aryl-amino-Ci-galkyl,
(aryl$^g$alkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(aryl$^g$alkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(aryl$^g$alkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(aryl$^g$alkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(aryl$^g$alkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(aryl$^g$alkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(aryl$^g$alkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(aryl$^g$alkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(aryl$^g$alkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(aryl$^g$alkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(heteroaryl-Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(heteroaryl-Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(heteroaryl-Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(heteroaryl-Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(heteroaryl-Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
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(heteroaryl-Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(heteroaryl-Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(heteroaryl-Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(heteroaryl-Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(heterocyclyl-C<sub>1</sub>-alkyl)<sub>2</sub>-amino-C<sub>1</sub>-alkyl, heterocyclyl-oxy-amino,
(heterocyclyl-oxy-C<sub>1</sub>-alkyl)<sub>2</sub>-amino, (heterocyclyl-oxy)<sub>2</sub>-amino,
(heterocyclyl-oxy-C<sub>1</sub>-alkyl)<sub>2</sub>-amino, heterocyclyl-carbonyl or heterocyclyl-carbonyl-oxy;

wherein each instance of Cs-n-cycloalkyl, aryl, heterocyclyl is optionally substituted with one, two or three substituents each selected from R<sub>6</sub>; and,

R<sub>6</sub> is azido, halogen, hydroxyl, cyano, nitro, Ci-galkyl, halo-Ci-galkyl, hydroxyl-Ci-galkyl, Ci-galkoxy-Ci-galkyl, Ci-galkoxy, halo-Ci-galkoxy, hydroxyl-Ci-galkoxy, carboxyl, Ci-galkyl-carbonyl, Ci-galkoxy-carbonyl, amino, Ci-galkyl-amino, (C<sub>1</sub>-galkyl)<sub>2</sub>-amino,

amino-Ci-galkyl-amino, (amino-Ci-galkyl-Ci-galkyl-amino,

Ci-galkyl-amino-Ci-galkyl-amino, (Ci-galkyl-amino-Ci-galkyl-Ci-galkyl-amino,

(C<sub>1</sub>-galkyl)<sub>2</sub>-amino-C<sub>1</sub>-galkyl-amino, [(C<sub>1</sub>-galkyl)<sub>2</sub>-amino-C<sub>1</sub>-galkyl-C<sub>1</sub>-galkyl]amino,

halo-Ci-galkyl-amino, (halo-C<sub>1</sub>-galkyl)<sub>2</sub>-amino, halo-Ci-galkyl-amino-Ci-galkyl,

(halo-C<sub>1</sub>-galkyl)<sub>2</sub>-amino-C<sub>1</sub>-galkyl, amino-Ci-galkyl, Ci-galkyl-amino-Ci-galkyl,

(C<sub>1</sub>-galkyl)<sub>2</sub>-amino-C<sub>1</sub>-galkyl, [(C<sub>1</sub>-galkyl)<sub>2</sub>-amino-C<sub>1</sub>-galkyl,C<sub>1</sub>-galkyl]amino-C<sub>1</sub>-galkyl,

Ci-galkyl-thio, amino-carbonyl, Ci-galkyl-amino-carbonyl,

(C<sub>1</sub>-galkyl)<sub>2</sub>-amino-carbonyl, Ci-galkyl-carbonyl-amino,

carboxyl-Ci-galkyl-Ci-galkyl-amino-carbonyl-amino, Cs-n-cycloalkyl,

C<sub>3</sub>-n-cycloalkyl-amino, aryl, aryl-C<sub>1</sub>-alkyl, aryl-amino, (aryl,C<sub>1</sub>-alkyl)amino,

(aril)<sub>2</sub>-amino, aryl-Ci-galkyl-amino, (aryl-Ci-galkyl-Ci-galkyl-amino,

(aryl-C<sub>1</sub>-galkyl)<sub>2</sub>-amino, aryl-Ci-galkyl-amino-Ci-galkyl,

(aryl-Ci-galkyl-Ci-galkyl-amino-Ci-galkyl, (aryl-C<sub>1</sub>-galkyl)<sub>2</sub>-amino-C<sub>1</sub>-galkyl,

arylamino-Ci-galkyl, (aryl-C<sub>1</sub>-galkyl-amino-Ci-galkyl, (aryl)<sub>2</sub>-amino,C<sub>1</sub>-galkyl,

aryl-amino-carbonyl, aryl-Q-galkoxy, aryl-Ci-galkoxy-carbonyl-amino, heteroaryl,

heteroaryl-Ci-galkyl, heteroaryl-amino, (heteroaryl)<sub>2</sub>-amino,

heteroaryl-Ci-galkyl-amino, (heteroaryl-Ci-galkyl-amino-

C<sub>1</sub>-galkyl)<sub>2</sub>-amino, heteroaryl-Ci-galkyl-amino-Ci-galkyl,

(heteroaryl-Ci-galkyl-Ci-galkyl-amino-Ci-galkyl,

(heteroaryl-Ci-galkyl-Ci-galkyl-amino-Ci-galkyl,

(heteroaryl-C<sub>1</sub>-galkyl)<sub>2</sub>-amino-C<sub>1</sub>-galkyl, heterocyclyl, heterocyclyl-Ci-galkyl,

heterocyclyl-amino-Ci-galkyl or heterocyclyl-oxy;

wherein each instance of Cs-n-cycloalkyl is optionally substituted with one substituent selected from R<sub>9</sub>; and,

wherein each instance of aryl is optionally substituted with one halogen substituent; and,
R 9 is Ci-galkyl, amino, Ci-galkyl-amino, (C₁₋₈ alkyl)₂-amino, amino-Ci-galkyl, Ci-galkyl-amino-Ci-galkyl, (C₁₋₈ alkyl)₂-amino-C₁₋₈ alkyl or aryl-Ci-galkyl-amino; and, wherein a form of the compound is selected from the group consisting of a prodrug, salt, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomeric form thereof.

The present description also relates to use of a compound of Formula (I) or a form thereof wherein R₅a, R₅b, R₅c and R₅d substituted on a R₁d, R₁e, R₁f and R₁g ring system is: Cs-<cycloalkyl selected in each instance, when present, from cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl or cycloheptyl; ary1 selected in each instance, when present, from phenyl; heteroaryl selected in each instance, when present, from pyrrolyl, thiazolyl, 1H-1,2,3-triazolyl, 1H-tetrazolyl, 2H-tetrazolyl, 1H-imidazolyl or pyridinyl; and, heterocyclyl selected in each instance, when present, from azetidinyl, tetrahydrofuranyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, 1,4-diazepanyl, 1,3-dioxolanyl, 2,5-dihydro-1H-pyrrol, 4,5-dihydro-1H-imidazolyl, 1,4,5,6-tetrahydropyrimidinyl, 1,2,3,6-tetrahydropyridinyl, tetrahydro-2H-pyran, indoliny1, 2,3-dihydrobenzo[d]oxazolyl, 3,4-dihydro-2H-benzo[b][1,4]oxazinyl, 3,4-dihydroisquinolino-(1H)-yl, 1,2,3,4-tetrahydroisquinolinyl, 1,2,3,4-tetrahydroquinoxalinyl, (6H)-pyrrolo[3,4-b][1,4]oxazin-(2H)-yl, hexahydropyrrolo[3,4-b][1,4]oxazin-(2H)-yl, (4aR,7aS)-hexahydropyrrolo[3,4-b][1,4]oxazin-(4H)-yl, hexahydro-1H-cyclopenta[c]pyrrolyl, (cis)-octahydrocyclopenta[c]pyrrolyl, (3aR,6aR)-hexahydrocyclopenta[c]pyrrolo-(1H)-yl, (3aR,4R,6aS)-hexahydrocyclopenta[c]pyrrolo-(1H)-yl, (3aR,4S,6aS)-hexahydrocyclopenta[c]pyrrolo-(1H)-yl, (3aR,5R,6aS)-hexahydrocyclopenta[c]pyrrolo-(1H)-yl, hexahydropyrrolo[3,4-b]pyrrol-(1H)-yl, hexahydropyrrolo[3,4-b]pyrrol-(1H)-yl, 3,4-dihydro-2H-pyrido[3,2-b][1,4]oxazinyl, (3aR,6aR)-hexahydropyrrolo[3,4-b]pyrrol-(1H)-yl, (3aR,6aS)-hexahydropyrrolo[3,4-c]pyrrol-(1H)-yl, 5H-pyrolo[3,4-b]pyridin-(7H)-yl, 5,7-dihydro-6H-pyrrolo[3,4-b]pyridinyl, tetrahydro-1H-pyrrolo[3,4-b]pyridin-(2H,7H,7aH)-yl, hexahydro-1H-pyrrolo[3,4-b]pyridin-(2H)-yl, (4aR,7aR)-hexahydro-1H-pyrrolo[3,4-b]pyridin-(2H)-yl, octahydro-6H-pyrrolo[3,4-b]pyridinyl, 2,3,4,9-tetrahydro-1H-carbazolyl, 1,2,3,4-tetrahydro-nitrazinol, 1,2-alindolyl, 2,3-dihydro-1H-pyrrolo[1,2-a]indolyl, 1,3-dihydro-2H-isindo1yl, octahydro-2H-isindo1yl, (3aS)-1,3,3a,4,5,6-hexahydro-2H-isindo1yl, (3aR,4R,7aS)-1H-isindo1...
(3H,3aH,4H,5H,6H,7H,7aH)-yl, (3aR,7aS)-octahydro-2H-isindolyl, (3aR,4R,7aS)-
octahydro-2H-isindolyl, (3aR,4S,7aS)-octahydro-2H-isindolyl, 2,5-
diazabicyclo[2.2.1]heptyl, 2,5-diazabicyclo[2.2.1]heptanyl, 2-azabicyclo[2.2.1]hept-
5-enyl, 3-azabicyclo[3.1.0]hexyl, 3-azabicyclo[3.1.0]hexanyl, (IR,5S,6s)-3-
azabicyclo[3.1.0]hexyl, (IR,5S,6s)-3-azabicyclo[3.1.0]hexyl, (IR,5S)-3-
azabicyclo[3.1.0]hexyl, (IR,5S)-3-azabicyclo[3.1.0]hexyl, (IR,5S)-3-
3,6-diazabicyclo[3.1.0]hexyl, 3,6-diazabicyclo[3.1.0]hexanyl, (IS,5R,6R)-3-
azabicyclo[3.2.0]heptyl, (IS,5R,6R)-3-azabicyclo[3.2.0]heptanyl, (IS,5R,6S)-3-
azabicyclo[3.2.0]heptyl, (IS,5R,6S)-3-azabicyclo[3.2.0]heptanyl, (IS,5R)-3-
azabicyclo[3.2.0]heptanyl, 5-azaspiro[2.4]heptyl, 5-azaspiro[2.4]heptanyl, 2,6-
diazaspiro[3.3]heptanyl, 2,5-diazaspiro[3.4]octanyl, 2,6-diazaspiro[3.4]octanyl, 2,7-
diazaspiro[3.5]nonanyl, 2,7-diazaspiro[4.4]nonanyl, 2-azaspiro[4.5]decyl, 2-

The present description also relates to use of a compound of Formula (I) or a form
thereof wherein R₅a, R₅b, R₅c and R₅d substituted on a R₁d¹, R₁e¹, R₁f₁ and R₁g₁ ring system is:
Cs-cycloalkyl selected in each instance, when present, from cyclopropyl, cyclobutyl,
cyclopentyl, cyclohexyl or cycloheptyl;
aryl selected in each instance, when present, from phenyl;
heteroaryl selected in each instance, when present, from IH-pyrrol-1-yl, thiazol-2-yl, 1H-
1,2,3-triazol-1-yl, 1H-tetrazol-5-yl, 2H-tetrazol-2-yl, 1H-imidazol-1-yl, pyridin-2-yl,
pyridin-3-yl or pyridin-4-yl; or,
heterocyclyl selected in each instance, when present, from azetidin-1-yl, tetrahydrofuran-2-yl,
pyrrolidin-1-yl, pyrrolidin-2-yl, pyrrolidin-3-yl, pyrrolidin-4-yl, piperidin-1-yl,
piperidin-2-yl, piperidin-3-yl, piperidin-4-yl, piperazin-1-yl, piperazin-2-yl, morpholin-4-yl, 1,4-
diazepan-1-yl, 1,3-dioxolan-2-yl, 2,5-dihydro-1H-pyrrol-1-yl, 4,5-dihydro-1H-
imidazol-2-yl, 1,4,5,6-tetrahydropyrimidin-2-yl, 1,2,3,6-tetrahydropyridin-4-yl,
tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-4-yl, tetrahydro-2H-pyran-6-yl,
indolyl, 2,3-dihydrobenzo[d]oxazol-6-yl, 3,4-dihydro-2H-benzo[b][1,4]oxazin-4-yl,
3,4-dihydroisoquinolin-2(1H)-yl, 1,2,3,4-tetrahydroisoquinolin-1-yl, 1,2,3,4-
tetrahydroquinoxalin-1-yl, (6H)-pyrrolo[3,4-b][1,4]oxazin-6(2H)-yl,
hexahydropyrrolo[3,4-b][1,4]oxazin-6(2H)-yl, (4aR,7aS)-hexahydropyrrolo[3,4-
b][1,4]oxazin-4(4aH)-yl, hexahydro-1H-cyclopenta[c]pyrrolo-2-yl, (cis)-
octahydrocyclopenta[c]pyrrolo-4-yl, (3aR,6aR)-hexahydrocyclopenta[c]pyrrol-3a(1H)-
yl, (3aR,4R,6aS)-hexahydrocyclopenta[c]pyrrol-2(1H)-yl, (3aR,4S,6aS)-hexahydrocyclopenta[c]pyrrol-2(1H)-yl, hexahydropyrrolo[3,4-b]pyrrol-5(1H)-yl, 3,4-dihydro-2H-pyrido[3,2-b][1,4]oxazin-4-yl, (3aR,6aR)-hexahydropyrrolo[3,4-b]pyrrol-5(1H)-yl, (3aR,6aS)-hexahydropyrrolo[3,4-c]pyrrol-2(1H)-yl, 5H-pyrrolo[3,4-b]pyridin-6(1H)-yl, 5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6(2H,7H,7aH)-yl, hexahydro-1H-pyrrolo[3,4-b]pyridin-6(2H)-yl, (4aR,7aR)-hexahydro-1H-pyrrolo[3,4-b]pyridin-6(2H)-yl, octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl, 2,3,4,9-tetrahydro-1H-carbazolyl, 1,2,3,4-tetrahydropyrazino[1,2-a]indolyl, 2,3-dihydro-1H-pyrrolo[1,2-a]indolyl, 1,3-dihydro-2H-isindol-2-yl, octahydro-2H-isindol-2-yl, (3aS)-1,3,3a,4,5,6-hexahydro-2H-isindol-2-yl, (3aR,4R,7aS)-1H-isindol-2(3H,3aH,4H,5H,6H,7H,7aH)-yl, (3aR,7aS)-octahydro-2H-isindol-2-yl, (3aR,4R,7aS)-octahydro-2H-isindol-2-yl, (3aR,4S,7aS)-octahydro-2H-isindol-2-yl, 2,5-diazabicyclo[2.2.1]hept-2-yl, 2,5-diazabicyclo[2.2.1]heptan-2-yl, 2,6-diazaspiro[3.3]heptan-2-yl, 2-azaspiro[3.4]octan-2-yl.

The present description further relates to a compound of Formula (I) or a form thereof, wherein the ring system \( R_{1d}, R_{1e}, R_{1f} \) and \( R_{1g} \) is selected from the \( R_{1l}, R_{1i}, R_{1j} \) and \( R_{1g} \) ring system, respectively, and \( R_{2a}, R_{2b}, R_{2c} \) and \( R_{2d} \), when present, are selected from the group consisting of:

hydrogen, halogen, Ci-galkyl or hydroxyl-Ci-galkyl; and,

wherein a form of the compound is selected from the group consisting of a prodrug, salt, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.
The present description further relates to a compound of Formula (I) or a form thereof, wherein the ring system $R_{i1}$, $R_{i2}$, $R_{i3}$ and $R_{i4}$ is selected from the $R_{i1}$, $R_{i2}$, $R_{i3}$ and $R_{i4}$ ring system, respectively, and $R_{5a}$, $R_{5b}$, $R_{5c}$ and $R_{5d}$, when present, are selected from the group consisting of (where "Ring" in the table below indicates whether an $R_{i1}$, $R_{i2}$, $R_{i3}$ or $R_{i4}$ ring system is selected; and, "—" indicates that one or more of $R_{5a}$, $R_{5b}$, $R_{5c}$ or $R_{5d}$ are not present):

<table>
<thead>
<tr>
<th>Cpd</th>
<th>Ring</th>
<th>$R_{5a}$</th>
<th>$R_{5b}$</th>
<th>$R_{5c}$</th>
<th>$R_{5d}$</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>$R_{i1}$</td>
<td>H</td>
<td>H</td>
<td>--</td>
<td>--</td>
</tr>
<tr>
<td>2</td>
<td>$R_{i2}$</td>
<td>H</td>
<td>H</td>
<td>H</td>
<td>--</td>
</tr>
<tr>
<td>6</td>
<td>$R_{i3}$</td>
<td>H</td>
<td>CH$_3$</td>
<td>--</td>
<td>--</td>
</tr>
<tr>
<td>44</td>
<td>$R_{i4}$</td>
<td>H</td>
<td>H</td>
<td>H</td>
<td>4-(CH$_2$)$_2$OH</td>
</tr>
<tr>
<td>47</td>
<td>$R_{i4}$</td>
<td>H</td>
<td>H</td>
<td>H</td>
<td>6-F</td>
</tr>
<tr>
<td>48</td>
<td>$R_{i4}$</td>
<td>CH$_3$</td>
<td>H</td>
<td>H</td>
<td>6-F</td>
</tr>
</tbody>
</table>

wherein a form of the compound is selected from the group consisting of a prodrug, salt, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

In one embodiment of the present description, the compound of Formula (I) or a form thereof is selected from the group consisting of:
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52, and

53:
wherein a form of the compound is selected from the group consisting of a prodrug, salt, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

In one embodiment of the present description, the compound of Formula (I) or a form thereof (wherein compound number (#*) indicates that the salt form was isolated) is selected from the group consisting of:

<table>
<thead>
<tr>
<th>Cpd</th>
<th>Name</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>6-(lH-benzimidazol-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>2</td>
<td>6-(2,3-dihydro-lH-indol-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>3</td>
<td>5-ethyl-4-hydroxy-2-oxo-6-[3-(pyrrolidin-1-ylmethyl)-lH-indol-6-yl]-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>4</td>
<td>5-ethyl-6-(2-[(ethyl(methyl)amino)methyl]-lH-indol-6-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>5</td>
<td>6-[2-(aminomethyl)-lH-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>6</td>
<td>5-ethyl-4-hydroxy-6-(2-methyl-lH-benzimidazol-5-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>7</td>
<td>5-ethyl-6-(l, 2,3,4,5,6-hexahydroazepino[4,5-b]indol-8-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>8</td>
<td>5-ethyl-4-hydroxy-6-(3-methyl-1,2,3,4,5,6-hexahydroazepino[4,5-b]indol-8-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>9</td>
<td>5-cyclopropyl-4-hydroxy-6-(lH-indol-6-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>10</td>
<td>6-{3-[(dimethylamino)methyl]-lH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>11</td>
<td>5-ethyl-4-hydroxy-6-(l-methyl-1,2,3,4-tetrahydroquinoxalin-6-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>12</td>
<td>6-[l-(dimethylamino)-2,3,4,9-tetrahydro-lH-carbazol-7-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>Cpd</td>
<td>Name</td>
</tr>
<tr>
<td>-----</td>
<td>------</td>
</tr>
<tr>
<td>13</td>
<td>6-(3,4-dihydro-2H-1,4-benzoxazin-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>14</td>
<td>6-{2-[(dimethylamino)methyl]-3-methyl-lH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>15</td>
<td>5-ethyl-6-{2-[(ethyl(methyl)amino)methyl]-3-methyl-lH-indol-6-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>16</td>
<td>6-(3,4-dihydro-2H-1,4-benzothiazin-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>17</td>
<td>5-ethyl-6-[(l, 2,3,4,5,10-hexahydroazepino[3,4-b]indol-8-yl)]-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>18</td>
<td>5-ethyl-4-hydroxy-6-{2-(2-hydroxyethyl)-1-methyl-lH-indol-5-yl]}-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>19</td>
<td>5-ethyl-4-hydroxy-6-[2-(2-hydroxyethyl)-1-methyl-lH-indol-5-yl]}-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>20</td>
<td>6-(3-cyano-lH-indol-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>21</td>
<td>5-ethyl-4-hydroxy-2-oxo-6-{1,2,3,4-tetrahydroquinoxalin-6-yl]-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>22</td>
<td>6-{2-{2-(dimethylamino)ethyl]-l-methyl-lH-indol-5-yl]}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>23</td>
<td>5-ethyl-4-hydroxy-6-{1-methyl-2-[2-(pyrrolidin-l-yl)ethyl]-lH-indol-5-yl]}-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>24</td>
<td>6-[2-(2-aminoethyl)-1-methyl-lH-indol-5-yl] -5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>25</td>
<td>6-{2-[{(dimethylamino)methyl]-3-(trifluoromethyl)-lH-indol-6-yl]}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>26</td>
<td>5-ethyl-6-{2-[(ethylamino)methyl]-3-(trifluoromethyl)-lH-indol-6-yl]}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>27</td>
<td>5-ethyl-4-hydroxy-6-{1-methyl-2-[2-(methylamino)ethyl]-lH-indol-5-yl]}-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>Cpd</td>
<td>Name</td>
</tr>
<tr>
<td>-----</td>
<td>------</td>
</tr>
<tr>
<td>28^1</td>
<td>5-ethyl-6-{2-[2-(ethylamino)ethyl]-1-methyl-1H-indol-5-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>29^1</td>
<td>6-{3-chloro-2-[(dimethylamino)methyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>30^1</td>
<td>6-{2-[(dimethylamino)methyl]-1H-indol-5-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>31^1</td>
<td>5-ethyl-4-hydroxy-2-oxo-6-{2-(pyrrolidin-1-ylmethyl)-1H-indol-5-yl}-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>32^1</td>
<td>6-{2-(2-aminoethyl)-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>33^1</td>
<td>5-ethyl-4-hydroxy-6-{1-methyl-2-[(propylamino)methyl]-1H-indol-5-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>34^1</td>
<td>6-{2-[2-(dimethylamino)ethyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>35^1</td>
<td>5-ethyl-4-hydroxy-2-oxo-6-{2-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-6-yl}-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>36^1</td>
<td>6-{3-[2-(dimethylamino)ethyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>37</td>
<td>ammonium 6-{2-[(dimethylamino)methyl]-3-fluoro-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>38^1</td>
<td>5-ethyl-6-{3-fluoro-2-[(methylamino)methyl]-1H-indol-6-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>39^1</td>
<td>5-ethyl-4-hydroxy-6-{3-methyl-2-(N-methylglycyl)-1H-indol-6-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>40^1</td>
<td>6-[2-(N,N-dimethylglycyl)-3-methyl-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>41</td>
<td>5-ethyl-6-(5-fluoro-1H-indol-6-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>42^1</td>
<td>5-ethyl-4-hydroxy-6-{2-[1-(methylamino)ethyl]-1H-indol-6-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>Cpd</td>
<td>Name</td>
</tr>
<tr>
<td>-----</td>
<td>------</td>
</tr>
<tr>
<td>43</td>
<td>6-[[2-[1-(dimethylamino)ethyl]-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>44</td>
<td>4-hydroxy-6-[4-(2-hydroxyethyl)-2,3-dihydro-1H-indol-5-yl]-5-methoxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>45</td>
<td>5-ethyl-6-(6-fluoro-1-methyl-1H-indol-5-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>46</td>
<td>5-ethyl-6-(6-fluoro-1H-indol-5-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>47</td>
<td>5-ethyl-6-(6-fluoro-2,3-dihydro-1H-indol-5-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>48</td>
<td>5-ethyl-6-(6-fluoro-1-methyl-2,3-dihydro-1H-indol-5-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>49</td>
<td>4-hydroxy-6-(1H-indol-7-yl)-5-methyl-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>50</td>
<td>5-ethyl-4-hydroxy-6-(1H-indol-7-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>51</td>
<td>4-hydroxy-5-methyl-6-(1-methyl-1H-indol-7-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>52</td>
<td>5-ethyl-4-hydroxy-6-(1-methyl-1H-indol-7-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid, and</td>
</tr>
<tr>
<td>53</td>
<td>5-amino-4-hydroxy-6-(1-methyl-1H-indol-5-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid;</td>
</tr>
</tbody>
</table>

wherein a form of the compound is selected from the group consisting of a prodrug, salt, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

In another embodiment of the present description, the compound or a form thereof is isolated as a salt.
In another embodiment of the present description, a compound salt of Formula (I) or a form thereof selected from the group consisting of:

<table>
<thead>
<tr>
<th>Cpd</th>
<th>Name</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>6-(IH-benzimidazol-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate</td>
</tr>
<tr>
<td>3</td>
<td>5-ethyl-4-hydroxy-2-oxo-6-[3-(pyrrolidin-1-ylmethyl)-IH-indol-6-yl]-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>4</td>
<td>5-ethyl-6-(2-[(ethyl(methyl)amino)methyl]-IH-indol-6-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>5</td>
<td>6-[2-(aminomethyl)-IH-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>7</td>
<td>5-ethyl-6-(1,2,3,4,5,6-hexahydroazepino[4,5-b]indol-8-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>8</td>
<td>5-ethyl-4-hydroxy-6-(3-methyl-1,2,3,4,5,6-hexahydroazepino[4,5-b]indol-8-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>10</td>
<td>6-{3-[(dimethylamino)methyl]-IH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>11</td>
<td>5-ethyl-4-hydroxy-6-(1-methyl-1,2,3,4-tetrahydroquinoxalin-6-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>12</td>
<td>6-[1-(dimethylamino)-2,3,4,9-tetrahydro-IH-carbazol-7-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>14</td>
<td>6-{2-[(dimethylamino)methyl]-3-methyl-IH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>15</td>
<td>5-ethyl-6-(2-[(ethyl(methyl)amino)methyl]-3-methyl-IH-indol-6-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>16</td>
<td>6-(3,4-dihydro-2H-1,4-benzothiazin-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>17</td>
<td>5-ethyl-6-(1,2,3,4,5,10-hexahydroazepino[3,4-b]indol-8-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>18</td>
<td>5-ethyl-4-hydroxy-6-(2-methyl-1,2,3,4,5,10-hexahydroazepino[3,4-b]indol-8-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>Cpd</td>
<td>Name</td>
</tr>
<tr>
<td>-----</td>
<td>-------------------------------------------------------------------------------------------------</td>
</tr>
<tr>
<td>21</td>
<td>5-ethyl-4-hydroxy-2-oxo-6-(1,2,3,4-tetrahydroquinoxalin-6-yl)-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>23</td>
<td>5-ethyl-4-hydroxy-6-{1-methyl-2-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-5-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>24</td>
<td>6-[2-(2-aminoethyl)-1-methyl-1H-indol-5-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>25</td>
<td>6-{2-[(dimethylamino)methyl]-3-(trifluoromethyl)-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>26</td>
<td>5-ethyl-6-{2-[(ethylamino)methyl]-3-(trifluoromethyl)-1H-indol-6-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>27</td>
<td>5-ethyl-4-hydroxy-6-{1-methyl-2-[2-(methylamino)ethyl]-1H-indol-5-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>28</td>
<td>5-ethyl-6-{2-[2-(ethylamino)ethyl]-1-methyl-1H-indol-5-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>29</td>
<td>6-{3-chloro-2-[(dimethylamino)methyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>30</td>
<td>6-{2-[(dimethylamino)methyl]-1H-indol-5-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate</td>
</tr>
<tr>
<td>31</td>
<td>5-ethyl-4-hydroxy-2-oxo-6-[2-(pyrrolidin-1-ylmethyl)-1H-indol-5-yl]-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate</td>
</tr>
<tr>
<td>32</td>
<td>6-[2-(2-aminoethyl)-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>33</td>
<td>5-ethyl-4-hydroxy-6-{1-methyl-2-[(propylamino)methyl]-1H-indol-5-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>34</td>
<td>6-2-(dimethylamino)ethyl]-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>35</td>
<td>5-ethyl-4-hydroxy-2-oxo-6-{2-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-6-yl}-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>36</td>
<td>6-{3-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
</tbody>
</table>
50

<table>
<thead>
<tr>
<th>Cpd</th>
<th>Name</th>
</tr>
</thead>
<tbody>
<tr>
<td>38</td>
<td>5-ethyl-6-{3-fluoro-2-[(methylamino)methyl]-1H-indol-6-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate</td>
</tr>
<tr>
<td>39</td>
<td>5-ethyl-4-hydroxy-6-[3-methyl-2-(N-methylglycyl)-1H-indol-6-yl]-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>40</td>
<td>6-[2-(N,N-dimethylglycyl)-3-methyl-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate</td>
</tr>
<tr>
<td>42</td>
<td>5-ethyl-4-hydroxy-6-[2-[1-(methylamino)ethyl]-1H-indol-6-yl]-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>43</td>
<td>6-[2-[1-(dimethylamino)ethyl]-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>44</td>
<td>4-hydroxy-6-[4-(2-hydroxyethyl)-2,3-dihydro-1H-indol-5-yl]-5-methoxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>47</td>
<td>5-ethyl-6-(6-fluoro-2,3-dihydro-1H-indol-5-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>48</td>
<td>5-ethyl-6-(6-fluoro-1-methyl-2,3-dihydro-1H-indol-5-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride, and</td>
</tr>
<tr>
<td>53</td>
<td>5-amino-4-hydroxy-6-(1-methyl-1H-indol-5-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride;</td>
</tr>
</tbody>
</table>

wherein a form of the compound salt is selected from the group consisting of a prodrug, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

In another embodiment, the present description includes a method of use for treating or ameliorating wild-type or drug-resistant forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof to the subject.

An embodiment of the use of a compound of Formula (I) or a form thereof includes a method of use for a compound or a form thereof for treating or ameliorating wild-type or drug-resistant forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof (wherein compound
number (#*) indicates that the salt form was isolated) to the subject, selected from the group consisting of:

<table>
<thead>
<tr>
<th>Cpd</th>
<th>Name</th>
</tr>
</thead>
<tbody>
<tr>
<td>1^l</td>
<td>6-(lH-benzimidazol-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>2</td>
<td>6-(2,3-dihydro-lH-indol-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>3^l</td>
<td>5-ethyl-4-hydroxy-2-oxo-6-[3-(pyrrolidin-1-ylmethyl)-lH-indol-6-yl]-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>4^l</td>
<td>5-ethyl-6-(2-[(ethyl(methyl)amino)methyl]-lH-indol-6-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>5^l</td>
<td>6-[2-(aminomethyl)-lH-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>6</td>
<td>5-ethyl-4-hydroxy-6-(2-methyl- lH-benzimidazol-5-yl)-2-oxo- 1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>7^l</td>
<td>5-ethyl-6-(l, 2,3,4,5,6-hexahydroazepino[4,5-b]indol-8-yl)-4-hydroxy-2-oxo- 1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>8^l</td>
<td>5-ethyl-4-hydroxy-6-(3-methyl- 1,2,3,4,5,6-hexahydroazepino[4,5-b]indol-8-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>9</td>
<td>5-cyclopropyl-4-hydroxy-6-(lH-indol-6-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>10^l</td>
<td>6-{3-[(dimethylamino)methyl]-lH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>11^l</td>
<td>5-ethyl-4-hydroxy-6-(l-methyl-1,2,3,4-tetrahydroquinoxalin-6-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>12^l</td>
<td>6-[1-(dimethylamino)-2,3,4,9-tetrahydro-lH-carbazol-7-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>13</td>
<td>6-(3,4-dihydro-2H- 1,4-benzoaxzin-6-yl)-5-ethyl-4-hydroxy-2-oxo- 1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>14^l</td>
<td>6-{2-[(dimethylamino)methyl]-3-methyl-lH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>Cpd</td>
<td>Name</td>
</tr>
<tr>
<td>-----</td>
<td>------</td>
</tr>
<tr>
<td>15</td>
<td>5-ethyl-6-(2-{[ethyl(methyl)amino]methyl}-3-methyl-1H-indol-6-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>16</td>
<td>6-(3,4-dihydro-2H-1,4-benzothiazin-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>17</td>
<td>5-ethyl-6-(1,2,3,4,5,10-hexahydroazepino[3,4-b]indol-8-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>18</td>
<td>5-ethyl-4-hydroxy-6-(2-methyl-1,2,3,4,5,10-hexahydroazepino[3,4-b]indol-8-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>19</td>
<td>5-ethyl-4-hydroxy-6-[2-(2-hydroxyethyl)-1-methyl-1H-indol-5-yl]-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>20</td>
<td>6-(3-cyano-1H-indol-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>21</td>
<td>5-ethyl-4-hydroxy-2-oxo-6-(1,2,3,4-tetrahydroquinoxalin-6-yl)-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>22</td>
<td>6-{2-[2-(dimethylamino)ethyl]-1-methyl-1H-indol-5-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>23</td>
<td>5-ethyl-4-hydroxy-6-[1-methyl-2-{2-(pyrrolidin-l-yl)ethyl]-1H-indol-5-yl]-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>24</td>
<td>6-[2-(2-aminoethyl)-1-methyl-1H-indol-5-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>25</td>
<td>6-{2-[(dimethylamino)methyl]-3-(trifluoromethyl)-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>26</td>
<td>5-ethyl-6-{2-[(ethylamino)methyl]-3-(trifluoromethyl)-1H-indol-6-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>27</td>
<td>5-ethyl-4-hydroxy-6-[1-methyl-2-{2-(methylamino)ethyl]-1H-indol-5-yl]-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>28</td>
<td>5-ethyl-6-[2-{2-(ethylamino)ethyl]-1-methyl-1H-indol-5-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>29</td>
<td>6-{3-chloro-2-[(dimethylamino)methyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>Cpd</td>
<td>Name</td>
</tr>
<tr>
<td>-----</td>
<td>------------------------------------------------------------------------------------------------</td>
</tr>
<tr>
<td>30</td>
<td>6-{2-[(dimethylamino)methyl]-lH-indol-5-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>31</td>
<td>5-ethyl-4-hydroxy-2-oxo-6-[2-(pyrrolidin-1-ylmethyl)-lH-indol-5-yl]-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>32</td>
<td>6-[2-(2-aminomethyl)-lH-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>33</td>
<td>5-ethyl-4-hydroxy-6-{1-methyl-2-[(propylamino)methyl]-lH-indol-5-yl]-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>34</td>
<td>6-{2-[2-(dimethylamino)ethyl]-lH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>35</td>
<td>5-ethyl-4-hydroxy-2-oxo-6-{2-[2-(pyrrolidin-1-yl)ethyl]-lH-indol-6-yl}-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>36</td>
<td>6-{3-[2-(dimethylamino)ethyl]-lH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>37</td>
<td>ammonium 6-{2-[(dimethylamino)methyl]-3-fluoro-lH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>38</td>
<td>5-ethyl-6-{3-fluoro-2-[(methylamino)methyl]-lH-indol-6-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>39</td>
<td>5-ethyl-4-hydroxy-6-[3-methyl-2-(N-methylglycyl)-lH-indol-6-yl]-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>40</td>
<td>6-[2-(N,N-dimethylglycyl)-3-methyl-lH-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>41</td>
<td>5-ethyl-6-(5-fluoro-lH-indol-6-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>42</td>
<td>5-ethyl-4-hydroxy-6-{2-[l-(methylamino)ethyl]-lH-indol-6-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>43</td>
<td>6-{2-[1-(dimethylamino)ethyl]-lH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
<tr>
<td>44</td>
<td>4-hydroxy-6-{4-(2-hydroxyethyl)-2,3-dihydro-lH-indol-5-yl}-5-methoxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid</td>
</tr>
</tbody>
</table>
Another embodiment of the use of a compound of Formula (I) or a form thereof includes a method of use for a compound salt or a form thereof for treating or ameliorating wild-type or drug-resistant forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound salt of Formula (I) or a form thereof to the subject, selected from the group consisting of:

<table>
<thead>
<tr>
<th>Cpd</th>
<th>Name</th>
</tr>
</thead>
<tbody>
<tr>
<td>53</td>
<td>5-amino-4-hydroxy-6-(1-methyl-1H-indol-5-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid;</td>
</tr>
</tbody>
</table>

wherein a form of the compound is selected from the group consisting of a prodrug, salt, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

<table>
<thead>
<tr>
<th>Cpd</th>
<th>Name</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>6-(1H-benzimidazol-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate</td>
</tr>
<tr>
<td>3</td>
<td>5-ethyl-4-hydroxy-2-oxo-6-[3-(pyrrolidin-1-ylmethyl)-1H-indol-6-yl]-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>Cpd</td>
<td>Name</td>
</tr>
<tr>
<td>-----</td>
<td>----------------------------------</td>
</tr>
<tr>
<td>4</td>
<td>5-ethyl-6-{[(ethyl(methyl)amino)methyl]-IH-indol-6-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>5</td>
<td>6-{2-(aminomethyl)-IH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>7</td>
<td>5-ethyl-6-(1,2,3,4,5,6-hexahydroazepino[4,5-b]indol-8-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>8</td>
<td>5-ethyl-4-hydroxy-6-{(3-methyl-1,2,3,4,5,6-hexahydroazepino[4,5-b]indol-8-yl)}-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>10</td>
<td>6-{3-[(dimethylamino)methyl]-IH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>11</td>
<td>5-ethyl-4-hydroxy-6-{(1-methyl-1,2,3,4-tetrahydroquinoxalin-6-yl)}-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>12</td>
<td>6-{1-(dimethylamino)-2,3,4,9-tetrahydro-IH-carbazol-7-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>14</td>
<td>6-{2-[(dimethylamino)methyl]-3-methyl-IH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>15</td>
<td>5-ethyl-6-{(2-[(ethyl(methyl)amino)methyl]-IH-indol-6-yl)}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>16</td>
<td>6-(3,4-dihydro-2H-1,4-benzothiazin-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>17</td>
<td>5-ethyl-6-{(1,2,3,4,5,10-hexahydroazepino[3,4-b]indol-8-yl)}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>18</td>
<td>5-ethyl-4-hydroxy-6-{(2-methyl-1,2,3,4,5,10-hexahydroazepino[3,4-b]indol-8-yl)}-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>21</td>
<td>5-ethyl-4-hydroxy-2-oxo-6-{(1,2,3,4-tetrahydroquinoxalin-6-yl)}-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>23</td>
<td>5-ethyl-4-hydroxy-6-{(1-methyl-2-[2-(pyrrolidin-1-yl)ethyl]-IH-indol-5-yl)}-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>24</td>
<td>6-{2-(2-aminoethyl)-1-methyl-IH-indol-5-yl)]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>Cpd</td>
<td>Name</td>
</tr>
<tr>
<td>-----</td>
<td>------</td>
</tr>
<tr>
<td>25</td>
<td>6-{2-[(dimethylamino)methyl]-3-(trifluoromethyl)-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>26</td>
<td>5-ethyl-6-{2-[(ethylamino)methyl]-3-(trifluoromethyl)-1H-indol-6-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>27</td>
<td>5-ethyl-4-hydroxy-6-{1-methyl-2-[2-(methylamino)ethyl]-1H-indol-5-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>28</td>
<td>5-ethyl-6-{2-[(ethylamino)ethyl]-1-methyl-1H-indol-5-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>29</td>
<td>6-{3-chloro-2-[(dimethylamino)methyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>30</td>
<td>6-{2-[(dimethylamino)methyl]-1H-indol-5-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate</td>
</tr>
<tr>
<td>31</td>
<td>5-ethyl-4-hydroxy-2-oxo-6-{2-(pyrrolidin-1-ylmethyl)-1H-indol-5-yl}-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate</td>
</tr>
<tr>
<td>32</td>
<td>6-{2-(2- aminoethyl)-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>33</td>
<td>5-ethyl-4-hydroxy-6-{1-methyl-2-[(propylamino)methyl]-1H-indol-5-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>34</td>
<td>6-{2-[2-(dimethylamino)ethyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>35</td>
<td>5-ethyl-4-hydroxy-2-oxo-6-{2-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-6-yl}-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>36</td>
<td>6-{3-[2-(dimethylamino)ethyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>38</td>
<td>5-ethyl-6-{3-fluoro-2-[(methylamino)methyl]-1H-indol-6-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate</td>
</tr>
<tr>
<td>39</td>
<td>5-ethyl-4-hydroxy-6-{3-methyl-2-(N-methylglycyl)-1H-indol-6-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride</td>
</tr>
<tr>
<td>40</td>
<td>6-{2-(N,N-dimethylglycyl)-3-methyl-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate</td>
</tr>
</tbody>
</table>
Cpd Name
42 5-ethyl-4-hydroxy-6-{2-[1-(methylamino)ethyl]-1H-indol-6-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
43 6-{2-[1-(dimethylamino)ethyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
44 4-hydroxy-6-[4-(2-hydroxyethyl)-2,3-dihydro-1H-indol-5-yl]-5-methoxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
47 5-ethyl-6-(6-fluoro-2,3-dihydro-1H-indol-5-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
48 5-ethyl-6-(6-fluoro-1-methyl-2,3-dihydro-1H-indol-5-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride, and
53 5-amino-4-hydroxy-6-(1-methyl-1H-indol-5-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride;

wherein a form of the compound salt is selected from the group consisting of a prodrug, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

In another embodiment, the present description includes a method of use for treating or ameliorating wild-type or drug-resistant forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof to the subject.

In another embodiment, the present description includes a method of use for treating or ameliorating wild-type or drug-resistant forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound salt of Formula (I) or a form thereof to the subject.

In another embodiment of the present description, the compound salt or a form thereof is isolated for use.

Chemical Definitions

The chemical terms used above and throughout the description herein, unless specifically defined otherwise, shall be understood by one of ordinary skill in the art to have the following indicated meanings.
As used herein, the term "Ci-ioalkyl" generally refers to saturated hydrocarbon radicals having from one to ten carbon atoms in a straight or branched chain configuration, including, without limitation, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, n-hexyl, n-heptyl, n-octyl, n-nonyl, n-decyl and the like. In some embodiments, Ci-ioalkyl includes Ci-galkyl, Ci-galkyl, C₁₄ᵣalkyl and the like. A Ci-ioalkyl radical may be optionally substituted where allowed by available valences.

As used herein, the term "C₂ᵣgalkenyl" generally refers to partially unsaturated hydrocarbon radicals having from two to eight carbon atoms in a straight or branched chain configuration and one or more carbon-carbon double bonds therein, including, without limitation, ethenyl, allyl, propenyl and the like. In some embodiments, C₂ᵣgalkenyl includes C₂ᵣgalkenyl, C₂ᵣgalkenyl and the like. A C₂ᵣgalkenyl radical may be optionally substituted where allowed by available valences.

As used herein, the term "C₂ᵣgalkynyl" generally refers to partially unsaturated hydrocarbon radicals having from two to eight carbon atoms in a straight or branched chain configuration and one or more carbon-carbon triple bonds therein, including, without limitation, ethynyl, propynyl and the like. In some embodiments, C₂ᵣgalkynyl includes C₂ᵣgalkynyl, C₂ᵣgalkynyl and the like. A C₂ᵣgalkynyl radical may be optionally substituted where allowed by available valences.

As used herein, the term "Ci-salkoxy" generally refers to saturated hydrocarbon radicals having from one to eight carbon atoms in a straight or branched chain configuration of the formula: -O-Ci-galkyl, including, without limitation, methoxy, ethoxy, n-propoxy, isoproxy, n-butoxy, isobutoxy, sec-butoxy, tert-butoxy, n-pentoxy, n-hexoxy and the like. In some embodiments, Ci-salkoxy includes Ci-salkoxy, Ci-salkoxy and the like. A Ci-salkoxy radical may be optionally substituted where allowed by available valences.

As used herein, the term "C₃₋₄cy cloalkyl" generally refers to a saturated monocyclic, bicyclic or polycyclic hydrocarbon radical, including, without limitation, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, 1H-indanyl, indenyl, tetrahydro-naphthalenyl and the like. In some embodiments, C₃₋₄cy cloalkyl includes C₃₋₄cy cloalkyl, C₃₋₄cy cloalkyl and the like. A C₃₋₄cy cloalkyl radical may be optionally substituted where allowed by available valences.

As used herein, the term "aryl" generally refers to a monocyclic, bicyclic or polycyclic aromatic carbon atom ring structure radical, including, without limitation, phenyl,
naphthyl, anthracenyl, fluorenyl, azulenyl, phenanthrenyl and the like. An aryl radical may be optionally substituted where allowed by available valences.

As used herein, the term "heteroaryl" generally refers to a monocyclic, bicyclic or polycyclic aromatic carbon atom ring structure radical in which one or more carbon atom ring members have been replaced, where allowed by structural stability, with one or more heteroatoms, such as an O, S or N atom, including, without limitation, furanyl, thieryl (also referred to as thiophenyl), pyrrolyl, pyrazolyl, imidazolyl, isoxazolyl, isothiazolyl, oxazolyl, thiazolyl, triazolyl, oxadiazolyl, thiadiazolyl, tetrazolyl, pyranyl, thiopryanyl, pyridinyl, pyrimidinyl, pyrazidinyl, triazinyl, indolyl, indazolyl, indolizinyl, benzofuranyl, benzothienyl, benzimidazolyl, benzoazolyl, 9H-purinyl, quinoxalinyll, isoindolyl, quinolinyl, isoquinolinyl, quinazolinyll, acridinyl and the like. A heteroaryl radical may be optionally substituted on a carbon or nitrogen atom ring member where allowed by available valences.

As used herein, the term "heterocyclyl" generally refers to a saturated or partially unsaturated monocyclic, bicyclic or polycyclic carbon atom ring structure radical in which one or more carbon atom ring members have been replaced, where allowed by structural stability, with a heteroatom, such as an O, S or N atom, including, without limitation, oxiranyll, oxetanyll, azetidinyl, dihydrofuranyll, tetrahydrofuranyll, dihydrothienyl, tetrahydrothienyll, pyrrolyll, pyrrolidinyl, dihydropyrazolyl, pyrazolinyll, pyrazolidinyl, 4,5-dihydro-1H-imidazolyl, imidazolinyll, imidazolidinyl, isoxazolinyll, isoxazolidinyl, isothiazolinyll, isothiazolidinyl, oxazolinyll, oxazolidinyl, thiazolinyll, thiazolidinyl, triazolinyll, oxadiazolinyll, oxadiazolidinyl, thiadiazolinyll, thiadiazolidinyl, tetrazolinyll, tetrazolindinyl, dihydro-2H-pyranyll, dihydro-pyridinyl, tetrahydro-pyridinyl, 1,2,3,6-tetrahydro-pyridinyl, hexahydro-pyridinyl, dihydro-pyrimidinyl, tetrahydro-pyrimidinyl, 1,4,5,6-tetrahydro-pyrimidinyl, dihydro-pyrazinyl, tetrahydro-pyrazinyl, dihydro-pyridazinyl, tetrahydro-pyridazinyl, piperazinyl, piperidinyl, morpholinyll, thiomorpholinyll, dihydro-triazinyl, tetrahydro-triazinyl, hexahydro-triazinyl, 1,4-diazepanyll, dihydro-indolyl, indolinyll, tetrahydro-indolyl, dihydro-indazolyl, tetrahydro-indazolyl, dihydro-isindolyl, dihydro-benzofuranyll, tetrahydro-benzofuranyl, dihydro-benzothienyll,
dihydro-quinolinyl, tetrahydro-quinolinyl, 1,2,3,4-tetrahydroquinolinyl,
dihydro-isoquinolinyl, 3,4-dihydroisoquinolin-(1H)-yl, tetrahydro-isoquinolinyl, 1,2,3,4-
tetrahydroisoquinolinyl, dihydro-quinazolinyl, tetrahydro-quinazolinyl, dihydro-quinoxalinyl,
tetrahydro-quinoxalinyl, 1,2,3,4-tetrahydroquinoxalinyl, 1,3-dioxolanyl, 2,5-dihydro-1H-
pyrrolyl, 4,5-dihydro-1H-imidazolyl, tetrahydro-2H-pyranyl, hexahydropyrrolo[3,4-
b][1,4]oxazin-(2H)-yl, (4aR,7aS)-hexahydropyrrolo[3,4-b][1,4]oxazin-(4aH)-yl, 3,4-dihydro-
2H-pyrido[3,2-b][1,4]oxazinyl, (cis)-octahydrocyclopenta[c]pyrrolyl, hexahydropyrrolo[3,4-
b]pyrrol-(1H)-yl, (3aR,6aR)-hexahydropyrrolo[3,4-b]pyrrol-(1H)-yl, 5H-pyrrolo[3,4-b]pyridin-(7H)-yl, 5,7-dihydro-6H-
pyrrolo[3,4-b]pyridinyl, tetrahydro-1H-pyrrolo[3,4-b]pyridin-(2H,7H,7aH)-yl, hexahydropyrrolo-
6H-pyrrolo[3,4-b]pyridin-(2H)-yl, (4aR,7aR)-hexahydropyrrolo[3,4-b]pyridin-(2H)-yl, octahydro-
6H-pyrrolo[3,4-b]pyridinyl, 2,3,4,9-tetrahydro-1H-carbazolyl, 1,2,3,4-
tetrahydropyrazino[1,2-a]indolyl, 2,3-dihydro-1H-pyrrolo[1,2-a]indolyl, (3aR,6aR)-hexahydropyrrolo-
3,4-c]pyrrol-(1H)-yl, (3aR,7aS)-octahydro-2H-pyrrolo[3,4-b]pyridinyl, 2,3,4,9-tetrahydro-1H-carbazolyl, 1,2,3,4-
tetrahydropyrazino[1,2-a]indolyl, 2,3-dihydro-1H-pyrrolo[1,2-a]indolyl, (3aR,6aR)-hexahydropyrrolo-
c]pyrrol-(1H)-yl, (3aR,4R,6aS)-hexahydrocyclopenta[c]pyrrol-(1H)-yl, (3aR,4S,6aS)-hexahydro-
c]pyrrol-(1H)-yl, (3aR,5r,6aS)-hexahydrocyclopenta[c]pyrrol-(1H)-yl, (3aS)-1,3,3a,4,5,6-hexa-
ydro-2H-isoxindolyl, octahydro-2H-isoxindolyl, (3aS)-1,3,3a,4,5,6-hexahydro-2H-isoxindolyl,
(3aR,4R,7aS)-1H-isoxindol-(3H,3aH,4H,5H,6H,7H,7aH)-yl, (3aR,7aS)-octahydro-2H-isoxindolyl,
(3aR,4R,7aS)-octahydro-2H-isoxindolyl, (3aR,4S,7aS)-octahydro-2H-isoxindolyl, 2,5-
diazabicyclo[2.2.1]heptanyl, 2-azabicyclo[2.2.1]hept-5-enyl, 3-azabicyclo[3.1.0]hexanyl, 3,6-
diazabicyclo[3.1.0]hexanyl, (IR,5S)-3-azabicyclo[3.1.0]hexanyl, (IS,5R)-3-
diazabicyclo[3.2.0]heptanyl, 5-azaspiro[2.4]heptanyl, 2,6-diazaspiro[3.3]heptanyl, 2,5-
diazaspiro[3.4]octanyl, 2,6-diazaspiro[3.4]octanyl, 2,7-diazaspiro[3.5]nonanyl, 2,7-
heterocyclyl radical may be optionally substituted on a carbon or nitrogen atom ring member
where allowed by available valences.

As used herein, the term "C2-8-alkenyl-amino" refers to a radical of the formula:
-NH-C2-8-alkenyl.

As used herein, the term "(C2-8-alkenyl)2-amino" refers to a radical of the formula:
-N(C2-8-alkenyl)2.

As used herein, the term “C2-8-alkenyl-amino-C1-8-alkyl” refers to a radical of the formula: -Ci-salkyl-NH-C^alkenyl.
As used herein, the term "(C\textsubscript{2}galkenyl)\textsubscript{2}-amino-C\textsubscript{1}galkyl\textsubscript{2}" refers to a radical of the formula: -C\textsubscript{1}galkyl-N(C\textsubscript{2}galkenyl)\textsubscript{2}.

As used herein, the term "Ci-galkoxy-Ci-galkyl-amino\textsuperscript{2}" refers to a radical of the formula: -NH-C\textsubscript{1}galkyl-N(C\textsubscript{1}galkyl)\textsubscript{2}.

As used herein, the term "Ci-galkoxy-Ci-galkyl-amino\textsuperscript{2}" refers to a radical of the formula: -O-C\textsubscript{1}galkyl-NH-C\textsubscript{1}galkyl-O-C\textsubscript{1}galkyl.

As used herein, the term "(C\textsubscript{1}galkoxy-C\textsubscript{1}galkyl)\textsubscript{2}-amino\textsuperscript{2}" refers to a radical of the formula: -N(C\textsubscript{1}galkyl-0-C\textsubscript{1}galkyl)\textsubscript{2}.

As used herein, the term "Ci-galkoxy-Ci-galkyl-amino-Ci-galkyl\textsuperscript{2}" refers to a radical of the formula: -Ci\textsubscript{8}galkyl-NH-C\textsubscript{1}galkyl-O-C\textsubscript{1}galkyl.

As used herein, the term "(Ci-galkoxy-C\textsubscript{1}galkyl)\textsubscript{2}-amino\textsuperscript{2}" refers to a radical of the formula: -C\textsubscript{1}galkyl-N(C\textsubscript{1}galkyl-0-C\textsubscript{1}galkyl)\textsubscript{2}.

As used herein, the term "(Ci-galkoxy-Ci-galky\textsuperscript{2}Ci-galky\textsuperscript{2}-amino\textsuperscript{2})" refers to a radical of the formula: -N[(C\textsubscript{1}galkyl)(C\textsubscript{1}galkyl-O-Ci\textsubscript{1}galkyl)]

As used herein, the term "(Ci-galkoxy-Ci-galky\textsuperscript{2}Ci-galky\textsuperscript{2}-amino\textsuperscript{2}Ci-galkyl\textsuperscript{2})" refers to a radical of the formula: -Ci\textsubscript{galkyl-NfCCi-galkyDCCI-galkyl-O-Ci-galkyl].

As used herein, the term "Ci-galkoxy-carbonyl\textsuperscript{2}" refers to a radical of the formula: -C(0)-0-C\textsubscript{1}galkyl.

As used herein, the term "Ci-galkyl-amino\textsuperscript{2}" refers to a radical of the formula: -NH-Ci-galkyl.

As used herein, the term "(C\textsubscript{1}galkyl)\textsubscript{2}-amino\textsuperscript{2}" refers to a radical of the formula: -N(C\textsubscript{1}galkyl)\textsubscript{2}.

As used herein, the term "Ci-galkyl-amino-Ci-galkyl\textsuperscript{2}" refers to a radical of the formula: -Ci-galkyl-NH-Ci-galkyl.

As used herein, the term "Ci-koalkyl-amino-Ci-galkyl\textsuperscript{2}" refers to a radical of the formula: -Ci\textsubscript{galkyl-NH-C\textsubscript{1}koalkyl.

As used herein, the term "(Ci-koalkyl)\textsubscript{2}-amino\textsuperscript{2}" refers to a radical of the formula: -C\textsubscript{1}galkyl-N(C\textsubscript{1}koalkyl)\textsubscript{2}.

As used herein, the term "Ci-galkyl-amino-Ci-galkyl-amino\textsuperscript{2}" refers to a radical of the formula: -NH-Ci\textsubscript{galkyl-NH-Ci-galkyl.

As used herein, the term "(Ci-galkyl)\textsubscript{2}-amino\textsuperscript{2}" refers to a radical of the formula: -NH-C\textsubscript{1}galkyl-N(C\textsubscript{1}galkyl)\textsubscript{2}.
As used herein, the term "Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl" refers to a radical of the formula: -C\(_{1-8}\)alkyl-NH-C\(_{1-8}\)alkyl-NH-C\(_{1-8}\)alkyl.

As used herein, the term "(Ci-salkyl)\(_2\)-amino-Ci-salkyl-amino-Ci-salkyl" refers to a radical of the formula: -C\(_{1-8}\)alkyl-NH-C\(_{1-8}\)alkyl-N(C\(_{1-8}\)alkyl)\(_2\).

As used herein, the term "(Ci-salkyl-amino-Ci-salkyl-amino-Ci-salkyl)\(_2\)" refers to a radical of the formula: \(-N[(C_{1-8}alkyl)XC_{1-8}alkyl-NH-C_{1-8}alkyl].\)

As used herein, the term "[(Ci-galkyl)\(_2\)-amino-Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl]" refers to a radical of the formula: \(-N\{(C_{1-8}alkyl)[(Ci_{1-8}alkyl-N(C_{1-8}alkyl))].\}

As used herein, the term "((Ci-galkyl)\(_2\)-amino-Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl)\(_2\)" refers to a radical of the formula: \(-C_{1-8}alkyl-N(C_{1-4}alkyl-NH-C_{1-4}alkyl)\(_2\).\)

As used herein, the term "Ci-salkyl-amino-carbonyl" refers to a radical of the formula: -C(0)-NH-C\(_{1-8}\)alkyl.

As used herein, the term "((Ci-salkyl)\(_2\)-amino-carbonyl)\(_2\)" refers to a radical of the formula: \(-C(0)-N(C_{1-4}alkyl)\(_2\).\)

As used herein, the term "Ci-salkyl-carbonyl-amino-carbonyl" refers to a radical of the formula: \(-C(0)-C_{1-8}alkyl-NH-C_{1-4}alkyl.\)

As used herein, the term "(Ci-salkyl-carbonyl-amino-Ci-salkyl-carbonyl)\(_2\)" refers to a radical of the formula: \(-C(0)-C_{1-8}alkyl-N(C_{1-8}alkyl)\(_2\).\)

As used herein, the term "Ci-salkyl-thio" refers to a radical of the formula: \(-S-C_{1-8}alkyl.\)

As used herein, the term "Ci-salkyl-C\(_{1-8}\)alkynyl" refers to a radical of the formula: \(-Ci-salkynyl-C_{1-8}alkynyl.\)
As used herein, the term "C₂-galkynyl-amino" refers to a radical of the formula:
-NH-C₂-galkynyl.

As used herein, the term "(C₂-galkynyl)₂-amino" refers to a radical of the formula:
-N(C₂-galkynyl)₂.

As used herein, the term "C₂-galkynyl-amino-C₈-alkyl" refers to a radical of the formula:
-C₁₈-alkyl-NH-C₂-galkynyl.

As used herein, the term "amino" refers to a radical of the formula: -NH₂.

As used herein, the term "amino-C₁-galkyl" refers to a radical of the formula:
-C₁-galkyl-NH₂.

As used herein, the term "amino-C₁-galkyl-amino" refers to a radical of the formula:
-NH-C₁-galkyl-NH₂.

As used herein, the term "(amino-C₁-galkyl)₂-amino" refers to a radical of the formula:
-N(C₁-galkyl)₂.

As used herein, the term "(amino-C₁-galkyl)₂-amino-C₁-galkyl" refers to a radical of the formula:
-C₁-galkyl-N(C₁-galkyl-NH₂)₂.

As used herein, the term "amin-carbonyl" refers to a radical of the formula:
-C(0)-NH₂.

As used herein, the term "aryl-C₁-galkoxy" refers to a radical of the formula:
-O-C₁-galkyl-aryl.

As used herein, the term "aryl-C₁-galkoxy-carbonyl-amino" refers to a radical of the formula:
-NH-(O-C₁-galkyl-aryl).

As used herein, the term "aryl-C₁-galkyl" refers to a radical of the formula:
-C₁-galkyl-aryl.

As used herein, the term "aryl-C₁-galkyl-amino" refers to a radical of the formula:
-NH-C₁-galkyl-aryl.
As used herein, the term "(aryl-C \_8 alkyl)\_2-amino" refers to a radical of the formula: 
-N[\(C_\_8\text{alkyl-aryl}\)].

As used herein, the term "aryl-\^galkyl-amino-C\_galkyl" refers to a radical of the formula: 
-\^galkyl-NH-C\_galkyl-aryl.

As used herein, the term "(aryl-C \_8 alkyl)\_2-amino-C \_8 alkyl" refers to a radical of the formula: 
-C\_galkyl-N[\(C_\_galkyl-aryl\)]\_2.

As used herein, the term "(aryl,\_8galkyl)amino” refers to a radical of the formula: 
-N[(\_8galkyl)(aryl)].

As used herein, the term "(ary\_galkyl\^amino-C\_galkyl" refers to a radical of the formula: 
-C\_galkyl-N[\(\text{Ci}_\_galkyl\)](\_galkyl-aryl)]

As used herein, the term "(aryl-C\_1\_galkyl)\_2-amino-C\_1\_galkyl" refers to a radical of the formula: 
-N[(\_1\_galkyl)\_2](\_1\_galkyl-aryl)]

As used herein, the term "aryl-amino" refers to a radical of the formula: 
-NH-aryl.

As used herein, the term "(aryl)\_2-amino" refers to a radical of the formula: 
-N[\(\text{aryl}\)]\_2.

As used herein, the term "aryl-amino-C\_galkyl" refers to a radical of the formula: 
-C\_galkyl-NH-aryl.

As used herein, the term "(aryl)\_2-amino-C\_1\_galkyl" refers to a radical of the formula: 
-C\_galkyl-N[\(\text{aryl}\)]\_2.

As used herein, the term "aryl-amino-carbonyl" refers to a radical of the formula: 
-C(0)-NH-aryl.

As used herein, the term "azido" refers to a radical of the formula: 
-N=N=N^+.

As used herein, the term "carboxyl" refers to a radical of the formula: 
-COOH, -C(0)OH or -C\_2H.

As used herein, the term "(carboxyl-C\_galkyl\^galkyl\^amino-carbonyl-amino" refers to a radical of the formula: 
-NH-C(0)-N[\(\text{Ci}_\_galkyl\)]\_2(C\_1\_galkyl-C\_2H)].

As used herein, the term "Cs-wcycloalkyl-C\_galkoxy” refers to a radical of the formula: 
-O-C\_galkyl-C\_3\_14cycloalkyl.

As used herein, the term "C\_3\_14cycloalkyl-C\_galkyl” refers to a radical of the formula: 
-C\_galkyl-C\_3\_14cycloalkyl.

As used herein, the term "Cs-cycloalkyl-amino” refers to a radical of the formula: 
-NH-C\_3\_14cycloalkyl.
As used herein, the term "Cs\textsubscript{1,4}cycloalkyl-amino-C\textsubscript{i}galkyl" refers to a radical of the formula: -C\textsubscript{i}galkyl-NH-Cs\textsubscript{1,4}cycloalkyl.

As used herein, the term "(Cs\textsubscript{1,4}cycloalkylVamino-C\textsubscript{i}galkyl" refers to a radical of the formula: -galkyl-N\{C\textsubscript{3-4}cycloalkyl\}_2].

As used herein, the term "Cs\textsubscript{1,4}cycloalkyl-C\textsubscript{i}galkyl-amino-C\textsubscript{i}galkyl" refers to a radical of the formula: -C\textsubscript{i}galkyl-NH-C\textsubscript{i}galkyl-Cs\textsubscript{1,4}cycloalkyl.

As used herein, the term "Cs\textsubscript{1,4}cycloalkyl-C\textsubscript{i}galkyl-amino-C\textsubscript{i}galkyl" refers to a radical of the formula: -C\textsubscript{i}galkyl-N\{C\textsubscript{3-4}cycloalkyl\}^2].

As used herein, the term "Cs\textsubscript{1,4}cycloalkyl-oxy" refers to a radical of the formula: -O-C\textsubscript{i}galkyl.

As used herein, the term "formyl" refers to a radical of the formula: -C(\textsubscript{}(0)-H.

As used herein, the term "formyl-C\textsubscript{i}galkyl" refers to a radical of the formula: -C\textsubscript{i}galkyl-C(\textsubscript{}(0)-H.

As used herein, the term "halo" or "halogen" generally refers to a halogen atom radical, including fluoro, chloro, bromo and iodo.

As used herein, the term "halo-C\textsubscript{i}galkyl-halo" refers to a radical of the formula: -O-C\textsubscript{i}galkyl-halo, wherein C\textsubscript{i}galkyl may be partially or completely substituted where allowed by available valences with one or more halogen atoms. In some embodiments, halo-C\textsubscript{i}galkoxy includes halo-C\textsubscript{i}alkoxy, halo-C\textsubscript{i}alkoxy and the like.

As used herein, the term "halo-C\textsubscript{i}galkyl" refers to a radical of the formula: -Ci\textsubscript{6}galkyl-halo, wherein C\textsubscript{i}galkyl may be partially or completely substituted where allowed by available valences with one or more halogen atoms. In some embodiments, halo-C\textsubscript{i}galkyl includes halo-C\textsubscript{i}alkyl, halo-C\textsubscript{i}alkyl and the like.

As used herein, the term "halo-C\textsubscript{i}galkyl-amino" refers to a radical of the formula: -NH-C\textsubscript{i}galkyl-halo.

As used herein, the term "(halo-C\textsubscript{i}galkylV-amino" refers to a radical of the formula: -N(C\textsubscript{i}galkyl-halo\}_2].

As used herein, the term "halo-C\textsubscript{i}galkyl-amino-C\textsubscript{i}galkyl" refers to a radical of the formula: -NH-C\textsubscript{i}galkyl-halo.
As used herein, the term "(halo-C<sub>1-8</sub>alkyl)2-amino-C<sub>1-8</sub>alkyl" refers to a radical of the formula: -C<sub>1-8</sub>alkyl-N(C<sub>1-8</sub>alkyl-halo)<sub>2</sub>.

As used herein, the term "heteroaryl-C<sub>1-8</sub>alkyl" refers to a radical of the formula: -Ci-galkyl-heteroaryl.

As used herein, the term "heteroaryl-amino" refers to a radical of the formula: -NH-heteroaryl.

As used herein, the term "(heteroaryl-C<sub>1-8</sub>alkyl)2-amino" refers to a radical of the formula: -N[(heteroaryl)<sub>2</sub>].

As used herein, the term "heteroaryl-C<sub>1-8</sub>alkyl-amino-C<sub>1-8</sub>alkyl" refers to a radical of the formula: -NH-C<sub>1-8</sub>alkyl-heteroaryl.

As used herein, the term "(heteroaryl-C<sub>1-8</sub>alkyl)2-amino-C<sub>1-8</sub>alkyl" refers to a radical of the formula: -N[(C<sub>1-8</sub>alkyl-heteroaryl)<sub>2</sub>].

As used herein, the term "heterocyclyl-C<sub>1-8</sub>alkoxy" refers to a radical of the formula: -O-C<sub>1-8</sub>alkyl-heterocyclyl.

As used herein, the term "heterocyclyl-C<sub>1-8</sub>alkyl" refers to a radical of the formula: -Ci-galkyl-heterocyclyl.

As used herein, the term "heterocyclyl-amino" refers to a radical of the formula: -NH-heterocyclyl.

As used herein, the term "(heterocyclyl)<sub>2</sub>-amino" refers to a radical of the formula: -N[(heterocyclyl)<sub>2</sub>].

As used herein, the term "heterocyclyl-amino-C<sub>1-8</sub>alkyl" refers to a radical of the formula: -Ci-galkyl-NH-heterocyclyl.
As used herein, the term "(heterocyclyl) 2-amino-C 1-8 alkyl" refers to a radical of the formula: \(-C_1\text{-galkyl-N[(heterocyclyl) 2].}\)

As used herein, the term "heterocyclyl-Ci-salkyl-amino-C^alkyl" refers to a radical of the formula: \(-\text{Ci-salkyl-NH-C^alkyl-heterocyclyl}.\)

As used herein, the term "(heterocyclyl-Ci-salkyl-amino-Ci-salkyl" refers to a radical of the formula: \(-\text{Ci-salkyl-NH-C^alkyl-heterocyclyl}.\)

As used herein, the term "(heterocyclyl-C^alkyl)amino" refers to a radical of the formula: \(-\text{NIXCi-salkylXheterocyclyl}.\)

As used herein, the term "(heterocyclyl,C^alkyl)amino" refers to a radical of the formula: \(-\text{NIXCi-salkylXheterocyclyl}.\)

As used herein, the term "(heterocyclyl-C^alkyl)amino-C^alkyl" refers to a radical of the formula: \(-\text{NIXCi-salkylXheterocyclyl}.\)

As used herein, the term "(heterocyclyl-C^alkyl)amino-C^alkyl" refers to a radical of the formula: \(-\text{NIXCi-salkylXheterocyclyl}.\)

As used herein, the term "hydroxyl-Ci-salkoxy" refers to a radical of the formula: \(-\text{O-Ci-salkyl-OH},\) wherein Ci-salkyl may be partially or completely substituted where allowed by available valences with one or more hydroxyl radicals.

As used herein, the term "(heterocyclyl-oxy) 2-amino" refers to a radical of the formula: \(-N[(\text{0-heterocyclyl}) 2].\)

As used herein, the term "(heterocyclyl-oxy^i-salky^amino" refers to a radical of the formula: \(-\text{N KC^alkylXCi-salkyl-O-heterocyclyl}.\)

As used herein, the term "(heterocyclyl-oxy-Ci-salky^alky^amino" refers to a radical of the formula: \(-\text{NKC^alkylXCi-salkyl-O-heterocyclyl}.\)

As used herein, the term "(heterocyclyl-oxy) 2-amino-C 1-8 alkyl" refers to a radical of the formula: \(-C_1\text{-galkyl-N[(heterocyclyl) 2].}\)

As used herein, the term "heterocyclyl-carbonyl" refers to a radical of the formula: \(-\text{C(0)-heterocyclyl}.\)

As used herein, the term "heterocyclyl-carbonyl-oxy" refers to a radical of the formula: \(-\text{0-C(0)-heterocyclyl}.\)

As used herein, the term "heterocyclyl-oxy" refers to a radical of the formula: \(-\text{O-heterocyclyl}.\)

As used herein, the term "heterocyclyl-oxy-amino" refers to a radical of the formula: \(-\text{NH-O-heterocyclyl}.\)

As used herein, the term "(heterocyclyl-oxy) 2-amino" refers to a radical of the formula: \(-N[(\text{0-heterocyclyl}) 2].\)

As used herein, the term "(heterocyclyl-oxy-Ci-salky^alky^amino" refers to a radical of the formula: \(-\text{NKC^alkylXCi-salkyl-O-heterocyclyl}.\)

As used herein, the term "hydroxyl-Ci-salkoxy" refers to a radical of the formula: \(-\text{O-Ci-salkyl-OH},\) wherein Ci-salkyl may be partially or completely substituted where allowed by available valences with one or more hydroxyl radicals.
As used herein, the term "hydroxyl-C_{i-galkyl}" refers to a radical of the formula: 
-C_{i-galkyl}-OH, wherein C_{i-galkyl} may be partially or completely substituted where allowed by available valences with one or more hydroxyl radicals.

As used herein, the term "hydroxyl-C_{i-galkyl}-amino" refers to a radical of the formula: -NH-C_{i-galkyl}-OH, wherein C_{i-galkyl} may be partially or completely substituted where allowed by available valences with one or more hydroxyl radicals.

As used herein, the term "(hydroxyl-C_{i-galkyl}Vamino" refers to a radical of the formula: -N(C_{i-galkyl}-OH)2, wherein C_{i-galkyl} may be partially or completely substituted where allowed by available valences with one or more hydroxyl radicals.

