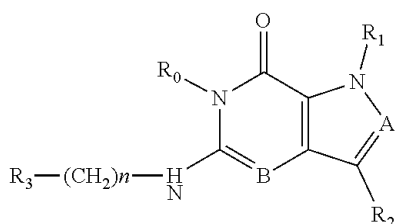


What is claimed is:

1. A compound corresponding to formula I



Formula I

wherein A and B are N;

wherein n is 1;

wherein R₁ is (CH₂)_m—{(V)_o—(CH₂)_p}_q—W;

wherein V is CH₂, CH=CH, CO, O, S, SO, SO₂, NR₄, CHR₅, OC(O), (O)CO, CONR₆, NR₇CO, SO₂NH, NHSO₂; C₃₋₈ cycloalkyl,

wherein each of R₄, R₆, and R₇ is, independently, H or C₁₋₆ alkyl;

wherein R₅ is OH or C₁₋₆ alkyl, CH(R₈R₉),

wherein each of R₈ and R₉ is, independently, H, halo, or C₁₋₆ alkyl;

wherein W is H, halo, substituted or unsubstituted C₁₋₆ alkyl, substituted or unsubstituted C₃₋₈ cycloalkyl, substituted or unsubstituted C₂₋₈ heterocyclyl, substituted or unsubstituted C₆₋₁₄ aryl, substituted or unsubstituted C₁₋₁₀ heteroaryl, NH₂, CN, OR₁₀, SR₁₁, COR₁₂, OCOR₁₃, NR₁₄COR₁₅, NR₁₆R₁₇, NR₁₈(CO)NHR₁₉, CH(CO₂R₂₀)₂, CO₂R₂₁, NHSO₂R₂₂, CONR₂₃R₂₄, CH₂CO₂R₂₅, S(O)R₂₆ or S(O₂)R₂₇

wherein each of R₁₀, R₁₁, R₁₂, R₁₃, R₁₄, R₁₅, R₁₆, R₁₇, R₁₈, R₁₉, R₂₀, R₂₁, R₂₂, R₂₃, R₂₄, R₂₅, R₂₆, and R₂₇ is, independently, H, substituted or unsubstituted C₁₋₆ alkyl, substituted or unsubstituted C₃₋₈ cycloalkyl, substituted or unsubstituted C₂₋₈ heterocyclyl, substituted or unsubstituted C₆₋₁₄ aryl, substituted or unsubstituted C₁₋₁₀ heteroaryl,

in which m is 1-5, o is 0-4, p is 0-4, and q is 0-4;

wherein R₂ is H, CN, F, or C₁;

wherein R_3 is a 3- or 4-F, Cl, Me, or ethyl substituted phenyl group; a 3,4-dichloro, 3,4-difluoro, 3-Me-4-Cl, 3-Me-4-F, 3-Cl-4-Me, 3-F-4-Me, 3-ethyl-4-Cl, 3-ethyl-4-F, 3-Cl-4-ethyl, or 3-F-4-ethyl substituted phenyl group;

wherein R_0 is H, $\text{CH}_2\text{OPO}(\text{OH})_2$, $\text{CH}_2\text{OCONHCH}_2(\text{CH}_2)_t\text{OPO}(\text{OH})_2$, $\text{CH}_2\text{OCOCH}_2(\text{CH}_2)_t\text{OPO}(\text{OH})_2$, $\text{COO}(\text{CH}_2)_t\text{OPO}(\text{OH})_2$, $\text{CH}_2\text{OPO}(\text{OH})\text{OPO}(\text{OH})_2$, or $(\text{CR}_{30}\text{R}_{31}\text{O})_s\text{—X—Y—}(\text{CR}_{30}\text{R}_{31})_t\text{—OPO}(\text{OR}_{28})(\text{OR}_{29})$;

wherein X is a direct bond or $(\text{C}=\text{O})$, Y is a direct bond or oxygen

s is 0 or 1

t is 1, 2, or 3

R_{28} and R_{29} each are independently hydrogen or a hydrolysable ester group, wherein when R_{28} is hydrogen, R_{29} is $\text{—P}(\text{O})\text{OR}_{32}\text{OR}_{33}$;

R_{30} and R_{31} each are independently hydrogen or C_{1-4} alkyl; and

R_{32} and R_{33} each are independently hydrogen or a hydrolysable ester group,

or an optical isomer thereof, an isotopic isomer thereof, a prodrug or a pharmaceutically acceptable salt thereof.

