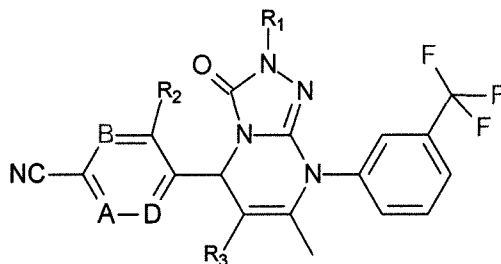


CLAIMS

1. A compound of formula (I):



5 wherein

A is CH;

B is CH;

D is CH;

R₁ is: hydrogen;

10 (C₁-C₆)alkyl;

NR₇R₈(C₁-C₆)alkyl;

(C₁-C₄)alkenyl;

phenyl(C₁-C₆)alkyl wherein said phenyl ring is optionally substituted by a NR₁₅R₁₆(C₁-C₆)alkyl or by N⁺R₁₅R₁₆R₁₇(C₁-C₆)alkyl;

15 a group —CH₂(CH₂)_nOH;

a group —(CH₂)_nCONR₅R₆;

a group —(CH₂)_nSO₂NR₅R₆;

a group —CH₂—(CH₂)_nNR₅SO₂R₆;

a group —(CH₂)_t—(C₆H₄)—SO₂(C₁-C₄)alkyl;

20 group —(CH₂)_rSO₂(C₁-C₄)alkyl wherein the (C₁-C₄)alkyl is optionally substituted by —NR₁₅R₁₆ or —N⁺R₁₅R₁₆R₁₇;

a group —SO₂-phenyl wherein the phenyl ring is optionally substituted by NR₇R₈(C₁-C₆)alkyl; or

25 a group —(CH₂)_n—W wherein W is a 5-6-membered heteroaryl ring which is optionally substituted by —SO₂(C₁-C₄)alkyl;

n is 1, 2 or 3;

t is zero, 1, 2 or 3;

r is zero, 1, 2, 3 or 4;

R_5 is: hydrogen, (C₁-C₆)alkyl, NR₁₆R₁₅(C₁-C₆)alkyl or N⁺R₁₇R₁₅R₁₆(C₁-C₆)alkyl;

R_6 is hydrogen or (C₁-C₆)alkyl;

R_7 is: hydrogen, (C₁-C₆)alkyl, (C₁-C₆)alkylcarbonyl, —S₀₂(C₁-C₄)alkyl, or NR₁₆R₁₅(C₁-C₆)alkyl;

- 5 R_8 is hydrogen or (C₁-C₆)alkyl; alternatively, R_7 and R_8 may form, together with the nitrogen atom to which they are attached, a (C₅-C₇)heterocycloalkyl ring system which is optionally substituted by one or more (C₁-C₆) alkyl groups or oxo;

R_{16} is hydrogen or (C₁-C₆)alkyl;

R_{15} is hydrogen or (C₁-C₆)alkyl;

- 10 R_{17} is hydrogen or (C₁-C₆)alkyl;

R_3 is —C(O)—XR₄;

X is: —O—;

R_4 is: hydrogen; or

(C₁-C₆)alkyl;

- 15 R_2 is: NR₁₈R₁₉(C₁-C₆)alkyl;

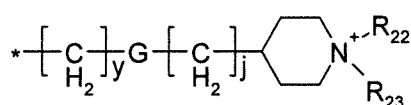
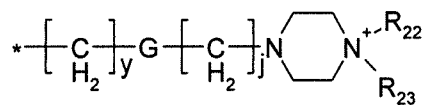
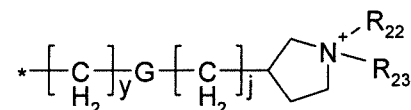
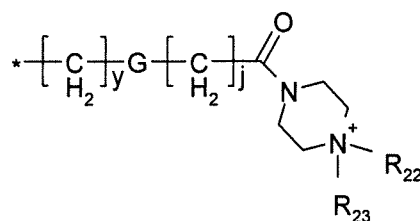
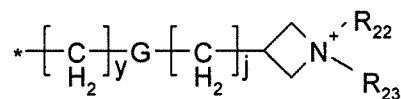
—CONR₂₁R₂₀;