As used herein, the term ¾hydroxyl-C_{i-galkyl}-amino-C_{i-galkyl} refers to a radical of the formula: -Ci-galkyl-NH-Ci-galkyl-OH, wherein Ci-galkyl may be partially or completely substituted where allowed by available valences with one or more hydroxyl radicals.

As used herein, the term "hydroxyl-C_{i-galkyl}-amino-C_{i-galkyl}-amino" refers to a radical of the formula: -N^Ci-galkylXC_{i-galkyl}-OH), wherein C_{i-galkyl} may be partially or completely substituted where allowed by available valences with one or more hydroxyl radicals.

As used herein, the term "(hydroxyl-C_{i-galkyl},Ci_galkyl)amino" refers to a radical of the formula: -N[(C_{i-galkyl}){C_{i-galkyl}-NKCi-galkylXC_{i-galkyl}-OH}], wherein C_{i-galkyl} may be partially or completely substituted where allowed by available valences with one or more hydroxyl radicals.

As used herein, the term "[(hydroxyl-C_{i-galkyl},Ci_galkyl)amino-C_{i-galkyl}-amino-C_{i-galkyl}-amino]" refers to a radical of the formula: -N[(C_{i-galkyl}){C_{i-galkyl}-NKCi-galkylXC_{i-galkyl}-OH}), wherein C_{i-galkyl} may be partially or completely substituted where allowed by available valences with one or more hydroxyl radicals.

As used herein, the term "substituent" means positional variables on the atoms of a core molecule that are substituted at a designated atom position, replacing one or more
hydrogens on the designated atom, provided that the designated atom's normal valency is not exceeded, and that the substitution results in a stable compound. Combinations of substituents and/or variables are permissible only if such combinations result in stable compounds. A person of ordinary skill in the art should note that any carbon as well as heteroatom with valences that appear to be unsatisfied as described or shown herein is assumed to have a sufficient number of hydrogen atom(s) to satisfy the valences described or shown. In certain instances one or more substituents having a double bond (e.g., "oxo" or "=0") as the point of attachment may be described, shown or listed herein as part of a substituent group having a single bond as the point of attachment to the core structure of Formula (I) with the understanding that it would be clear to a person of ordinary skill in the art that a double bond was included within the scope of the substituent group showing only a single bond as the point of attachment to the core structure of Formula (I).

As used herein, the term "and the like," with reference to the definitions of chemical terms provided herein, means that variations in chemical structures that could be expected by one skilled in the art include, without limitation, isomers (including chain, branching or positional structural isomers), hydration of ring systems (including saturation or partial unsaturation of monocyclic, bicyclic or polycyclic ring structures) and all other variations where allowed by available valences which result in a stable compound.

For the purposes of this description, where one or more substituent variables for a compound of Formula (I) or a form thereof encompass functionalities incorporated into a compound of Formula (I), each functionality appearing at any location within the disclosed compound may be independently selected, and as appropriate, independently and/or optionally substituted.

As used herein, the terms "independently selected," or "each selected" refer to functional variables in a substituent list that may occur more than once on the structure of Formula (I), the pattern of substitution at each occurrence is independent of the pattern at any other occurrence. Further, the use of a generic substituent variable on any formula or structure for a compound described herein is understood to include the replacement of the generic substituent with species substituents that are included within the particular genus, e.g., aryl may be replaced with phenyl or naphthalenyl and the like, and that the resulting compound is to be included within the scope of the compounds described herein.

As used herein, the terms "each instance of" or "in each instance, when present," when used preceding a phrase such as "...Cs-ncycloalkyl, Cs-ncycloalkyl-Ci-galkyl, aryl,"
aryl-Ci-galkyl, heteroaryl, heteroaryl-Ci-galkyl, heterocyclyl and heterocyclyl-Ci-galkyl," are intended to refer to the Cs^-cycloalkyl, aryl, heteroaryl and heterocyclyl ring systems when each are present either alone or as a substituent.

As used herein, the term "optionally substituted" means optional substitution with the specified substituent variables, groups, radicals or moieties.

As used herein, the terms "stable compound" or "stable structure" mean a compound that is sufficiently robust to survive isolation to a useful degree of purity from a reaction mixture and formulations thereof into an efficacious therapeutic agent.

Compound names used herein were obtained using the ACD Labs Index Name software provided by ACD Labs; and/or, were obtained using the naming function of ChemDraw Ultra provided by CambridgeSoft. When the compound name disclosed herein conflicts with the structure depicted, the structure shown will supersede the use of the name to define the compound intended.

**Compound Forms**

As used herein, the term "form" means a compound of Formula (I) having a form selected from the group consisting of a free acid, free base, prodrug, salt, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

In certain embodiments described herein, the form of the compound of Formula (I) is a free acid, free base or salt thereof.

In certain embodiments described herein, the form of the compound of Formula (I) is a salt thereof.

In certain embodiments described herein, the form of the compound of Formula (I) is an isotopologue thereof.

In certain embodiments described herein, the form of the compound of Formula (I) is a stereoisomer, racemate, enantiomer or diastereomer thereof.

In certain embodiments described herein, the form of the compound of Formula (I) is a tautomer thereof.

In certain embodiments described herein, the form of the compound of Formula (I) is a pharmaceutically acceptable form.

In certain embodiments described herein, the compound of Formula (I) or a form thereof is isolated for use.
As used herein, the term "isolated" means the physical state of a compound of Formula (I) or a form thereof after being isolated and/or purified from a synthetic process (e.g., from a reaction mixture) or natural source or combination thereof according to an isolation or purification process or processes described herein or which are well known to the skilled artisan (e.g., chromatography, recrystallization and the like) in sufficient purity to be characterizable by standard analytical techniques described herein or well known to the skilled artisan.

As used herein, the term "protected" means that a functional group in a compound of Formula (I) or a form thereof is in a form modified to preclude undesired side reactions at the protected site when the compound is subjected to a reaction. Suitable protecting groups will be recognized by those with ordinary skill in the art as well as by reference to standard textbooks such as, for example, T.W. Greene et al, Protective Groups in organic Synthesis (1991), Wiley, New York.

Prodrugs and solvates of the compounds described herein are also contemplated.

As used herein, the term "prodrug" means a form of an instant compound (e.g., a drug precursor) that is transformed in vivo to yield an active compound of Formula (I) or a form thereof. The transformation may occur by various mechanisms (e.g., by metabolic and/or non-metabolic chemical processes), such as, for example, by hydrolysis and/or metabolism in blood, liver and/or other organs and tissues. A discussion of the use of prodrugs is provided by T. Higuchi and W. Stella, "Pro-drugs as Novel Delivery Systems," Vol. 14 of the A.C.S. Symposium Series, and in Bioreversible Carriers in Drug Design, ed. Edward B. Roche, American Pharmaceutical Association and Pergamon Press, 1987.

In one example, when a compound of Formula (I) or a form thereof contains a carboxylic acid functional group, a prodrug can comprise an ester formed by the replacement of the hydrogen atom of the acid group with a functional group such as alkyl and the like. In another example, when a compound of Formula (I) or a form thereof contains a hydroxyl functional group, a prodrug form can be prepared by replacing the hydrogen atom of the hydroxyl with another functional group such as alkyl, alkylcarbonyl or a phosphonate ester and the like. In another example, when a compound of Formula (I) or a form thereof contains an amine functional group, a prodrug form can be prepared by replacing one or more amine hydrogen atoms with a functional group such as alkyl or substituted carbonyl.

Pharmaceutically acceptable prodrugs of compounds of Formula (I) or a form thereof include those compounds substituted with one or more of the following groups: carboxylic acid
esters, sulfonate esters, amino acid esters, phosphonate esters and mono-, di- or triphosphate esters or alkyl substituents, where appropriate. As described herein, it is understood by a person of ordinary skill in the art that one or more of such substituents may be used to provide a compound of Formula (I) or a form thereof as a prodrug.

One or more compounds described herein may exist in unsolvated as well as solvated forms with pharmaceutically acceptable solvents such as water, ethanol, and the like, and the description herein is intended to embrace both solvated and unsolvated forms.

As used herein, the term "solvate" means a physical association of a compound described herein with one or more solvent molecules. This physical association involves varying degrees of ionic and covalent bonding, including hydrogen bonding. In certain instances the solvate will be capable of isolation, for example when one or more solvent molecules are incorporated in the crystal lattice of the crystalline solid. As used herein, "solvate" encompasses both solution-phase and isolatable solvates. Non-limiting examples of suitable solvates include ethanolates, methanolates, and the like.

One or more compounds described herein may optionally be converted to a solvate. Preparation of solvates is generally known. The preparation of solvates of the antifungal fluconazole in ethyl acetate as well as from water has been described (see, M. Caira et al, J. Pharmaceutical Sci., 93(3), 601-611 (2004)). Similar preparations of solvates, hemisolvate, hydrates and the like have also been described (see, E.C. van Tonder et al, AAPS PharmSciTech., 5(1), article 12 (2004); and A.L. Bingham et al, Chem. Commun., 603-604 (2001)). A typical, non-limiting process involves dissolving a compound in a desired amount of the desired solvent (organic or water or mixtures thereof) at a higher than ambient temperature, and cooling the solution at a rate sufficient to form crystals which are then isolated by standard methods. Analytical techniques such as, for example infrared spectroscopy, show the presence of the solvent (or water) in the crystals as a solvate (or hydrate).

As used herein, the term "hydrate" means a solvate wherein the solvent molecule is water.

The compounds of Formula (I) can form salts, which are intended to be included within the scope of this description. Reference to a compound of Formula (I) or a form thereof herein is understood to include reference to salt forms thereof, unless otherwise indicated. The term "salt(s)", as employed herein, denotes acidic salts formed with inorganic and/or organic acids, as well as basic salts formed with inorganic and/or organic bases. In
addition, when a compound of Formula (I) or a form thereof contains both a basic moiety, such as, without limitation an amine moiety, and an acidic moiety, such as, but not limited to a carboxylic acid, zwitterions ("inner salts") may be formed and are included within the term "salt(s)" as used herein.

The term "pharmaceutically acceptable salt(s)", as used herein, means those salts of compounds described herein that are safe and effective (i.e., non-toxic, physiologically acceptable) for use in mammals and that possess biological activity, although other salts are also useful. Salts of the compounds of the Formula (I) may be formed, for example, by reacting a compound of Formula (I) or a form thereof with an amount of acid or base, such as an equivalent amount, in a medium such as one in which the salt precipitates or in an aqueous medium followed by lyophilization.

Pharmaceutically acceptable salts include one or more salts of acidic or basic groups present in compounds described herein. Embodiments of acid addition salts include, and are not limited to, acetate, ascorbate, benzoate, benzenesulfonate, bisulfate, bitartrate, borate, bromide, butyrate, chloride, citrate, camphorate, camphorsulfonate, ethanesulfonate, formate, fumarate, gentisinate, gluconate, glucaronate, glutamate, iodide, ionicotinate, lactate, maleate, methanesulfonate, naphthalenesulfonate, nitrate, oxalate, pamoate, pantothenate, phosphate, propionate, saccharate, salicylate, succinate, sulfate, tartrate, thiocyanate, toluenesulfonate (also known as tosylate), trifluoroacetate salts and the like. Certain embodiments of acid addition salts include chloride, bromide, acetate or trifluoroacetate salts.


Suitable basic salts include, but are not limited to, aluminum, ammonium, calcium, lithium, magnesium, potassium, sodium and zinc salts. Certain compounds described herein can also form pharmaceutically acceptable salts with organic bases (for example, organic amines) such as, but not limited to, dicyclohexylamines, t-butyl amines and the like, and with various amino acids such as, but not limited to, arginine, lysine and the like. Basic nitrogen-
containing groups may be quarternized with agents such as lower alkyl halides (e.g., methyl, ethyl, and butyl chlorides, bromides and iodides), dialkyl sulfates (e.g., dimethyl, diethyl, and dibutyl sulfates), long chain halides (e.g., decyl, lauryl, and stearyl chlorides, bromides and iodides), aralkyl halides (e.g., benzyl and phenethyl bromides) and the like.

All such acid salts and base salts are intended to be included within the scope of pharmaceutically acceptable salts as described herein. In addition, all such acid and base salts are considered equivalent to the free forms of the corresponding compounds for purposes of this description.

Compounds of Formula (I) and forms thereof, may further exist in a tautomeric form (for example, the 4-hydroxy-2-pyridinone core of Formula (I) may exist in either the 2,4-dihydroxy-pyridine or the 2-hydroxy-4-pyridinone form). All such tautomeric forms are contemplated and intended to be included within the scope of the compounds of Formula (I) or a form thereof as described herein.

The compounds of Formula (I) or a form thereof may contain asymmetric or chiral centers, and, therefore, exist in different stereoisomeric forms. The present description is intended to include all stereoisomeric forms of the compounds of Formula (I) as well as mixtures thereof, including racemic mixtures.

The compounds described herein may include one or more chiral centers, and as such may exist as racemic mixtures (R/S) or as substantially pure enantiomers and diastereomers. The compounds may also exist as substantially pure (R) or (S) enantiomers (when one chiral center is present). In one embodiment, the compounds described herein are (S) isomers and may exist as enantiomerically pure compositions substantially comprising only the (S) isomer. In another embodiment, the compounds described herein are (R) isomers and may exist as enantiomerically pure compositions substantially comprising only the (R) isomer. As one of skill in the art will recognize, when more than one chiral center is present, the compounds described herein may also exist as a (R,R), (R,S), (S,R) or (S,S) isomer, as defined by IUPAC Nomenclature Recommendations.

As used herein, the term "substantially pure" refers to compounds consisting substantially of a single isomer in an amount greater than or equal to 90%, in an amount greater than or equal to 92%, in an amount greater than or equal to 95%, in an amount greater than or equal to 98%, in an amount greater than or equal to 99%, or in an amount equal to 100% of the single isomer.
In one aspect of the description, a compound of Formula (I) or a form thereof is a substantially pure \((S)\) enantiomer form present in an amount greater than or equal to 90%, in an amount greater than or equal to 92%, in an amount greater than or equal to 95%, in an amount greater than or equal to 98%, in an amount greater than or equal to 99%, or in an amount equal to 100%.

In one aspect of the description, a compound of Formula (I) or a form thereof is a substantially pure \((R)\) enantiomer form present in an amount greater than or equal to 90%, in an amount greater than or equal to 92%, in an amount greater than or equal to 95%, in an amount greater than or equal to 98%, in an amount greater than or equal to 99%, or in an amount equal to 100%.

As used herein, a "racemate" is any mixture of isometric forms that are not "enantiomeric ally pure", including mixtures such as, without limitation, in a ratio of about 50/50, about 60/40, about 70/30, or about 80/20.

In addition, the present description embraces all geometric and positional isomers. For example, if a compound of Formula (I) or a form thereof incorporates a double bond or a fused ring, both the cis- and trans-forms, as well as mixtures, are embraced within the scope of the description. Diastereomeric mixtures can be separated into their individual diastereomers on the basis of their physical chemical differences by methods well known to those skilled in the art, such as, for example, by chromatography and/or fractional crystallization. Enantiomers can be separated by use of chiral HPLC column or other chromatographic methods known to those skilled in the art. Enantiomers can also be separated by converting the enantiomeric mixture into a diastereomeric mixture by reaction with an appropriate optically active compound (e.g., chiral auxiliary such as a chiral alcohol or Mosher's acid chloride), separating the diastereomers and converting (e.g., hydrolyzing) the individual diastereomers to the corresponding pure enantiomers. Also, some of the compounds of Formula (I) may be atropisomers (e.g., substituted biaryls) and are considered as part of this description.

All stereoisomers (for example, geometric isomers, optical isomers and the like) of the present compounds (including those of the salts, solvates, esters and prodrugs of the compounds as well as the salts, solvates and esters of the prodrugs), such as those which may exist due to asymmetric carbons on various substituents, including enantiomeric forms (which may exist even in the absence of asymmetric carbons), rotameric forms, atropisomers, and diastereomeric forms, are contemplated within the scope of this description, as are...
positional isomers (such as, for example, 4-pyridyl and 3-pyridyl). Individual stereoisomers of the compounds described herein may, for example, be substantially free of other isomers, or may be present in a racemic mixture, as described supra.

The use of the terms "salt", "solvate", "ester", "prodrug" and the like, is intended to equally apply to the salt, solvate, ester and prodrug of enantiomers, stereoisomers, rotamers, tautomers, positional isomers, racemates or isotopologues of the instant compounds.

The term "isotopologue" refers to isotopically-enriched compounds described herein which are identical to those recited herein, but for the fact that one or more atoms are replaced by an atom having an atomic mass or mass number different from the atomic mass or mass number usually found in nature. Examples of isotopes that can be incorporated into compounds described herein include isotopes of hydrogen, carbon, nitrogen, oxygen, phosphorus, fluorine and chlorine, such as $^2$H, $^3$H, $^{12}$C, $^{14}$C, $^{15}$N, $^{16}$O, $^{17}$O, $^{31}$P, $^{32}$P, $^{35}$S, $^{18}$F, $^{35}$Cl and $^{36}$Cl, respectively, each of which are also within the scope of this description.

Certain isotopically-enriched compounds described herein (e.g., those labeled with $^3$H and $^{14}$C) are useful in compound and/or substrate tissue distribution assays. Tritiated (i.e., $^3$H) and carbon-14 (i.e., $^{14}$C) isotopes are particularly preferred for their ease of preparation and detectability. Further, substitution with heavier isotopes such as deuterium (i.e., $^2$H) may afford certain therapeutic advantages resulting from greater metabolic stability (e.g., increased in vivo half-life or reduced dosage requirements) and hence may be preferred in some circumstances.

Polymorphic crystalline and amorphous forms of the compounds of Formula (I) and of the salts, solvates, hydrates, esters and prodrugs of the compounds of Formula (I) are further intended to be included in the present description.

**Compound Uses**

The present description relates to a method of use for a compound of Formula (I) or a form thereof for treating or ameliorating wild-type or drug-resistant forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound or a form thereof to the subject.

The present description further relates to use of the compound of Formula (I) or a form thereof for treating or ameliorating wild-type or drug-resistant forms of *N. gonorrhoeae* in a subject in need thereof.

The present description further relates to use of the compound of Formula (I) or a form thereof having activity toward wild-type or drug-resistant *N. gonorrhoeae*. 
The present description also relates to use of a compound of Formula (I) or a form thereof having activity against aminoglycoside-resistant, beta-lactam-resistant, cephalosporin-resistant, macrolide-resistant, quinolone-resistant or tetracycline-resistant N. gonorrhoeae.

The present description also relates to use of a compound of Formula (I) or a form thereof having activity against aminoglycoside-resistant (including drug-resistant forms of N. gonorrhoeae that are spectinomycin-resistant, streptomycin-resistant, and the like), beta-lactam-resistant (including drug-resistant forms of N. gonorrhoeae that are ampicillin-resistant, penicillin-resistant, and the like), cephalosporin-resistant (including drug-resistant forms of N. gonorrhoeae that are ceftriaxone-resistant, cefixime-resistant, and the like), macrolide-resistant (including drug-resistant forms of N. gonorrhoeae that are azithromycin-resistant, and the like), quinolone-resistant (including drug-resistant forms of N. gonorrhoeae that are ciprofloxacin-resistant, and the like) or tetracycline-resistant N. gonorrhoeae (including drug-resistant forms of N. gonorrhoeae that are tetracycline-resistant).

The present description also relates to use of the compound of Formula (I) or a form thereof having activity against ampicillin-resistant, azithromycin-resistant, ceftriaxone-resistant, cefixime-resistant, ciprofloxacin-resistant, penicillin-resistant, spectinomycin-resistant, streptomycin-resistant and tetracycline-resistant forms of N. gonorrhoeae.

The present description also relates to use of the compound of Formula (I) or a form thereof having activity against aminoglycoside-resistant forms of N. gonorrhoeae. The present description also relates to use of the compound of Formula (I) or a form thereof having activity against beta-lactam-resistant forms of N. gonorrhoeae. The present description also relates to use of the compound of Formula (I) or a form thereof having activity against cephalosporin-resistant forms of N. gonorrhoeae. The present description also relates to use of the compound of Formula (I) or a form thereof having activity against macrolide-resistant forms of N. gonorrhoeae. The present description also relates to use of the compound of Formula (I) or a form thereof having activity against quinolone-resistant forms of N. gonorrhoeae. The present description also relates to use of the compound of Formula (I) or a form thereof having activity against tetracycline-resistant forms of N. gonorrhoeae.

The present description also relates to use of the compound of Formula (I) or a form thereof having activity against ampicillin-resistant forms of N. gonorrhoeae. The present description also relates to use of the compound of Formula (I) or a form thereof having activity against...
activity against azithromycin-resistant forms of *N. gonorrhoeae*. The present description also relates to use of the compound of Formula (I) or a form thereof having activity against ceftriaxone-resistant forms of *N. gonorrhoeae*. The present description also relates to use of the compound of Formula (I) or a form thereof having activity against cefixime-resistant forms of *N. gonorrhoeae*. The present description also relates to use of the compound of Formula (I) or a form thereof having activity against ciprofloxacin-resistant forms of *N. gonorrhoeae*. The present description also relates to use of the compound of Formula (I) or a form thereof having activity against penicillin-resistant forms of *N. gonorrhoeae*. The present description also relates to use of the compound of Formula (I) or a form thereof having activity against spectinomycin-resistant forms of *N. gonorrhoeae*. The present description also relates to use of the compound of Formula (I) or a form thereof having activity against streptomycin-resistant forms of *N. gonorrhoeae*. The present description also relates to use of the compound of Formula (I) or a form thereof having activity against tetracycline-resistant forms of *N. gonorrhoeae*.

The present description further relates to use of the compound of Formula (I) or a form thereof in a combination therapy with known antibacterial or antibiotic agents to provide additive or synergistic activity, thus enabling the development of a combination product for the treatment of a wild-type or drug-resistant form of *N. gonorrhoeae*.

The compounds of the present description have demonstrated an ability to inhibit the replication of a wide variety of *N. gonorrhoeae* isolates. The instant compounds possess *in vitro* activity against a wide spectrum of *N. gonorrhoeae* isolates which have developed resistance to almost all known treatments and are expected to successfully treat wild-type or drug-resistant forms of *N. gonorrhoeae* compared to current antibacterial agents. The compounds are also effective *in vivo* and lack cellular toxicity. In addition to monotherapeutic use, the instant compounds are useful in a combination therapy with current standard of care antibacterial or antibiotic agents, having additive or synergistic activity with one or more known antibacterial or antibiotic agents.

A combination therapy comprising compounds described herein in combination with one or more known antibacterial or antibiotic drugs may be used to treat wild-type or drug-resistant forms of *N. gonorrhoeae* regardless of whether *N. gonorrhoeae* is resistant or responsive to the known antibacterial or antibiotic drug.

Embodiments of the present description include the use of a compound of Formula (I) or a form thereof in a combination therapy for treating or ameliorating *N. gonorrhoeae* in a
subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof and an effective amount of one or more antibiotic or antibacterial agent(s).

Embodiments of the present description include the use of a compound of Formula (I) or a form thereof in a combination therapy for treating or ameliorating wild-type or drug-resistant forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof and an effective amount of one or more antibiotic or antibacterial agent(s).

An embodiment of the present description includes the use of a compound of Formula (I) or a form thereof in the preparation of a kit comprising the compound of Formula (I) or a form thereof and instructions for administering an effective amount of the compound of Formula (I) or a form thereof and an effective amount of one or more antibiotic or antibacterial agent(s) in a combination therapy for treating or ameliorating *N. gonorrhoeae* in a subject in need thereof.

In one embodiment, the agents used in the combination therapy may include, without limitation, one or more agents selected from Amikacin, Amoxicillin, Ampicillin, Arsenophenamine, Azithromycin, Azlocillin, Aztreonam, Bacitracin, Capreomycin, Carbenicillin, Cefaclor, Cefadroxil, Cefalexin, Cefalotin, Cefamandole, Cefazolin, Cefdinir, Cefditoren, Cefixime, Cefoperazone, Cefotaxime, Cefoxitin, Cefpodoxime, Cefprozil, Ceftazidime, Ceftibuten, Ceftizoxime, Ceftriaxone, Cefuroxime, Chloramphenicol, Cilastatin, Ciprofloxacin, Clarithromycin, Clavulanate, Clindamycin, Clofazimine, Cloxacitin, Colistin, Cycloserine, Dalfopristin, Dapsone, Daptomycin, Dicloxacillin, Dirithromycin, Doripenem, Doxycycline, Enoxacin, Erythromycin, Ethambutol, Ethionamide, Flucloxacinil, Fosfomycin, Furazolidone, Fusidic acid, Gatifloxacin, Gemifloxacin, Gentamicin, Imipenem, Isoniazid, Kanamycin, Levofoxacin, Lincomycin, Linezolid, Lomefloxacin, Loracarbef, Mafenide, Meropenem, Methicillin, Metronidazole, Mezlocillin, Minocycline, Moxifloxacin, Mupirocin, Nafcillin, Nalidixic acid, Neomycin, Netilmicin, Nitrofurantoin, Norfloxacin, Ofloxacin, Oxacillin, Oxytetacycline, Paromomycin, Penicillin G, Penicillin V, Piperacillin, Platensimycin, Polyoxin B, Pyrazinamide, Quinupristin, Rapamycin, Rifabutin, Rifampicin, Rifampin, Rifapentine, Rifaximin, Roxithromycin, Silver sulfadiazine, Solithromycin, Spectinomycin, Streptomycin, Sulbactam, Sulfaetamide, Sulfadiazine, Sulfamethizole, Sulfamethoxazole, Sulfanamide, Sulfasalazine, Sulfisoxazole, Tazobactam, Teicoplanin,
Telavancin, Telithromycin, Temocillin, Tetracycline, Thiamphenicol, Ticarcillin,
Telithromycin, Tinidazole, Tobramycin, Trimethoprim, Troleandomycin or Vancomycin.

In another embodiment, the agents used in the combination therapy may include,
without limitation, one or more agents selected from Amikacin, Amoxicillin, Arsphenamine,
Azlocillin, Aztreonam, Bacitracin, Capreomycin, Carbenicillin, Cefaclor, Cefadroxil,
Cefalexin, Cefalotin (Cefalothin), Cefamandole, Cefazolin, Cefdinir, Cefditoren,
Cefoperazone, Cefotaxime, Cefoxitin, Cefpodoxime, Cefprozil, Ceftazidime, Ceftibuten,
Ceftizoxime, Cefuroxime, Chloramphenicol, Clastatin, Clarithromycin, Clavulanate,
Clindamycin, Clofazimine, Cloxacillin, Colistin, Cycloserine, Dalfopristin, Dapsone,
Daptomycin, Dicloxacillin, Dirithromycin, Doripenem, Doxycycline, Enoxacin,
Erythromycin, Ethambutol, Ethionamide, Fluclaxacillin, Fosfomycin, Furazolidone, Fusidic
acid, Gatifloxacin, Gemifloxacin, Gentamicin, Imipenem, Isoniazid, Kanamycin,
Levofloxacin, Linezolid, Lomefoxacin, Loracarbef, Mafenide, Meropenem,
Methicillin, Metronidazole, Mezlocillin, Minocycline, Moxifloxacin, Mupirocin, Nafcillin,
Nalidixic acid, Neomycin, Netilmicin, Nitrofurantoin, Norfloxacin, Ofloxacin, Oxacillin,
Oxytetracycline, Paromomycin, Piperacillin, Platensimycin, Polymyxin B, Pyrazinamide,
Quinupristin, Rapamycin, Rifabutin, Rifampicin, Rifampin, Rifapentine, Rifaximin,
Roxithromycin, Silver sulfadiazine, Solithromycin, Sulbactam, Sulfacetamide, Sulfadiazine,
Sulfamethazole, Sulfadethoxazole, Sulfamethoxazole, Sulfasalazine, Sulfisoxazole, Tazobactam,
Telicoplanin, Telavancin, Telithromycin, Temocillin, Thiamphenicol, TicarciUin, Tigecycline,
Tinidazole, Tobramycin, Trimethoprim, Troleandomycin or Vancomycin.

In another embodiment, the agents used in the combination therapy may include,
without limitation, one or more agents selected from Amoxicillin, Ampicillin, Azithromycin,
Ciprofloxacin, Doxycycline, Enoxacin, Erythromycin, Gatifloxacin, Gemifloxacin,
Gentamicin, Levofloxacin, Lomefoxacin, Moxifloxacin, Nalidixic acid, Norfloxacin,
Ofloxacin, Rapamycin, Solithromycin, Spectinomycin, Streptomycin, Tetracycline or
Vancomycin.

In another embodiment, the agents used in the combination therapy may particularly
include one or more agents selected from Amoxicillin, Azithromycin, Ciprofloxacin,
Doxycycline, Enoxacin, Erythromycin, Gatifloxacin, Gemifloxacin, Gentamicin,
Levofloxacin, Lomefoxacin, Moxifloxacin, Nalidixic acid, Norfloxacin, Ofloxacin,
Rapamycin, Solithromycin or Vancomycin.
In another embodiment, the agents used in the combination therapy may include, without limitation, one or more agents selected from Ampicillin, Azithromycin, Cefixime, Ceftriaxone, Ciprofloxacin, Penicillin G, Penicillin V, Spectinomycin, Streptomycin or Tetracycline.

Accordingly, the present description relates to use of a compound of Formula (I) or a form thereof for treating or ameliorating wild-type forms of *N. gonorrhoeae*, for treating or ameliorating drug-resistant forms of *N. gonorrhoeae* or for treating or ameliorating multi-drug resistant forms of *N. gonorrhoeae*.

One embodiment of the use of the present description relates to use of a compound of Formula (I) or a form thereof for treating or ameliorating *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof to the subject.

One embodiment of the use of the present description relates to use of a compound of Formula (I) or a form thereof for treating or ameliorating *N. gonorrhoeae* resulting from wild-type forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof to the subject.

One embodiment of the use of the present description relates to use of a compound of Formula (I) or a form thereof for treating or ameliorating *N. gonorrhoeae* resulting from drug-resistant forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof to the subject.

One embodiment of the use of the present description relates to a method of use for a compound of Formula (I) or a form thereof for treating or ameliorating *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound to the subject.

One embodiment of the use of the present description relates to a method of use for a compound of Formula (I) or a form thereof for treating or ameliorating wild-type or drug-resistant forms *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound to the subject.

One embodiment of the use of the present description relates to a method of use for a compound of Formula (I) or a form thereof for treating or ameliorating wild-type or drug-resistant forms *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound to the subject.
One embodiment of the use of the present description relates to a method of use for a compound of Formula (I) or a form thereof for treating or ameliorating wild-type forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound to the subject.

One embodiment of the use of the present description relates to a method of use for a compound of Formula (I) or a form thereof for treating or ameliorating wild-type forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound to the subject.

One embodiment of the use of the present description relates to a method of use for a compound of Formula (I) or a form thereof for treating or ameliorating drug-resistant forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound to the subject.

One embodiment of the use of the present description relates to use of a compound of Formula (I) or a form thereof in the manufacture of a medicament for treating or ameliorating *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the medicament to the subject.

One embodiment of the use of the present description relates to use of a compound of Formula (I) or a form thereof in the manufacture of a medicament for treating or ameliorating wild-type or drug-resistant forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the medicament to the subject.

One embodiment of the use of the present description relates to use of a compound of Formula (I) or a form thereof in the manufacture of a medicament for treating or ameliorating wild-type forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the medicament to the subject.

One embodiment of the use of the present description relates to use of a compound of Formula (I) or a form thereof in the manufacture of a medicament for treating or ameliorating drug-resistant forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the medicament to the subject.

One embodiment of the use of the present description relates to use of a compound of Formula (I) or a form thereof in the preparation of a kit comprising the compound of Formula (I) or a form thereof and instructions for administering the compound for treating or ameliorating *N. gonorrhoeae* in a subject in need thereof.
One embodiment of the use of the present description relates to a method of use for a compound of Formula (I) or a form thereof for treating or ameliorating *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of a compound of Formula (I) or a form thereof to the subject.

One embodiment of the use of the present description relates to use of a compound of Formula (I) or a form thereof in the manufacture of a medicament for treating or ameliorating *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the medicament to the subject.

In one respect, for each of such embodiments, the subject is treatment naive. In another respect, for each of such embodiments, the subject is not treatment naive.

As used herein, the term "treating" refers to: (i) preventing a disease, disorder or condition from occurring in a subject that may be predisposed to the disease, disorder and/or condition but has not yet been diagnosed as having the disease, disorder and/or condition; (ii) inhibiting a disease, disorder or condition, *i.e.*, arresting the development thereof; and/or (iii) relieving a disease, disorder or condition, *i.e.*, causing regression of the disease, disorder and/or condition.

As used herein, the term "subject" refers to an animal or any living organism having sensation and the power of voluntary movement, and which requires oxygen and organic food. Nonlimiting examples include members of the human, primate, equine, porcine, bovine, murine, rattus, canine and feline specie. In some embodiments, the subject is a mammal or a warm-blooded vertebrate animal. In other embodiments, the subject is a human. As used herein, the term "patient" may be used interchangeably with "subject" and "human".

Another aspect of the description particularly relates to a method of use for a compound of Formula (I) or a form thereof for treating or ameliorating *N. gonorrhoeae* resulting from wild type forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering to the subject an effective amount of a compound of Formula (I) or a form thereof.

Another aspect of the description particularly relates to a method of use for a compound of Formula (I) or a form thereof for treating or ameliorating *N. gonorrhoeae* resulting from drug-resistant forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering to the subject an effective amount of a compound of Formula (I) or a form thereof.
One aspect of the description relates to a method of use for a compound of Formula (I) or a form thereof for treating or ameliorating *N. gonorrhoeae* in a subject in need thereof, comprising administering to the subject an effective amount of a compound of Formula (I) or a form thereof having activity against *N. gonorrhoeae* clinical isolates and their derivatives selected from ATCC penicillin-sensitive wild-type *N. gonorrhoeae* FA19 (ATCC BAA-1838), ATCC streptomycin-resistant (streptomycin\textsuperscript{R}) *N. gonorrhoeae* FA1090 (ATCC 700825; GenBank Acc. No. AE004969), ATCC *N. gonorrhoeae* MS11 (ATCC BAA-1833) and ATCC wild-type *N. gonorrhoeae* 49226 (ATCC 49226) (see, http://www.atcc.org).

Another aspect of the description relates to a method of use for a compound of Formula (I) or a form thereof for treating or ameliorating *N. gonorrhoeae* in a subject in need thereof, comprising administering to the subject an effective amount of a compound of Formula (I) or a form thereof having activity against *N. gonorrhoeae* isolates engineered from clinical isolate FA19 to contain mutations in gyrA and parC, including those selected from ciprofloxacin-resistant (ciprofloxacin\textsuperscript{R}) *N. gonorrhoeae* AK1 (gyrAgI/gI) and ciprofloxacin\textsuperscript{R} *N. gonorrhoeae* AK2 (gyrAgwS, parC\textsuperscript{K}) (see, Anjali N. Kunz, Afrin A. Begum, Hong Wu, Jonathan A. D'Ambrozzo, James M. Robinson, William M. Shafer, Margaret C. Bash and Ann E. Jerse. Impact of Fluoroquinolone Resistance Mutations on Gonococcal Fitness and In Vivo Selection for Compensatory Mutations. *J. Infect Dis.*, 2012, Jun 15; 205(12): 1821-9).

