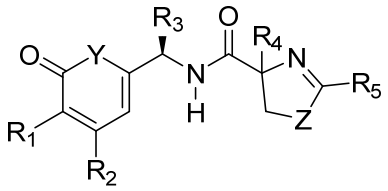
CLAIMS

1. A compound of formula **I** or a pharmaceutically acceptable salt or ester thereof



I

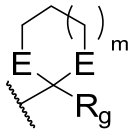
wherein:

R_1 is selected from hydrogen, halogen, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl, and substituted or unsubstituted C_2-C_{12} alkynyl, wherein the optional substituents are one or more substituents R_x;

R_2 is selected from hydrogen, halogen, substituted or unsubstituted C_1-C_{24} alkyl, substituted or unsubstituted C_2-C_{24} alkenyl, substituted or unsubstituted C_2-C_{24} alkynyl, $-OR_a$, $-OSO_2R_b$, $-NR_cR_d$, $-NR_c(C=O)R_f$, and $-NR_cSO_2R_b$, wherein the optional substituents are one or more substituents R_x;

R_3 is selected from halogen-substituted or unsubstituted C_1-C_{12} alkyl, halogen-substituted or unsubstituted C_2-C_{12} alkenyl, halogen-substituted or unsubstituted C_2-C_{12} alkynyl and substituted or unsubstituted C_3-C_6 cycloalkyl-C_1-C_{12} alkyl, wherein the optional substituents are one or more substituents R_x and the halogen substituents are one or more substituents independently selected from F, Cl, Br and I;

R_4 is selected from hydrogen, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl and substituted or unsubstituted C_2-C_{12} alkynyl, wherein the optional substituents are one or more substituents R_x;

R_5 is selected from $-C(OR_e)_2R_g$, $-C(SR_e)_2R_g$, $-CH(OR_a)R_g$, $-CH(O-(C=O)R_f)R_g$, $-CH(NR_cR_d)R_g$, $-CH(NR_c-(C=O)R_f)R_g$, $-CH(NR_c-OR_h)R_g$, $-(C=O)R_g$, $-(C=NR_c)R_g$, $-(C=N-OR_h)R_g$, $-(C=N-O-(C=O)R_f)R_g$, $-(C=N-O-(C=O)OR_a)R_g$, $-(C=N-O-[(P=O)(OR_a)_2])R_g$, $-(C=N-NR_cR_d)R_g$, $-(C=O)OR_a$, $-(C=O)NR_c-OR_h$, $-(C=O)NR_cR_d$, $-(C=CH_2)R_g$, and $-(C=CH_2)OR_a$; or R_5 is a  group where m is 0, 1 or 2 and each E group is independently selected from O and S;

Y is –O-;

Z is –S-;

each group R_a is independently selected from hydrogen, a protecting group for OH, substituted or unsubstituted C_1-C_{24} alkyl, substituted or unsubstituted C_2-C_{24} alkenyl, substituted or

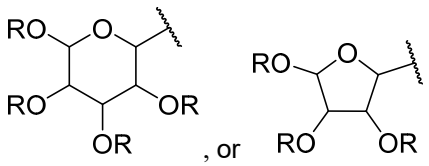
unsubstituted C_2-C_{24} alkynyl, substituted or unsubstituted C_3-C_6cycloalkyl-C_1-C_{12}alkyl, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclic group, -$(CH_2CH_2O)_pCH_2CH_3$, and -$(CH_2CH_2O)_pCH_3$ wherein p is from 1 to 25 and the optional substituents are one or more substituents R_x;

each group R_b is independently selected from substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl, substituted or unsubstituted C_2-C_{12} alkynyl, substituted or unsubstituted aryl, and substituted or unsubstituted heterocyclic group, wherein the optional substituents are one or more substituents R_x;

each group R_c and R_d is independently selected from hydrogen, a protecting group for amino, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl, and substituted or unsubstituted C_2-C_{12} alkynyl, wherein the optional substituents are one or more substituents R_x; or R_c and R_d together with the nitrogen atom to which they are attached form a heterocyclic group;

each group R_e is substituted or unsubstituted C_1-C_{12} alkyl group, wherein the optional substituents are one or more substituents R_x;