C₂-C₆-alkenyl which is substituted by —OH or —NR₁₈R₁₉;

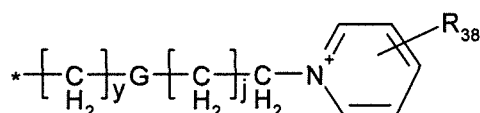
C₂-C₆-alkynyl which is substituted by —OH or —NR₁₈R₁₉; or

a group —[CH₂]_y-G-[CH₂]_j—CH₂—N⁺R₂₂R₂₃R₂₄ or R_2 is a group:

20



or R_2 is a group:



R₁₄ is hydrogen or (C₁-C₆)alkyl which may be optionally substituted by a (C₁-C₄)alkoxyl group;

5 R₁₈ is hydrogen or (C₁-C₆)alkyl;

R₁₉ is hydrogen or (C₁-C₆)alkyl;

R₂₀ is: hydrogen, (C₁-C₆)alkyl, or (C₁-C₆)alkylNR₁₈R₁₉;

R₂₁ is hydrogen or (C₁-C₆)alkyl;

j is an integer ranging from zero to 4;

10 y is an integer ranging from zero to 4;

G is a divalent linker selected from the group consisting of: —O—, —(SO₂)—, NR₂₅, a bond, C₂-C₆-alkenylene, C₂-C₆-alkynylene, (C₃-C₆)cycloalkylene, monocyclic heterocycloalkylene, bicyclic heterocycloalkylene, —[CONR₂₅]— and —[NR₂₅CO]—;

R₂₅ is hydrogen or (C₁-C₆)alkyl;

15 R₂₂ is: (C₁-C₆)alkyl, which is optionally substituted by one or more of (C₃-C₆)cycloalkyl, phenyl, benzyl, CN, —OR₂₆, —SO₂R₂₆, —CO₂R₂₆, —CONR₂₆R₂₇ or —SO₂NR₂₆R₂₇; (C₃-C₁₀)cycloalkyl which is optionally substituted by one or more of —OR₂₆, —SO₂R₂₆, —CO₂R₂₆, —CONR₂₆R₂₇ or —SO₂NR₂₆R₂₇; or

(C₄-C₇)heterocycloalkyl which is optionally substituted by one or more of —

20 OR₂₆, —SO₂R₂₆, —CO₂R₂₆, —CONR₂₆R₂₇ or —SO₂NR₂₆R₂₇;

R₂₆ is hydrogen or (C₁-C₆)alkyl;

R₂₇ is hydrogen or (C₁-C₆)alkyl;

R₂₃ is hydrogen or (C₁-C₆)alkyl, which (C₁-C₆)alkyl is optionally substituted by one or more of —OR₂₉, —SO₂R₂₉, —CO₂R₂₉, —CONR₂₉R₃₀ or —SO₂NR₂₉R₃₀;

25 R₂₄ is hydrogen or (C₁-C₆)alkyl, which (C₁-C₆)alkyl is optionally substituted by one or more of —OR₃₁, —SO₂R₃₁, —CO₂R₃₁, —CONR₃₁R₃₂ or —SO₂NR₃₁R₃₂;

alternatively, R₂₃ and R₂₄ may form, together with the nitrogen atom to which they are attached, a 5-11-membered saturated monocyclic or bicyclic heterocyclic ring system which is optionally substituted by one or more of —OR₂₈, halo, C₁-C₆ alkyl,