Another aspect of the description relates to a method of use for a compound of Formula (I) or a form thereof for treating or ameliorating *N. gonorrhoeae* in a subject in need thereof, comprising administering to the subject an effective amount of a compound of Formula (I) or a form thereof having activity against *N. gonorrhoeae* World Health Organization (WHO) isolates selected from: tetracycline\textsuperscript{IR} *N. gonorrhoeae* 13477 (WHO tetracycline intermediate resistant isolate F), ciprofloxacin\textsuperscript{IR}/tetracycline\textsuperscript{R} *N. gonorrhoeae* 13478 (WHO ciprofloxacin intermediate resistant and tetracycline resistant isolate G), quinoline\textsuperscript{HLR} *N. gonorrhoeae* 13479 (WHO quinolone high level resistant isolate K), MDR *N. gonorrhoeae* 13480 (WHO multi-drug resistant isolate L) and MDR\textsuperscript{IR} *N. gonorrhoeae* 13481 (WHO multi-drug intermediate resistant isolate M) (see, Unemo M, Fasth O, Fredlund H, Limnios A, Tapsall J. Phenotypic and genetic characterization of the 2008 WHO Neisseria gonorrhoeae reference strain panel intended for global quality assurance and quality control of gonococcal antimicrobial resistance surveillance for public health purposes. *J. Antimicrobial Chemother.*, 2009, Jun; 63(6): 1142-51).
Another aspect of the description relates to a method of use for a compound of Formula (I) or a form thereof for treating or ameliorating *N. gonorrhoeae* in a subject in need thereof, comprising administering to the subject an effective amount of a compound of Formula (I) or a form thereof having activity against the ciprofloxacin\textsuperscript{XDR}/cefixime\textsuperscript{XDR}/ceftriaxone\textsuperscript{XDR} extensively drug resistant *N. gonorrhoeae* F89 (see, Unemo M, Golparian D, Nicholas R, Ohnishi M, Gallay A, Sednaoui P. High-level cefixime- and ceftriaxone-resistant Neisseria gonorrhoeae in France: novel penA mosaic allele in a successful international clone causes treatment failure. Antimicrob Agents Chemother., 2012, Mar; 56(3): 1273-80).

Another aspect of the description relates to a method of use for a compound of Formula (I) or a form thereof for treating or ameliorating *N. gonorrhoeae* in a subject in need thereof, comprising administering to the subject an effective amount of a compound of Formula (I) or a form thereof having activity against a *N. gonorrhoeae* isolate engineered from WHO isolate F (*N. gonorrhoeae* 13477), where DNA from FA1090 was isolated and used to transform 13477 with the streptomycin\textsuperscript{R} determinant. The resulting isolate SP1364 is streptomycin\textsuperscript{R} at >1250 µg/mL.

Another aspect of the description relates to a method of use for a compound of Formula (I) or a form thereof for treating or ameliorating *N. gonorrhoeae* in a subject in need thereof, comprising administering to the subject an effective amount of a compound of *N. gonorrhoeae* clinical isolate LG24 (see, Garvin LE, Bash MC, Keys C, Warner DM, Ram S, Shafer WM and Jerse AE. Phenotypic and genotypic analyses of *Neisseria gonorrhoeae* isolates that express frequently recovered PorB PIA variable region types suggest that certain Pla porin sequences confer a selective advantage for urogenital tract infection. Infect Immun., 2008, Aug;76(8):3700-9).

Another aspect of the description relates to a method of use for a compound of Formula (I) or a form thereof for treating or ameliorating *N. gonorrhoeae* in a subject in need thereof, comprising administering to the subject an effective amount of a compound of *N. gonorrhoeae* clinical isolates selected from penicillin-resistant (penicillin\textsuperscript{R}) *N. gonorrhoeae* LGB3, tetracycline-resistant (tetracycline\textsuperscript{R}) *N. gonorrhoeae* LGB24 and ampicillin-resistant (ampicillin\textsuperscript{R}) *N. gonorrhoeae* LGB50 (see, McKnew DL, Lynn F, Zenilman JM, Bash MC. Porin variation among clinical isolates of *N. gonorrhoeae* over a 10-year period, as determined by Por variable region typing. J. Infect Dis., 2003, Apr 15:187(8):1213-22).
An embodiment of the use of a compound of Formula (I) or a form thereof includes a method of use for a compound of Formula (I) or a form thereof to treat or ameliorate wild-type *N. gonorrhoeae* 49226 in a subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof to the subject.

An embodiment of the use of a compound of Formula (I) or a form thereof includes a method of use for a compound of Formula (I) or a form thereof to treat or ameliorate clinical isolate *N. gonorrhoeae* LG24 in a subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof to the subject.

An embodiment of the use of a compound of Formula (I) or a form thereof includes a method of use for a compound of Formula (I) or a form thereof to treat or ameliorate *N. gonorrhoeae* MS11 in a subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof to the subject.

An embodiment of the use of a compound of Formula (I) or a form thereof includes a method of use for a compound of Formula (I) or a form thereof to treat or ameliorate ampicillin\(^R\) *N. gonorrhoeae* LGB50 in a subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof to the subject.

An embodiment of the use of a compound of Formula (I) or a form thereof includes a method of use for a compound of Formula (I) or a form thereof to treat or ameliorate penicillin-sensitive *N. gonorrhoeae* FA19 or LGB3 in a subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof to the subject.

An embodiment of the use of a compound of Formula (I) or a form thereof includes a method of use for a compound of Formula (I) or a form thereof to treat or ameliorate streptomycin\(^R\) *N. gonorrhoeae* FA1090 or SP1364 in a subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof to the subject.

An embodiment of the use of a compound of Formula (I) or a form thereof includes a method of use for a compound of Formula (I) or a form thereof to treat or ameliorate ciprofloxacin\(^R\) *N. gonorrhoeae* AK1 or AK2 in a subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof to the subject.

An embodiment of the use of a compound of Formula (I) or a form thereof includes a method of use for a compound of Formula (I) or a form thereof to treat or ameliorate...
N. gonorrhoeae caused by an isolate selected from 13477, 13478, 13479, 13480 or 13481 in a subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof to the subject.

An embodiment of the use of a compound of Formula (I) or a form thereof includes a method of use for a compound of Formula (I) or a form thereof to treat or ameliorate tetracyclineR N. gonorrhoeae LGB24 in a subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof to the subject.

An embodiment of the use of a compound of Formula (I) or a form thereof includes a method of use for a compound of Formula (I) or a form thereof to treat or ameliorate ciprofloxacinXDR/cefiximeXDR/ceftriaxoneXDR N. gonorrhoeae F89 in a subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof to the subject.

As used herein, the terms "effective amount" or "therapeutically effective amount" mean an amount of compound of Formula (I) or a form, composition or medicament thereof effective in inhibiting the above-noted diseases and thus producing the desired therapeutic, ameliorative, inhibitory or preventative effect in a subject in need thereof.

The dose administered to achieve an effective target plasma concentration may also be administered based upon the weight of the subject or patient. Doses administered on a weight basis may be in the range of about 0.001 mg/kg/day to about 3500 mg/kg/day, or about 0.01 mg/kg/day to about 2000 mg/kg/day, or about 0.01 mg/kg/day to about 1500 mg/kg/day, or about 0.01 mg/kg/day to about 1000 mg/kg/day, or about 0.01 mg/kg/day to about 600 mg/kg/day, or about 0.01 mg/kg/day to about 500 mg/kg/day, or about 0.01 mg/kg/day to about 300 mg/kg/day, or about 0.015 mg/kg/day to about 200 mg/kg/day, or about 0.02 mg/kg/day to about 100 mg/kg/day, or about 0.025 mg/kg/day to about 100 mg/kg/day, or about 0.03 mg/kg/day to about 100 mg/kg/day, wherein said amount is orally administered once (once in approximately a 24 hour period), twice (once in approximately a 12 hour period) or thrice (once in approximately an 8 hour period) daily according to subject weight.

In certain embodiments, the effective amount will be in a range of from about 0.001 mg/kg/day to about 500 mg/kg/day, or about 0.01 mg/kg/day to about 500 mg/kg/day, or about 0.1 mg to about 500 mg/kg/day, or about 1.0 mg/day to about 500 mg/kg/day, in single, divided, or a continuous dose for a patient or subject having a weight in a range of between about 40 to about 200 kg (which dose may be adjusted for patients or subjects above or below
this range, particularly children under 40 kg). The typical adult subject is expected to have a median weight in a range of about 70 kg.

In another embodiment, where daily doses are adjusted based upon the weight of the subject or patient, compounds described herein may be formulated for delivery at about 0.02, 0.025, 0.03, 0.05, 0.06, 0.075, 0.08, 0.09, 0.10, 0.20, 0.25, 0.30, 0.50, 0.60, 0.75, 0.80, 0.90, 1.0, 1.10, 1.20, 1.25, 1.50, 1.75, 2.0, 3.0, 5.0, 10, 20, 30, 40, 50, 100, 150, 200, 250, 300, 400 or 500 mg/kg/day. Daily doses adjusted based upon the weight of the subject or patient may be administered as a single, divided, or continuous dose. In embodiments where a dose of compound is given more than once per day, the dose may be administered twice, thrice, or more per day.

In certain embodiments, the "effective amount" of a compound of Formula (I) or a form thereof for use in the manufacture of a medicament, the preparation of a pharmaceutical kit or in a method of treating or ameliorating *N. gonorrhoeae* in a subject in need thereof, is intended to include an amount in a range of from about 0.001 mg to about 3500 mg administered daily; 1.0 mg to about 3500 mg administered daily; 1.0 mg to about 1500 mg administered daily; 1.0 mg to about 1000 mg administered daily; 10.0 mg to about 600 mg administered daily; 0.5 mg to about 2000 mg administered daily; or, an amount in a range of from about 5.0 mg to about 300 mg administered daily.

In one example, the effective amount may be the amount required to treat *N. gonorrhoeae* in a subject or the amount required to inhibit *N. gonorrhoeae* replication in a subject or cell, or more specifically, in a human subject or in a human cell.

In some instances, the desired effect can be determined by analyzing the presence of bacterial DNA. The effective amount for a subject will depend upon various factors, including the subject's body weight, size and health. Effective amounts for a given patient can be determined by routine experimentation that is within the skill and judgment of the clinician.

For any compound, the effective amount can be estimated initially either in cell culture assays or in relevant animal models, such as a mouse, chimpanzee, marmoset or tamarin animal model. Relevant animal models may also be used to determine the appropriate concentration range and route of administration. Such information can then be used to determine useful doses and routes for administration in humans. Therapeutic efficacy and toxicity may be determined by standard pharmaceutical procedures in cell cultures or experimental animals, *e.g.*, ED<sub>50</sub> (the dose therapeutically effective in 50% of the population).
and LD<sub>50</sub> (the dose lethal to 50% of the population). The dose ratio between therapeutic and
toxic effects is therapeutic index, and can be expressed as the ratio, LD<sub>50</sub>/ED<sub>50</sub>. In some
embodiments, the effective amount is such that a large therapeutic index is achieved. In
further embodiments, the dosage is within a range of circulating concentrations that include
an ED<sub>50</sub> with little or no toxicity. The dosage may vary within this range depending upon the
dosage form employed, sensitivity of the patient, and the route of administration.

More specifically, the concentration-biological effect relationships observed with
regard to a compound of Formula (I) or a form thereof indicate a target plasma concentration
ranging from approximately 0.001 µg/mL to approximately 50 µg/mL, from approximately
0.01 µg/mL to approximately 20 µg/mL, from approximately 0.05 µg/mL to approximately
10 µg/mL, or from approximately 0.1 µg/mL to approximately 5 µg/mL. To achieve such
plasma concentrations, the compounds described herein may be administered at doses that
vary, such as, for example, without limitation, from 0.1 ng to 10,000 mg, depending upon the
route of administration in single, divided, or continuous doses for a patient weighing between
about 10 to about 100 kg (which dose may be adjusted for patients within this weight range,
particularly for children under 40 kg).

The exact dosage will be determined by the practitioner, in light of factors related to
the subject. Dosage and administration may be adjusted to provide sufficient levels of the
active agent(s) or to maintain the desired effect. Factors which may be taken into account
include the severity of the disease state, general health of the subject, ethnicity, age, weight,
and gender of the subject, diet, time and frequency of administration, drug combination(s),
reaction sensitivities, experience with other antibacterial therapies, and tolerance/response to
therapy. Long-acting pharmaceutical compositions may be administered every 2, 3 or 4 days,
once every week, or once every two weeks depending on half-life and clearance rate of the
particular formulation.

The compounds and compositions described herein may be administered to the
subject via any drug delivery route known in the art. Nonlimiting examples include oral,
ocular, rectal, buccal, topical, nasal, ophthalmic, subcutaneous, intramuscular, intravenous
(bolus and infusion), intracerebral, transdermal, and pulmonary routes of administration.

Metabolites of the Compounds

Also included within the scope of the present description are the use of in vivo
metabolic products of the compounds described herein. Such products may result, for
example, from the oxidation, reduction, hydrolysis, amidation, esterification and the like of
the administered compound, primarily due to enzymatic processes. Accordingly, the description includes the use of compounds produced by a process comprising contacting a compound described herein with a mammalian tissue or a mammal for a period of time sufficient to yield a metabolic product thereof.

Such products typically are identified by preparing a radio-labeled isotopologue (e.g., $^{14}$C or $^3$H) of a compound described herein, administering the radio-labeled compound in a detectable dose (e.g., greater than about 0.5 mg/kg) to a mammal such as a rat, mouse, guinea pig, dog, monkey or human, allowing sufficient time for metabolism to occur (typically about 30 seconds to about 30 hours), and identifying the metabolic conversion products from urine, bile, blood or other biological samples. The conversion products are easily isolated since they are "radiolabeled" by virtue of being isotopically-enriched (others are isolated by the use of antibodies capable of binding epitopes surviving in the metabolite). The metabolite structures are determined in conventional fashion, e.g., by MS or NMR analysis. In general, analysis of metabolites may be done in the same way as conventional drug metabolism studies well-known to those skilled in the art. The conversion products, so long as they are not otherwise found in vivo, are useful in diagnostic assays for therapeutic dosing of the compounds described herein even if they possess no biological activity of their own.

**Pharmaceutical Compositions**

Embodiments of the present description include the use of a compound of Formula (I) or a form thereof in a pharmaceutical composition for treating or ameliorating *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof in admixture with one or more pharmaceutically acceptable excipient(s).

Embodiments of the present description include the use of a compound of Formula (I) or a form thereof in a pharmaceutical composition for treating or ameliorating wild-type or drug-resistant forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound of Formula (I) or a form thereof in admixture with one or more pharmaceutically acceptable excipient(s).

An embodiment of the present description includes the use of a pharmaceutical composition of the compound of Formula (I) or a form thereof in the preparation of a kit comprising the pharmaceutical composition of the compound of Formula (I) or a form thereof and instructions for administering the compound for treating or ameliorating *N. gonorrhoeae* in a subject in need thereof.
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As used herein, the term "composition" means a product comprising the specified ingredients in the specified amounts, as well as any product which results, directly or indirectly, from combination of the specified ingredients in the specified amounts.

The pharmaceutical composition may be formulated to achieve a physiologically compatible pH, ranging from about pH 3 to about pH 11. In some embodiments, the pharmaceutical composition is formulated to achieve a pH of from about pH 3 to about pH 7. In other embodiments, the pharmaceutical composition is formulated to achieve a pH of from about pH 5 to about pH 8.

The term "pharmaceutically acceptable excipient" refers to an excipient for administration of a pharmaceutical agent, such as the compounds described herein. The term refers to any pharmaceutical excipient that may be administered without undue toxicity. Pharmaceutically acceptable excipients may be determined in part by the particular composition being administered, as well as by the particular mode of administration and/or dosage form. Nonlimiting examples of pharmaceutically acceptable excipients include carriers, solvents, stabilizers, adjuvants, diluents, etc. Accordingly, there exists a wide variety of suitable formulations of pharmaceutical compositions for the instant compounds described herein (see, e.g., Remington's Pharmaceutical Sciences).

Suitable excipients may be carrier molecules that include large, slowly metabolized macromolecules such as proteins, polysaccharides, polylactic acids, polyglycolic acids, polymeric amino acids, amino acid copolymers, and inactive antibodies. Other exemplary excipients include antioxidants such as ascorbic acid; chelating agents such as EDTA; carbohydrates such as dextrin, hydroxyalkylcellulose, hydroxyalkylmethylcellulose (e.g., hydroxypropylmethylcellulose, also known as HPMC), stearic acid; liquids such as oils, water, saline, glycerol and ethanol; wetting or emulsifying agents; pH buffering substances; and the like. Liposomes are also included within the definition of pharmaceutically acceptable excipients.

The pharmaceutical compositions described herein may be formulated in any form suitable for the intended use described herein. Suitable formulations for oral administration include solids, liquid solutions, emulsions and suspensions, while suitable inhaleable formulations for pulmonary administration include liquids and powders. Alternative formulations include syrups, creams, ointments, tablets, and lyophilized solids which can be reconstituted with a physiologically compatible solvent prior to administration.
When intended for oral use for example, tablets, troches, lozenges, aqueous or oil suspensions, non-aqueous solutions, dispersible powders or granules (including micronized particles or nanoparticles), emulsions, hard or soft capsules, syrups or elixirs may be prepared. Compositions intended for oral use may be prepared according to any method known to the art for the manufacture of pharmaceutical compositions, and such compositions may contain one or more agents including sweetening agents, flavoring agents, coloring agents and preserving agents, in order to provide a palatable preparation.

Pharmaceutically acceptable excipients suitable for use in conjunction with tablets include, for example, inert diluents, such as celluloses, calcium or sodium carbonate, lactose, calcium or sodium phosphate; disintegrating agents, such as croscarmellose sodium, cross-linked povidone, maize starch, or alginic acid; binding agents, such as povidone, starch, gelatin or acacia; and lubricating agents, such as magnesium stearate, stearic acid or talc. Tablets may be uncoated or may be coated by known techniques including microencapsulation to delay disintegration and adsorption in the gastrointestinal tract and thereby provide a sustained action over a longer period. For example, a time delay material such as glyceryl monostearate or glyceryl distearate alone or with a wax may be employed.

Formulations for oral use may be also presented as hard gelatin capsules where the active ingredient is mixed with an inert solid diluent, for example celluloses, lactose, calcium phosphate or kaolin, or as soft gelatin capsules wherein the active ingredient is mixed with non-aqueous or oil medium, such as glycerin, propylene glycol, polyethylene glycol, peanut oil, liquid paraffin or olive oil.

In other embodiments, pharmaceutical compositions described herein may be formulated as suspensions comprising a compound of Formula (I) or a form thereof in admixture with one or more pharmaceutically acceptable excipient(s) suitable for the manufacture of a suspension. In yet other embodiments, pharmaceutical compositions described herein may be formulated as dispersible powders and granules suitable for preparation of a suspension by the addition of one or more excipient(s).

Excipients suitable for use in connection with suspensions include suspending agents, such as sodium carboxymethylcellulose, methylcellulose, hydroxypropyl methylcellulose, sodium alginate, polyvinylpyrrolidone, gum tragacanth, gum acacia, dispersing or wetting agents such as a naturally occurring phosphatide (e.g., lecithin), a condensation product of an alkylene oxide with a fatty acid (e.g., polyoxyethylene stearate), a condensation product of ethylene oxide with a long chain aliphatic alcohol (e.g., heptadecaethyleneoxycethanol), a
condensation product of ethylene oxide with a partial ester derived from a fatty acid and a
hexitol anhydride (e.g., polyoxyethylene sorbitan monooleate); and thickening agents, such as
carbomer, beeswax, hard paraffin or cetyl alcohol. The suspensions may also contain one or
more preservatives such as acetic acid, methyl and/or n-propyl p-hydroxy-benzoate; one or
more coloring agents; one or more flavoring agents; and one or more sweetening agents such
as sucrose or saccharin.

The pharmaceutical compositions described herein may also be in the form of oil-in-
water emulsions. The oily phase may be a vegetable oil, such as olive oil or arachis oil, a
mineral oil, such as liquid paraffin, or a mixture of these. Suitable emulsifying agents include
naturally-occurring gums, such as gum acacia and gum tragacanth; naturally occurring
phosphatides, such as soybean lecithin, esters or partial esters derived from fatty acids;
hexitol anhydrides, such as sorbitan monooleate; and condensation products of these partial
esters with ethylene oxide, such as polyoxyethylene sorbitan monooleate. The emulsion may
also contain sweetening and flavoring agents. Syrups and elixirs may be formulated with
sweetening agents, such as glycerol, sorbitol or sucrose. Such formulations may also contain
a demulcent, a preservative, a flavoring or a coloring agent.

Additionally, the pharmaceutical compositions described herein may be in the form of
a sterile injectable preparation, such as a sterile injectable aqueous emulsion or oleaginous
suspension. Such emulsion or suspension may be formulated according to the known art
using those suitable dispersing or wetting agents and suspending agents which have been
mentioned above. The sterile injectable preparation may also be a sterile injectable solution
or suspension in a non-toxic parenterally acceptable diluent or solvent, such as a solution in
1,2-propane-diol. The sterile injectable preparation may also be prepared as a lyophilized
powder. Among the acceptable vehicles and solvents that may be employed are water,
Ringer's solution, and isotonic sodium chloride solution. In addition, sterile fixed oils may
be employed as a solvent or suspending medium. For this purpose any bland fixed oil may be
employed including synthetic mono- or di-glycerides. In addition, fatty acids such as oleic
acid may likewise be used in the preparation of injectables.

The compounds described herein may be substantially insoluble in water and
sparsely soluble in most pharmaceutically acceptable protic solvents and vegetable oils, but
generally soluble in medium-chain fatty acids (e.g., caprylic and capric acids) or triglycerides
and in propylene glycol esters of medium-chain fatty acids. Thus, contemplated in the
description are compounds which have been modified by substitutions or additions of
chemical or biochemical moieties which make them more suitable for delivery (e.g., increase solubility, bioactivity, palatability, decrease adverse reactions, *etc.*), for example by esterification, glycosylation, PEGylation, etc.

In some embodiments, the compound described herein is formulated for oral administration in a lipid-based composition suitable for low solubility compounds. Lipid-based formulations can generally enhance the oral bioavailability of such compounds. As such, pharmaceutical compositions described herein may comprise a effective amount of a compound of Formula (I) or a form thereof, together with at least one pharmacetically acceptable excipient selected from medium chain fatty acids or propylene glycol esters thereof (e.g., propylene glycol esters of edible fatty acids such as caprylic and capric fatty acids) and pharmacetically acceptable surfactants, such as polysorbate 20 or 80 (also referred to as Tween 20 or Tween 80, respectively) or polyoxyl 40 hydrogenated castor oil.

In other embodiments, the bioavailability of low solubility compounds may be enhanced using particle size optimization techniques including the preparation of nanoparticles or nanosuspensions using techniques known to those skilled in the art. The compound forms present in such preparations include amorphous, partially amorphous, partially crystalline or crystalline forms.

In alternative embodiments, the pharmaceutical composition may further comprise one or more aqueous solubility enhancer(s), such as a cyclodextrin. Nonlimiting examples of cyclodextrin include hydroxypropyl, hydroxyethyl, glucosyl, maltosyl and maltotriosyl derivatives of α-, β-, and γ-cyclodextrin, and hydroxypropyl-β-cyclodextrin (HPBC). In some embodiments, the pharmaceutical composition further comprises HPBC in a range of from about 0.1% to about 20%, from about 1% to about 15%, or from about 2.5% to about 10%. The amount of solubility enhancer employed may depend on the amount of the compound in the composition.

**Preparation of Compounds**

**General Synthetic Examples**

As disclosed herein, many of the starting materials used are commercially available or can be prepared using the routes described below using techniques known to those skilled in the art.
General Schemes

Compounds of Formula (I) can be prepared as described in the Schemes below.

Scheme 1

Halogenated pyridones of Type 1c (where Hal represents a halogen such as Cl) are prepared through the reaction of nitriles of Type 1b with malonyl halides of Type 1a.

Pyridines of Type 1d are prepared from pyridones of Type 1c via Mitsunobu reaction with a suitable dialkyl azodicarboxylate (such as diisopropyl azodicarboxylate and the like) and benzyl alcohol.

Functionalized pyridines of Type 1e are prepared from pyridines of Type 1d via deprotonation of pyridine of Type 1d with an appropriate alkyl lithium species (such as n-BuLi and the like) followed by reaction with benzylchloroformate.

Boronic ester intermediates of Type 1g are prepared from aryl halides of Type If (where Hal represents a halogen such as Br or I, R_x1 is either R_5a or a suitable protecting group such as Boc, and R_x2 is R_5b or CH_2OTBS (CH_2-0-tert-butyldimethylsilyl)) via a
Miyaura borylation reaction with a suitable Pd catalyst (such as PdCl₂(dppf) and the like) and an appropriate diboron ester (such as 4,4',4',5,5',5'-octamethyl-2,2'-bi-1,3,2-dioxaborolane and the like).

Biaryl intermediates of Type 1h are prepared from the respective boronic esters of Type 1g via Pd catalyzed Suzuki coupling with functionalized pyridines of Type 1e in the presence of a suitable phosphine ligand (such as t-Bu₃P and the like) in a suitable biphasic mixture (such as a mixture of THF and water and the like).

Compounds of Type 1i, representative of Compound Formula (I), are prepared from biaryl intermediates of Type 1h by global benzyl group deprotection via suitable methods (such as hydrogenation in the presence of a suitable Pd catalyst (such as Pd/C and the like) or treatment with a suitable acid (such as TFA and the like).

**Scheme 2**

**General Procedure for Scheme 2**

Boronic ester intermediates of Type 2b are prepared from 6-haloindoles of Type 2a (where Hal represents a halogen such as Br or I) via a Miyaura borylation reaction with a suitable Pd catalyst (such as PdCl₂(dppf) and the like) and an appropriate diboron ester (such as 4,4',4',5,5',5'-octamethyl-2,2'-bi-1,3,2-dioxaborolane and the like).

Biaryl pyridines of Type 2c are prepared from the corresponding boronic esters of Type 2b through a Pd catalyzed Suzuki coupling with functionalized pyridines of Type 1e in
the presence of a suitable phosphine ligand (such as t-Bu$_3$P and the like) in a suitable biphasic mixture (such as a mixture of THF and water and the like).

Indoles of Type 2d are prepared from the corresponding N-Boc amines of Type 2c via Boc cleavage using a suitable acid (such as TFA and the like) followed by treatment with an appropriate aldehyde in the presence of a hydride source (such as NaBH(OAc)$_3$ and the like).

Compounds of Type 2e, representative of Compound Formula (I), are prepared via global benzyl group deprotection of pyridines of Type 2d with a suitable acid (such as TFA and the like).

Scheme 3

General Procedure for Scheme 3

Amides of Type 3b are prepared via treatment of oximes of Type 3a (where Hal represents a halogen such as Br or I) with an acid (such as PPA and the like).

Boc-protected amines of Type 3c are prepared via reduction of amides of Type 3b with a suitable hydride source (such as borane and the like) followed by treatment with Boc$_2$O.

Boronic ester intermediates of Type 3d are prepared from amines of Type 3c via a Miyaura borylation reaction with a suitable Pd catalyst (such as PdCl$_2$(dppe) and the like) and an appropriate diboron ester (such as 4,4,4',4',5,5,5',5'-octamethyl-2,2'-bi-1,3,2-dioxaborolane and the like).
Biaryl pyridines of Type 3e are prepared from the corresponding boronic esters of Type 3d through a Pd catalyzed Suzuki coupling with functionalized pyridines of Type 1e in the presence of a suitable phosphine ligand (such as t-Bu₃P and the like) in a suitable biphasic mixture (such as a mixture of THF and water and the like).

Substituted biaryl pyridines of Type 3f are prepared from the corresponding N-Boc amines of Type 3e via Boc cleavage using a suitable acid (such as TFA and the like) followed by treatment with an appropriate aldehyde in the presence of a hydride source (such as NaBH(OAc)₃ and the like).

Compounds of Type 3g, representative of Compound Formula (I), are prepared via global benzyl group deprotection of pyridines of Type 3f with a suitable acid (such as TFA and the like).

**Scheme 4**

General Procedure for Scheme 4

Boronic ester intermediates of Type 4b are prepared from 6-haloindoles of Type 4a (where Hal represents a halogen such as Br or I) via a Miyaura borylation reaction with a suitable Pd catalyst (such as PdCl₂(dppf) and the like) and an appropriate diboron ester (such as 4,4',4',5,5,5',5'-octamethyl-2,2'-bi-1,3,2-dioxaborolane and the like).

Biaryl pyridines of Type 4c are prepared from the corresponding boronic esters of Type 4b through a Pd catalyzed Suzuki coupling with functionalized pyridines of Type 1e in
the presence of a suitable phosphine ligand (such as t-Bu$_3$P and the like) in a suitable biphasic mixture (such as a mixture of THF and water and the like).

Compounds of Type 4d, representative of Compound Formula (I), are accessed via a three-step process: Step 1, reductive amination with a primary alkylamine in the presence of a hydride source (such as NaBH$_4$ and the like); Step 2, reductive amination with an appropriate aldehyde in the presence of a hydride source (such as NaBH(OAc)$_3$ and the like); and, Step 3, global benzyl group deprotection with a suitable acid (such as TFA and the like).

**Scheme 5**

Boronic ester intermediates of Type 5b are prepared from halogenated anilines of Type 5a (where Hal represents a halogen such as Br or I) via a Miyaura borylation reaction with a suitable Pd catalyst (such as PdCl$_2$(dppf) and the like) and an appropriate diboron ester (such as 4,4',4",5,5',5"-octamethyl-2,2'-bi-1,3,2-dioxaborolane and the like).

Biaryl intermediates of Type 5c are prepared from the respective boronic esters of Type 5b via Pd catalyzed Suzuki coupling with functionalized pyridines of Type 1e in the presence of a suitable phosphine ligand (such as t-Bu$_3$P and the like) in a suitable biphasic mixture (such as a mixture of THF and water and the like).

Compounds of Type 5d, representative of Compound Formula (I), are prepared from biaryl pyridines of Type 5c by global deprotection via suitable methods (such as hydrogenation in the presence of a suitable Pd catalyst (such as Pd/C and the like).

**Specific Examples**

To assist in understanding the present description, the following specific examples are included. The experiments relating to this description should not, of course, be construed as specifically limiting the description and such variations of the description, now known or
later developed, which would be within the purview of one skilled in the art are considered to fall within the scope of the description as described herein and hereinafter claimed.

Other than in the working examples, unless indicated to the contrary, all numbers expressing quantities of ingredients, reaction conditions, experimental data, and so forth used in the specification and claims are to be understood as being modified by the term "about". Accordingly, all such numbers represent approximations that may vary depending upon the desired properties sought to be obtained by a reaction or as a result of variable experimental conditions. Therefore, within an expected range of experimental reproducibility, the term "about" in the context of the resulting data, refers to a range for data provided that may vary according to a standard deviation from the mean. As well, for experimental results provided, the resulting data may be rounded up or down to present data consistently, without loss of significant figures. At the very least, and not as an attempt to limit the application of the doctrine of equivalents to the scope of the claims, each numerical parameter should be construed in light of the number of significant digits and ordinary rounding techniques.

While the numerical ranges and parameters setting forth the broad scope of the description are approximations, the numerical values set forth in the working examples are reported as precisely as possible. Any numerical value, however, inherently contains certain errors necessarily resulting from the standard deviation found in their respective testing measurements.

Synthetic Examples

Greater details of the present description are provided with reference to the following non-limiting examples, which are offered to more fully illustrate the description, but are not to be construed as limiting the scope thereof. The examples illustrate the preparation of certain compounds described herein, and the testing of these compounds in vitro and/or in vivo. Those of skill in the art will understand that the techniques described in these examples represent techniques described by the inventors to function well in the practice of the description, and as such constitute preferred modes for the practice thereof. However, those of skill in the art should appreciate in light of the present disclosure that many changes can be made to the specific methods that are disclosed and still obtain a like or similar result without departing from the spirit and scope of the description. For example, various conditions were used to obtain LC-MS characterization for the compounds described herein.
Unless indicated otherwise for certain compounds, the 2 Minute Method was used, having the following column and mobile phase ratios:

**Column:** Acquity UPLC HSS C18 Column 2.1 x 50 mm, 1.8µm

**Mobile Phase A:** H₂O/0.1% HCO₂H

**Mobile Phase B:** Acetonitrile/0.1% HCO₂H

<table>
<thead>
<tr>
<th>Gradient</th>
<th>Time (min)</th>
<th>Flow (mL/min)</th>
<th>%A</th>
<th>%B</th>
</tr>
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</table>

As used above, and throughout this description, the following abbreviations, unless otherwise indicated, shall be understood to have the following meanings:

<table>
<thead>
<tr>
<th>Abbreviation</th>
<th>Meaning</th>
</tr>
</thead>
<tbody>
<tr>
<td>AcOH or HOAc</td>
<td>acetic acid</td>
</tr>
<tr>
<td>ACN or MeCN</td>
<td>acetonitrile</td>
</tr>
<tr>
<td>4Å MS</td>
<td>4 Angstrom Molecular Sieves</td>
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<tr>
<td>Atm</td>
<td>atmosphere</td>
</tr>
<tr>
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<td>benzyl</td>
</tr>
<tr>
<td>BnBr</td>
<td>benzyl bromide</td>
</tr>
<tr>
<td>BnO or OBn</td>
<td>benzyloxy</td>
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<td>BnOH</td>
<td>benzyl alcohol</td>
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<td>ie/t-butoxycarbonyl</td>
</tr>
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<td>Boc₂0 or (Boc)₂0</td>
<td>di-iert-butyl dicarbonate</td>
</tr>
<tr>
<td>BORSRM</td>
<td>based on recovered starting material</td>
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<tr>
<td>Cbz</td>
<td>benzyloxy carbonyl</td>
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<td>CDI</td>
<td>1,1'-carbonyldiimidazole</td>
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<tr>
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<td>dichloroethane</td>
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<tr>
<td>DCM</td>
<td>dichloromethane (CH₂C₁₂)</td>
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<td>Abbreviation</td>
<td>Meaning</td>
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<tr>
<td>--------------</td>
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</tr>
<tr>
<td>DDQ</td>
<td>2.3-dichloro-5,6-dicyano-1,4-benzoquinone</td>
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<td>DIAD</td>
<td>diisopropyl azodicarboxylate</td>
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<tr>
<td>DIBAL-H</td>
<td>diisobutylaluminium hydride</td>
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<td>dimethyl formamide</td>
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<td>dimethylacetamide</td>
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<td>DMAP</td>
<td>4-dimethylaminopyridine</td>
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<td>DMB</td>
<td>2.4-dimethoxybenzyl</td>
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<tr>
<td>DMSO</td>
<td>dimethylsulfoxide</td>
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<td>Et₂O</td>
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<td>HPLC</td>
<td>high performance liquid chromatography</td>
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<td>hour(h or hr)/minute(min)/second(s)</td>
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<tr>
<td>LAH</td>
<td>lithium aluminium hydride</td>
</tr>
<tr>
<td>LC/MS, LCMS or LC-MS</td>
<td>liquid chromatographic mass spectroscopy</td>
</tr>
<tr>
<td>LDA</td>
<td>lithium diisopropylamide</td>
</tr>
<tr>
<td>Mel</td>
<td>methyl iodide</td>
</tr>
<tr>
<td>MeOH</td>
<td>methanol</td>
</tr>
<tr>
<td>Me₂NH or NHMe₂</td>
<td>dimethyl amine</td>
</tr>
<tr>
<td>MS</td>
<td>mass spectroscopy</td>
</tr>
<tr>
<td>NaBH(OAc)₃</td>
<td>sodium triacetoxyborohydride</td>
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<tr>
<td>NBS</td>
<td>N-bromosuccinimide</td>
</tr>
<tr>
<td>NIS</td>
<td>N-iodosuccinimide</td>
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<tr>
<td>NMO</td>
<td>N-methylmorpholine-N-oxide</td>
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<tr>
<td>n-BuLi</td>
<td>n-butyl lithium</td>
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<td>Abbreviation</td>
<td>Meaning</td>
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<td>--------------</td>
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<tr>
<td>NMR</td>
<td>nuclear magnetic resonance</td>
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<td>Pd/C</td>
<td>palladium on carbon</td>
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<td>PdCl₂dppf</td>
<td>[1,1′-bis(diphenylphosphino)ferrocene] dichloropalladium(II)</td>
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<td>Pd(PPh₃)_4</td>
<td>tetrakis(triphenylphosphine)palladium</td>
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<tr>
<td>Ph₂O</td>
<td>diphenyl ether</td>
</tr>
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<td>Pin</td>
<td>pinacol</td>
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<td>triphenylphosphine</td>
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<tr>
<td>Psi</td>
<td>pounds per square inch pressure</td>
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<tr>
<td>PTFE</td>
<td>polytetrafluoroethylene</td>
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<tr>
<td>RT</td>
<td>retention time</td>
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<td>2-dicyclohexylphosphino-2',6'-dimethoxybiphenyl</td>
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<td>tert-butyldimethylsilyl chloride</td>
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<td>trifluoroacetic acid</td>
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<td>THF</td>
<td>tetrahydrofuran</td>
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<tr>
<td>THP</td>
<td>tetrahydro-2H-pyranyl</td>
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<tr>
<td>THPO or OTHP</td>
<td>tetrahydro-2H-pyran-2-yl-oxy</td>
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</table>
Example 1

5-Ethyl-6-(5-fluoro-1H-indol-6-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid (Cpd 41)

Part 1: Preparation of benzyl 2,4-bis(benzyloxy)-6-chloro-5-ethynicotinate

Step A: A mixture of butyronitrile (30 mL) and malonyl dichloride (25.0 g, 177 mmol) was stirred at room temperature under N₂ atmosphere for 3 days. The mixture was diluted with dioxane (100 mL) and the resulting precipitate was filtered, washed with dioxane (20 mL) then ethyl ether (2 X 30 mL), and dried in air to provide intermediate 6-chloro-5-ethyl-4-hydroxy-2(1H)-one (12.7 g, 67% pure, contains 33% of 6-chloro-2-propyl-1,2-dihydropyrimidin-4-ol by-product, based on H NMR), which was used directly in the next step.