each group R_f is independently selected from hydrogen, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl, substituted or unsubstituted C_2-C_{12} alkynyl, -$CH_2O(CH_2CH_2O)_pCH_2CH_3$, -$CH_2O(CH_2CH_2O)_pCH_3$ wherein p is from 1 to 25 and the optional substituents are one or more substituents R_x, and a group of formula:



where each R group is, at each occurrence, independently selected from hydrogen, substituted or unsubstituted C_1-C_6 alkyl group, substituted or unsubstituted –(C=O)-(C_1-C_6)alkyl, and substituted or unsubstituted –(C=O)NH(C_1-C_6)alkyl, wherein the optional substituents are one or more substituents R_x; or two adjacent OR groups form an isopropylidene ketal or an acetal group selected from methylene-, methoxymethylene-, ethoxymethylene-, ethylidene-, benzylidene-, and *p*-methoxybenzylidene- acetals;

each group R_g is independently selected from hydrogen, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl and substituted or unsubstituted C_2-C_{12} alkynyl, wherein the optional substituents are one or more substituents R_x;

each group R_h is independently selected from hydrogen, a protecting group for OH, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl, substituted or unsubstituted C_2-C_{12} alkynyl, substituted or unsubstituted C_3-C_6cycloalkyl-C_1-C_{12}alkyl, substituted

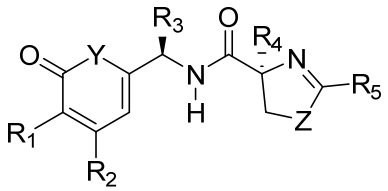
or unsubstituted heterocyclo-C_1-C_{12}alkyl, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclic group, -$(CH_2CH_2O)_pCH_2CH_3$, -$(CH_2CH_2O)_pCH_3$ wherein p is from 1 to 25, and substituted or unsubstituted monosaccharide residue, wherein the optional substituents are one or more substituents R_x;

substituents R_x are selected from the group consisting of C_1-C_{12} alkyl groups which may be optionally substituted with at least one group R_y, C_2-C_{12} alkenyl groups which may be optionally substituted with at least one group R_y, C_2-C_{12} alkynyl groups which may be optionally substituted with at least one group R_y, halogen atoms, oxo groups, thio groups, cyano groups, nitro groups, OR_y, $OCOR_y$, $OCOOR_y$, COR_y, $COOR_y$, $OCONR_yR_z$, $CONR_yR_z$, SR_y, $S(=O)R_y$, SO_2R_y, OSO_2OR_y, SSR_y, $P(=O)(R_y)OR_z$, $OP(=O)(OR_y)_2$, NR_yR_z, $NR_yC(=O)R_z$, $NR_yC(=O)OR_z$, $NR_yC(=O)NR_yR_z$, $NR_yC(=NR_y)NR_yR_z$, aryl groups having from 6 to 18 carbon atoms in one or more rings which may optionally be substituted with one or more substituents which may be the same or different selected from the group consisting of R_y, OR_y, $OCOR_y$, $OCOOR_y$, NR_yR_z, NR_yCOR_z, and $NR_yC(=NR_y)NR_yR_z$, aralkyl groups comprising an alkyl groups having from 1 to 12 carbon atoms substituted with an optionally substituted aryl group as defined above, aralkyloxy groups comprising an alkoxy group having from 1 to 12 carbon atoms substituted with an optionally substituted aryl group as defined above, and a 5- to 14- membered saturated or unsaturated heterocyclic group having one or more rings and comprising at least one oxygen, nitrogen or sulphur atom in said ring(s), said heterocyclic group optionally being substituted with one or more substituents R_y, and where there is more than one optional substituents on any given group the optional substituents R_y may be the same or different; and

each R_y and R_z is independently selected from the group consisting of hydrogen, C_1-C_{12} alkyl groups, C_1-C_{12} alkyl groups that are substituted with at least one halogen atom, aralkyl groups comprising a C_1-C_{12} alkyl group that is substituted with an aryl group having from 6 to 18 carbon atoms in one or more rings and heterocycloalkyl group comprising a C_1-C_{12} alkyl group that is substituted with a 5- to 14- membered saturated or unsaturated heterocyclic group having one or more rings and comprising at least one oxygen, nitrogen or sulphur atom in said ring(s); and

wherein each alkyl, alkenyl and alkynyl group is cyclic or non-cyclic.