30 —SO₂R₃₃, —CO₂R₃₃, —CONR₃₃R₃₄ or —SO₂NR₃₃R₃₄; and which 5-11-membered

saturated monocyclic or bicyclic ring optionally contains a further heteroatom which is oxygen or nitrogen or a —SO₂— group;

or R₂₂ together with R₂₃, R₂₄ and the nitrogen atom to which they are attached, may form a bridged bicyclic heterocyclic ring;

5 R₂₈ is hydrogen or (C₁-C₆)alkyl;

R₂₉ is hydrogen or (C₁-C₆)alkyl;

R₃₀ is hydrogen or (C₁-C₆)alkyl;

R₃₁ is hydrogen or (C₁-C₆)alkyl;

R₃₂ is hydrogen or (C₁-C₆)alkyl;

10 R₃₃ is hydrogen or (C₁-C₆)alkyl;

R₃₄ is hydrogen or (C₁-C₆)alkyl;

R₃₈ represents one or two optional substituents at each occurrence independently selected from the group consisting of: (C₁-C₆)alkyl, (C₁-C₆)alkoxyl, hydroxyl, hydroxyl-C₁-C₆-alkyl, halo, trifluoromethyl, and trifluoromethoxy;

15 wherein if one or more groups N⁺R₁₁R₁₂R₁₃— or N⁺R₁₅R₁₆R₁₇— are present, they form a quaternary salt with a pharmaceutically acceptable counter ion;

and wherein groups R₅ to R₃₈, and n may have the same or different meanings at each occurrence, if present in more than one group, or a pharmaceutically acceptable salt thereof.

20

2. The compound or pharmaceutically acceptable salt according to claim 1, wherein R₂ is —[CH₂]_y-G-[CH₂]_j—CH₂—N⁺R₂₂R₂₃R₂₄.

3. The compound or pharmaceutically acceptable salt according to claim 1,
25 wherein R₄ is (C₁-C₆)alkyl.

4. The compound or pharmaceutically acceptable salt according to claim 1, wherein R₁ is hydrogen or —(CH₂)₂SO₂(C₁-C₄)alkyl.

30 5. A compound which is selected from the group consisting of:

5-[4-cyano-2-(4-hydroxy-but-1-ynyl)-phenyl]-7-methyl-3-oxo-8-(3-trifluoro-methyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidine-6-carboxylic acid methyl ester;

5-[4-cyano-2-(3-dimethylamino-prop-1-ynyl)-phenyl]-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidine-6-carboxylic acid methyl ester;

5-[4-cyano-2-(3-dimethylamino-prop-1-ynyl)-phenyl]-2-(3-methanesulfonyl-propyl)-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidine-6-carboxylic acid methyl ester;

10 (3-{5-cyano-2-[2-(3-methanesulfonyl-propyl)-6-methoxycarbonyl-7-methyl-3-oxo-8-m-tolyl-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-prop-2-ynyl)-trimethyl-ammonium formate;

5-[4-cyano-2-(3-dimethylamino-propyl)-phenyl]-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidine-6-carboxylic acid methyl ester;

5-(4-cyano-2-dimethylaminomethyl-phenyl)-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidine-6-carboxylic acid methyl ester;

20 {5-cyano-2-[6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-trimethyl-ammonium bromide;

(2-{5-cyano-2-[6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzoylamino}-ethyl)-trimethyl-ammonium chloride;

25 {5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-trimethyl-ammonium formate;

30 (R)-5-[4-cyano-2-(3-dimethylamino-propyl)-phenyl]-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidine-6-carboxylic acid methyl ester;

(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-prop-2-ynyl)-trimethyl-ammonium iodide;

(R)-5-[4-cyano-2-(3-dimethylamino-prop-1-ynyl)-phenyl]-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidine-6-carboxylic acid methyl ester;

(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-trimethyl-ammonium iodide;

5-[4-cyano-2-(4-hydroxy-but-1-ynyl)-phenyl]-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidine-6-carboxylic acid methyl ester;