H NMR (500 MHz, methanol-\(\text{d}_4\)) \(\delta\) ppm, 1.09 - 1.19 (3H, m), 2.72 (2H, q, \(J=7.36\) Hz), 6.43 (1 H, s).

Step B: The pyridinone intermediate (12.7 g) was dissolved in THF (250 mL) followed by the addition of Ph₃P (54.0 g, 210 mmol). The mixture was cooled in an ice-water bath and DIAD (42 mL, 211 mmol) was added dropwise. The mixture was stirred for 5 min, followed by the addition of benzyl alcohol (23.6 mL, 228 mmol) dropwise. The cooling bath was removed and the mixture was stirred for 4 hr. Solvent was removed on a rotovap and the residue was treated with 1:1 hexanes and ethyl ether (600 mL), then stirred for 0.5 hr. The precipitate was filtered and washed with the hexanes-ether mix until no desired product was found in the wash. The filtrates were combined, concentrated and chromatographed (silica gel, ethyl acetate in hexanes 0 - 3% gradient) to furnish a dibenzylated intermediate, 4,6-bis(benzyloxy)-2-chloro-3-ethylpyridine, as an colorless oil (7.7 g, 12.3% yield over two steps).
1H NMR (500 MHz, CDCl$_3$) δ ppm 1.08 - 1.19 (3H, m), 2.75 (2H, q, $J=7.25$ Hz), 5.08 (2H, s), 5.30 - 5.39 (2H, m), 6.27 (1H, s), 7.28 - 7.56 (10 H, m).

Step C: To a solution of the dibenzylated intermediate (7.7 g, 21.8 mmol) in THF (80 mL) at -78 °C was added w-BuLi (21.8 mL, 54.4 mmol) dropwise. The reaction mixture was stirred for an additional 15 min. at -78 °C, then benzyl chloroformate (4.7 mL, 32.6 mmol) was added. The resulting mixture was stirred for 10 min then the cooling bath was removed. The mixture was allowed to warm to room temperature while stirring. The reaction was quenched with an aqueous solution of NH$_4$Cl (5 mL), diluted with ethyl ether (150 mL), then washed with water (2 x 30 mL) and brine (30 mL). After drying with Na$_2$SO$_4$, the solvent was removed and the residue was chromatographed (silica gel, ethyl acetate in hexanes, 0 - 5 %) to provide the product as a white crystalline material (6.9 g, yield: 65%).

1H NMR (500 MHz, CDCl$_3$) δ ppm 1.10 (3H, t, $J=7.41$ Hz), 2.66 (2H, q, $J=7.57$ Hz), 4.97 (2H, s), 5.30 (2H, s), 5.39 (2H, s), 7.24 - 7.43 (15H, m).

Part 2: Preparation of 5-ethyl-6-(5-fluoro-lH-indol-6-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid

Step A: A mixture of tert-butyl 6-bromo-5-fluoro-lH-indole-1-carboxylate (0.62 g, 2.0 mmol), B$_2$Pin$_2$ (0.66 g, 2.6 mmol), PdCl$_2$dppe (0.16 g, 0.2 mmol), and KOAc (0.6 g, 6.0 mmol) in dioxane (6.0 mL) was stirred at 88 °C overnight under an Ar atmosphere. The mixture was filtered, evaporated and purified by silica gel chromatography (ethyl acetate in hexanes, 2 to 20% gradient) to give the intermediate tert-butyl 5-fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-lH-indole-1-carboxylate (0.4 g, yield: 56%).

1H NMR (500 MHz, CDCl$_3$) δ ppm 8.56 (br. s, 1H), 7.69 (d, $J=3.4$ Hz, 1H), 7.20 (d, $J=9.4$ Hz, 1H), 6.54 (dd, $J=0.6$, 3.4 Hz, 1H), 1.71 (s, 9H), 1.40 (s, 12H).

Step B: A mixture of the carboxylate intermediate (100 mg, 0.28 mmol), Pd$_2$(dba)$_3$ (30 mg, 0.033 mmol), i-Bu$_3$PHBF$_4$ (19 mg, 0.066 mmol), benzyl 2,4-bis(benzyloxy)-6-chloro-5-ethylnicotinate (160 mg, 0.33 mmol), Cs$_2$CO$_3$ (330 mg, 1.0 mmol) in dioxane (1.0 mL) and H$_2$O (0.2 mL) was stirred at 100 °C for 1 hr under an Ar atmosphere, then cooled, treated with water and extracted with ethyl acetate. The organic layer was dried over Na$_2$SO$_4$, evaporated and purified by silica gel chromatography (ethyl acetate in hexanes 1 to 15% gradient) to give the intermediate tert-butyl 6-(4,6-bis(benzyloxy)-5-((benzyl)carbonyl)-3-ethylpyridin-2-yl)-5-fluoro-lH-indole-1-carboxylate (0.19 g, yield: 100%).
LC-MS 687.4 [M+H]⁺, RT 1.75 min.

Step C: The foregoing intermediate was dissolved in diphenyl ether (1.0 mL). The mixture was stirred at 200 °C overnight, then cooled, loaded directly onto a silica gel column and purified with ethyl acetate in hexanes (1 to 20% gradient) to provide the intermediate benzyl 2,4-bis(benzyloxy)-5-ethyl-6-(5-fluoro-1H-indol-6-yl)nicotinate (0.13 g, yield: 81%).

LC-MS 587.3 [M+H]⁺, RT 1.57 min. H NMR (500 MHz, CDCl₃) δ ppm 8.24-8.49 (br. s, 1H), 7.25-7.44 (m, 18H), 6.57 (br. s, 1H), 5.43 (s, 2H), 5.36 (s, 2H), 5.09 (s, 2H), 2.52 (d, J=7.3 Hz, 2H), 0.93 (t, J=7.4 Hz, 3H).

Step D: The nicotinate intermediate (60 mg, 0.1 mmol) was dissolved in a mixture of MeOH (0.5 mL) and ethyl acetate (2.0 mL), then hydrogenated with 10% Pd on charcoal (15 mg) under a balloon of H₂ for 1 hr at room temperature. The catalyst was filtered over Celite and washed with 10% MeOH in CH₂Cl₂. The filtrate was concentrated to dryness and the residue triturated with ether, then dried to provide the title compound as pale yellow powder (25 mg, 77% yield).

LC-MS 317.2 [M+H]⁺, RT 1.26 min. H NMR (500 MHz, DMSO-δ₆) δ ppm 16.22 (br. s, 1H), 14.04 (br. s, 1H), 12.89 (br. s, 1H), 11.47 (br. s, 1H), 7.58 (t, J=2.7 Hz, 1H), 7.46-7.54 (m, 2H), 6.54 (t, J=2.0 Hz, 1H), 2.17-2.35 (m, 2H), 0.96 (t, J=7.4 Hz, 3H).

As shown in the table below, additional compounds representative of the present description may be prepared according to Example 1 by substituting the appropriate starting materials, reagents and reaction conditions.

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<thead>
<tr>
<th>Cpd</th>
<th>Data</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>LC-MS 300.2 [M+H]⁺, RT 0.80 min. H NMR (500 MHz, methanol-δ₄) δ ppm 1.11 (t, J=7 Hz, 3H), 2.44 (q, J=7 Hz, 2H), 7.65 (dd, J=8, 2 Hz, 1H), 7.96-8.00 (m, 2H), 9.21 (s, 1H)</td>
</tr>
<tr>
<td>2</td>
<td>LC-MS 301.2 [M+H]⁺, RT 0.92 min. H NMR (500 MHz, DMSO-δ₆) δ ppm 1.00 (t, J=7.37 Hz, 3H), 2.30 (q, J=7.40 Hz, 2H), 7.32 (dd, J=8.20, 1.50 Hz, 1H), 7.69 (dd, J=1.46, 0.67 Hz, 1H), 7.79 (d, J=8.28 Hz, 1H), 8.42 (d, J=3.00 Hz, 1H), 12.52 (br. s, 1H), 12.82 (br. s, 1H), 13.92 (br. s, 1H)</td>
</tr>
<tr>
<td>Cpd</td>
<td>Data</td>
</tr>
<tr>
<td>-----</td>
<td>------</td>
</tr>
<tr>
<td>3</td>
<td>1H NMR (500 MHz, DMSO-(d_6)) (\delta) ppm 1.03 (t, (J=7.60) Hz, 3H), 1.80 - 1.94 (m, 2H), 1.96 - 2.07 (m, 2H), 2.30 - 2.39 (m, 2H), 3.06 - 3.20 (m, 2H), 3.43 - 3.49 (m, 2H), 4.48 - 4.58 (m, 2H), 7.17 - 7.22 (m, 1H), 7.56 - 7.61 (m, 1H), 7.78 - 7.83 (m, 1H), 7.93 - 7.99 (m, 1H), 11.81 (br. s, IH), 12.77 (br. s, IH), 13.90 (br. s, IH)</td>
</tr>
<tr>
<td>4</td>
<td>LC-MS 370.1 [M+H](^+), RT 0.93 min. 1H NMR (500 MHz, DMSO-(d_6)) (\delta) ppm 1.03 (t, (J=7.3) Hz, 3H), 1.30 (t, (J=7.1) Hz, 3H), 2.35 (q, (J=7.3) Hz, 2H), 2.73 (s, 3H), 3.13 (br. s, 2H), 4.48 (br. s, 2H), 6.80 (m, 1H), 7.14 (dd, (J=8.2), 1.6 Hz, 1H), 7.60 (m, 1H), 7.74 (d, (J=8.2) Hz, 1H), 10.38 (br. s, IH), 11.73 (s, IH), 12.78 (br. s, IH), 13.95 (br. s, IH), 16.33 (br. s, IH)</td>
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<td>5</td>
<td>LC-MS 328.0 [M+H](^+), RT 0.89 min. 1H NMR (500 MHz, DMSO-(d_6)) (\delta) ppm 1.01 (t, (J=7.3) Hz, 3H), 2.34 (q, (J=7.3) Hz, 2H), 4.24 (br. s, 2H), 6.65 (m, 1H), 7.11 (dd, (J=8.2), 1.6 Hz, 1H), 7.56 (m, 1H), 7.70 (d, (J=8.2) Hz, 1H), 8.47 (br. s, 3H), 11.60 (s, IH), 12.78 (br. s, IH), 13.93 (br. s, IH), 16.34 (br. s, IH)</td>
</tr>
<tr>
<td>6</td>
<td>LC-MS 314.0 [M+H](^+), RT 0.84 min. 1H NMR (500 MHz, DMSO-(d_6)) (\delta) ppm 16.02 (IH, br. s), 14.43 (IH, br. s), 12.55 (IH, br. s), 11.18 (IH, s), 7.47 - 7.61 (m, 2H), 7.16 (d, (J=7.57) Hz, 2H), 2.52 (s, 3H), 2.29 (br. s, 2H), 0.98 (t, (J=7.09) Hz, 3H)</td>
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<td>7</td>
<td>LC-MS 368.3 [M+H](^+), RT 0.86 min. 1H NMR (500 MHz, DMSO-(d_6)) (\delta) ppm 0.82 (t, (J=7.4) Hz, 3H), 2.03 - 2.17 (m, 1H), 2.22 - 2.33 (m, 1H), 2.56 - 2.68 (m, 1H), 3.04-3.26 (m, 3H), 3.34 - 3.49 (m, 4H), 6.96 (d, (J=7.3) Hz, 2H), 7.17 (dd, (J=8.2), 7.3 Hz, IH), 7.48 (dd, (J=8.2), 0.9 Hz, IH), 8.99 - 9.16 (m, 2H), 11.46 (s, IH), 12.85 - 12.94 (m, IH), 13.94 (s, IH)</td>
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<tr>
<td>8</td>
<td>LC-MS 382.3 [M+H](^+), RT 0.86 min. 1H NMR (500 MHz, DMSO-(d_6)) (\delta) ppm 0.82 (t, (J=7.6) Hz, 3H), 1.95 - 2.38 (m, 3H), 2.86 (br. s, 3H), 3.01 - 3.34 (m, 4H), 3.48 - 3.71 (m, 3H), 6.98 (d, (J=7.6) Hz, IH), 7.19 (dt, (J=8.2), 7.6 Hz, IH), 7.49 (d, (J=8.2) Hz, IH), 10.15 (br. s, IH), 11.46 (d, (J=6.6) Hz, IH), 12.92 (d, (J=13.2) Hz, IH), 13.94 (s, IH)</td>
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<td>9</td>
<td>LC-MS 311.0 [M+H](^+), RT 1.22 min. 1H NMR (500 MHz, DMSO-(d_6)) (\delta) ppm 0.00 (m, 2H), 0.48 (m, 2H), 1.58 (m, IH), 6.44 (m, IH), 7.13 (dd, (J=8.2), 1.6 Hz, IH), 7.44 (m, IH), 7.52 (m, IH), 7.56 (d, (J=8.2) Hz, 1H), 11.36 (s, IH), 12.56 (br. s, IH), 13.84 (br. s, IH), 16.23 (br. s, IH)</td>
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<td><strong>1</strong></td>
<td>NMR (500 MHz, DMSO-<strong>D</strong>&lt;sub&gt;6&lt;/sub&gt;) δ ppm 1.03 (t, J=7.40 Hz, 3H), 2.35 (q, J=7.40 Hz, 2H), 2.75 (d, J=4.89 Hz, 6H), 4.44 - 4.52 (m, 2H), 7.17 - 7.24 (m, IH), 7.57 - 7.63 (m, IH), 7.75 - 7.79 (m, IH), 7.91 - 7.98 (m, IH), 9.84 (br. s, IH), 11.85 (br. s, IH), 12.75 (br. s, IH), 13.92 (br. s, IH)</td>
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<td><strong>11</strong></td>
<td>LC-MS 330.3 [M+H]&lt;sup&gt;+&lt;/sup&gt;, RT 1.26 min. NMR (500 MHz, methanol-<strong>d</strong>&lt;sub&gt;4&lt;/sub&gt;) δ ppm 1.12 (t, J=7 Hz, 3H), 2.48 (q, J=7 Hz, 2H), 3.25 (s, 3H), 3.73 (br. s, 2H), 3.91 (br. s, 2H), 6.61 (d, J=8 Hz, IH), 7.03-7.06 (m, IH), 7.20 (d, J=8 Hz, IH)</td>
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<td><strong>12</strong></td>
<td>LC-MS 394.4 [M-H]&lt;sup&gt;-&lt;/sup&gt;, RT 0.90 min. NMR (500 MHz, DMSO-<strong>D</strong>&lt;sub&gt;6&lt;/sub&gt;) δ ppm 1.00 (t, J=7.6 Hz, 3H), 1.74 - 2.43 (m, 6 H), 2.68-2.93 (m, 5H), 7.08 - 7.15 (m, IH), 7.50 - 7.61 (m, IH), 7.61 - 7.77 (m, IH), 10.31 (s, IH), 11.31 (s, IH), 12.78 (s, IH), 13.90 (s, IH)</td>
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<td><strong>13</strong></td>
<td>LC-MS 317.3 [M+H]&lt;sup&gt;+&lt;/sup&gt;, RT 1.13 min. NMR (500 MHz, methanol-<strong>d</strong>&lt;sub&gt;4&lt;/sub&gt;) δ ppm 1.10 (t, J=7 Hz, 3H), 2.48 (q, J=7 Hz, 2H), 3.41 (t, J=5 Hz, 2H), 4.26 (t, J=5 Hz, 2H), 6.63 (dd, J=8, 2 Hz, IH), 6.68 (d, J=2 Hz, IH), 6.82 (dd, J=8, 2 Hz, IH)</td>
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<td><strong>14</strong></td>
<td>LC-MS 370.3 [M+H]&lt;sup&gt;+&lt;/sup&gt;, RT 0.90 min. NMR (500 MHz, DMSO-<strong>D</strong>&lt;sub&gt;6&lt;/sub&gt;) δ ppm 1.02 (t, J=7.3 Hz, 3H), 2.35 (q, J=7.3 Hz, 2H), 2.37 (s, 3H), 2.76 (br. s, 6H), 4.44 (br. s, 2H), 7.13 (dd, J=8.3, 1.4 Hz, IH), 7.55 (m, IH), 7.70 (d, J=8.4 Hz, IH), 10.40 (br. s, IH), 11.35 (s, IH), 12.73 (br. s, IH), 13.97 (br. s, IH), 16.40 (br. s, IH)</td>
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<td><strong>15</strong></td>
<td>LC-MS 384.3 [M+H]&lt;sup&gt;+&lt;/sup&gt;, RT 0.91 min. NMR (500 MHz, DMSO-<strong>D</strong>&lt;sub&gt;6&lt;/sub&gt;) δ ppm 1.02 (t, J=7.3 Hz, 3H), 1.37 (t, J=7.3 Hz, 3H), 2.35 (q, J=7.3 Hz, 2H), 2.37 (s, 3H), 2.68 (br. s, 3H), 3.14 (br. s, 2H), 4.43 (br. s, 2H), 7.12 (dd, J=8.3, 1.4 Hz, IH), 7.54 (m, IH), 7.69 (d, J=8.4 Hz, IH), 10.14 (br. s, IH), 11.37 (s, IH), 12.74 (br. s, IH), 14.02 (br. s, IH), 16.40 (br. s, IH)</td>
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<td><strong>16</strong></td>
<td>LC-MS 333.3 [M+H]&lt;sup&gt;+&lt;/sup&gt;, RT 1.24 min. NMR (500 MHz, methanol-<strong>d</strong>&lt;sub&gt;4&lt;/sub&gt;) δ ppm 1.11 (t, J=7 Hz, 3H), 2.48 (q, J=7 Hz, 2H), 3.11-3.13 (m, 2H), 3.65-3.67 (m, 2H), 6.66-6.69 (m, 2H), 7.11 (d, J=8 Hz, IH)</td>
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<td><strong>17</strong></td>
<td>LC-MS 368.4 [M+H]&lt;sup&gt;+&lt;/sup&gt;, RT 0.87 min. NMR (500 MHz, DMSO-<strong>D</strong>&lt;sub&gt;6&lt;/sub&gt;) δ ppm 1.00 (t, J=7.6 Hz, 3H), 1.95 - 2.06 (m, 2H), 2.28 - 2.37 (q, J=7.6 Hz, 2H), 2.92 - 3.03 (m, 2H), 3.49 - 3.55 (m, 2H), 4.42 (s, 2H), 7.05 - 7.14 (m, IH), 7.50 (s, 2H), 7.60 - 7.71 (m, 2H), 9.06 (s, 2H), 11.47 (s, IH), 12.80 (s, IH), 13.89 (s, IH)</td>
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Cpd | Data
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18 | LC-MS 382.4 [M+H]+, RT 0.88 min. H NMR (500 MHz, DMSO-J 6) δ ppm 1.00 (t, J=7.6 Hz, 3H), 1.80 - 2.22 (m, 2H), 2.26 - 2.44 (m, 2H), 2.64 - 3.12 (m, 5H), 3.48 - 3.85 (m, 2H), 4.44 - 4.75 (m, 2H), 7.06 - 7.17 (m, IH), 7.44 - 7.55 (m, IH), 7.60 - 7.80 (m, IH), 10.29 (s, IH), 11.45 (s, IH), 12.78 (s, IH), 13.90 (s, IH)
20 | LC-MS 324.1 [M+H]+, RT 1.15 min. H NMR (500 MHz, DMSO-J 6) δ ppm 1.00 (t, J=7.37 Hz, 3H), 2.30 (q, J=7.40 Hz, 2H), 7.32 (dd, J=8.20, 1.50 Hz, IH), 7.69 (dd, J=1.46, 0.67 Hz, IH), 7.79 (d, J=8.28 Hz, IH), 8.42 (d, J=3.00 Hz, IH), 12.52 (br. s, IH), 12.82 (br. s, IH), 13.92 (br. s, IH)
21 | LC-MS 316.3 [M+H]+, RT 1.15 min. H NMR (500 MHz, methanol- d 4) δ ppm 1.06 (t, J=7 Hz, 3H), 2.44 (q, J=7 Hz, 2H), 3.53-3.55 (m, 2H), 3.71-3.73 (m, 2H), 6.73-6.76 (m, IH), 6.81-6.83 (m, 2H)
29 | LC-MS 388.3 [M-H]-, RT 1.12 min. H NMR (500 MHz, DMSO-J 6) δ ppm 16.29 (br. s, IH), 13.94 (s, IH), 12.83 (br. s, IH), 12.07 (s, IH), 10.46 (br. s, IH), 7.68-7.73 (m, 2H), 7.27 (d, J=9.8 Hz, IH), 4.53 (s, 2H), 2.84 (s, 6H), 2.33 (q, J=7.3 Hz, 2H), 1.01 (t, J=7.3 Hz, 3H)
30 | LC-MS 356.4 [M+H]+, RT 0.90 min. H NMR (500 MHz, DMSO-J 6) δ ppm 16.50 (br. s, IH), 13.90 (s, IH), 12.80 (br. s, IH), 11.70 (s, IH), 10.06 (br. s, IH), 7.74 (s, IH), 7.60 (d, J=8.51 Hz, IH), 7.25 (dd, J=8.35, 1.42 Hz, IH), 6.78 (s, IH), 4.47 (s, 2H), 2.80 (s, 6H), 2.33 (q, J=7.25 Hz, 2H), 1.00 (t, J=7.41 Hz, 3H)
31 | LC-MS 382.4 [M+H]+, RT 0.92 min. H NMR (500 MHz, DMSO-J 6) δ ppm 16.34 (br. s, IH), 13.90 (s, IH), 12.75 (br. s, IH), 11.66 (s, IH), 10.11 (br. s, IH), 7.73 (s, IH), 7.60 (d, J=8.5 Hz, IH), 7.25 (dd, J=8.5, 1.6 Hz, IH), 6.78 (d, J=1.3 Hz, IH), 4.55 (s, 2H), 3.47 (br. s, 2H), 3.21 (br. s, 2H), 2.33 (q, J=7.3 Hz, 2H), 2.04 (br. s, 2H), 1.88 (br. s, 2H), 1.00 (t, J=7.4 Hz, 3H)
36 | LC-MS 368.3 [M-H]-, RT 0.92 min. H NMR (500 MHz, DMSO-J 6); δ 13.91 (IH, s), 12.75 (IH, s) 11.38 (IH, s), 10.15 (br. s, IH), 7.79 (IH, d, J=8.5 Hz), 7.51 (IH, s), 7.45 (IH, d, J=2.5 Hz), 7.12 (IH, dd, J=8.5 Hz, 1.5 Hz), 3.35 (2H, q, J=7 Hz), 3.17 (2H, m), 2.86 (6H, s), 2.37 (2H, m), 1.03 (3H, t, J=7 Hz)
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<td>LC-MS 329.3 [M+H]^+, RT 0.94 min. H NMR (500 MHz, DMSO-d_6) δ ppm 14.19-14.59 (br. s, IH), 11.28-11.37 (br. s, IH), 7.64 (d, J=8.2 Hz, IH), 7.48 (s, 1H), 7.13 (dd, J=8.2, 1.3 Hz, IH), 3.92 (s, 2H), 2.42 (s, 6H), 2.34 (q, J=7.2 Hz, 2H), 1.03 (t, J=7.2 Hz, 3H)</td>
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<td>38</td>
<td>LC-MS 360.4 [M+H]^+, RT 0.92 min. H NMR (500 MHz, DMSO-J_6) δ ppm 13.98 (br. s, IH), 12.89 (br. s, IH), 11.51 (s, IH), 9.09 (br. s, 2H), 7.79 (d, J=8.2 Hz, IH), 7.68 (s, IH), 7.25 (d, J=7.9 Hz, IH), 4.44 (br. s, 2H), 2.71 (s, 3H), 2.37 (q, J=7.1 Hz, 2H), 1.06 (t, J=7.3 Hz, 3H)</td>
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<td>42</td>
<td>LC-MS 356.2 [M+H]^+, RT 0.96 min. H NMR (500 MHz, methanol-d_4) δ ppm 1.10 (t, J = 7 Hz, 3H), 1.83 (d, J = 6 Hz, 3H), 2.48 (q, J = 7 Hz, 2H), 2.67 (s, 3H), 4.65 (br. s, IH), 6.76-6.78 (m, IH), 7.13-7.18 (m, IH), 7.58 (br. s, IH), 7.74-7.77 (m, IH)</td>
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<td>43</td>
<td>LC-MS 368.2 [M-H]^-, RT 0.99 min. H NMR (500 MHz, methanol^-) δ ppm 1.05 (t, J = 7 Hz, 3H), 1.73 (d, J = 6 Hz, 3H), 2.40 (q, J = 7 Hz, 2H), 2.78 (bs, 6H), 4.65 (bs, IH), 6.80 (s, IH), 7.14 (d, J = 8 Hz IH), 7.61 (s, IH), 7.74 (d, J = 8 Hz IH)</td>
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<td>44</td>
<td>LC-MS 347.1 [M+H]^+, RT 0.93 min. H NMR (500 MHz, DMSO-J_6) δ ppm 14.01 (br. s, IH), 12.84 (br. s, IH), 7.12 (d, J=5.7 Hz, IH), 6.80 (br. s, IH), 3.61 (t, J=8.2 Hz, 2H), 3.49 (s, 3H), 3.42-3.48 (m, 2H), 3.03-3.16 (m, 2H), 2.65 (t, J=7.1 Hz, 2H)</td>
</tr>
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<td>45</td>
<td>LC-MS 331.0 [M+H]^+, RT 1.29 min. H NMR (500 MHz, DMSO-J_6) δ ppm 16.26 (br. s, IH), 13.94 (s, IH), 12.93 (br. s, IH), 7.66 (d, J=6.9 Hz, IH), 7.56 (d, J=11.0 Hz, IH), 7.47 (d, J=3.2 Hz, IH), 6.56 (d, J=2.8 Hz, IH), 3.83 (s, 3H), 2.15-2.36 (m, 2H), 0.93 (t, J=7.3 Hz, 3H)</td>
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<td>46</td>
<td>LC-MS 317.0 [M+H]^+, RT 1.20 min. H NMR (500 MHz, DMSO-J_6) δ ppm 16.28 (br. s, IH), 13.94 (s, IH), 12.90 (br. s, IH), 11.46 (br. s, IH), 7.66 (d, J=6.9 Hz, IH), 7.48 (t, J=2.7 Hz, IH), 7.38 (d, J=10.7 Hz, IH), 6.56 (br. s, IH), 2.16-2.38 (m, 2H), 0.94 (t, J=7.4 Hz, 3H)</td>
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<td>47</td>
<td>LC-MS 319.2 [M+H]^+, RT 1.54 min. H NMR (500 MHz, DMSO-J_6) δ ppm 13.89 (br. s, IH), 12.72 (s, IH), 7.05 (d, J=7.3 Hz, IH), 6.40 (d, J=11.3 Hz, IH), 3.57 (t, J=8.7 Hz, 2H), 2.96 (t, J=8.7 Hz, 2H), 2.30 (q, J=6.8 Hz, 2H), 0.96 (t, J=7.4 Hz, 3H)</td>
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### Example 2

6-{2-[2-(Dimethylamino)ethyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid (Cpd 34)

Step A: A mixture of (1H-indol-6-yl)boronic acid (1.18 g, 7.35 mmol), benzyl 2,4-
bis(benzyloxy)-6-chloro-5-ethylnicotinate (prepared according to Example 1, Part 1) (3.9 g, 8.1 mmol), tris(dibenzyldieneacetone)-dipalladium (340 mg, 0.37 mmol), tri-tert-
butylphosphonium tetrafluoroborate (215 mg, 0.74 mmol), Cs₂CO₃ (7.2 g, 22.1 mmol), H₂O (6 mL) and dioxane (15 mL) was stirred under argon at 80 °C for 2 hrs. The reaction mixture was partitioned between EtOAc (50 mL) and aqueous saturated NaHCO₃ (50 mL). The organic layer was concentrated and chromatographed on silica gel, eluting with 0-20%

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<td><strong>48</strong></td>
<td>LC-MS 333.0 [M+H]⁺, RT 1.34 min. H NMR (500 MHz, DMSO-d₆) δ ppm 13.89 (s, 1H), 12.73 (s, 1H), 7.04 (d, J=7.3 Hz, 1H), 6.49 (d, J=11.7 Hz, 1H), 3.46 (t, J=8.5 Hz, 2H), 2.93 (t, J=8.4 Hz, 2H), 2.80 (s, 3H), 2.30 (q, J=7.6 Hz, 2H), 0.96 (t, J=7.3 Hz, 3H)</td>
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<td><strong>49</strong></td>
<td>LC-MS 285.1 [M+H]⁺, RT 1.17 min. H NMR (500 MHz, DMSO-J₆) δ ppm 16.50 (br. s, 1H), 13.96 (br. s, 1H), 12.91 (br. s, 1H), 11.17 (br. s, 1H), 7.68-7.80 (m, 1H), 7.43 (t, J=2.8 Hz, 1H), 7.10-7.19 (m, 2H), 6.57 (dd, J=3.2, 1.9 Hz, 1H), 1.74 (s, 3H);</td>
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<td><strong>50</strong></td>
<td>LC-MS 299.1 [M+H]⁺, RT 1.24 min. H NMR (500 MHz, DMSO-J₆) δ ppm 16.28 (br. s, 1H), 14.03 (br. s, 1H), 12.90 (br. s, 1H), 11.22 (br. s, 1H), 7.72 (dd, J=6.9, 1.9 Hz, 1H), 7.42 (t, J=2.8 Hz, 1H), 7.08-7.19 (m, 2H), 6.56 (dd, J=3.0, 1.7 Hz, 1H), 2.30 (br. s, 1H), 2.05 (br. s, 1H), 0.85 (t, J=7.4 Hz, 3H)</td>
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<td><strong>51</strong></td>
<td>LC-MS 299.1 [M+H]⁺, RT 1.22 min. H NMR (500 MHz, DMSO-J₆) δ ppm 16.19 (br. s, 1H), 13.95 (br. s, 1H), 13.06 (br. s, 1H), 7.74 (dd, J=7.9, 1.3 Hz, 1H), 7.38 (d, J=2.8 Hz, 1H), 7.15 (dd, J=7.9, 7.3 Hz, 1H), 7.07 (dd, J=7.3, 1.3 Hz, 1H), 6.57 (d, J=3.2 Hz, 1H), 3.45 (s, 3H), 1.70 (s, 3H)</td>
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<td><strong>52</strong></td>
<td>LC-MS 313.2 [M+H]⁺, RT 1.29 min. H NMR (500 MHz, DMSO-J₆) δ ppm 16.24 (br. s, 1H), 13.97 (br. s, 1H), 13.05 (br. s, 1H), 7.74 (dd, J=7.7, 1.1 Hz, 1H), 7.38 (d, J=3.2 Hz, 1H), 7.15 (t, J=1.0 Hz, 1H), 7.09 (dd, J=1.0 Hz, 1H), 6.56 (d, J=3.2 Hz, 1H), 3.46 (s, 3H), 2.25-2.36 (m, 1H), 1.94-2.05 (m, 1H), 0.85 (t, J=7.4 Hz, 3H)</td>
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EtOAc in hexanes to yield the intermediate benzyl 2,4-bis(benzyloxy)-5-ethyl-6-(1H-indol-6-yl)nicotinate (3.9 g) as a brown foam.

**H NMR** (500 MHz, DMSO-\(d_6\)) \(\delta\) ppm 0.96 (t, \(J=7.40\) Hz, 3H), 2.58 (q, \(J=7.40\) Hz, 2H), 5.04 (s, 2H), 5.36 (s, 2H), 5.38 (s, 2H), 6.47 - 6.50 (m, 1H), 7.08 - 7.12 (m, 1H), 7.28 - 7.44 (m, 16H), 7.48 - 7.50 (m, 1H), 7.59 - 7.63 (m, 1H), 11.22 (br. s, 1H).

Step B: A mixture of the intermediate (160 mg, 0.28 mmol), (2-bromoethoxy)(tert-butyl)dimethylsilane (120 \(\mu\)L, 0.56 mmol), \(K_2C\_O_3\) (80 mg, 0.56 mmol), bis(acetonitrile)dichloropalladium (7 mg, 0.03 mmol), norbornene (53 mg, 0.56 mmol), dimethylacetamide (1.4 mL) and \(H_2O\) (0.2 mL) was stirred under Ar at 70 °C for 16 hrs. The reaction mixture was partitioned between \(H_2O\) (20 mL) and EtOAc (20 mL). The organic layer was separated, dried over \(Na_2SO_4\), then filtered and concentrated. The crude residue was chromatographed on silica gel, eluting with 10% EtOAc in hexanes to afford the intermediate benzyl 2,4-bis(benzyloxy)-6-(2-(2-((tert-butyldimethylsilyl)oxy)ethyl)-1H-indol-6-yl)-5-ethylnicotinate (132 mg, 65%).

**H NMR** (500 MHz, DMSO-\(d_6\)) \(\delta\) ppm 0.02 (s, 6H), 0.85 (s, 9H), 0.96 (t, \(J=7.40\) Hz, 3H), 2.58 (q, \(J=7.40\) Hz, 2H), 2.93 (t, \(J=7.20\) Hz, 2H), 3.90 (t, \(J=7.20\) Hz, 2H), 5.02 (s, 2H), 5.36 (s, 2H), 5.38 (s, 2H), 6.23 - 6.26 (m, 1H), 7.03 - 7.07 (m, 1H), 7.29 - 7.43 (m, 16H), 7.46 - 7.50 (m, 1H).

Step C: To a solution of the intermediate (220 mg, 0.3 mmol) in THF (10 mL) was added TBAF (400 \(\mu\)L, 1M in THF, 0.4 mmol). The mixture was stirred at 25 °C for 1.5 hrs, then concentrated and the crude residue was chromatographed on silica gel, eluting with 50% EtOAc in hexanes to afford the intermediate benzyl 2,4-bis(benzyloxy)-5-ethyl-6-(2-(2-((methylsulfonyl)oxy)ethyl)-1H-indol-6-yl)-5-ethylnicotinate (150 mg, 77%).