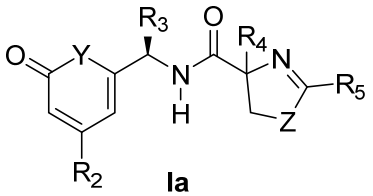
2. The compound according to claim 1 which also has formula



wherein R_1, R_2, R_3, R_4, R_5, Y, and Z are as defined in claim 1; or a pharmaceutically acceptable salt or ester thereof.

3. The compound according to claim 1 or 2 wherein R_1 is selected from hydrogen, halogen and substituted or unsubstituted C_2-C_6 alkynyl, wherein the optional substituents are one or more substituents R_x; or a pharmaceutically acceptable salt or ester thereof.

4. The compound according to claim 1, which also have formula **Ia**:

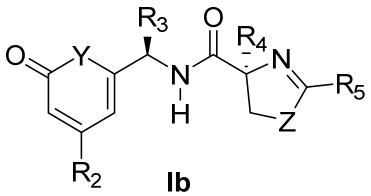


Ia

,

wherein R_2, R_3, R_4, R_5, Y, and Z are as defined in claim 1; or a pharmaceutically acceptable salt or ester thereof.

5. The compound according to claim 4, which also has formula **Ib**:



Ib

wherein R_2, R_3, R_4, R_5, Y and Z are as defined in claim 1; or a pharmaceutically acceptable salt or ester thereof.

6. The compound according to any preceding claim wherein R_2 is selected from hydrogen, substituted or unsubstituted C_1-C_6 alkyl, substituted or unsubstituted C_2-C_6 alkenyl, wherein the optional substituents are one or more substituents R_x, -OR_a, and -NR_cR_d, where R_a is selected from hydrogen, a silylether protecting group for OH, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl, substituted or unsubstituted C_2-C_{12} alkynyl, substituted or unsubstituted C_3-C_6cycloalkyl-C_1-C_6alkyl, -$(CH_2CH_2O)_pCH_2CH_3$ where p is from 1 to 15 and the optional substituents are one or more substituents R_x; and R_c and R_d are independently selected from substituted or unsubstituted C_1-C_6 alkyl wherein the optional substituents are one or more substituents R_x; or a pharmaceutically acceptable salt or ester thereof.

7. The compound according to claim 6, wherein R_2 is selected from hydrogen, methyl, vinyl, allyl, NEt_2, and OR_a where R_a is selected from hydrogen, methyl, ethyl, *n*-butyl, *n*-heptyl, allyl, propargyl, cyclopropylmethyl, -$(CH_2)_3$NHBoc, -$(CH_2)_3NH_2$, and -$(CH_2CH_2O)_3CH_2CH_3$; or a pharmaceutically acceptable salt or ester thereof.

8. The compound according to any preceding claim, wherein R_3 is selected from halogen-substituted or unsubstituted C_1-C_6 alkyl and substituted or unsubstituted C_3-C_4 cycloalkyl-C_1-C_4 alkyl wherein the optional substituents are one or more substituents R_x and the halogen

substituents are one or more substituents independently selected from F, Cl, Br, and I; or a pharmaceutically acceptable salt or ester thereof.

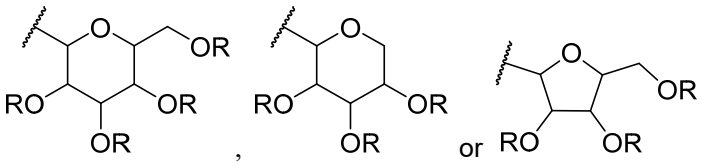
9. The compound according to claim 8, wherein R_3 is selected from *n*-propyl, 3,3,3-trifluoropropyl, and isobutyl; or pharmaceutically acceptable salt or ester thereof.

10. The compound according to any preceding claim, wherein R_4 is selected from hydrogen and substituted or unsubstituted C_1-C_6 alkyl, wherein the optional substituents are one or more substituents R_x; or a pharmaceutically acceptable salt or ester thereof.

11. The compound according to claim 10, wherein R_4 is hydrogen or methyl; or a pharmaceutically acceptable salt or ester thereof.