5-[4-cyano-2-(3-dimethylamino-prop-1-ynyl)-phenyl]-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidine-6-carboxylic acid methyl ester;

5-[4-cyano-2-(3-dimethylamino-prop-1-ynyl)-phenyl]-2-(3-methanesulfonyl-propyl)-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidine-6-carboxylic acid methyl ester;

(3-{5-cyano-2-[2-(3-methanesulfonyl-propyl)-6-methoxycarbonyl-7-methyl-3-oxo-8-m-tolyl-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-prop-2-ynyl)-trimethyl-ammonium formate;

5-[4-cyano-2-(3-dimethylamino-propyl)-phenyl]-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidine-6-carboxylic acid methyl ester;

5-(4-cyano-2-dimethylaminomethyl-phenyl)-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidine-6-carboxylic acid methyl ester;

{5-cyano-2-[6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-trimethyl-ammonium bromide;

(2-{5-cyano-2-[6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzoylamino}-ethyl)-trimethyl-ammonium chloride;

5 {5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-trimethyl-ammonium formate;

(R)-5-[4-cyano-2-(3-dimethylamino-propyl)-phenyl]-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidine-6-carboxylic acid methyl ester;

10 (3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-prop-2-ynyl)-trimethyl-ammonium iodide;

(R)-5-[4-cyano-2-(3-dimethylamino-prop-1-ynyl)-phenyl]-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidine-6-carboxylic acid methyl ester;

15 (3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-trimethyl-ammonium iodide;

(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-trimethyl-ammonium iodide;

(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-(3-methanesulfonyl-propyl)-dimethyl-ammonium formate;

25 (3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-cyclopropylmethyl-dimethyl-ammonium formate;

(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-(3-hydroxy-propyl)-dimethyl-ammonium formate;

30

(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-(3-methoxy-propyl)-dimethyl-ammonium formate;

5 (3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-dimethylcarbamoylmethyl-dimethyl-ammonium formate;

1-(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-1-azonia-bicyclo[2.2.2]octane formate;

10 1-(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-4-aza-1-azonia-bicyclo[2.2.2]octane formate;

15 (3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-(4-hydroxy-cyclohexyl)-dimethyl-ammonium formate;

4-(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-4-methyl-morpholin-4-ium formate;

20 adamantan-1-yl-(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-ammonium formate;

4-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-4-methyl-morpholin-4-ium formate;

25 {5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-(3-hydroxy-propyl)-dimethyl-ammonium formate;

30 {5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-ethyl-dimethyl-ammonium formate;

1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-pyridinium formate;

5 1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-1-azonia-bicyclo[2.2.2]octane formate;

1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-1,4-dimethyl-piperazin-1-ium formate;

10 {5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-(4-hydroxy-cyclohexyl)-dimethyl-ammonium formate;

15 1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-4-aza-1-azonia-bicyclo[2.2.2]octane formate;

1-{2-[(R)-6-carboxy-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-5-cyano-benzyl}-4-aza-1-azonia-bicyclo[2.2.2]octane formate;

20 butyl-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-dimethyl-ammonium formate;

1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-4-hydroxy-1-methyl-piperidinium formate;

25 1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-1-methyl-pyrrolidinium formate;

30 1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-1-methyl-piperidinium formate;

1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-1-(2-hydroxyethyl)-pyrrolidinium formate;

5 {5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-(3-dimethyl-carbamoyl-propyl)-dimethyl-ammonium formate;

benzyl-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-dimethyl-ammonium formate;

10 (3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-trimethyl-ammonium bromide;

(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-trimethyl-ammonium benzenesulfonate;

(5-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-pentyl)-trimethyl-ammonium formate;

20 (4-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-butyl)-trimethyl-ammonium formate;

1-(4-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-butyl)-1-azonia-bicyclo[2.2.2]octane formate;

25 1-(4-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-butyl)-1-azonia-bicyclo[2.2.2]octane formate;