2. A compound as in claim 1,

wherein A and B are N;

wherein n is 1;

wherein R_1 is $(\text{CH}_2)_m\text{—}\{(\text{V})_o\text{—}(\text{CH}_2)_p\}_q\text{—W}$;

wherein V is CH_2 , CO, O, $\text{OC}(\text{O})$, or $(\text{O})\text{CO}$,

wherein W is H, halo, substituted or unsubstituted C_{1-6} alkyl, NH_2 , CN, or OR_{10} ,

wherein R_{10} is H or substituted or unsubstituted C_{1-6} alkyl,

in which m is 1-5, o is 0-4, p is 0-4, and q is 0-4;

wherein R_2 is H, CN, F, or Cl;

wherein R_3 is a 3- or 4-F, Cl, Me, or ethyl substituted phenyl group; a 3,4-dichloro, 3,4-difluoro, 3-Me-4-Cl, 3-Me-4-F, 3-Cl-4-Me, 3-F-4-Me, 3-ethyl-4-Cl, 3-ethyl-4-F, 3-Cl-4-ethyl, or 3-F-4-ethyl substituted phenyl group;

wherein R_0 is H,

or an optical isomer thereof, an isotopic isomer thereof, a prodrug or a pharmaceutically acceptable salt thereof.

3. A compound as in claim 2,

wherein A and B are N;

wherein n is 1;

wherein R_1 is $(CH_2)_m—\{(V)_o—(CH_2)_p\}_q—W$;

wherein V is CO, O, OC(O), or (O)CO,

wherein W is H, halo, NH_2 , CN, or OR_{10} ,

wherein R_{10} is H or substituted or unsubstituted C_{1-6} alkyl,

in which m is 1-5, o is 0-1, p is 0-4, and q is 0-4;

wherein R_2 is H, CN, F, or Cl;

wherein R_3 is a 3- or 4-F, Cl, Me, or ethyl substituted phenyl group; a 3,4-dichloro, 3,4-difluoro, 3-Me-4-Cl, 3-Me-4-F, 3-Cl-4-Me, 3-F-4-Me, 3-ethyl-4-Cl, 3-ethyl-4-F, 3-Cl-4-ethyl, or 3-F-4-ethyl substituted phenyl group;

wherein R_0 is H,

or an optical isomer thereof, an isotopic isomer thereof, a prodrug or a pharmaceutically acceptable salt thereof.

4. A compound as in claim 3,

wherein A and B are N;

wherein n is 1;

wherein R_1 is $(CH_2)_m—\{(V)_o—(CH_2)_p\}_q—W$;

wherein V is CO, O, OC(O), or (O)CO,

wherein W is H, halo, NH_2 , CN, or OR_{10} ,

wherein R_{10} is H or substituted or unsubstituted C_{1-6} alkyl,

in which m is 1-2, o is 1, p is 1-2, and q is 0-1;

wherein R_2 is H, CN, F, or Cl;

wherein R_3 is a 3- or 4-F, Cl, Me, or ethyl substituted phenyl group; a 3,4-dichloro, 3,4-difluoro, 3-Me-4-Cl, 3-Me-4-F, 3-Cl-4-Me, 3-F-4-Me, 3-ethyl-4-Cl, 3-ethyl-4-F, 3-Cl-4-ethyl, or 3-F-4-ethyl substituted phenyl group;

wherein R_0 is H,

or an optical isomer thereof, an isotopic isomer thereof, a prodrug or a pharmaceutically acceptable salt thereof.

5. A compound as in claim 4,

wherein A and B are N;

wherein n is 1;

wherein R_1 is $(CH_2)_m—\{(V)_o—(CH_2)_p\}_q—W$;

wherein V is O, OC(O), or (O)CO,

wherein W is H, halo, NH_2 , or OR_{10} ,

wherein R_{10} is H or substituted or unsubstituted C_{1-6} alkyl,

in which m is 1-2, o is 1, p is 1-2, and q is 0-1;

wherein R_2 is H, CN, F, or Cl;

wherein R_3 is a 3- or 4-F, Cl, Me, or ethyl substituted phenyl group; a 3,4-dichloro, 3,4-difluoro, 3-Me-4-Cl, 3-Me-4-F, 3-Cl-4-Me, 3-F-4-Me, 3-ethyl-4-Cl, 3-ethyl-4-F, 3-Cl-4-ethyl, or 3-F-4-ethyl substituted phenyl group;

wherein R_0 is H,

or an optical isomer thereof, an isotopic isomer thereof, a prodrug or a pharmaceutically acceptable salt thereof.