12. The compound according to any preceding claim, wherein R_5 is selected from $-C(OR_e)_2R_g$, $-CH(NR_cR_d)R_g$, $-(C=O)R_g$, $-(C=NR_c)R_g$, $-(C=N-OR_h)R_g$, $-(C=N-O-(C=O)R_f)R_g$, $-(C=N-O-(C=O)OR_a)R_g$, $-(C=N-O-[(P=O)(OR_a)_2])R_g$, $-(C=N-NR_cR_d)R_g$, $-(C=CH_2)R_g$, and $-(C=CH_2)OR_a$ wherein:

R_h is selected from hydrogen, a protecting group for OH, substituted or unsubstituted C_1-C_6 alkyl, substituted or unsubstituted C_2-C_6 alkenyl, substituted or unsubstituted C_2-C_6 alkynyl, substituted or unsubstituted heterocyclo-C_1-C_6alkyl, $-(CH_2CH_2O)_pCH_2CH_3$ where p is from 1 to 15 and a substituted or unsubstituted monosaccharide residue of formula:



where each R group is, at each occurrence, independently selected from hydrogen, substituted or unsubstituted C_1-C_6 alkyl group, substituted or unsubstituted –(C=O)-(C_1-C_6)alkyl, and substituted or unsubstituted –(C=O)NH(C_1-C_6)alkyl; wherein the optional substituents are one or more substituents R_x; or two adjacent OR groups form an isopropylidene ketal or an acetal group selected from methylene-, methoxymethylene-, ethoxymethylene-, ethylidene-, benzylidene-, and *p*-methoxybenzylidene- acetals;

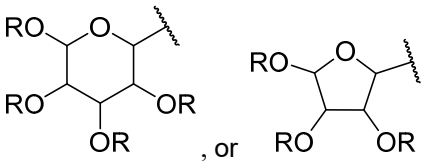
R_g is substituted or unsubstituted C_1-C_6 alkyl, wherein the optional substituents are one or more substituents R_x;

R_c and R_d are independently selected from hydrogen and substituted or unsubstituted C_1-C_6 alkyl, wherein the optional substituents are one or more substituents R_x;

R_a is substituted or unsubstituted C_1-C_6 alkyl, wherein the optional substituents are one or more substituents R_x;

R_e is substituted or unsubstituted C_1-C_6 alkyl, wherein the optional substituents are one or more substituents R_x; and

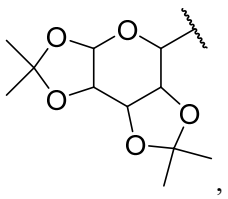
R_f is selected from substituted or unsubstituted C_1-C_6 alkyl, $-CH_2O(CH_2CH_2O)_pCH_3$ where p is from 1 to 15 and the optional substituents are one or more substituents R_x, and a group of formula:



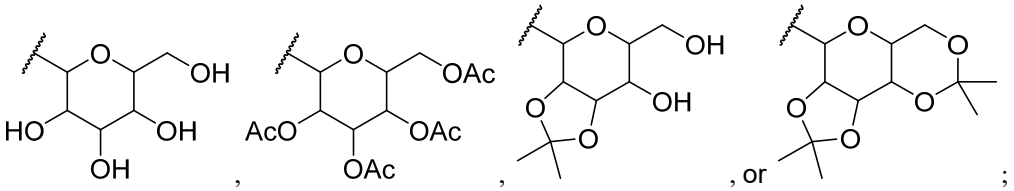
where each R group is, at each occurrence, independently selected from hydrogen, substituted or unsubstituted C_1-C_6 alkyl group, substituted or unsubstituted –(C=O)-(C_1-C_6)alkyl, and substituted or unsubstituted –(C=O)NH(C_1-C_6)alkyl, wherein the optional substituents are one or more substituents R_x; or two adjacent OR groups form an isopropylidene ketal or an acetal group selected from methylene-, methoxymethylene-, ethoxymethylene-, ethylidene-, benzylidene-, and *p*-methoxybenzylidene- acetals;

or a pharmaceutically acceptable salt or ester thereof.

13. The compound according to claim 12 wherein R_5 is selected from $-CH(NH_2)Me$, -(C=O)Me, -(C=NR_c)Me, -(C=N-OR_h)Me, -(C=N-O-(C=O)R_f)Me, -(C=N-NH_2)Me, -(C=N-O-(C=O)OR_a)Me, -(C=N-O-[(P=O)(OR_a)$_2$])Me, $-(C=CH_2)Me$, or $-(C=CH_2)OR_a$ where R_a is ethyl or benzyl, R_c is $–(CH_2)_3NHBoc$, R_f is $-(CH_2)_5$-NHBoc, $-CH_2O(CH_2CH_2O)_2Me$ or a group of formula:

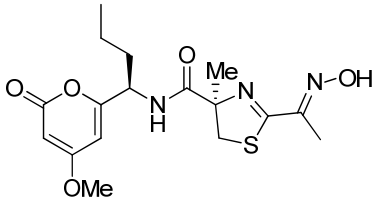
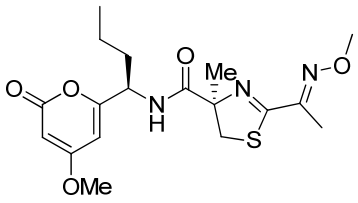
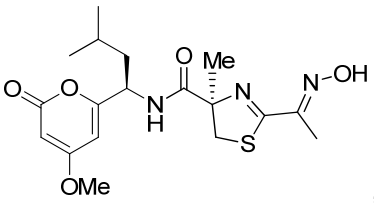
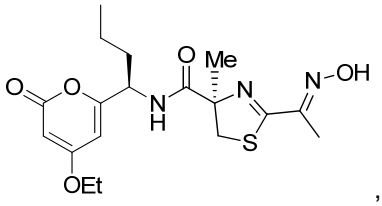
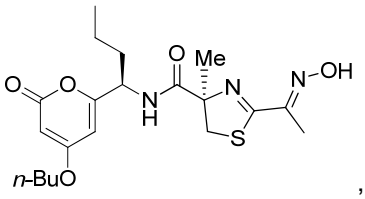
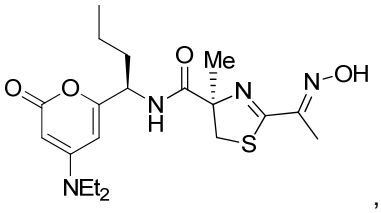
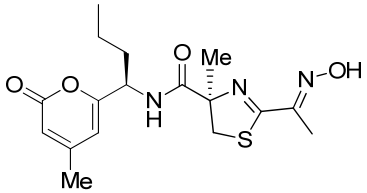
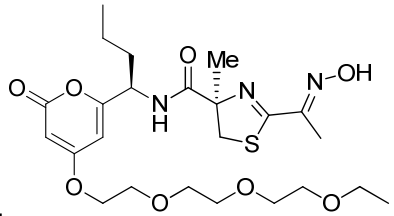
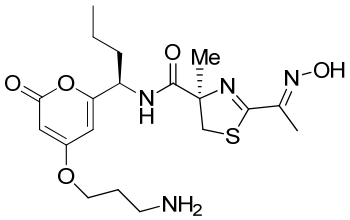
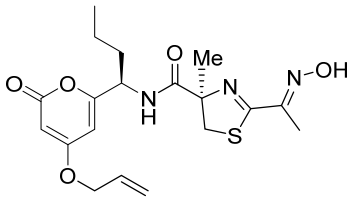
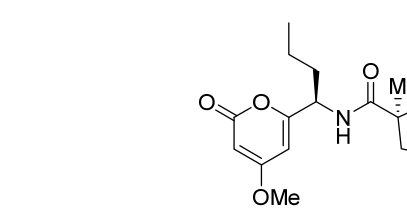
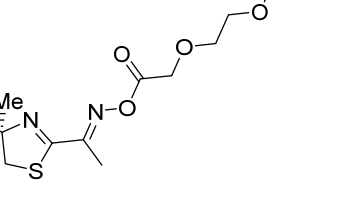


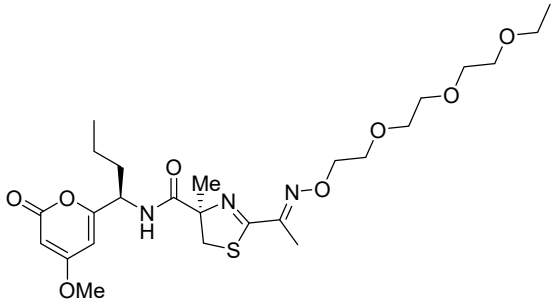
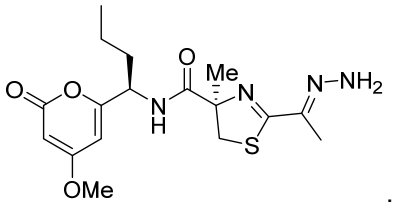
and R_h is selected from hydrogen, methyl, allyl, propargyl, $-(CH_2)_3NHBoc$, $-(CH_2)_3NH_2$, $-(CH_2)_3SH$, $-(CH_2)_4OH$, $-(CH_2)_4OP(=O)(OH)_2$, $-(CH_2)_4OP(=O)(O^t\text{-}Bu)_2$, $-(CH_2)_4$-[4λ^2-morpholine], $-(CH_2)_3$--[1-methyl-4λ^2-piperazine], $-(CH_2CH_2O)_3CH_2CH_3$, and a monosaccharide residue of formula:

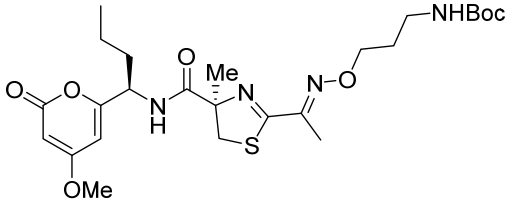
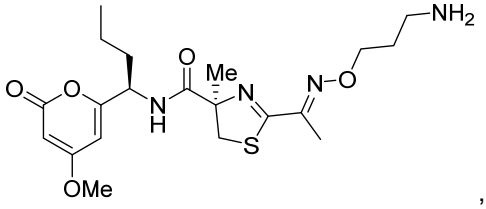


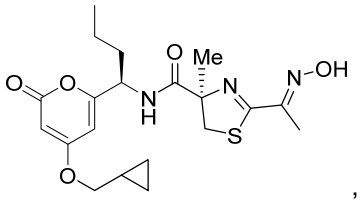
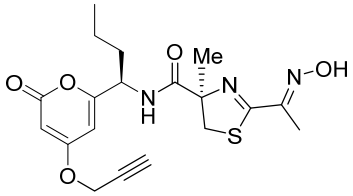
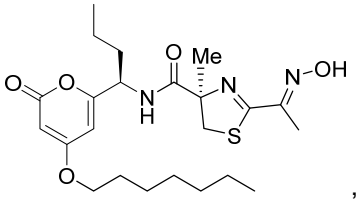
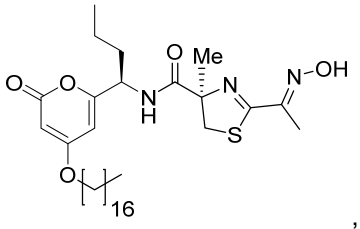
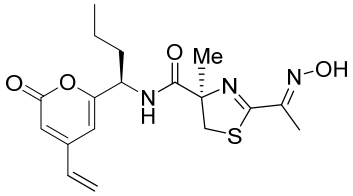
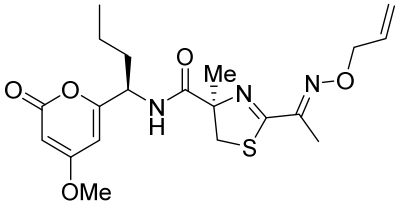
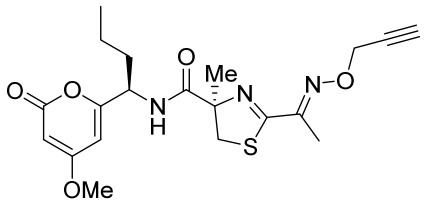
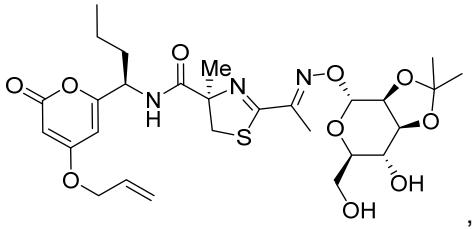
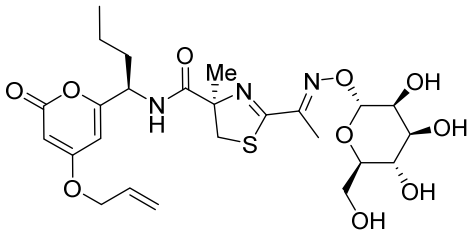
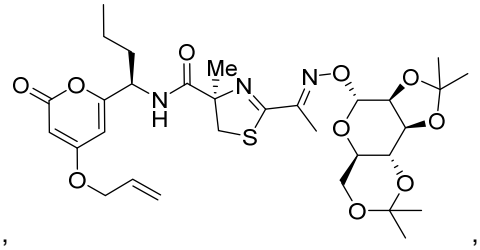
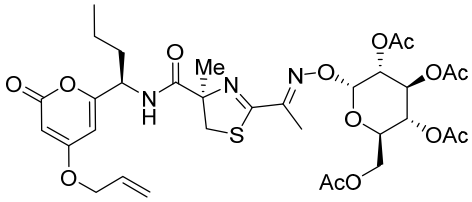
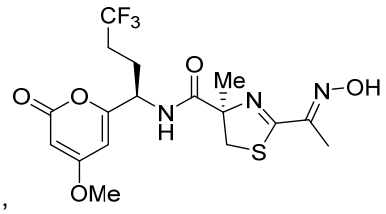
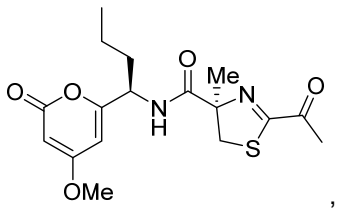
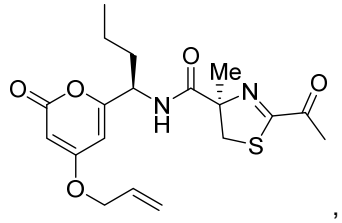
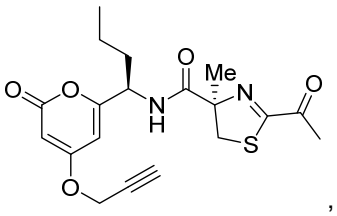
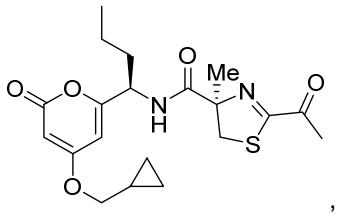
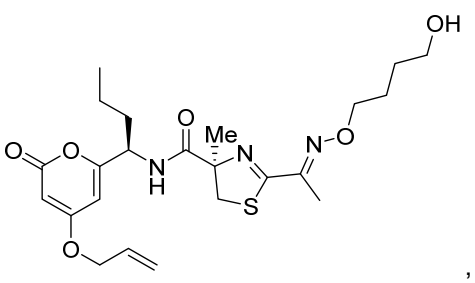
or a pharmaceutically acceptable salt or ester thereof.