(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-trimethyl-ammonium bromide;

30

(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-trimethyl-ammonium bromide;

5 1-(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-pyridinium bromide;

1-(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-pyridinium formate;

10 1-(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-pyridinium bromide;

15 1-(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-pyridinium formate;

1-(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-pyridinium benzenesulfonate;

20 1-(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-pyridinium chloride;

1-(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-pyridinium 2-hydroxy-ethanesulfonate;

25 1-(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-pyridinium methanesulfonate;

30 1-(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-3-hydroxymethyl-pyridinium tosylate;

1-(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-3-methyl-pyridinium formate;

5 1-(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-2-methyl-pyridinium formate;

1-(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-3-hydroxymethyl-pyridinium formate;

10 3-chloro-1-(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-propyl)-pyridinium formate;

15 butyl-(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-dimethyl-ammonium formate;

(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-cyclohexyl-dimethyl-ammonium formate;

20 1-(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-1-methyl-pyrrolidinium formate;

1-(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-1-methyl-piperidinium formate;

25 1-(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-4-hydroxy-1-methyl-piperidinium formate;

30 (3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-dimethyl-oxetan-3-yl-ammonium formate;

(3-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-dimethyl-(tetrahydro-pyran-4-yl)-ammonium formate;

5 4-(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-4-methyl-morpholin-4-ium formate;

(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-dimethylcarbamoylmethyl-dimethyl-ammonium formate;

10 (2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-(3-methoxy-propyl)-dimethyl-ammonium formate;

(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-15 cyclobutylmethyl-dimethyl-ammonium formate;

(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-dimethyl-(tetrahydro-pyran-4-ylmethyl)-ammonium formate;

1-(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-1-(2-hydroxy-ethyl)-pyrrolidinium formate;

(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-[2-(2-hydroxy-ethoxy)-ethyl]-dimethyl-ammonium formate;

25 (2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-bis-(2-hydroxy-ethyl)-methyl-ammonium formate;

(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-(2-hydroxy-ethyl)-dimethyl-ammonium formate;

30

(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-ethyl-dimethyl-ammonium formate;

benzyl-(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-dimethyl-ammonium formate;

(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-cyclohexylmethyl-dimethyl-ammonium formate;

(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-(3-hydroxy-propyl)-dimethyl-ammonium formate;

(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-diethyl-methyl-ammonium formate;

(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-cyclopropylmethyl-dimethyl-ammonium formate;

1-(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-1-azonia-bicyclo[2.2.2]octane formate;

1-(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-3-hydroxymethyl-pyridinium formate;

1-(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-3-methyl-pyridinium formate;

{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-cyclobutylmethyl-dimethyl-ammonium formate;

{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-dimethyl-(tetrahydro-pyran-4-ylmethyl)-ammonium formate;

5 {5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-(2-methoxyethyl)-dimethyl-ammonium formate;

{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-cyclopropylmethyl-dimethyl-ammonium formate;

10 3-chloro-1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-pyridinium formate;

{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-(2-hydroxyethyl)-dimethyl-ammonium formate;

15 1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-4-methoxy-pyridinium formate;

20 1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-3-hydroxymethyl-pyridinium formate;

{1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-4-hydroxymethyl-1-methyl-piperidinium formate;

25 {5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-ethoxycarbonylmethyl-dimethyl-ammonium formate;

{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-(1,1-dioxo-30 hexahydro-1 λ 6*-thiopyran-4-ylmethyl)-dimethyl-ammonium formate;

1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-3,4-dihydroxy-1-methyl-pyrrolidinium formate;

5 4-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-4-ethyl-morpholin-4-ium formate;

1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-4-dimethylcarbamoyl-1-methyl-piperazin-1-ium formate;

10 {5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-dimethylcarbamoylmethyl-dimethyl-ammonium formate;

15 {5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-(1-methanesulfonyl-piperidin-4-yl)-dimethyl-ammonium formate;