**H NMR** (500 MHz, \(CDCl_3\)) \(\delta\) ppm 1.03 (t, \(J=7.37\) Hz, 3H), 2.64 (q, \(J=7.41\) Hz, 2H), 3.03 (t, \(J=5.71\) Hz, 2H), 3.98 (t, \(J=5.71\) Hz, 2H), 5.06 (s, 2H), 5.35 (s, 2H), 5.45 (s, 2H), 6.33 (d, \(J=1.02\) Hz, 1H), 7.18 (dd, \(J=8.12, 1.50\) Hz, 1H), 7.27 - 7.42 (m, 16H), 7.58 (d, \(J=8.12\) Hz, 1H), 8.61 (br. s, 1H).

Step D: To a solution of the intermediate (160 mg, 0.26 mmol) in \(CH_2Cl_2\) (3 mL), cooled to 0 °C, was added \(N,N\)-diisopropylethylamine (50 \(\mu\)L, 0.26 mmol) and MsCl (22 \(\mu\)L, 0.26 mmol). The reaction mixture was stirred for 1 hr at 0 °C and then concentrated. The crude residue was dissolved in \(CH_2CN\) (2 mL) and dimethylamine (1.3 mL, 2M in THF, 2.6 mmol) was added. After stirring for 2 hrs at 50 °C, the reaction mixture was concentrated.
The crude residue, 10% Pd/C (8 mg), MeOH (1 mL) and 3 M HCl in MeOH (5 drops) was stirred under H₂ (1 atm) for 1 hr. The mixture was passed through a 0.3 µη HPLC filter and concentrated. The crude residue was triturated with Et₂O to afford 12 mg (15% over 3 steps) of product.

LC-MS: 370.1 [M+H]⁺, RT 0.55 min. H NMR (500 MHz, DMSO-d₆) δ ppm 1.02 (t, J=7.40 Hz, 3H), 2.35 (q, J=7.40 Hz, 2H), 2.82 (s, 6H), 3.21 - 3.28 (m, 2H), 3.41 - 3.49 (m, 2H), 6.37 - 6.41 (m, 1H), 7.02 - 7.07 (m, 1H), 7.44 - 7.47 (m, 1H), 7.57 - 7.63 (m, 1H), 11.61 (br. s, 1H), 12.73 (br. s, 1H), 13.90 (br. s, 1H).

As shown in the table below, additional compounds representative of the present description may be prepared according to Example 2 by substituting the appropriate starting materials, reagents and reaction conditions.

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<td>LC-MS 355.0 [M-H]⁻, 357.0 [M+H]⁺, RT 1.18 min. H NMR (500 MHz, DMSO-d₆) δ ppm 0.99 (t, J=7.36 Hz, 3H), 2.34 (q, J=7.36 Hz, 2H), 2.95 (t, J=6.78 Hz, 2H), 3.74 (s, 3H), 3.71 - 3.78 (m, 2H), 4.84 (t, J=5.04 Hz, 1H), 6.36 (s, 1H), 7.14 (dd, J=8.35, 1.73 Hz, 1H), 7.51 - 7.68 (m, 2H), 12.70 (br. s, 1H), 14.22 (br. s, 1H), 16.20 (br. s, 1H)</td>
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<td>LC-MS 384.1 [M+H]⁺, RT 0.96 min. H NMR (500 MHz, DMSO-J₆) δ ppm 0.95 (t, J=7.41 Hz, 3H), 2.28 (d, J=6.94 Hz, 2H), 2.33 (s, 6H), 2.72 (t, J=7.57 Hz, 2H), 2.96 (t, J=7.72 Hz, 2H), 3.72 (s, 3H), 6.31 (s, 1H), 7.08 (dd, J=8.51, 1.58 Hz, 1H), 7.36 - 7.54 (m, 2H)</td>
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<td>23</td>
<td>LC-MS 408.4 [M-H]⁻, 410.4 [M+H]⁺, RT 0.89 min. H NMR (500 MHz, DMSO-J₆) δ ppm 1.00 (t, J=7.41 Hz, 3H), 1.92 (br. s, 2H), 2.05 (br. s, 2H), 2.34 (q, J=7.41 Hz, 2H), 3.10 (br. s, 2H), 3.20 - 3.31 (m, 2H), 3.47 - 3.58 (m, 2H), 3.62 (br. s, 2H), 3.80 (s, 3H), 6.47 (s, 1H), 7.21 (dd, J=8.51, 1.89 Hz, 1H), 7.59 - 7.79 (m, 2H), 10.68 (br. s, 1H), 12.74 (br. s, 1H), 13.91 (s, 1H)</td>
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<td>LC-MS 354.5 [M-H]⁻, 356.3 [M+H]⁺, RT 0.86 min. H NMR (500 MHz, DMSO-J₆) δ ppm 0.98 (t, J=7.41 Hz, 3H), 2.33 (q, J=7.46 Hz, 2H), 3.10 - 3.29 (m, 4H), 3.77 (s, 3H), 6.47 (s, 1H), 7.09 - 7.31 (m, 1H), 7.51 - 7.69 (m, 2H), 8.10 (br. s, 3H), 12.74 (s, 1H), 13.90 (s, 1H)</td>
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Example 3

6-{2-[(Dimethylamino)methyl]-3-(trifluoromethyl)-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid (Cpd 25)

Step A: Trifluoriodomethane was bubbled for 2 min into a mixture of benzyl 2,4-bis(benzyloxy)-5-ethyl-6-(2-formyl-1H-indol-6-yl)nicotinate (prepared using the procedure from Example 1, part 2, step B) (240 mg, 0.4 mmol) and tris(bipyridine)ruthenium(II) chloride hexahydrate (9 mg, 0.012 mmol) in CH$_3$CN (1.6 mL). The solution was stirred at room temperature for 3 hrs under a 26 W fluorescent household bulb (3" from vial). The
mixture was partitioned between EtOAc (20 mL) and H₂O (20 mL). The organic layer was washed with brine, dried over Na₂SO₄, then filtered and concentrated. The residue was chromatographed on silica gel, eluting with 0-40% EtOAc in hexanes to yield the intermediate benzyl 2,4-bis(benzyloxy)-5-ethyl-6-(2-formyl-3-(trifluoromethyl)-1H-indol-6-yl)nicotinate 66 mg of white powder (25%).

LC-MS: 665.8 [M+H]+, RT 1.84 min. H NMR (500 MHz, acetone-δ) δ ppm 1.00 (t, J=7.3 Hz, 3H), 1.27 (t, J=7.3 Hz, 3H), 2.32 (q, J=7.3 Hz, 2H), 3.10 (q, J=7.3 Hz, 2H), 7.78 (s, 1H), 7.83 (m, 1H), 7.95 (m, 1H), 10.23 (s, 1H), 11.86 (br. s, 1H).

Step B: To a mixture of the intermediate (35 mg, 0.05 mmol), acetic acid (6 µL, 0.10 mmol), triethylamine (14 µE, 0.10 mmol) and dimethylamine hydrochloride (8 mg, 0.10 mmol) in 1,2-dichloroethane (1 mL) was added sodium triacetoxylborohydride (22 mg, 0.10 mmol). The mixture was stirred at room temperature for 1 hr and partitioned between CH₂Cl₂ (4 mL) and aqueous 1 M K₂CO₃ (4 mL). The organic layer was loaded directly onto silica gel, eluting with 3-6% MeOH in CH₂Cl₂ to yield the intermediate benzyl 2,4-bis(benzyloxy)-6-(2-((dimethylamino)methyl)-3-(trifluoromethyl)-1H-indol-6-yl)-5-ethyl nicotinate (20 mg, 58%).

LC-MS: 694.8 [M+H]+, RT 1.33 min.

Step C: A mixture of the intermediate (20 mg, 0.03 mmol), 10% Pd/C (5 mg), MeOH (1 mL) and 3 M HCl in MeOH (5 drops) was stirred under H₂ (1 atm) for 1 hr. The mixture was passed through a 0.3 µm HPLC filter and concentrated, yielding the title compound (12 mg, 100%) as a white powder.

LC-MS: 424.4 [M+H]+, RT 0.94 min. H NMR (500 MHz, DMSO-δ) δ ppm 1.02 (t, J=7.3 Hz, 3H), 2.33 (q, J=7.3 Hz, 2H), 2.85 (br. s, 6H), 4.62 (br. s, 2H), 7.34 (dd, J=8.3, 1.4 Hz, 1H), 7.81 (d, J=8.4 Hz, 1H), 10.49 (br. s, 1H), 12.65 (s, 1H), 12.85 (br. s, 1H), 13.93 (s, 1H), 16.28 (br. s, 1H).

As shown in the table below, additional compounds representative of the present description may be prepared according to Example 3 by substituting the appropriate starting materials, reagents and reaction conditions.

<table>
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<tr>
<th>Cpd</th>
<th>Data</th>
</tr>
</thead>
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<td>26</td>
<td>LC-MS 424.4 [M+H]+, RT 0.95 min. H NMR (500 MHz, DMSO-δ) δ ppm 1.00 (t, J=7.3 Hz, 3H), 1.27 (t, J=7.3 Hz, 3H), 2.32 (q, J=7.3 Hz, 2H), 3.10 (q, J=7.3 Hz, 2H), 7.78 (s, 1H), 7.83 (m, 1H), 7.95 (m, 1H), 10.23 (s, 1H), 11.86 (br. s, 1H).</td>
</tr>
</tbody>
</table>
Example 4

5-Ethyl-4-hydroxy-6-[3-methyl-2-(N-methylglycyl)-1H-indol-6-yl]-2-oxo-1,2-
dihydropyridine-3-carboxylic acid (Cpd 39)

Step A: A mixture of benzyl 6-(2-acetyl-3-methyl-1H-indol-6-yl)-2,4-bis(benzyloxy)-
5-ethylnicotinate (prepared according to the procedure from Example 1, part 2, step B) (0.1 g,
0.16 mmol), Boc₂O (38 mg, 0.18 mmol) and a catalytic amount of DMAP in CH₂Cl₂ (2.0
mL) was stirred at room temperature overnight and then evaporated. The residue was purified
by silica gel column chromatography with EtOAc in hexanes (2 to 25% gradient) to yield the
intermediate tert-butyl 2-acetyl-6-(4,6-bis(benzyloxy)-5-((benzyloxy)carbonyl)-3-
ethylpyridin-2-yl)-3-methyl-1H-indole-1-carboxylate (90 mg, 78%).

LC-MS 735.9, [M+H]⁺, RT 1.86 min.

Step B: Into a mixture of the intermediate (83 mg, 0.11 mmol) and DIPEA (71 mg,
0.55 mmol) in CH₂Cl₂ (1.0 mL) cooled at 0 °C was added TMSOTf (98 mg, 0.44 mmol). The
mixture was stirred at 0 °C for 1 hr and then quenched with saturated sodium bicarbonate
followed by extraction with ether (3x). The organic layer was combined, dried and
 evaporated. To the residue was added THF (1.5 mL) and solid sodium bicarbonate (28 mg).
The mixture was cooled to 0 °C and NBS (20 mg, 0.11 mmol) was added. Water was added
after 1 hr and the mixture was extracted with ether (3x). The ether layers were combined,
then washed with dilute HCl and brine and the solvent was evaporated. Methylamine in
methanol (1.0 mL, 7.0 mmol, 7.0 M) was added to the residue, then after 10 min, the mixture
was evaporated. The residue was purified by silica gel column chromatography with MeOH
in CH₂Cl₂ (0 to 10% gradient) to give the intermediate tert-butyl 6-(4,6-bis(benzyloxy)-5-
((benzyloxy)carbonyl)-3-ethylpyridin-2-yl)-3-methyl-2-(methylglycyl)-1H-indole-1-
carboxylate (24 mg, 28%).

LC-MS 755.0, [M+H]⁺, RT 1.83 min.

Step C: A solution of the intermediate (24 mg, 0.032 mmol) in TFA (1.0 mL) was
stirred at 60 °C for 30 min. The organic volatiles were removed by a stream of N₂ and the
residue was treated with 1 N HCl in ether. The mixture was stirred for 15 min at room
temperature and then filtered. The solid was washed with ether (2x) to give the title compound (12 mg, 89%) as an HCl salt.

LC-MS 382.4, [M+H]^+, RT 0.90 min. H NMR (500 MHz, methanol-^d) δ ppm 7.96 (d, J=8.5 Hz, IH), 7.59 (s, IH), 7.23 (d, J=8.2 Hz, IH), 4.70 (br. s, 2H), 2.90 (s, 3H), 2.74 (s, 3H), 2.47 (q, J=7.3 Hz, 2H), 1.12 (t, J=7.4 Hz, 3H).

As shown in the table below, additional compounds representative of the present description may be prepared according to Example 4 by substituting the appropriate starting materials, reagents and reaction conditions.

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<td>LC-MS 398.3, [M+H]^+, RT 1.02 min. H NMR (500 MHz, DMSO-J_6) δ ppm 16.08-16.30 (br. s, IH), 13.73-13.90 (br. s, IH), 12.69-12.87 (br. s, IH), 9.81-9.99 (br. s, IH), 7.88 (d, J=8.6 Hz, IH), 7.49 (s, IH), 7.12 (d, J=8.6 Hz, IH), 4.78-4.88 (br. s, 2H), 2.88 (s, 6H), 2.59 (s, 3H), 2.24 (q, J=7.2 Hz, 2H), 0.95 (t, J=7.2 Hz, 3H)</td>
</tr>
</tbody>
</table>

**Example 5**

5-Amino-4-hydroxy-6-(1-methyl-1H-indol-5-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid (Cpd 53)

Step A: To a solution of 3-(benzyl)oxy)-2,4-dichloropyridine (2.55 g, 9 mmol) in THF (40 mL) was added LDA (4.2 mL, 10.6 mmol) at -78 °C. After 30 min, iodine (2.97 g, 11.7 mmol) was added and the mixture was stirred at room temperature for 2.5 hrs. The mixture was quenched with saturated NH_4Cl, extracted with EtOAc, washed with Na_2S_2O_3, water and brine, dried over Na_2SO_4, then filtered and concentrated. The residue was chromatographed over silica gel with CH_2Cl_2 in hexanes (0-40%) to give the intermediate 3-(benzyl)oxy)-2,4-dichloro-5-iodopyridine (2.8 g, 76%).

Step B: To a solution of benzyl alcohol (1.56 mL, 15.1 mmol) in THF (20 mL) was added NaH (604 mg, 15.1 mmol, 60% in mineral oil) at 0 °C. The intermediate (2.80 g, 6.86 mmol) in THF (10 mL) was added after 5 min. The reaction mixture was stirred at room temperature for 2 hrs, quenched with saturated NH_4Cl, extracted with ether, washed with water and brine, dried over Na_2SO_4, then filtered and concentrated. The residue was chromatographed from silica gel with EtOAc in hexanes (0-10%) to give the intermediate 2,3,4-tris(benzyl)oxy)-5-iodopyridine (3.205 g, 84%) as a clear oil, which solidified upon standing.
LC-MS 552.2 [M+H]^+, RT 1.69 min.

Step C: To a solution of the intermediate (1.102 g, 2.0 mmol) in THF (5 mL) was added i-PrMgCl-LiCl (3.1 mL, 4.0 mmol, 1.3 M in THF) at -45 °C. After 30 min, the solution was cooled to -78 °C, then cannulated to a solution of 2,4,6-triisopropylbenzenesulfonyl azide (1.856 g, 6.0 mmol) in THF (5 mL) at -78 °C. The mixture was warmed to rt, quenched with saturated NH₄Cl, extracted with ether, washed with water and brine, dried over Na₂SO₄, then filtered and concentrated. The residue was chromatographed from silica gel with EtOAc in hexanes (0-8%) to give the intermediate 5-azido-2,3,4-tris(benzyloxy)pyridine (0.75 g, 80%) as a clear oil.

LC-MS 467.2 [M+H]^+, RT 1.72 min. H NMR (500 MHz, CDC₃) δ ppm 5.12 (s, 2H), 5.28 (s, 2H), 5.38 (s, 2H), 7.26 - 7.40 (m, 15H), 7.93 (s, 1H).

Step D: To a solution of the intermediate (716 mg, 1.53 mmol) in EtOH (15 mL) and THF (7.5 mL) were added zinc (201 mg, 3.07 mmol) and FeCl₃·6H₂O (829 mg, 3.07 mmol). The mixture was refluxed for 1 hr. The mixture was cooled to room temperature, extracted with EtOAc, washed with saturated NaHCO₃, water and brine, dried over Na₂SO₄, then filtered and concentrated. The residue was chromatographed over silica gel with EtOAc in hexanes (0-30%) to give the intermediate 4,5,6-tris(benzyloxy)pyridin-3-amine (0.57 g, 84%) as a yellow oil, which solidified upon standing.

LC-MS 441.1 [M+H]^+, RT 1.48 min.

Step E: To a solution of the intermediate (224 mg, 0.51 mmol) in DMF (2.6 mL) was added NBS (92 mg, 0.51 mmol) at room temperature. The reaction mixture was quenched after 10 min with saturated 10% Na₂C₂O₃, extracted with EtOAc, washed with water and brine, dried over Na₂SO₄, then filtered and concentrated. The residue was chromatographed from silica gel with EtOAc in hexanes (0-10%) to give the intermediate 4,5,6-tris(benzyloxy)-2-bromopyridin-3-amine (0.226 g, 85%) as a light yellow oil.

LC-MS 521.2 [M+H]^+, RT 1.62 min.

Step F: To a mixture of the intermediate (243 mg, 0.467 mmol), (1-methyl-1H-indol-6-yl)boronic acid (163 mg, 0.93 mmol), Pd₂dba₃ (42 mg, 0.05 mmol) and t-Bu₃PHBF₄ (28 mg, 0.09 mmol) in THF (2 mL) was added K₂C₂O₃ (93 µL, 0.19 mmol, 2.0 M in H₂O). The mixture was heated at 45 °C for 15 hrs, then concentrated and the residue chromatographed
over silica gel with EtOAc in hexanes (0-15%) to give the intermediate benzyl 5-amino-2,4-bis(benzyloxy)-6-(1-methyl-1H-indol-6-yl)nicotinate (160 mg, 60%) as a yellow foam.

LC-MS 570.2 [M+H]+, RT 1.65 min.

Step G: To a solution of the intermediate (30 mg, 0.053 mmol) in CH₂Cl₂ (1 mL) and MeOH (1 mL) was added 10% Pd/C (20 mg). The mixture was stirred under a balloon of H₂ for 1.3 hrs, then filtered, concentrated and the residue chromatographed over silica gel with MeOH in CH₂Cl₂ (0-15%) to give the title compound (11 mg, 69%) as an off-white solid.

LC-MS 300.2 [M+H]+, RT 0.98 min. H NMR (500 MHz, DMSO-J₆) δ ppm 3.86 (s, 3H), 6.56 (dd, J=3.2, 0.9 Hz, 1H), 7.37 (dd, J=8.5, 1.6 Hz, 1H), 7.48 (d, J=3.2 Hz, 1H), 7.63 (d, J=8.5 Hz, 1H), 7.83 (d, J=0.9 Hz, 1H).

Biological Examples

The following in vitro biological examples demonstrate the usefulness of the compounds of the present description for treating Neisseria gonorrhoeae.

The antibacterial activity from a microbroth dilution method in either or both Fastidious Broth (FB) and FB containing 40 mg/mL Human Serum Albumin (HSA), as indicated, may be represented by the minimum inhibitory concentration (MIC in µg/mL). The MIC value is the lowest concentration of drug which prevents macroscopically visible growth under test conditions.

In the following tables, a MIC value between > 12.5 µg/mL and ≤ 150 µg/mL is indicated by a single star (*), a MIC value between > 3.5 µg/mL and ≤ 12.5 µg/mL is indicated by two stars (**), a MIC value between > 1.0 µg/mL and ≤ 3.5 µg/mL is indicated by three stars (***), and a MIC value of ≤ 0.5 µg/mL is indicated by four stars (****).

Example 1

Antibacterial activity of test compounds against N. gonorrhoeae WHO isolate F (13477) was compared in FB (Table 1) and FB containing 40 mg/mL HSA.

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<th>Cpd 13477</th>
<th>Cpd 13477</th>
<th>Cpd 13477</th>
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| 36        | ****      | 37        |****
The antibacterial activity of Compound 53 against *N. gonorrhoeae* WHO isolate F (13477) in FB containing 40 mg/mL HSA is ** (two stars).

**Example 2**

Antibacterial activity of test compounds against *N. gonorrhoeae* WHO isolates G, K, L and M (13478, 13479, 13480 and 13481, respectively) is shown in Table 2.

**Table 2**

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**Example 3**

Antibacterial activity of test compounds against a streptomycin-resistant *N. gonorrhoeae* FA1090 isolate was compared in FB (Table 3) and FB containing 40 mg/mL HSA.

**Table 3**

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</table>

The antibacterial activity of Compound 41 against the streptomycin-resistant *N. gonorrhoeae* FA1090 isolate in FB containing 40 mg/mL HSA is * (one star).
Example 4

Antibacterial activity of test compounds against ciprofloxacin-resistant AK1 and AK2 isolates, penicillin-sensitive wild-type *N. gonorrhoeae* FA19 and the LG24 clinical isolate is shown in Table 4.

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Example 5

Antibacterial activity of test compounds against *N. gonorrhoeae* tetracycline-resistant LGB24, penicillin-resistant LGB3 and ampicillin-resistant LGB50 isolates and an MS11 isolate is shown in Table 5.

<table>
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</table>
Antibacterial activity of test compounds against the wild-type *N. gonorrhoeae* isolate 49226 is shown in Table 6.

**Table 6**

<table>
<thead>
<tr>
<th>Cpd</th>
<th>49226</th>
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</table>

**In Vivo Mouse Model**

**Background**

The usefulness of the compounds of the present description for treating *Neisseria gonorrhoeae* may be demonstrated in an *in vivo* mouse model developed by the adaptation of several published protocols (*see*, Jerse, A.E., Experimental Gonococcal Genital Tract Infection and Opacity Protein Expression in estradiol-treated mice. *Infection and Immunity*, 1999, 67(II):5699-5708; and, Cole, J.E. *et al.*, Opacity Proteins Increase *Neisseria gonorrhoeae* Fitness in the Female Genital Tract Due to a Factor Under Ovarian Control. *Infection and Immunity*, 2010, 78(4): 1629-1641).

Compound efficacy is demonstrated when all mice in a treatment group are completely clear of *N. gonorrhoeae* after 5 full days post-treatment (100% clearance). Bacterial clearance is defined as the number of mice in the treatment group free of *N. gonorrhoeae* expressed as a percentage of the total. Complete bacterial clearance (100% clearance) for the treatment group equates to an approximate log 4 reduction in bacterial count for the group. Compounds that achieve less than 100% clearance for the treatment group have an average maximal log drop value calculated by the following equation:

$$\text{Maximal Log Drop} = \log(\text{average Day 2 bacterial count for all mice}) - \log(\text{average lowest bacterial count post dose for all mice})$$

**Study Conduct**

On Day -2 of the study, ovariectomized Balb/c female mice (5 weeks old - Charles River Laboratory) are implanted with a single 17P-estradiol pellet (0.5 mg, 21 day release) subcutaneously and begin treatment with a combination of vancomycin HCl, streptomycin sulfate (0.6 mg and 0.3 mg, respectively, IP, BID) and trimethoprim sulfate (0.8 mg, PO, BID). The antibiotic combination is administered to control commensal flora induced by the
high level of $\beta$-estradiol resulting from the implanted pellet. Combined antibiotic
treatment continues from Day -2 to Day 1 of the study. After Day 1, mice are dosed with
streptomycin only (0.6 mg, IP, QD).

On Day 0 of the study, mice are inoculated with a form of N. gonorrhoeae (target
1 x $10^8$ CFU) suspended in saline. Following inoculation, and for the 7 days of the study, the
bacterial count is determined by daily vaginal swabbing using sterile swabs.

On Day 1 of the study, mice are randomized into treatment groups according to
bacterial count. The treatment groups (n=10) included a vehicle control, a positive control
(such as ciprofloxacin, 30 mg/kg) and test compound group. The treatment groups are dosed
with a single dose (mg/kg) either orally or IP. The vehicle control, positive control and test
compound oral dose is administered in a mixture of HPMC (0.5%) and Tween 80 (0.1%) and
the IP dose is administered in a mixture of DMSO (3%) in saline.

Example 8

Combinations with Antibacterial Agents

The in vitro effects of compounds described herein in combination with a known
antibacterial or antibiotic agent may be investigated in various organisms using the
microdilution checkerboard method for the measurement of additive or synergistic effect.
Assays can be performed in a 96-well checkerboard titration format, with serial dilutions of
each compound to identify the lowest MIC value (g/mL) at which the combination
completely inhibits colony formation. The ability of a combination of one or more
compounds described herein with known agents to either act synergistically, additively,
indifferently or antagonistically can be determined. A synergistic effect is demonstrated
when the activity of the separate agents are combined and the result is greater than the
expected arithmetic sum of each agents activity alone. The fractional inhibitory
concentration (FIC) is a quantitative measure of such drug interactions, where the fractional
inhibition indices are calculated using the checkerboard method in a 96-well microtiter plate.
Combined activity is synergistic when the FIC value is $\leq 0.5$; combined activity is additive
when the FIC value is $> 0.5$ and $< 2$; combined activity that is not different from the agents
alone when the FIC value is $\geq 2$ and $\leq 4$; and, combined activity is antagonistic when the FIC
value is $> 4$.

Without regard to whether a document cited in the present application was
specifically and individually indicated as being incorporated by reference, all documents
referred to herein are incorporated by reference for any and all purposes to the same extent as if each individual reference was fully set forth herein.

Having now fully described the subject matter of the claims, it will be understood by those having ordinary skill in the art that the same can be performed within a wide range of equivalents without affecting the scope of the subject matter or embodiments described herein. It is intended that the appended claims be interpreted to include all such equivalents.
What is claimed is:

1. A compound of Formula (I):

   ![](image)

   (I)

5 or a form thereof, wherein

   Ri is a bicyclic or tricyclic ring system selected from the group consisting of:

   ![Images of Ri structures]

   wherein "*" represents a point of attachment for Ri to the 2-pyridinone of Formula (I); and,

   wherein Ri is substituted on available valences with one to six substituents each selected
   from R5;

10 R2 is hydrogen, cyano, Ci₈alky, hydroxyl-Ci₈alkyl, formyl-Ci-salkyl, Ciaikoxy-Ci-salkyl,
   Ciaalkoxy, C₂₈alkenyl, C₂₈alkynl, carboxyl, amino-Ci₈alkyl, aryl or Cs-cycloalkyl;

R3 is hydrogen, hydroxyl or Ci-galkoxy;
R₅ is hydrogen, halogen, hydroxyl, oxo, cyano, nitro, Ci-galkyl, hydroxyl-Ci-galkyl, halo-Ci-galkyl, Ci-galkoxy, halo-Ci-galkoxy, Ci-galkyl-thio, carboxyl, Ci-galkyl-carbonyl, Ci-galkoxy-carbonyl, amino-carbonyl, amino, Ci-galkyl-amino,
(C₁₈galkyl)₂-amino, C₂galkenyl-amino, (C₂galkenyl)₂-amino, C₂galkynyl-amino,
(C₂galkynyl)₂-amino, amino-Ci-galkyl, Ci-ioalkyl-amino-Ci-galkyl,
(C₁oalkyl)₂-amino-C₁galkyl, C^galkenyl-amino-Ci-galkyl,
(C₂galkenyl)₂-amino-C₁galkyl, C^galkynyl-amino-Ci-galkyl,
(C₂galkynyl)₂-amino-C₁galkyl, halo-Ci-galkyl-amino, (halo-C₁galkyl)₂-amino,
halo-Ci-galkyl-amino-Ci-galkyl, (halo-C₁galkyl)₂-amino-C₁galkyl, Ci-galkoxy-Ci-galkyl-amino, (Ci-galkoxy-Ci-galky^Ci-galky^amino,
(C₁galkoxy-C₁galkyl)₂-amino, Ci-galkoxy-Ci-galkyl-amino-Ci-galkyl,
(Ci-galkoxy-Ci-galky^Ci-galky^amino-Ci-galkyl,
(C₁galkoxy-C₁galkyl)₂-amino, amino-Ci-galkyl-amino-Ci-galkyl,
(amino-Ci-galky^Ci-galky^amino, Ci-galkyl-amino-Ci-galkyl-amino,
(C₁galkyl)₂-amino-C₁galkyl, amino-Ci-galkyl-amino, (amino-Ci-galky^Ci-galky^amino, Ci-galkyl-amino-Ci-galkyl-amino,
[(C₁galkyl)₂-amino-C₁galkyl,C₁galkyl]amino, amino-Ci-galkyl-amino-Ci-galkyl,
(amino-Ci-galky^Ci-galky^amino-Ci-galkyl,
(amino-Ci-galky^Ci-galky^amino-Ci-galkyl,
(C_i-galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(Ci-galkyl-amino-Ci-galky^Ci-galky^amino-Ci-galkyl,
(C₁galkyl)₂-amino-C₁galkyl-amino-C₁galkyl,
[(C₁galkyl)₂-amino-C₁galkyl,C₁galkyl]amino-C₁galkyl,
(C₁galkyl-amino-C₁galkyl)₂-amino-C₁galkyl, hydroxyl-Ci-galkyl-amino,
(hydroxyl-Ci-galky^Ci-galky^amino, (hydroxyl-C₁galkyl)₂-amino,
hydroxyl-Ci-galkyl-amino-Ci-galkyl, (hydroxyl-Ci-galky^Ci-galky^amino-Ci-galkyl,
hydroxyl-C₁galkyl-amino-C₁galkyl-amino,
(hydroxyl-Ci-galkyl-amino-Ci-galkyl-amino,
(hydroxyl-Ci-galky^Ci-galky^amino-Ci-galkyl-amino,
(C_i-galkyl-carbony^Ci-galky^amino-Ci-galkyl, Ci-galkyl-amino-carbonyl,
(C₁₈galkyl)₂-amino-carbonyl, Cs-ncycloalkyl, Cs-ncycloalkyl-Ci-galkyl,
Cs-^cycloalkyl-oxy, Cs-^cycloalkyl-Ci-salkoxy, Cs-wcycloalkyl-amino,
Cs-^cycloalkyl-amino-Ci-galkyl, (Cs-wcycloalkyl^Ci-galky^amino-C^alkyl,}
(Cs-wcycloalkyl-Ci-galkyl-Ci-galkyl-Ci-galkyl-amino-Ci-galkyl,
(C3 _ 1 4 cycloalkyl-C 1 _8 alkyl) 2 -amino-C 1 _8 alkyl, aryl, aryl-Ci-galkyl, aryl-Ci-galkoxy,
aryl-amino, (aryl,Ci-galkyl)amino, (aryl) 2 -amino, aryl-amino-Ci-galkyl,
(aryl-Ci-galkyl-amino-Ci-galkyl, (aryl) 2 -amino-C 1 _8 alkyl, aryl-Ci-galkyl-amino,
(aryl-Ci-galkyl-Ci-galkyl-amino, (aryl-Ci-galkyl) 2 -amino,
aryl-Ci-galkyl-amino-Ci-galkyl, (aryl-Ci-galkyl-Ci-galkyl-amino-Ci-galkyl,
(aryl-C 1 _galkyl) 2 -amino-C 1 _8 alkyl, heteroaryl, heteroaryl-Ci-galkyl, heteroaryl-amino,
heteroaryl-Ci-galkyl-amino, (heteroaryl-Ci-galkyl) 2 -amino, heteroaryl-Ci-galkyl-amino-Ci-galkyl,
(heteroaryl-Ci-galkyl-amino-Ci-galkyl, (heteroaryl-Ci-galkyl-Ci-galkyl-amino-Ci-galkyl,
(heteroaryl-C 1 _galkyl) 2 -amino-C 1 _galkyl,C 1 _galkyl-amino-C 1 _galkyl,
(heterocyclyl-Ci-galkyl-amino-Ci-galkyl, (heterocyclyl-Ci-galkyl-Ci-galkyl-amino-Ci-galkyl,
(heterocyclylCi-galkyl-Ci-galkyl-amino-Ci-galkyl,
(heterocyclyl-Ci-galkyl-amino-Ci-galkyl, (heterocyclyl-Ci-galkyl-Ci-galkyl-amino-Ci-galkyl,
heterocyclyl-oxy-Ci-galkyl-Ci-galkyl-amino, heterocyclyl-Ci-galkyl-carbonyl or
heterocyclyl-carbonyl-oxy;

wherein each instance of Cs-ncycloalkyl, aryl, heterocyclyl is optionally substituted with one,
two or three substituents each selected from R 6 ; and,

R 6 is azido, halogen, hydroxyl, cyano, nitro, Ci-galkyl, halo-Ci-galkyl, hydroxyl-Ci-galkyl,
(Ci-galkoxy-Ci-galkyl, Ci-galkoxy, halo-Ci-galkoxy, hydroxyl-Ci-galkoxy, carboxyl,
Ci-galkyl-carbonyl, Ci-galkoxy-carbonyl, amino, Ci-galkyl-amino, (C 1 _galkyl) 2 -amino,
amino-Ci-galkyl-amino, (amino-Ci-galkyl-Ci-galkyl-amino,
Ci-galkyl-amino-Ci-galkyl-amino, (Ci-galkyl-amino-Ci-galkyl-Ci-galkyl-amino,
(C 1 _galkyl) 2 -amino-C 1 _galkyl-amino, [(C 1 _galkyl) 2 -amino-C 1 _galkyl,C 1 _galkyl]amino,
(halo-Ci-galkyl-amino, (halo-C 1 _galkyl) 2 -amino, halo-Ci-galkyl-amino-Ci-galkyl,
(halo-C 1 _galkyl) 2 -amino-C 1 _galkyl, amino-Ci-galkyl, Ci-galkyl-amino-Ci-galkyl,
(C 1 _galkyl) 2 -amino-C 1 _galkyl, [(C 1 _galkyl) 2 -amino-C 1 _galkyl,C 1 _galkyl]amino-C 1 _galkyl,
Ci-galkyl-thio, amino-carbonyl, Ci-galkyl-amino-carbonyl,
129

(C₈₉alkyl)₂-amino-carbonyl, Ci-galkyl-carbonyl-amino,
(carboxyl-Ci-galky^Ci-galky^amino-carbonyl-amino, Cs-ncycloalkyl,
C₃₋₄cycloalkyl-amino, aryl, aryl-C₈₉alkyl, aryl-amino, (aryl,C₈₉alkyl)amino,
(aryl)₂-amino, aryl-C₈₉alkyl-amino, (aryl-C₈₉alkyl,C₈₉alkyl)amino,
(aryl-C₁₋₄galkyl)₂-amino, aryl-Ci-galkyl-amino-Ci-galkyl,
(aryl-Ci-galky^Ci-galky^amino-Ci-galkyl, (aryl-C₁₋₄galkyl)₂-amino-C₁₋₄galkyl,
aryl-amino-Ci-galkyl, (aryl-Ci-galky^Ci-galky^amino-Ci-galkyl, (aryl)₂-amino-C₁₋₄galkyl,
aryl-amino-carbonyl, aryl-Ci-galkoxy, aryl-Ci-galkoxy-carbonyl-amino, heteroaryl,
heteroaryl-Ci-galkyl, heteroaryl-amino, (heteroaryl)₂-amino,
heteroaryl-Ci-galkyl-amino, (heteroaryl-Ci-galky^Ci-galky^amino,
heteroaryl-C₁₋₄galkyl)₂-amino, heteroaryl-Ci-galkyl-amino-Ci-galkyl,
(heteroaryl-Ci-galky^Ci-galky^amino-Ci-galkyl,
(heteroaryl-C₁₋₄galkyl)₂-amino-C₁₋₄galkyl, heterocyclyl, heterocyclyl-Ci-galkyl,
heterocyclyl-amino-Ci-galkyl or heterocyclyl-oxy;
wherein each instance of Cs-^cycloalkyl is optionally substituted with one substituent
selected from R₉; and,

wherein each instance of aryl is optionally substituted with one halogen substituent; and,
R₉ is Ci-galkyl, amino, Ci-galkyl-amino, (C₁₋₄galkyl)₂-amino, amino-Ci-galkyl,
Ci-galkyl-amino-Ci-galkyl, (C₁₋₄galkyl)₂-amino-C₁₋₄galkyl or aryl-Ci-galkyl-amino; and,

wherein a form of the compound is selected from the group consisting of a prodrug, salt,
hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer,
stereoisomer, polymorph and tautomer form thereof.