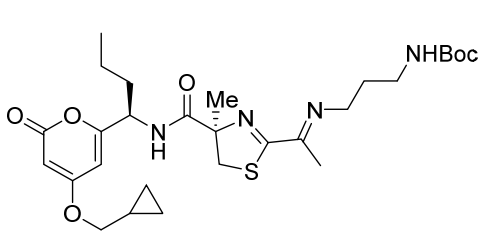
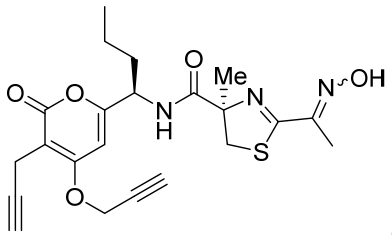
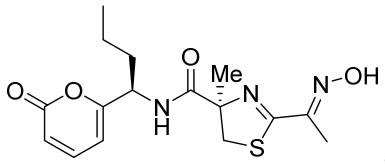
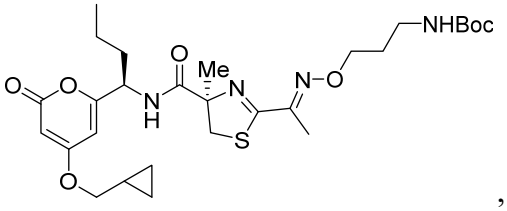
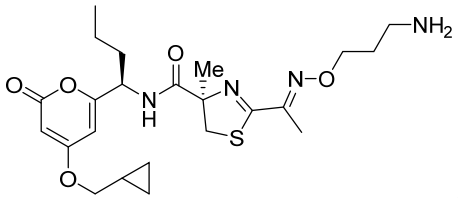
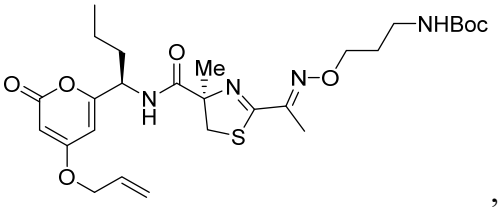
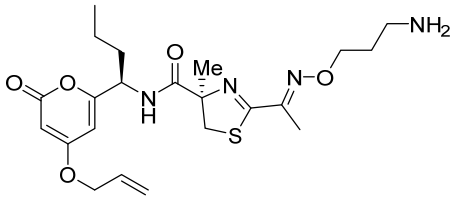
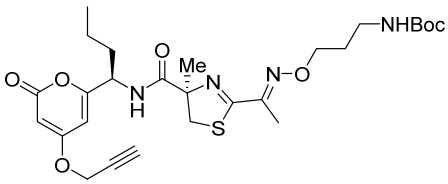
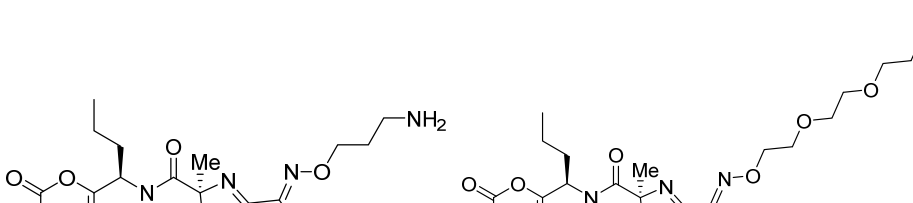
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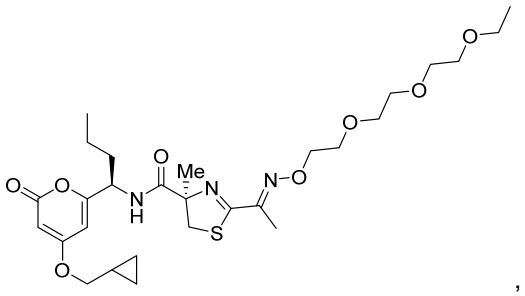
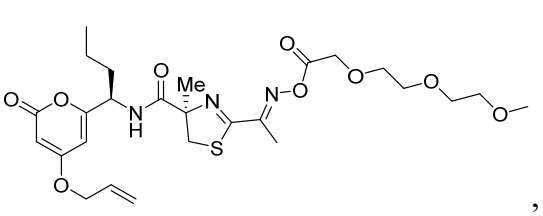
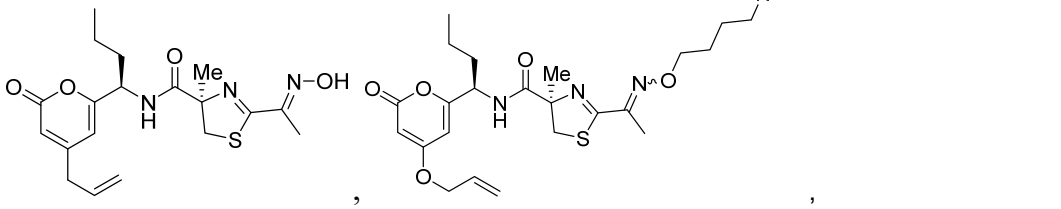