6. A compound as in claim 5,

wherein A and B are N;

wherein n is 1;

wherein R_1 is $(CH_2)_m-\{(V)_o-(CH_2)_p\}_q-W$;

wherein V is O,

wherein W is H, halo, NH_2 , or OR_{10} ,

wherein R_{10} is H,

in which m is 2, o is 1, p is 2, and q is 1;

wherein R_2 is H, CN, F, or Cl;

wherein R_3 is a 3- or 4-F, Cl, Me, or ethyl substituted phenyl group; a 3,4-dichloro, 3,4-difluoro, 3-Me-4-Cl, 3-Me-4-F, 3-Cl-4-Me, 3-F-4-Me, 3-ethyl-4-Cl, 3-ethyl-4-F, 3-Cl-4-ethyl, or 3-F-4-ethyl substituted phenyl group;

wherein R_0 is H,

or an optical isomer thereof, an isotopic isomer thereof, a prodrug or a pharmaceutically acceptable salt thereof.

7. A pharmaceutical composition comprising a compound of claim 1 and at least one pharmaceutically acceptable carrier.

8. A method of inhibiting the growth of Gram-positive bacteria, said method comprising contacting a medium with an effective amount of a compound of claim 1.

9. The method of claim 8, wherein said medium is a surface of a medical device.

10. Use of a compound of claim 1 in the manufacture of a medicament for a method of treating a Gram-positive bacterial infection in a subject in need thereof.

11. A surface coating comprising a compound of claim 1 and a coating agent, wherein said coating agent is capable of adhering said compound to a medium.

12. A compound selected from the group consisting of:

5((3,4-Dichlorobenzyl)amino)-1-methyl-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

5((3,4-Dichlorobenzyl)amino)-3-fluoro-1-methyl-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

1-Allyl-5-((3,4-dichlorobenzyl)amino)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

4-(5-((3,4-Dichlorobenzyl)amino)-7-oxo-6,7-dihydro-1H-pyrazolo[4,3-d]pyrimidin-1-yl)butyl acetate,

1-(Cyclobutylmethyl)-5-((3,4-dichlorobenzyl)amino)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

5-[(3,4-Cichlorophenyl)methylamino]-1-phenyl-6H-pyrazolo[4,3-d] pyrimidin-7-one,

1-Cyclopropyl-5-((3,4-dichlorobenzyl)amino)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

1-Cyclopentyl-5-((3,4-dichlorobenzyl)amino)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

Cethyl 5-(5-chloro-7-methoxy-pyrazolo[4,3-d]pyrimidin-1-yl) pentanoate,

5-[(3,4-Cichlorophenyl)methylamino]-1-(4-pyridyl)-6H-pyrazolo[4,3-d]pyrimidin-7-one,

3-Chloro-5-((3,4-dichlorobenzyl)amino)-1-(2-methoxyethyl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

5-((3,4-Cichlorobenzyl)amino)-3-fluoro-1-(2-methoxyethyl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

3-Chloro-5-((3,4-dichlorobenzyl)amino)-1-(oxazol-4-ylmethyl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

1-(2-(4-Acetylpiperazin-1-yl)ethyl)-5-((3,4-dichlorobenzyl)amino)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one hydrochloride,

5-((3,4-Dichlorobenzyl)amino)-1-(2-(2-hydroxyethoxy)ethyl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

5-((3,4-Dichlorobenzyl)amino)-1-(2-methoxyethyl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

5-((3,4-Dichlorobenzyl)amino)-1-((4-methylmorpholin-2-yl)methyl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one hydrochloride,

5-((3,4-Dichlorobenzyl)amino)-1-(1-(3-methylpicolinoyl) piperidin-4-yl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one hydrochloride,

5-((3,4-Difluorobenzyl)amino)-3-fluoro-1-(2-(2-hydroxyethoxy)ethyl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

5-((4-Chloro-3-methylbenzyl)amino)-1-methyl-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

5-((3,4-Dichlorobenzyl)amino)-1,3-dimethyl-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

5-((3,4-Dichlorobenzyl)amino)-1-(2-morpholinoethyl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one hydrochloride,

5-((3,4-Dichlorobenzyl)amino)-1-(4-hydroxybutyl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one),

5-((3,4-Dichlorobenzyl)amino)-1-(thiazol-2-ylmethyl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

5-((3,4-Dichlorobenzyl)amino)-1-(oxetan-3-ylmethyl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

5-((3,4-Dichlorobenzyl)amino)-1-((tetrahydrofuran-3-yl)methyl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

5-((3,4-Dichlorobenzyl)amino)-1-(tetrahydro-2H-pyran-4-yl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

5-((3,4-Dichlorobenzyl)amino)-1-(oxetan-2-ylmethyl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

5-((3,4-Dichlorobenzyl)amino)-1-(3-hydroxypropyl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