2. The compound of claim 1, wherein the the ring system R₁a, R₁b and R₁c is selected
from a R₁a, R₁b,i and R₁c ring system, respectively:
wherein "*" represents a point of attachment for R_{lal}, R_{rib} and R_{lcl} to the 2-pyridinone of Formula (I); and,

wherein R_{5a}, R_{5b}, R_{5c}, and R_{5d}, when present, are selected from the group consisting of:

- hydrogen, halogen, hydroxyl, oxo, cyano, nitro, Ci-galkyl, hydroxyl-Ci-galkyl, halo-Ci-galkyl,
- Ci-galkoxy, halo-Ci-galkoxy, Ci-galkyl-thio, carboxyl, Ci-galkyl-carbonyl,
- Ci-galkoxy-carbonyl, amino-carbonyl, amino, Ci-galkyl-amino, (C_{1-galkyl})_2-amino,
- C_{2-galkeny}-amino, (C_{2-galkenyl})_2-amino, C_{2-galkynyl}-amino, (C_{2-galkynyl})_2-amino,
- amino-Ci-galkyl, Ci-alkyl-amino-Ci-galkyl, (C_{1-galkyl})_2-amino-C_{1-galkyl},
- C^galkenyl-amino-Ci-galkyl, (C_{2-galkenyl})_2-amino-C_{1-galkyl},
- C^galkynyl-amino-Ci-galkyl, (C_{2-galkynyl})_2-amino-C_{1-galkyl}, halo-Ci-galkyl-amino,
- (halo-C_{1-galkyl})_2-amino, halo-Ci-galkyl-amino-Ci-galkyl,
- (halo-C_{1-galkyl})_2-amino-C_{1-galkyl}, Ci-galkoxy-Ci-galkyl-amino,
- (Ci-galkoxy-Ci-galkyl)_2-amino, (C_{1-galkoxy-C_{1-galkyl}})_2-amino,
- Ci-galkoxy-Ci-galkyl-amino-Ci-galkyl,
- (Ci-galkoxy-Ci-galkyl)_2-amino-Ci-galkyl,
- (halo-C_{1-galkyl})_2-amino-C_{1-galkyl}, Ci-galkoxy-Ci-galkyl-amino,
- (Ci-galkoxy-Ci-galkyl)_2-amino-C_{1-galkyl}, amino-Ci-galkyl-amino,
- (amino-Ci-galkyl)_2-amino,
- halo-Ci-galkyl-amino-Ci-galkyl,
- (halo-C_{1-galkyl})_2-amino-C_{1-galkyl}, Ci-galkoxy-Ci-galkyl-amino,
- (Ci-galkoxy-Ci-galkyl)_2-amino-C_{1-galkyl}, amino-Ci-galkyl-amino,
- (amino-Ci-galkyl)_2-amino,
- halo-Ci-galkyl-amino-Ci-galkyl,
Cs-ncycloalkyl-oxy, Cs-ncycloalkyl-Ci-galkoxy, Cs-wcycloalkyl-amino,
C-cycloalkyl-amino-Ci-galkyl, (Cs-cycloalkyl-Ci-galkyl^amino-Ci-galkyl,
(Cs-wcycloalkyl-amino-Ci-galkyl, Cs-wcycloalkyl-Ci-galkyl-amino-Ci-galkyl,
(Cs-wcycloalkyl-Ci-galkyl^amino-Ci-galkyl,
(C3-cycloalkyl-Ci-galkyl)2-amino-Ci-galkyl, aryl, aryl-Ci-galkyl, aryl-Ci-galkoxy,
aryl-amino, (aryl,C1,galkyl)amino, (aryl)2-amino, aryl-amino-Ci-galkyl,
(aryl-Ci-galkyl^amino-Ci-galkyl, (aryl)2-amino-Ci-galkyl, aryl-Ci-galkyl-amino,
(aryl-Ci-galkyl^amino-Ci-galkyl, (aryl-C1,galkyl)2-amino,
aryl-Ci-galkyl-amino-Ci-galkyl, (aryl-Ci-galkyl^amino-Ci-galkyl,
(aryl-C1,galkyl)2-amino-Ci-galkyl, heteroaryl, heteroaryl-Ci-galkyl, heteroaryl-amino,
heteroaryl-Ci-galkyl-amino, (heteroaryl-Ci-galkyl^amino,
(heteroaryl-Ci-galkyl)2-amino, heteroaryl-Ci-galkyl-amino-Ci-galkyl,
(heteroaryl-Ci-galkyl^amino-Ci-galkyl, (heteroaryl-Ci-galkyl^amino-Ci-galkyl,
heterocyclyl, heterocyclyl-Ci-galkyl, heterocyclyl-oxy, heterocyclyl-Ci-galkoxy,
heterocyclyl-amino, (heterocyclyl,C1,galkyl)amino, (heterocyclyl)2-amino,
heterocyclyl-amino-Ci-galkyl, (heterocyclyl-Ci-galkyl^amino-Ci-galkyl,
(heterocyclyl)2-amino-Ci-galkyl,
(heterocyclyl-Ci-galkyl^amino-Ci-galkyl,
heterocyclyl-Ci-galkyl-amino-Ci-galkyl,
(heterocyclyl-Ci-galkyl^amino-Ci-galkyl,
(heterocyclyl-C1,galkyl)2-amino-Ci-galkyl, heterocyclyl-oxy-amino,
(heterocyclyl-oxy^i-galkyl^amino, (heterocyclyl-oxy)2-amino,
(heterocyclyl-oxy-Ci-galkyl^amino-Ci-galkyl, heterocyclyl-carbonyl or
heterocyclyl-carbonyl-oxy;

wherein each instance of Cs-ncycloalkyl, aryl, heterocyclyl is optionally substituted with one,
two or three substituents each selected from R6; and,

R6 is azido, halogen, hydroxyl, cyano, nitro, Ci-galkyl, halo-Ci-galkyl, hydroxyl-Ci-galkyl,
Ci-galkoxy-Ci-galkyl, Q-galkoxy, halo-Ci-galkoxy, hydroxyl-Ci-galkoxy, carboxyl,
Ci-galkyl-carbonyl, Ci-galkoxy-carbonyl, amino, Ci-galkyl-amino, (C1,galkyl)2-amino,
amino-Ci-galkyl-amino, (amino-Ci-galkyl^amino,
Ci-galkyl-amino-Ci-galkyl-amino, (Ci-galkyl-amino-Ci-galkyl^amino-Ci-galkyl-amino,
(C1,galkyl)2-amino-C1,galkyl-amino, [(C1,galkyl)2-amino-C1,galkyl,C1,galkyl]amino,
halo-Ci-galkyl-amino, (halo-C1,galkyl)2-amino, halo-Ci-galkyl-amino-Ci-galkyl,
(halo-C\textsubscript{1-8}alkyl)\textsubscript{2}-amino-C\textsubscript{1-8}alkyl, amino-Ci_galkyl, Ci-galkyl-amino-Ci-galkyl, (C\textsubscript{1-8}alkyl)\textsubscript{2}-amino-C\textsubscript{1-galkyl}, [(C\textsubscript{1-8}alkyl)\textsubscript{2}-amino-C\textsubscript{1-8}alkyl,C\textsubscript{1-8}alkyl]amino-C\textsubscript{1-8}alkyl, Ci-salkyl-thio, amino-carbonyl, Ci-salkyl-amino-carbonyl, (C\textsubscript{1-8}alkyl)\textsubscript{2}-amino-carbonyl, Ci-salkyl-carbonyl-amino, (carboxyl-Ci-galkyl)^Ci-galkyl amino carbonyl amino, C\textsubscript{3,4}cycloalkyl, C\textsubscript{3,4}cycloalkyl-amino, aryl, aryl-Ci_galkyl, aryl-amino, (aryl,Ci_galkyl)amino, (aryl)\textsubscript{2}-amino, aryl-Ci-galkyl-amino, (aryl-Ci-galkyl)^Ci-galkyl amino, (aryl-C\textsubscript{1-galkyl})\textsubscript{2}-amino, aryl-Ci-galkyl-amino-Ci-galkyl, (aryl-Ci-galkyl)^Ci-galkyl amino-Ci-galkyl, (aryl-C\textsubscript{1-galkyl})\textsubscript{2}-amino-C\textsubscript{1-galkyl}, aryl-amino-Ci-galkyl, (aryl-Ci-galkyl)^Ci-galkyl amino-Ci-galkyl, (aryl\textsubscript{2}-amino-C\textsubscript{1-galkyl}, aryl-amino-carbonyl, aryl-Ci-galkoxy, aryl-Ci-galkoxy-carbonyl-amino, heteroaryl, heteroaryl-Ci-galkyl, heteroaryl-amino, (heteroaryl)\textsubscript{2}-amino, heteroaryl-Ci-galkyl-amino, (heteroaryl-Ci-galkyl)^Ci-galkyl amino, (heteroaryl-C\textsubscript{1-galkyl})\textsubscript{2}-amino, heteroaryl-Ci-galkyl-amino-Ci-galkyl, (heteroaryl-Ci-galkyl)^Ci-galkyl amino-Ci-galkyl, (heteroaryl-C\textsubscript{1-galkyl})\textsubscript{2}-amino-C\textsubscript{1-galkyl}, heterocyclyl, heterocyclyl-Ci-galkyl, heterocyclyl-amino-Ci-galkyl or heterocyclyl-oxy;

wherein each instance of C\textsubscript{3,4}cycloalkyl is optionally substituted with one substituent selected from R\textsubscript{9}; and,

wherein each instance of aryl is optionally substituted with one halogen substituent; and, R\textsubscript{9} is Ci-galkyl, amino, Ci_galkyl-amino, (C\textsubscript{1-galkyl})\textsubscript{2}-amino, amino-Ci_galkyl, Ci-galkyl-amino-Ci-galkyl, (C\textsubscript{1-galkyl})\textsubscript{2}-amino-C\textsubscript{1-galkyl} or aryl-Ci-galkyl-amino; and,

wherein a form of the compound is selected from the group consisting of a prodrug, salt, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.
3. The compound of claim 1, wherein the ring system \( R^1, R^n \) and \( R_{lm} \) is selected from a ring system \( R_{ikl}, R_{i} \) and \( R_{lml} \) ring system, respectively:

\[
\begin{align*}
&\text{R}_{ikl} & \text{Rin} & \text{R}_{lml} \\
&\text{R}_5a & \text{R}_5b & \text{R}_5c & \text{R}_5d & \text{R}_5e & \text{R}_5f
\end{align*}
\]

wherein "*" represents a point of attachment for \( R_{ikl}, \text{Rin} \) and \( R_{lml} \) to the 2-pyridinone of Formula (I); and,

wherein \( R_{5a}, R_{5b}, R_{5c}, R_{5d}, R_{5e} \) and \( R_{5f} \), when present, are selected from the group consisting of:

- Hydrogen, halogen, hydroxyl, oxo, cyano, nitro, \( \text{Ci-galkyl} \), hydroxyl-Ci-galkyl, halogen-Ci-galkyl,
- \( \text{Ci-galkoxy}, \text{halo-Ci-galkoxy}, \text{Ci-galkyl-thio}, \text{carboxyl}, \text{Ci-galkyl-carbonyl}, \)
- \( \text{Ci-galkoxy-carbonyl}, \text{amino-carbonyl}, \text{amino}, \text{Ci-galkyl-amino}, \text{(C}_1\text{galkyl)}_2\text{amino}, \)
- \( \text{C}_2\text{-galkenyl-amino}, \text{(C}_2\text{-galkenyl)}_2\text{amino}, \text{C}_2\text{-galkynyl-amino}, \text{(C}_2\text{-galkynyl)}_2\text{amino}, \)
- \( \text{amino-Ci-galkyl}, \text{Ci-alkyl-amino-Ci-galkyl}, \text{(C}_1\text{-alkyl)}_2\text{amino-C}_1\text{-galkyl}, \)
- \( \text{C}_1\text{-galkenyl-amino-Ci-galkyl}, \text{(C}_1\text{-galkenyl)}_2\text{amino-C}_1\text{-galkyl}, \)
- \( \text{C}_1\text{-galkynyl-amino-Ci-galkyl}, \text{(C}_1\text{-galkynyl)}_2\text{amino-C}_1\text{-galkyl}, \)
- \( \text{halo-C}_1\text{-galkyl-amino-Ci-galkyl}, \text{halo-C}_1\text{-galkyl-amino}, \)
- \( \text{C}_1\text{-galkyl-amino-Ci-galkyl}, \text{(C}_1\text{-galkyl)}_2\text{amino-C}_1\text{-galkyl}, \)
- \( \text{halo-C}_1\text{-galkyl-amino-Ci-galkyl}, \text{(C}_1\text{-galkyl)}_2\text{amino-C}_1\text{-galkyl}, \)
- \( \text{amino-Ci-galkyl-amino-Ci-galkyl}, \text{amino-Ci-galkyl-amino}, \text{amino-Ci-galkyl-amino-Ci-galkyl}, \)
- \( \text{amino-Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl}, \text{(amino-Ci-galkyl)}_2\text{amino-C}_1\text{-galkyl}, \)
- \( \text{Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl}, \text{amino-Ci-galkyl-amino-Ci-galkyl}, \)

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(C18alkyl)2-amino-C18alkyl-amino-C18alkyl,
[(C18alkyl)2-amino-C18alkyl,C18alkyl]amino-C18alkyl,
(C18alkyl-amino-C18alkyl)2-amino-C18alkyl, hydroxyl-C1salkyl-amino,
(hydroxyl-C1salkyl-amino-C1salkyl-amino, (hydroxyl-C14alkyl)2-amino,
hydroxyl-C1salkyl-amino-C1salkyl, (hydroxyl-C1galkyl^-Ci-galky^amino-Ci-galkyl,
(hydroxyl-C1galkyl-amino-Ci-galkyl^-Ci-galky^amino, (hydroxyl-C1galkyl^-Ci-galky^amino-Ci-galkyl-amino,
(hydroxyl-C1galkyl^-Ci-galky^amino-Ci-galkyl^-Ci-galky^amino,
(C18alkyl)2-amino-carbonyl, Cs-cycloalkyl, Cs-wcycloalkyl-C1salkyl,
Cs-cycloalkyl-oxy, Cs-wcycloalkyl-C1salkoxy, Cs-cycloalkyl-amino,
Cs2-cycloalkyl-amino-C1galkyl, (Cs2-cycloalkyl^-Ci-galky^amino-Ci-galkyl,
(Cs2-cycloalkyl^-Ci-galky^amino-Ci-galkyl, aryl, aryl-C1alkyl, aryl-C1alkoxy,
aryl-amino, (aryl,C1salkyl)amino, (aryl)2-amino, aryl-amino-C1galkyl,
(aryl^-Ci-galky^amino-Ci-galkyl, (aryl)2-amino-C1galkyl, aryl-C1galkyl-amino,
(aryl-C1salkyl-amino-C1galkyl, (aryl-C1salkyl^-Ci-galky^amino-C1galkyl,
(aryl-C14alkyl)2-amino-C1salkyl, heteroaryl, heteroaryl-C1galkyl, heteroaryl-amino,
heteroaryl-C1galkyl-amino, (heteroaryl-C1galkyl^-Ci-galky^amino-C1galkyl,
(heteroaryl-C1salkyl^-Ci-galky^amino-C1galkyl, (heteroaryl-C1salkyl^-Ci-galky^amino-Ci-galkyl,
heterocyclyl, heterocyclyl-C1galkyl, heterocyclyl-oxy, heterocyclyl-C1salkoxy,
heterocyclyl-amino, (heterocyclyl,C1salkyl)amino, (heterocyclyl)2-amino,
heterocyclyl-amino-C1galkyl, (heterocyclyl,C1salkyl)amino-C1salkyl,
(heterocyclyl)2-amino-C1salkyl,
(heterocyclyl^-Cs14cycloalkyl-C1galkyl^-amino-C1galkyl,
heterocyclyl^-Ci-galkyl-amino-C1galkyl, (heterocyclyl^-Ci-galky^amino-C1galkyl,
(heterocyclyl^-Ci-galky^amino-Ci-galkyl, (heterocyclyl^-Ci-galky^amino-C1galkyl,
heterocyclyl-oxy-amino, (heterocyclyl-oxy)2-amino,
(heterocyclyl-oxy-C_i-galky^Ci-galky^amino, heterocyclyl-carbonyl or heterocyclyl-carbonyl-oxy;
wherein each instance of C_3-^cycloalkyl, aryl, heterocyclyl is optionally substituted with one, two or three substituents each selected from R_6; and,
R_6 is azido, halogen, hydroxyl, cyano, nitro, Ci_galkyl, halo-Ci_galkyl, hydroxyl-Ci_galkyl, Ci-galkoxy-Ci_galkyl, Ci_galkoxy, halo-Ci_galkoxy, hydroxyl-Ci_galkoxy, carboxyl, Ci-galkyl-carbonyl, Ci_galkoxy-carbonyl, amino, Ci_galkyl-amino, (Ci_galkyl)_2-amino, amino-Ci_galkyl-amino, (amino-Ci_galkyl^Ci_galkyl^amino, Ci-galkyl-amino-Ci_galkyl-amino, (Ci-galkyl-amino-Ci_galkyl-amino, (Ci_galkyl)_2-amino-C_i_galkyl-amino, (C_1_galkyl)_2-amino-C_i_galkyl-amino, [C_1_galkyl)_2-amino-C_i_galkyl,C_i_galkyl]amino, halo-Ci_galkyl-amino, (halo-C_i_galkyl)_2-amino, halo-C_i_galkyl-amino-Ci_galkyl, (halo-C_i_galkyl)_2-amino-C_i_galkyl, amino-Ci_galkyl, Ci-galkyl-amino-Ci_galkyl, (C_1_galkyl)_2-amino-C_i_galkyl, [C_1_galkyl)_2-amino-C_i_galkyl,C_i_galkyl]amino-C_i_galkyl, Ci-galkyl-thio, amino-carbonyl, Ci_galkyl-amino-carbonyl, (carboxyl-Ci_galkyl^Ci_galkyl^amino-carbonyl-amino, Cs^cycloalkyl, Cs-n cycloalkyl-amino, aryl, aryl-Ci_galkyl, aryl-amino, (aryl,Ci_galkyl)amino, (aryl)_2-amino, aryl-Ci_galkyl-amino, (aryl,Ci_galkyl^Ci_galkyl^amino, (aryl-C_i_galkyl)_2-amino, aryl-C_i_galkyl-amino-Ci_galkyl, (aryl-Ci_galkyl^Ci_galkyl^amino-Ci_galkyl, (aryl-C_i_galkyl)_2-amino-C_i_galkyl, aryl-amino-Ci_galkyl, (aryl,Ci_galkyl^Ci_galkyl^amino-Ci_galkyl, (aryl)_2-amino-C_i_galkyl, aryl-amino-carbonyl, aryl-Ci_galkoxy, aryl-Ci_galkoxy-carbonyl-amino, heteroaryl, heteroaryl-Ci_galkyl, heteroaryl-amino, (heteroaryl)_2-amino, heteroaryl-Ci_galkyl-amino, (heteroaryl,Ci_galkyl^Ci_galkyl^amino, (heteroaryl-C_i_galkyl)_2-amino, heteroaryl-Ci_galkyl-amino-Ci_galkyl, (heteroaryl,Ci_galkyl^Ci_galkyl^amino-Ci_galkyl, (heteroaryl-C_i_galkyl)_2-amino-C_i_galkyl, heterocyclyl, heterocyclyl-Ci_galkyl, heterocyclyl-amino-Ci_galkyl or heterocyclyl-oxy;
wherein each instance of C_3_i,cycloalkyl is optionally substituted with one substituent
selected from R_9; and,
wherein each instance of aryl is optionally substituted with one halogen substituent;
R_9 is Ci-galkyl, amino, Ci-galkyl-amino, (Ci_galkyl)_2-amino, amino-Ci_galkyl, Ci_galkyl-amino-Ci_galkyl, (C_1_galkyl)_2-amino-C_i_galkyl or aryl-Ci_galkyl-amino; and,
wherein a form of the compound is selected from the group consisting of a prodrug, salt, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

4. The compound of claim 1, wherein the ring system R^, R_{ii} and R_{ij} is selected from a R_{ihi}, R_{iii} and R_{ijl} ring system, respectively:

wherein "*" represents a point of attachment for R_{mi}, R_{ijl} and R_{ijl} to the 2-pyridinone of Formula (I); and,

wherein R_{5a} and R_{5b}, when present, are selected from the group consisting of:

hydrogen, halogen, hydroxyl, oxo, cyano, nitro, C_{i-galkyl}, hydroxyl-C_{i-galkyl}, halo-C_{i-galkyl},

Ci-galkoxy, halo-C_{i-galkoxy}, Ci-galkyl-thio, carboxyl, Ci-galkyl-carbonyl,

Ci-galkoxy-carbonyl, amino-carbonyl, amino, C_{1-galkyl}-amino, (C_{1-galkyl})_{2}-amino,

C_{2-galkenyl}-amino, (C_{2-galkenyl})_{2}-amino, C_{2-galkynyl}-amino, (C_{2-galkynyl})_{2}-amino,

amino-Ci-galkyl, Ci-ioalkyl-amino-Ci-galkyl, (C_{1-oalkyl})_{2}-amino-C_{i-galkyl},

C_{i-galkenyl}-amino-C_{i-galkyl}, (C_{2-galkenyl})_{2}-amino-C_{i-galkyl},

C_{i-galkynyl}-amino-Ci-galkyl, (C_{2-galkynyl})_{2}-amino-C_{i-galkyl}, halo-C_{i-galkyl}-amino,

(halo-C_{1-galkyl})_{2}-amino, halo-C_{i-galkyl}-amino-C_{i-galkyl},

(halo-C_{1-galkyl})_{2}-amino-C_{i-galkyl}, Ci-galkoxy-Ci-galkyl-amino,

(Ci-galkoxy-Ci-galkyl)_{2}-amino, (C_{1-galkoxy-C_{1-galkyl}})_{2}-amino,

Ci-galkoxy-Ci-galkyl-amino-Ci-galkyl,

(Ci-galkoxy-Ci-galkyl)_{2}-amino-C_{i-galkyl},

(C_{1-galkoxy-C_{1-galkyl}})_{2}-amino-C_{i-galkyl}, amino-Ci-galkyl-amino,

(amino-C_{1-galkyl})_{2}-amino-C_{i-galkyl},

(Ci-galkyl-amino-Ci-galkyl)_{2}-amino-C_{i-galkyl}, (C_{1-galkyl})_{2}-amino-C_{i-galkyl}-amino,

[(C_{1-galkyl})_{2}-amino-C_{1-galkyl}], amino-Ci-galkyl-amino-Ci-galkyl,

(amino-Ci-galkyl)_{2}-amino-C_{i-galkyl}, (amino-C_{1-galkyl})_{2}-amino-C_{i-galkyl},

Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl,

(Ci-galkyl-amino-Ci-galkyl)_{2}-amino-C_{i-galkyl},

(Ci-galkyl-amino-Ci-galkyl)_{2}-amino-C_{i-galkyl},
(C<sub>1-8</sub>alkyl)<sub>2</sub>-amino-C<sub>1-8</sub>alkyl-amino-C<sub>1-8</sub>alkyl,
(C<sub>1-8</sub>alkyl)\two{}-amino-C<sub>1-8</sub>alkyl,C<sub>1-8</sub>alkyl, hydroxyl-C<sub>1-8</sub>alkyl-amino,
(hydroxyl-C<sub>1-8</sub>alkyl^Ci-salkyl^amino, (hydroxyl-C<sub>1-8</sub>alkyl)\two{}-amino,
hydroxyl-C<sub>1-8</sub>alkyl-amino-C<sub>1-8</sub>alkyl-amino,
(hydroxyl-C<sub>1-8</sub>alkyl-amino-C<sub>1-8</sub>alkyl)^Ci-galky^amino,
[(hydroxyl-C<sub>1-8</sub>alkyl)^Ci-galky^amino-C<sub>1-8</sub>alkyl,C<sub>1-8</sub>alkyl]amino-C<sub>1-8</sub>alkyl,
(C<sub>1-8</sub>alkyl)-amino-carbonyl,
(C<sub>1-8</sub>alkyl)-amino-carbonyl, Cs^cycloalkyl, Cs^w-cycloalkyl-Ci-salkyl,
(Cs^w-cycloalkyl oxy, Cs^w-cycloalkyl-Ci-salkoxy, Cs^w-cycloalkyl-amino,
(C<sub>3-14</sub>cycloalkyl-amino-C<sub>1-8</sub>alkyl, (C<sub>-14</sub>cycloalkyl^Ci-galky^amino-C<sub>-14</sub>cycloalkyl,
(C<sub>3-14</sub>cycloalkyl)\two{}-amino-C<sub>1-8</sub>alkyl, Cs^w-cycloalkyl-Ci-galkyl-amino-C<sub>1-8</sub>alkyl,
(Cs^w-cycloalkyl-Ci-galky^Ci-galky^amino-Ci-galkyl,
(C<sub>3-14</sub>cycloalkyl-C<sub>1-8</sub>alkyl)-amino-C<sub>1-8</sub>alkyl, aryl, aryl-C^alkyl, aryl-C^alkoxy,
aryl-amino, (aryl,C<sub>1-8</sub>alkyl)amino, (aryl)\two{}-amino, aryl-amino-C<sub>1-8</sub>alkyl,
(aryl-C<sub>1-8</sub>alkyl^Ci-galky^amino-C<sub>1-8</sub>alkyl, (aryl)^two{}-amino-C<sub>1-8</sub>alkyl, aryl-C<sub>1-8</sub>alkyl-amino,
(aryl-C<sub>1-8</sub>alkyl^Ci-galky^amino-C<sub>1-8</sub>alkyl, (aryl)^two{}-amino-C<sub>1-8</sub>alkyl, aryl-C<sub>1-8</sub>alkyl-amino,
(aryl-C<sub>1-8</sub>alkyl-amino-Ci-galkyl, (aryl-C<sub>1-8</sub>alkyl)^two{}-amino-C<sub>1-8</sub>alkyl, heteroaryl, heteroaryl-C<sub>1-8</sub>alkyl, heteroaryl-amino,
heteroaryl-Ci-galkyl-amino, (heteroaryl-Ci-galky^Ci-galky^amino,
(heteroaryl-C<sub>1-8</sub>alkyl)^two{}-amino, heteroaryl-C<sub>1-8</sub>alkyl-amino-C<sub>1-8</sub>alkyl,
(heteroaryl-Ci-galky^amino-Ci-galkyl, (heteroaryl-C<sub>-14</sub>cycloalkyl-Ci-galky^amino-Ci-galkyl,
heterocyclyl, heterocyclyl-Ci-galkyl, heterocyclyl-oxy, heterocyclyl-Ci-galkoxy,
heterocyclyl-amino, (heterocyclyl,C<sub>1-8</sub>alkyl)amino, (heterocyclyl)^two{}-amino,
heterocyclyl-amino-Ci-galkyl, (heterocyclyl,C^alkyl)amino-C^alkyl,
(heterocyclyl)^two{}-amino-C<sub>1-8</sub>alkyl,
(heterocyclyl^Cs<sub>-14</sub>cycloalkyl-Ci-galky^amino-Ci-galkyl,
heterocyclyl-Ci-galkyl-amino-Ci-galkyl,
(heterocyclyl-C<sub>1-8</sub>alkyl)^two{}-amino-C<sub>1-8</sub>alkyl, heterocyclyl-oxy-amino,
(heterocyclyl-oxy^i-galky^amino, (heterocyclyl-oxy)^two{}-amino,
(heterocyclyl-oxy-C\_galky\^\_*galky\^\_amino, heterocyclyl-carbonyl or heterocyclyl-carbonyl-oxy; 
wherein each instance of C\_3-\_4-cycloalkyl, aryl, heterocyclyl is optionally substituted with one, 
two or three substituents each selected from R\_6; and, 
R\_6 is azido, halogen, hydroxyl, cyano, nitro, Ci\_galkyl, halo-Ci\_galkyl, hydroxyl-Ci\_galkyl, 
Ci\_galkoxy-Ci\_galkyl, Ci\_galkoxy, halo-Ci\_galkoxy, hydroxyl-Ci\_galkoxy, carboxyl, 
Ci\_galkyl-carbonyl, Ci\_galkoxy-carbonyl, amino, Ci\_galkyl-amino, (Ci\_galkyl)_2-amino, 
amino-Ci\_galkyl-amino, (amino-Ci\_galky\^\_*galky\^\_amino, 
Ci\_galkyl-amino-Ci\_galkyl-amino, (Ci\_galkyl-amino-Ci\_galky\^\_*galky\^\_amino, 
(C\_1\_galkyl)_2-amino-C\_1\_galkyl-amino, [(C\_1\_galkyl)_2-amino-C\_1\_galkyl,C\_1\_galkyl]amino, 
halo-Ci\_galkyl-amino, (halo-C\_1\_galkyl)_2-amino, halo-Ci\_galkyl-amino-Ci\_galkyl, 
(halo-C\_1\_galkyl)_2-amino-C\_1\_galkyl, amino-Ci\_galkyl, Ci\_galkyl-amino-Ci\_galkyl, 
(C\_1\_galkyl)_2-amino-C\_1\_galkyl, [(C\_1\_galkyl)_2-amino-C\_1\_galkyl,C\_1\_galkyl]amino-C\_1\_galkyl, 
Ci\_galkyl-thio, amino-carbonyl, Ci\_galkyl-amino-carbonyl, 
(C\_1\_galkyl)_2-amino-carbonyl, Ci\_galkyl-carbonyl-amino, 
(carboxyl-Ci\_galky\^\_*galky\^\_amino-carbonyl-amino, Cs\_3-\_4-cycloalkyl, 
Cs\_ncycloalkyl-amino, aryl, aryl-Ci\_galkyl, aryl-amino, (aryl,Ci\_galkyl)amino, 
(aryl)\_2-amino, aryl-Ci\_galkyl-amino, (aryl-Ci\_galky\^\_*galky\^\_amino, 
(aryl-C\_1\_galkyl)\_2-amino, aryl-Ci\_galkyl-amino-Ci\_galkyl, 
(aryl-Ci\_galky\^\_*galky\^\_amino-Ci\_galkyl, (aryl-C\_1\_galkyl)\_2-amino-C\_1\_galkyl, 
aryl-amino-Ci\_galkyl, (aryl-Ci\_galky\^\_*galky\^\_amino-Ci\_galkyl, (aryl)\_2-amino-C\_1\_galkyl, 
aryl-amino-carbonyl, aryl-Ci\_galkoxy, aryl-Ci\_galkoxy-carbonyl-amino, heteroaryl, 
heteroaryl-Ci\_galkyl, heteroaryl-amino, (heteroaryl)\_2-amino, 
heteroaryl-Ci\_galkyl-amino, (heteroaryl-Ci\_galky\^\_*galky\^\_amino, 
(heteroaryl-C\_1\_galkyl)\_2-amino, heteroaryl-Ci\_galkyl-amino-Ci\_galkyl, 
(heteroaryl-Ci\_galky\^\_*galky\^\_amino-Ci\_galkyl, (heteroaryl-C\_1\_galkyl)\_2-amino-C\_1\_galkyl, heterocyclyl, 
heterocyclyl-Ci\_galkyl, heterocyclyl-amino-Ci\_galkyl or heterocyclyl-oxy; 
wherein each instance of C\_3-\_4-cycloalkyl is optionally substituted with one substituent 
selected from R\_9; and, 
wherein each instance of aryl is optionally substituted with one halogen substituent; and, 
R\_9 is Ci\_galkyl, amino, Ci\_galkyl-amino, (Ci\_galkyl)\_2-amino, amino-Ci\_galkyl, 
Ci\_galkyl-amino-Ci\_galkyl, (C\_1\_galkyl)\_2-amino-C\_1\_galkyl or aryl-Ci\_galkyl-amino; and,
wherein a form of the compound is selected from the group consisting of a prodrug, salt, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

5. The compound of claim 1, wherein the ring system $R_{1d}, R_{1e}, R_i$ and $R_{lg}$ is selected from a $R_{1d}, R_{1e}, R_{1f}$ and $R_{lg}$ ring system, respectively:

\[
\begin{align*}
R_{1d} & \quad R_{1e} & \quad R_{1f}, \text{ and} & \quad R_{lg},
\end{align*}
\]

wherein "*" represents a point of attachment for $R_{1d}, R_{1e}, R_{1f}$ and $R_{lg}$, to the 2-pyridinone of Formula (I); and,

wherein $R_{3a}, R_{3b}, R_{3c}$ and $R_{3d}$, when present, are selected from the group consisting of:

- hydrogen, halogen, hydroxyl, oxo, cyano, nitro, Ci-galkyl, hydroxyl-Ci-galkyl, halo-Ci-galkyl,
- Ci-galkoxy, halo-Ci-galkoxy, Cu-galkyl-thio, carboxyl, Ci-galkyl-carbonyl,
- Ci-galkoxy-carbonyl, amino-carbonyl, amino, Ci-galkyl-amino, (C$_1$galkyl)$_2$-amino,
- C$_2$galkenyl-amino, (C$_2$galkenyl)$_2$-amino, C$_2$galkynyl-amino, (C$_2$galkynyl)$_2$-amino,
- amino-Ci-galkyl, Ci-galkoyl-amino-Ci-galkyl, (C$_1$galkyl)$_2$-amino-C$_1$galkyl,
- C$_1$galkenyl-amino-Ci-galkyl, (C$_2$galkenyl)$_2$-amino-C$_1$galkyl,
- C$_1$galkynyl-amino-Ci-galkyl, (C$_2$galkynyl)$_2$-amino-C$_1$galkyl, halo-Ci-galkyl-amino,
- (halo-C$_1$galkyl)$_2$-amino, halo-Ci-galkyl-amino-Ci-galkyl,
- (halo-C$_1$galkyl)$_2$-amino-C$_1$galkyl, Ci-galkoxy-Ci-galkyl-amino,
- (Ci-galkoxy-C$_1$galkyl)$^*$-amino, (C$_1$galkoxy-C$_1$galkyl)$_2$-amino,
- Ci-galkoxy-Ci-galkyl-amino-Ci-galkyl,
- (Ci-galkoxy-C$_1$galkyl)$^*$-amino-Ci-galkyl,
- (C$_1$galkoxy-C$_1$galkyl)$_2$-amino-C$_1$galkyl, amino-Ci-galkyl-amino,
- (amino-Ci-galkyl)$^*$-amino-C$_1$galkyl-amino-Ci-galkyl-amino,
- (Ci-galkyl-amino-Ci-galkyl)$^*$-amino-C$_1$galkyl-amino,
- (Ci-galkyl-amino-Ci-galkyl)$^*$-amino-C$_1$galkyl-amino,
- (C$_1$galkyl)$_2$-amino-C$_1$galkyl, Ci-galkyl-amino-Ci-galkyl-amino,
- (Ci-galkyl-amino-Ci-galkyl)$^*$-amino-C$_1$galkyl,$C_{1galkyl}$amino, amino-Ci-galkyl-amino-Ci-galkyl,
- (amino-Ci-galkyl)$^*$-amino-C$_1$galkyl,$C_{1galkyl}$amino-C$_1$galkyl,
- Ci-galkyl-amino-Ci-galkyl-amino-Ci-galkyl,
(Ci-galkyl-amino-Ci-galky^Ci-galky^amino-Ci-galkyl,
(C_1_galkyl)_2-amino-C_1_galkyl-amino-C_1_galkyl,
[(C_1_galkyl)_2-amino-C_1_galkyl,C_1_galkyl]amino-C_1_galkyl,
(C_1_galkyl-amino-C_1_galkyl)_2-amino-C_1_galkyl, hydroxyl-Ci-galkyl-amino,
(hydroxyl-Ci-galky^Ci-galky^amino, (hydroxyl-C_1_galkyl)_2-amino, hydroxyl-Ci-galkyl-amino-Ci-galkyl, (hydroxyl-Ci-galky^Ci-galky^amino-Ci-galkyl,
hydroxyl-C_1_galkyl-amino-C_1_galkyl-amino, (hydroxyl-Ci-galkyl-amino-Ci-galky^Ci-galky^amino,  
(hydroxyl-Ci-galky^Ci-galky^amino-Ci-galkyl-amino,  
(Ci-galkyl-carbony^Ci-galky^amino-Ci-galkyl, Ci-galkyl-amino-carbonyl, 
(C_1_galkyl)_2-amino-carbonyl, C_3_14cycloalkyl, C_3_14cycloalkyl-C_1_galkyl,  
Cs-ncycloalkyl-oxy, C_3_14cycloalkyl-C_1_galkoxy, Cs-wcycloalkyl-amino,  
C_3_14cycloalkyl-amino-Ci-galkyl, (Cs-14cycloalky^Ci-galky^amino-Ci-galkyl,  
(C_3_14cycloalkyl)_2-amino-C_1_galkyl, Cs-14cycloalkyl-Ci-galkyl-amino-Ci-galkyl,  
(Cs-wcycloalkyl-Ci-galky^Ci-galky^amino-Ci-galkyl, (C_3_14cycloalkyl)_2-amino-C_1_galkyl, aryl, aryl-Ci-galkyl, aryl-Q-galkoxy,  
aryl-amino, (aryl,C_1_galkyl)amino, (aryl)_2-amino, aryl-amino-Ci-galkyl,  
(aryl-Ci-galky^Ci-galky^amino-Ci-galkyl, (aryl)_2-amino-C_1_galkyl, aryl-Ci-galkyl-amino,  
(aryl-Ci-galky^Ci-galky^amino-Ci-galkyl, (aryl-C_1_galkyl)_2-amino,  
aryl-Ci-galkyl-amino-Ci-galkyl, (aryl-Ci-galky^Ci-galky^amino-Ci-galkyl, (aryl-C_1_galkyl)_2-amino-C_1_galkyl, heteroaryl, heteroaryl-Ci-galkyl, heteroaryl-amino,  
heteroaryl-Ci-galkyl-amino, (heteroaryl-Ci-galky^Ci-galky^amino,  
(heteroaryl-C_1_galkyl)_2-amino, heteroaryl-Ci-galkyl-amino-Ci-galkyl,  
(heteroaryl-Ci-galky^Ci-galky^amino-Ci-galkyl, (heteroaryl-Ci-galky^Ci-galky^amino-Ci-galkyl, heterocyclyl, heterocyclyl-Ci-galkyl, heterocyclyl-oxy, heterocyclyl-Ci-galkoxy,  
heterocyclyl-amino, (heterocyclyl,C_1_galkyl)amino, (heterocyclyl)_2-amino, heterocyclyl-amino-Ci-galkyl, (heterocyclyl-Ci-galky^Ci-galky^amino-Ci-galkyl,
(heterocyclyl)_2-amino-C_1_galkyl, heterocyclyl-oxy-amino,
(heterocyclyl-oxy^i-galky^amino, (heterocyclyl-oxy) _2^-amino,
(heterocyclyl-oxy-Ci-galky^Ci-galky^amino, heterocyclyl-carbonyl or
heterocyclyl-carbonyl-oxy);
wherein each instance of Cs^-cycloalkyl, aryl, heterocyclyl is optionally substituted with one,
two or three substituents each selected from R_6; and,
R_6 is azido, halogen, hydroxyl, cyano, nitro, Ci-galkyl, halo-Ci-galkyl, hydroxyl-Ci-galkyl,
Ci-galkoxy-Ci-galkyl, Ci-galkoxy, halo-Ci-galkoxy, hydroxyl-Ci-galkoxy, carboxyl,
Ci-galkyl-carbonyl, Ci-galkoxy-carbonyl, amino, Ci-galkyl-amino, (C_i-galkyl)_2^-amino,
amino-Ci-galkyl-amino, (amino-Ci-galky^Ci-galky^amino,
Ci-galkyl-amino-Ci-galkyl-amino, (Ci-galkyl-amino-Ci-galky^Ci-galky^amino,
(C_i-galkyl)_2^-amino-C_i-galkyl-amino, [(Ci-galkyl)_2^-amino-C_i-galkyl,C_i-galkyl]amino,
halo-Ci-galkyl-amino, (halo-C_i-galkyl)_2^-amino, halo-Ci-galkyl-amino-Ci-galkyl,
(halo-C_i-galkyl)_2^-amino-C_i-galkyl, amino-Ci-galkyl-amino-Ci-galkyl,
(C_i-galkyl)_2^-amino-C_i-galkyl, [(C_i-galkyl)_2^-amino-C_i-galkyl,C_i-galkyl]amino-C_i-galkyl,
Ci-galkyl-thio, amino-carbonyl, Ci-galkyl-amino-carbonyl,
(C_i-galkyl)_2^-amino-carbonyl, Ci-galkyl-carbonyl-amino,
(carboxyl-Ci-galky^Ci-galky^amino-carbonyl-amino, Cs-ncycloalkyl,
Cs-ncycloalkyl-amino, aryl, aryl-Ci-galkyl, aryl-amino, (aryl,C_i-galkyl]amino,
(aryl)_2^-amino, aryl-Ci-galkyl-amino, (aryl-Ci-galky^Ci-galky^amino,
(aryl-C_i-galkyl)_2^-amino, aryl-Ci-galkyl-amino-Ci-galkyl,
(aryl-Ci-galky^Ci-galky^amino-Ci-galkyl, (aryl-C_i-galkyl)_2^-amino-C_i-galkyl,
aryl-amino-Ci-galkyl, (aryl-Ci-galky^amino-Ci-galkyl, (aryl)_2^-amino-C_i-galkyl,
aryl-amino-carbonyl, aryl-Ci-galkoxy, aryl-Ci-galkoxy-carbonyl-amino, heteroaryl,
heteroaryl-Ci-galkyl, heteroaryl-amino, (heteroaryl)_2^-amino,
heteroaryl-Ci-galkyl-amino, (heteroaryl-Ci-galky^Ci-galky^amino,
(heteroaryl-C_i-galkyl)_2^-amino, heteroaryl-Ci-galkyl-amino-Ci-galkyl,
(heteroaryl-Ci-galky^Ci-galky^amino-Ci-galkyl,
(heteroaryl-C_i-galkyl)_2^-amino-C_i-galkyl, heterocyclyl, heterocyclyl-Ci-galkyl,
heterocyclyl-amino-Ci-galkyl or heterocyclyl-oxy;
wherein each instance of Cs-ncycloalkyl is optionally substituted with one substituent
selected from R_9; and,
wherein each instance of aryl is optionally substituted with one halogen substituent; and,
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r 9 is C\textsubscript{i}-galkyl, amino, C\textsubscript{i}-galkyl-amino, (C\textsubscript{i\textsubscript{1}}-galkyl)\textsubscript{2}-amino, amino-C\textsubscript{i}-galkyl, C\textsubscript{i}-galkyl-amino-C\textsubscript{i}-galkyl, (C\textsubscript{i\textsubscript{1}}-galkyl)\textsubscript{2}-amino-C\textsubscript{i\textsubscript{1}}-galkyl or aryl-C\textsubscript{i}-galkyl-amino; and, wherein a form of the compound is selected from the group consisting of a prodrug, salt, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

6. A compound or a form thereof selected from the group consisting of:

6-(1H-benzimidazol-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-(2,3-dihydro-1H-indol-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-2-oxo-6-[3-(pyrrolidin-1-ylmethyl)-1H-indol-6-yl]-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-6-(2-{[ethyl(methyl)amino]methyl}-1H-indol-6-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-[2-(aminomethyl)-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-(2-methyl-1H-benzimidazol-5-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-6-(1,2,3,4,5,6-hexahydroazepino[4,5-b]indol-8-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-(3-methyl-1,2,3,4,5,6-hexahydroazepino[4,5-b]indol-8-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-cyclopropyl-4-hydroxy-6-(1H-indol-6-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-[3-[(dimethylamino)methyl]-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-(1-methyl-1,2,3,4-tetrahydroquinoxalin-6-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-[(dimethylamino)-2,3,4,9-tetrahydro-1H-carbazol-7-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-(3,4-dihydro-2H-1,4-benzoazin-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-{2-[(dimethylamino)methyl]-3-methyl-lH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
5-ethyl-6-{2-[(ethyl(methyl)amino)methyl]-3-methyl-lH-indol-6-yl}-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
6-(3,4-dihydro-2H-1,4-benzothiazin-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-
carboxylic acid
5-ethyl-6-(1, 2, 3, 4, 5, 10-hexahydroazepino[3,4-b]indol-8-yl)-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-{2-[(dimethylamino)methyl]-3-(trifluoromethyl)-lH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-{2-[(ethylamino)methyl]-3-(trifluoromethyl)-lH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
6-{2-[(dimethylamino)methyl]-3-(trifluoromethyl)-lH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
5-ethyl-6-{2-[(dimethylamino)methyl]-3-methyl-lH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-{2-[(pyrrolidin-1-yl)ethyl]-lH-indol-5-yl}-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
6-{2-[(2-aminoethyl)-1-methyl-lH-indol-5-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
6-{2-[(dimethylamino)methyl]-3-(trifluoromethyl)-lH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
5-ethyl-6-{2-[(dimethylamino)methyl]-3-(trifluoromethyl)-lH-indol-6-yl}-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-{2-[(ethylamino)methyl]-lH-indol-5-yl}-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
5-ethyl-6-{2-[(dimethylamino)methyl]-3-(trifluoromethyl)-lH-indol-6-yl}-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
5-ethyl-6-{2-[(ethylamino)methyl]-1-methyl-lH-indol-5-yl}-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
6-{3-chloro-2-[(dimethylamino)methyl]-lH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
6-{2-[(dimethylamino)methyl]-1H-indol-5-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-2-oxo-6-[2-(pyrrolidin-1-ylmethyl)]-1H-indol-5-yl]-1,2-dihydropyridine-3-carboxylic acid
6-{2-(2-aminoethyl)-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-{1-methyl-2-[(propylamino)methyl]-1H-indol-5-yl]-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
6-{2-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-2-oxo-6-{2-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-6-yl]-1,2-
dihydropyridine-3-carboxylic acid
6-{3-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
ammonium 6-{2-[(dimethylamino)methyl]-3-fluoro-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-
oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-6-{3-fluoro-2-[(methylamino)methyl]-1H-indol-6-yl]-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-{3-methyl-2-(N-methylglycyl)-1H-indol-6-yl]-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
6-{2-(N,N-dimethylglycyl)-3-methyl-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
5-ethyl-6-{4-(2-hydroxyethyl)-2,3-dihydro-1H-indol-5-yl]-5-methoxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
6-{2-[1-(dimethylamino)ethyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
4-hydroxy-6-{4-[(2-hydroxyethyl)-2,3-dihydro-1H-indol-5-yl]-5-methoxy-2-oxo-1,2-
dihydropyridine-3-carboxylic acid
5-ethyl-6-{6-fluoro-1H-indol-5-yl]-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-6-(6-fluoro-1H-indol-5-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-6-(6-fluoro-2,3-dihydro-1H-indol-5-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-6-(6-fluoro-1-methyl-2,3-dihydro-1H-indol-5-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-(1H-indol-7-yl)-5-methyl-2-oxo-1,2-dihydropyridine-3-carboxylic acid
4-hydroxy-6-(1H-indol-7-yl)-5-methyl-2-oxo-1,2-dihydropyridine-3-carboxylic acid
4-hydroxy-5-methyl-6-(1-methyl-1H-indol-7-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-(1-methyl-1H-indol-7-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid, and
5-amino-4-hydroxy-6-(1-methyl-1H-indol-5-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid;
wherein a form of the compound is selected from the group consisting of a prodrug, salt, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

7. The compound of claim 6, wherein a compound salt or a form thereof is selected from the group consisting of:
6-(1H-benzimidazol-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate
5-ethyl-4-hydroxy-2-oxo-6-[3-(pyrrolidin-1-ylmethyl)-1H-indol-6-yl]-1,2-dihydropyridine-3-carboxylic acid hydrochloride
5-ethyl-6-(2-{[ethyl(methyl)amino]methyl}-1H-indol-6-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
6-[2-(aminomethyl)-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
5-ethyl-6-(1, 2,3,4,5, 6-hexahydroazepino[4,5-b]indol-8-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
5-ethyl-4-hydroxy-6-(3-methyl-1,2,3,4,5, 6-hexahydroazepino[4,5-b]indol-8-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
6-{3-[(dimethylamino)methyl]-lH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
5-ethyl-4-hydroxy-6-(1-methyl-1,2,3,4-tetrahydroquinoxalin-6-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
6-[l-(dimethylamino)-2,3,4,9-tetrahydro-lH-carbazol-7-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
6-[2-[(dimethylamino)methyl]-3-methyl-lH-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
5-ethyl-6-(2-[(ethyl(methyl)amino)methyl]-3-methyl-lH-indol-6-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
6-(3,4-dihydro-2H,1,4-benzothiazin-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
5-ethyl-6-(l,2,3,4,5,10-hexahydroazepino[3,4-b]indol-8-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
5-ethyl-4-hydroxy-6-(2-methyl-1,2,3,4,5,10-hexahydroazepino[3,4-b]indol-8-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
5-ethyl-4-hydroxy-2-oxo-6-(1,2,3,4-tetrahydroquinoxalin-6-yl)-1,2-dihydropyridine-3-carboxylic acid hydrochloride
5-ethyl-4-hydroxy-6-{1-methyl-2-[2-(pyrrolidin-1-yl)ethyl]-lH-indol-5-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
6-[2-(2-aminoethyl)-1-methyl-lH-indol-5-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
6-[2-[(dimethylamino)methyl]-3-(trifluoromethyl)-lH-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
5-ethyl-6-{2-[(ethylamino)methyl]-3-(trifluoromethyl)-lH-indol-6-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
5-ethyl-4-hydroxy-6-{1-methyl-2-[2-(methylamino)ethyl]-lH-indol-5-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
5-ethyl-6-{2-[2-(ethylamino)ethyl]-1-methyl-lH-indol-5-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
6-{3-chloro-2-[(dimethylamino)methyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

6-{2-[(dimethylamino)methyl]-1H-indol-5-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate

5-ethyl-4-hydroxy-2-oxo-6-{2-(pyrrolidin-1-ylmethyl)-1H-indol-5-yl}-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate

6-{2-(2-aminoethyl)-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-4-hydroxy-6-{1-methyl-2-[(propylamino)methyl]-1H-indol-5-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

6-{2-[2-(dimethylamino)ethyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-4-hydroxy-2-oxo-6-{2-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-6-yl}-1,2-dihydropyridine-3-carboxylic acid hydrochloride

6-{3-[2-(dimethylamino)ethyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-6-{3-fluoro-2-[(methylamino)methyl]-1H-indol-6-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate

5-ethyl-4-hydroxy-6-{3-methyl-2-(N-methylglycyl)-1H-indol-6-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

6-{2-(N,N-dimethylglycyl)-3-methyl-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate

5-ethyl-4-hydroxy-6-{2-[1-(methylamino)ethyl]-1H-indol-6-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

6-{2-[1-(dimethylamino)ethyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

4-hydroxy-6-{4-(2-hydroxyethyl)-2,3-dihydro-1H-indol-5-yl}-5-methoxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-6-(6-fluoro-2,3-dihydro-1H-indol-5-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
5-ethyl-6-(6-fluoro-1-methyl-2,3-dihydro-1H-indol-5-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride, and
5-amino-4-hydroxy-6-(1-methyl-1H-indol-5-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride;
wherein a form of the compound salt is selected from the group consisting of a prodrug, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

8. A method of use for treating or ameliorating wild-type or drug-resistant forms of \textit{N. gonorrhoeae} in a subject in need thereof, comprising administering an effective amount of the compound of claim 1 or a form thereof to the subject.

9. A method of use for treating or ameliorating wild-type or drug-resistant forms of \textit{N. gonorrhoeae} in a subject in need thereof, comprising administering an effective amount of the compound of claim 1 or a form thereof to the subject.

10. The method of either claim 8 or 9, wherein the compound or a form thereof is selected from the group consisting of:
6-(1H-benzimidazol-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-(2,3-dihydro-1H-indol-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-2-oxo-6-[3-(pyrrolidin-1-ylmethyl)-1H-indol-6-yl]-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-6-(2-{[ethyl(methyl)amino]methyl}1H-indol-6-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-[2-(aminomethyl)-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-(2-methyl-1H-benzimidazol-5-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-6-(1,2,3,4,5,6-hexahydroazepino[4,5-b]indol-8-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-(3-methyl-1,2,3,4,5,6-hexahydroazepino[4,5-b]indol-8-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-cyclopropyl-4-hydroxy-6-(1H-indol-6-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-[(dimethylamino)methyl]-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-(1-methyl-1,2,3,4-tetrahydroquinazolin-6-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-[l-(dimethylamino)-2,3,4,9-tetrahydro-IH-carbazol-7-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-(3,4-dihydro-2H-1,4-benzoxazin-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-{2-[(dimethylamino)methyl]-3-methyl-lH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-(3,4-dihydro-2H-1,4-benzothiazin-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-6-(l, 2,3,4,5, 10-hexahydroazepino[3,4-b]indol-8-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-(2-methyl-1,2,3,4,5, 10-hexahydroazepino[3,4-b]indol-8-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-[2-(2-hydroxyethyl)-1-methyl-IH-indol-5-yl]-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-(3-cyano-IH-indol-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-2-oxo-6-(1,2,3,4-tetrahydroquinazolin-6-yl)-1,2-dihydropyridine-3-carboxylic acid
6-{2-[2-(dimethylamino)ethyl]-1-methyl-IH-indol-5-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-[1-methyl-2-[2-(pyrrolidin-l-yl)ethyl]-IH-indol-5-yl]-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-[2-(2-aminoethyl)-1-methyl-IH-indol-5-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-{2-[(dimethylamino)methyl]-3-(trifluoromethyl)-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-6-{2-[(ethylamino)methyl]-3-(trifluoromethyl)-1H-indol-6-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-{1-methyl-2-[2-(methylamino)ethyl]-1H-indol-5-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-6-{2-[(ethylamino)ethyl]-1-methyl-1H-indol-5-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-{3-chloro-2-[(dimethylamino)methyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-{2-[(dimethylamino)methyl]-1H-indol-5-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-2-oxo-6-[2-(pyrrolidin-1-ylmethyl)-1H-indol-5-yl]-1,2-dihydropyridine-3-carboxylic acid
6-{2-(2-aminoethyl)-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-{1-methyl-2-[(propylamino)methyl]-1H-indol-5-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-2-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-2-oxo-6-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-6-yl]-1,2-dihydropyridine-3-carboxylic acid
6-{3-[2-(dimethylamino)ethyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
ammonium 6-{2-[(dimethylamino)methyl]-3-fluoro-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-6-{3-fluoro-2-[(methylamino)methyl]-1H-indol-6-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-{3-methyl-2-(N-methylglycyl)-1H-indol-6-yl]-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-[2-(N,N-dimethylglycyl)-3-methyl-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-6-(5-fluoro-1H-indol-6-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-{2-[l-(methylamino)ethyl]-1H-indol-6-yl]-2-oxo-1,2-dihydropyridine-3-carboxylic acid
6-[2-[1-(dimethylamino)ethyl]-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
4-hydroxy-6-[4-(2-hydroxyethyl)-2,3-dihydro-1H-indol-5-yl]-5-methoxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-6-(6-fluoro-1-methyl-1H-indol-5-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-6-(6-fluoro-1H-indol-5-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-6-(6-fluoro-2,3-dihydro-1H-indol-5-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-6-(6-fluoro-1-methyl-2,3-dihydro-1H-indol-5-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid
4-hydroxy-6-(1H-indol-7-yl)-5-methyl-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-(1H-indol-7-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid
4-hydroxy-5-methyl-6-(1-methyl-1H-indol-7-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid
5-ethyl-4-hydroxy-6-(1-methyl-1H-indol-7-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid, and
5-amino-4-hydroxy-6-(1-methyl-1H-indol-5-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid;
wherein the form of the compound is selected from the group consisting of a prodrug, salt, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph or tautomer form thereof.

11. The method of claim 10, wherein a compound salt or a form thereof is selected from:
6-(1H-benzimidazol-6-yl)-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate
5-ethyl-4-hydroxy-2-oxo-6-[3-(pyrrolidin-1-ylmethyl)-1H-indol-6-yl]-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-6-[2-(ethyl(methyl)amino)methyl]-1H-indol-6-yl]-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

6-[2-(aminomethyl)-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-6-(1, 2, 3, 4, 5, 6-hexahydroazepino[4,5-b]indol-8-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-4-hydroxy-6-(3-methyl-1, 2, 3, 4, 5, 6-hexahydroazepino[4,5-b]indol-8-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

6-[3-[(dimethylamino)methyl]-1H-indol-6-yl]-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-4-hydroxy-6-(1-methyl-1,2,3,4-tetrahydroquinoxalin-6-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-6-(1, 2, 3, 4, 5, 6-hexahydroazepino[4,5-b]indol-8-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-4-hydroxy-6-(2-methyl-1,2,3,4,5,10-hexahydroazepino[3,4-b]indol-8-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-4-hydroxy-6-(1,2,3,4,5,10-hexahydroazepino[3,4-b]indol-8-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-4-hydroxy-2-oxo-6-(1,2,3,4-tetrahydroquinoxalin-6-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-4-hydroxy-6-(1-methyl-2-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-5-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
6-{2-(2-aminoethyl)-1-methyl-1H-indol-5-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

6-{2-[(dimethylamino)methyl]-3-(trifluoromethyl)-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-6-{2-[(ethylamino)methyl]-3-(trifluoromethyl)-1H-indol-6-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-4-hydroxy-6-{1-methyl-2-[2-(methylamino)ethyl]-1H-indol-5-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-6-2-{2-[(ethylamino)ethyl]-1-methyl-1H-indol-5-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

6-{3-chloro-2-[(dimethylamino)methyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

6-{2-[(dimethylamino)methyl]-1H-indol-5-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate

5-ethyl-4-hydroxy-2-oxo-6-{2-(pyrrolidin-1-ylmethyl)-1H-indol-5-yl}-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate

6-{2-(2-aminoethyl)-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-4-hydroxy-6-{1-methyl-2-[(propylamino)methyl]-1H-indol-5-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

6-{2-[2-(dimethylamino)ethyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-4-hydroxy-2-oxo-6-{2-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-6-yl}-1,2-dihydropyridine-3-carboxylic acid hydrochloride

6-{3-[2-(dimethylamino)ethyl]-1H-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-6-{3-fluoro-2-[(methylamino)methyl]-1H-indol-6-yl}-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate

5-ethyl-4-hydroxy-6-[3-methyl-2-(N-methylglycyl)-1H-indol-6-yl]-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride
6-{2-(N,N-dimethylglycyl)-3-methyl-lH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid trifluoroacetate

5-ethyl-4-hydroxy-6-{2-[l-(methylamino)ethyl]-lH-indol-6-yl}-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

6-{2-[1-(dimethylamino)ethyl]-lH-indol-6-yl}-5-ethyl-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

4-hydroxy-6-{4-(2-hydroxyethyl)-2,3-dihydro-lH-indol-5-yl}-5-methoxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-6-(6-fluoro-2,3-dihydro-lH-indol-5-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride

5-ethyl-6-(6-fluoro-l-methyl-2,3-dihydro-lH-indol-5-yl)-4-hydroxy-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride, and

5-amino-4-hydroxy-6-(l-methyl-lH-indol-5-yl)-2-oxo-1,2-dihydropyridine-3-carboxylic acid hydrochloride;

wherein a form of the compound salt is selected from the group consisting of a prodrug, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

12. The method of any of claims 8 to 11, wherein the effective amount of the compound is in a range of from about 0.001 mg/kg/day to about 500 mg/kg/day.

13. A use of a compound of claim 1 or a form thereof for treating or ameliorating wild-type or drug-resistant forms of *N. gonorrhoeae* in a subject in need thereof.

14. A use of a compound of claim 1 or a form thereof for treating or ameliorating wild-type or drug-resistant forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound or a form thereof to the subject.

15. A use of the compound of claim 1 or a form thereof in the manufacture of a medicament for treating or ameliorating wild-type or drug-resistant forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the medicament to the subject.

16. A use of the compound of claim 1 or a form thereof in a pharmaceutical composition for treating or ameliorating wild-type or drug-resistant forms of *N. gonorrhoeae* in a
subject in need thereof, comprising administering an effective amount of the compound of claim 1 or a form thereof in admixture with one or more pharmaceutically acceptable excipient(s).

17. A use of the compound of claim 1 or a form thereof in a combination therapy for treating or ameliorating wild-type or drug-resistant forms of *N. gonorrhoeae* in a subject in need thereof, comprising administering an effective amount of the compound of claim 1 or a form thereof and an effective amount of one or more antibiotic or antibacterial agent(s).

18. The use of any of claims 13 to 17, wherein the effective amount of the compound is in a range of from about 0.001 mg/kg/day to about 500 mg/kg/day.
**INTERNATIONAL SEARCH REPORT**

**A. CLASSIFICATION OF SUBJECT MATTER**

**IPC(8)** - A61K 31/44 12 (2015.01)

**CPC** - A61K 31/455 (2015.10)

According to International Patent Classification (IPC) or to both national classification and IPC

**B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols)

IPC(8) - A61K 31/44, 31/4412, 31/455; A61P 31/04 (2015.01)

CPC - A61K 31/44, 31/4412, 31/455 (2015.10)

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

<table>
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<tr>
<th>Category*</th>
<th>Citation of document, with indication, where appropriate, of the relevant passages</th>
<th>Relevant to claim No.</th>
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<tbody>
<tr>
<td>A</td>
<td>WO 2013/033258 A1 (PTC THERAPEUTICS, INC) 07 March 2013 (07.03.2013) entire document</td>
<td>1, 2, 6</td>
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<td>A</td>
<td>WO 2013/033240 A1 (PTC THERAPEUTICS, INC) 07 March 2013 (07.03.2013) entire document</td>
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</tr>
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<td>A</td>
<td>WO 2014/022613 A1 (MUSC FOUNDATION FOR RESEARCH DEVELOPMENT) 06 February 2014 (06.02.2014) entire document</td>
<td>1, 2, 6</td>
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</table>

Further documents are listed in the continuation of Box C.

Defined terms:

**A** - Special categories of cited documents:
- "A" - document defining the general state of the art which is not considered to be of particular relevance
- "E" - earlier application or patent but published on or after the international filing date
- "L" - document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" - document referring to an oral disclosure, use, exhibition or other means
- "P" - document published prior to the international filing date but later than the priority date claimed

**V** - later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

**X** - document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

**Y** - document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

Date of actual completion of the international search 22 December 2015

Date of mailing of the international search report 22 JAN 2016

Name and mailing address of the ISA/Authorized officer

Mail Stop PCT, Attn: ISA/US, Commissioner for Patents
P.O. Box 1450, Alexandria, Virginia 22313-1450
Facsimile No. 571-273-8300

PCT/US2015/045440

Blaine Copenheaver

PCT/US2015/045440

PCT/US2015/045440
INTERNATIONAL SEARCH REPORT

<table>
<thead>
<tr>
<th>Box No. II</th>
<th>Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)</th>
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<td>This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:</td>
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<tr>
<td></td>
<td>1. □ Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:</td>
</tr>
<tr>
<td></td>
<td>2. □ Claims Nos.: because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:</td>
</tr>
<tr>
<td></td>
<td>3. □ Claims Nos.: 12 because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).</td>
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<th>Box No. III</th>
<th>Observations where unity of invention is lacking (Continuation of item 3 of first sheet)</th>
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<td></td>
<td>This International Searching Authority found multiple inventions in this international application, as follows:</td>
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<td>&lt;See Extra Sheet&gt;</td>
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</table>

| 1. □            | As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims. |
| 2. □            | As all searchable claims could be searched without effort justifying additional fees, this Authority did not invite payment of additional fees. |
| 3. □            | As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.: |
| 4. □            | No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.: |

**Remark on Protest**

- □ The additional search fees were accompanied by the applicant's protest and, where applicable, the payment of a protest fee.
- □ The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.
- □ No protest accompanied the payment of additional search fees.
This application contains the following inventions or groups of inventions which are not so linked as to form a single general inventive concept under PCT Rule 13.1. In order for all inventions to be examined, the appropriate additional examination fees need to be paid.

Claims 1 and 2 have been analyzed subject to the restriction that the claims read on the Formula (I) as described in the Lack of Unity of Invention (See Box IV). The claims are restricted to a compound of Formula (I); as shown, or a form thereof, wherein, R1 is the first shown bicyclic structure, wherein it represents a point of attachment for R1 to the 2-pyrimidine of Formula (I); and wherein R1 is substituted with one substituent selected from R5; R2 is hydrogen; R3 is hydrogen; and R5 is hydrogen; and wherein a form of the compound is selected as a prodrug, salt, hydrate, solvate, clathrate, isopoligomer, racemate, diastereomer, stereoisomer, polymorph and tautomer form thereof.

Claims 1, 2, and 6 have been analyzed subject to the restriction that the claims read on the formula (I) as described in the Response to the Invitation to Pay Additional Fees in the International Application dated 02 December 2015 as further restricted to the variable definitions listed below. The claims are restricted to a compound of Formula (I); as shown, or a form thereof, wherein, R1 is R1b, wherein it represents a point of attachment for R1 to the 2-pyrimidine of Formula (I); and wherein R1 is substituted with one substituent selected from R5, wherein one substituent R5 is halogen; wherein one substituent R5 is (C1 alkyl)2 amino(C1 alkyl); R2 is CH2 CH3; R3 is OH; and wherein a form of the compound is selected as a prodrug, salt, hydrate, solvate, clathrate, isopoligomer, racemate, diastereomer, stereoisomer, polymorph and tautomer form thereof. It is believed that claims 1 and 2 read on this first named invention and thus these claims will be searched without fee to the extent that they read on the above embodiment.

Applicant is invited to elect additional formula(s) to be searched in a specific combination by paying an additional fee for each set of election. An exemplary election would be a compound of Formula (I); as shown, or a form thereof, wherein, R1 in the second shown bicyclic structure, wherein it represents a point of attachment for R1 in the 2-pyrimidine of Formula (I); and wherein R1 is substituted with one substituent selected from R5; R2 is hydrogen; R3 is hydrogen; and R5 is hydrogen; and wherein a form of the compound is selected as a prodrug, salt, hydrate, solvate, clathrate, isopoligomer, racemate, diastereomer, stereoisomer, polymorph and tautomer form thereof. Applicants must specify the claims that read on any additional elected inventions. Applicants must further indicate, if applicable, the claims which read on the first named invention different than what was indicated above for this group. Failure to clearly identify how any paid additional invention fees are to be applied to the ‘*’ group(s) will result in only the first claimed invention to be searched/examined.

The inventions listed in Groups Ia and ii do not relate to a single general inventive concept under PCT Rule 13.1, because under PCT Rule 13.2 they lack the same or corresponding special technical features for the following reasons:

The special technical features of Group Ia, a compound of Formula (I) or a form thereof; and a species thereof, are not present in Group ii and the special technical features of Group ii, a method and use of a compound for treating or ameliorating wild-type or drug-resistant forms of N. gonorrhoeae, are not present in Groups Ia.

The Group Ia and ii compounds of formulae (I) do not share a significant structural element requiring the selection of alternatives for the compound variables R1, R2, and R3.

The Groups Ia and ii share the technical features of a compound of formulae (I); a method of use for treating or ameliorating wild-type or drug-resistant forms of N. gonorrhoeae in a subject in need thereof comprising administering an effective amount of the compound or a form thereof to the subject; use of a compound for treating or ameliorating wild-type or drug-resistant forms of N. gonorrhoeae in a subject in need thereof, comprising administering an effective amount of the medicament to the subject; use of a compound as a pharmaceutical composition for treating or ameliorating wild-type or drug-resistant forms of N. gonorrhoeae in a subject in need thereof, comprising administering an effective amount of the compound or a form thereof in a combination therapy for treating or ameliorating wild-type or drug-resistant forms of N. gonorrhoeae in a subject in need thereof, comprising administering an effective amount of the compound or a form thereof in an effective amount of one or more antibiotic or antibacterial agents(s). However, these shared technical features do not represent a contribution over the prior art. 

<Continued in second Extra Sheet>
Specifically, WO 2013/033258 A1 to PTC Therapeutics Inc. teaches a compound of formulae (I): where R1 is a bicyclic compound selected from the second shown structure, where R1 is substituted with two substituents selected from R5; R2 is C2 alkyl; R3 is hydrogen; R5 is C1 alkyl in the first instance and R5 is C1-alkyl-amino in the second instance (See Pg. 33, Compound 150,...see shown structure...); a method of use for treating or ameliorating a bacterial infection in a subject in need thereof, comprising administering an effective amount of the compound or a form thereof to the subject (Pg. 94, Lns. 1-3); use of a compound for treating or ameliorating a bacterial infection in a subject in need thereof, comprising administering an effective amount of the compound or a form thereof to the subject (Pg. 94, Lns. 1-3); use of a compound in the manufacture of a medicament for treating or ameliorating a bacterial infection in a subject in need thereof, comprising administering an effective amount of the medicament to the subject (Pg. 94, Lns. 23-26); use of a compound in a pharmaceutical composition for treating or ameliorating bacterial infection in a subject in need thereof, comprising administering an effective amount of the compound or a form thereof in admixture with one or more pharmaceutically acceptable excipients(s) (Pg. 100 Ln. 30 through Pg. 101, Ln. 2); and use of a compound or a form thereof in a combination therapy for treating or ameliorating a bacterial infection in a subject in need thereof, comprising administering an effective amount of the compound or a form thereof and an effective amount of one or more antibiotic or antibacterial agents(s) (Pg. 7, Ln. 29 through Pg. 8, Ln. 3).

Further, WO 2014/022613 A1 to MUSC Foundation for Research Development teaches a fused 1H-2-pyridinone compound (See Pg. 8, Compound 22,... see shown structure...); a method of use for a compound for treating or ameliorating wild-type or drug-resistant forms of N. gonorrhoeae in a subject in need thereof, comprising administering an effective amount of the compound or a form thereof to the subject (Pg. 14, Lns. 1, Numbers 1-7 are the seven compounds which showed antimicrobial activity against penicillin-susceptible, penicillin-resistant, or cephalosporin-resistant strains of N. gonorrhoeae); use of a compound for treating or ameliorating wild-type or drug-resistant forms of N. gonorrhoeae in a subject in need thereof (Pg. 14, Lns. 2 from bottom) through Pg. 14, Lns. 1, Pg. 16, Para. 1, ... Such compositions, will in any event, contain an effective amount of the active compound ...).

The inventions listed in Groups I- and II therefore lack unity under Rule 13 because they do not share a same or corresponding special technical feature.