{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-dimethyl-oxetan-3-ylmethyl-ammonium formate;

20 {5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-dimethyl-(3-methylcarbamoyl-propyl)-ammonium formate;

{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-(3-dimethylsulfamoyl-propyl)-dimethyl-ammonium formate;

25 1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-4-methanesulfonyl-1-methyl-piperazin-1-ium formate;

30 {5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-bis-(2-hydroxy-ethyl)-methyl-ammonium formate;

1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-4,4-difluoro-1-methyl-piperidinium formate;

5 4-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-4-methyl-[1,4]oxazepan-4-ium formate;

{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-(3-methoxypropyl)-dimethyl-ammonium formate;

10 {5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-(3-methanesulfonyl-propyl)-dimethyl-ammonium formate;

{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-dimethyl-(1-methyl-piperidin-4-yl)-ammonium formate;

{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-dimethyl-piperidin-4-yl-ammonium formate;

20 {5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-dimethyl-(tetrahydro-pyran-4-yl)-ammonium formate;

1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-pyridinium bromide;

25 1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-pyridinium benzenesulphonate;

30 1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-pyridinium tosylate;

benzyl-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-dimethyl-ammonium bromide;

5 benzyl-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-dimethyl-ammonium benzenesulfonate;

4-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-4-methyl-morpholin-4-ium bromide;

10 4-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-4-methyl-morpholin-4-ium bromide;

4-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-4-methyl-morpholin-4-ium bromide;

15 4-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-4-methyl-morpholin-4-ium bromide;

20 {5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-trimethyl-ammonium benzenesulfonate;

1-(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-pyridinium 2-hydroxy-ethanesulfonate;

25 1-(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-pyridinium methanesulfonate;

30 1-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-pyridinium chloride;

{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-benzyl}-bis-(2-hydroxy-ethyl)-methyl-ammonium benzenesulfonate;

1-(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-pyridinium benzenesulfonate;

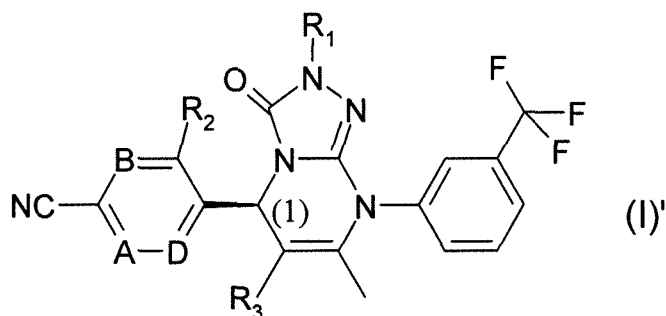
(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-trimethyl-ammonium 2-hydroxy-ethanesulfonate;

10 (2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-trimethyl-ammonium methanesulfonate; and

(2-{5-cyano-2-[(R)-6-methoxycarbonyl-7-methyl-3-oxo-8-(3-trifluoromethyl-phenyl)-2,3,5,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrimidin-5-yl]-phenyl}-ethyl)-trimethyl-ammonium chloride;

or a pharmaceutically acceptable salt of said compound.

6. The compound or pharmaceutically acceptable salt according to claim 1, which has the absolute configuration at carbon (1) shown in formula (I)':



7. The compound or pharmaceutically acceptable salt according to claim 1, which is in the form of a pharmaceutically acceptable salt.

25

8. A pharmaceutical composition, comprising a compound or pharmaceutically

acceptable salt according to claim 1 and at least one pharmaceutically acceptable carrier or excipient.

9. The pharmaceutical composition according to claim 8, which is in a form
5 suitable for oral administration or administration by the pulmonary route.

10. The pharmaceutical composition, comprising a compound or pharmaceutically acceptable salt according to claim 3 and at least one pharmaceutically acceptable carrier or excipient.