5-((3,4-Dichlorobenzyl)amino)-1-(2-(pyrazin-2-yl)ethyl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one hydrochloride,

5-((3,4-Dichlorobenzyl)amino)-1-isopropyl-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

5-((3,4-Dichlorobenzyl)amino)-1-(5-methoxypentyl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

5-((3,4-Dichlorobenzyl)amino)-1-((2-methoxyethoxy)methyl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

5-(3,4-Dichlorobenzyl)amino)-1-(oxetan-3-yl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

5-(3,4-Dichlorobenzyl)amino)-1-(1-(pyridin-3-ylsulfonyl)piperidin-4-yl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one hydrochloride,

(E)-5-((3,4-dichlorobenzyl)amino)-1-(4-methoxybut-2-en-1-yl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

Ethyl 5-(5-((3,4-dichlorobenzyl)amino)-7-oxo-6,7-dihydro-1H-pyrazolo[4,3-d]pyrimidin-1-yl)pentanoate,

Isopropyl 5-(5-((3,4-dichlorobenzyl)amino)-7-oxo-6,7-dihydro-1H-pyrazolo[4,3-d]pyrimidin-1-yl)pentanoate,

Ethyl 4-(5-((3,4-dichlorobenzyl)amino)-7-oxo-6,7-dihydro-1H-pyrazolo[4,3-d]pyrimidin-1-yl)butanoate,

Methyl 3-(5-((3,4-dichlorobenzyl)amino)-7-oxo-6,7-dihydro-1H-pyrazolo[4,3-d]pyrimidin-1-yl)propanoate,

5-((3,4-Dichlorobenzyl)amino)-1-(1-(pyridin-2-ylmethyl) piperidin-4-yl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one dihydrochloride,

5-(3,4-Dichlorobenzyl)amino)-1-(1-(pyridin-3-ylmethyl)piperidin-4-yl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one dihydrochloride,

5-((3,4-Dichlorobenzyl)amino)-1-(1-(pyridin-4-ylmethyl) piperidin-4-yl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one dihydrochloride,

5-[(3,4-Dichlorophenyl)methylamino]-1-[1-(oxazole-4-carbonyl)-4-piperidyl]-6H-pyrazolo[4,3-d]pyrimidin-7-one,

5-[(3,4-Dichlorophenyl)methylamino]-1-[1-(thiazole-2-carbonyl)-4-piperidyl]-6H-pyrazolo[4,3-d]pyrimidin-7-one,

5-((3,4-Dichlorobenzyl)amino)-1-(1-(4-methoxypicolinoyl)piperidin-4-yl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one hydrochloride,

5-((3,4-Dichlorobenzyl)amino)-1-(1-(4-methylpicolinoyl)piperidin-4-yl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one hydrochloride,

5-[(3,4-Dichlorophenyl)methylamino]-3-fluoro-1-[2-(2-hydroxyethoxy)ethyl]-6H-pyrazolo[4,3-d]pyrimidin-7-one,

3-Chloro-5-[(3,4-dichlorophenyl)methylamino]-1-[2-(2-hydroxyethoxy)ethyl]-6H-pyrazolo[4,3-d]pyrimidin-7-one,

5-(3,4-Difluorobenzyl)amino)-3-fluoro-1-(oxazol-4-ylmethyl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one,

3-Chloro-5-((3,4-dichlorobenzyl)amino)-1-(1-nicotinoylpiperidin-4-yl)-1H-pyrazolo[4,3-d]pyrimidin-7(6H)-one hydrochloride,

Ammonium (2-(2-(5-((3,4-dichlorobenzyl)amino)-7-oxo-6,7-dihydro-1H-pyrazolo[4,3-d]pyrimidin-1-yl)ethoxy)ethoxy)methyl phosphate,

(5-((3,4-Dichlorobenzyl)amino)-1-(2-(2-hydroxyethoxy)ethyl)-7-oxo-1H-pyrazolo[4,3-d]pyrimidin-6(7H)-yl)methyl dihydrogen phosphate,

prodrugs thereof, and pharmaceutically acceptable salts thereof.

13. A pharmaceutical composition comprising a compound of claim 12 and at least one pharmaceutically acceptable carrier.

14. A method of inhibiting the growth of Gram-positive bacteria, said method comprising contacting a medium with an effective amount of a compound of claim 12.

15. The method of claim 14, wherein said medium is a surface of a medical device.
16. Use of a compound of claim 12 in the manufacture of a medicament for a method of treating a Gram-positive bacterial infection in a subject in need thereof.
17. A surface coating comprising a compound of claim 12 and a coating agent, wherein said coating agent is capable of adhering said compound to a medium.