10

11. The pharmaceutical composition, comprising a compound or pharmaceutically acceptable salt according to claim 5 and at least one pharmaceutically acceptable carrier or excipient.

12. The compound or pharmaceutically acceptable salt according to claim 1,
15 wherein R_2 is $NR_{18}R_{19}(C_1-C_6)alkyl$.

13. The compound or pharmaceutically acceptable salt according to claim 1,
wherein R_2 is $—CONR_{21}R_{20}$.

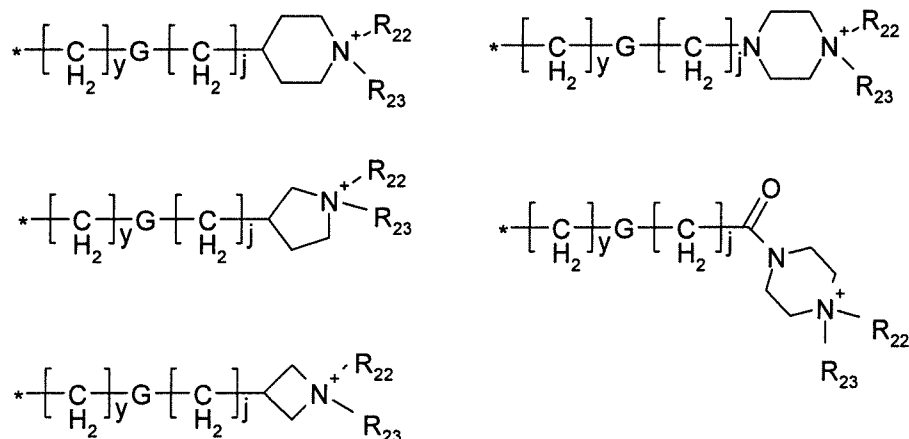
20

14. The compound or pharmaceutically acceptable salt according to claim 1,
wherein R_2 is C_2-C_6 -alkenyl which is substituted by $—OH$ or $—NR_{18}R_{19}$.

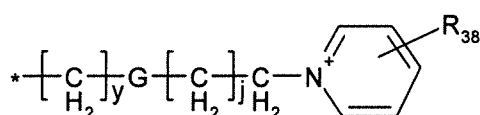
15. The compound or pharmaceutically acceptable salt according to claim 1,
25 wherein R_2 is C_2-C_6 -alkynyl which is substituted by $—OH$ or $—NR_{18}R_{19}$.

16. The compound or pharmaceutically acceptable salt according to claim 1,
wherein R_2 is a group:

30



5 or R₂ is a group:



10 17. The compound according to any one of claims 1-7 and 12-16 for use as a medicament.

18. The compound according to any one of claims 1-7 and 12-16 for use in treating a disease or condition in which HNE is implicated.

15 19. The compound for use according to claim 18, wherein the disease or condition is chronic obstructive pulmonary disease, bronchiectasis, chronic bronchitis, lung fibrosis, pneumonia, acute respiratory distress syndrome, pulmonary emphysema, smoking-induced emphysema, or cystic fibrosis.

20 20. The compound for use according to claim 18, wherein the disease or condition is asthma, rhinitis, psoriasis, atopic dermatitis, non-atopic dermatitis, Crohn's disease, ulcerative colitis, or irritable bowel disease.

21. Use of the compound according to any one of claims 1-7 and 12-16 in the manufacture of a medicament for treating a disease or condition in which HNE is implicated.
- 5 22. The use according to claim 21, wherein the disease or condition is chronic obstructive pulmonary disease, bronchiectasis, chronic bronchitis, lung fibrosis, pneumonia, acute respiratory distress syndrome, pulmonary emphysema, smoking-induced emphysema, or cystic fibrosis.
- 10 23. The use according to claim 21, wherein the disease or condition is asthma, rhinitis, psoriasis, atopic dermatitis, non-atopic dermatitis, Crohn's disease, ulcerative colitis, or irritable bowel disease.