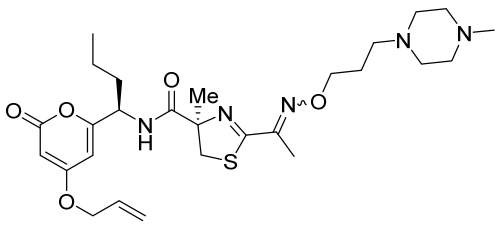
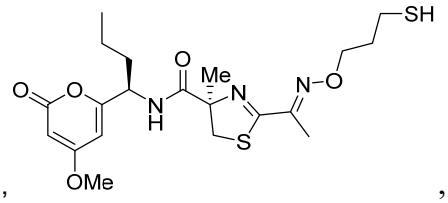
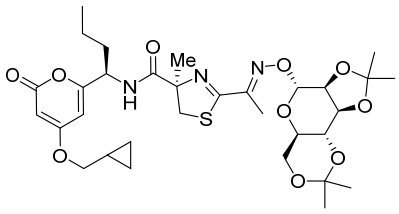
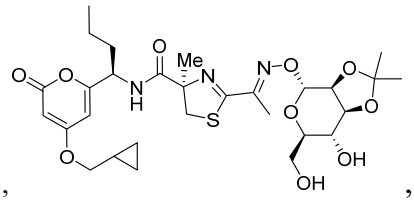
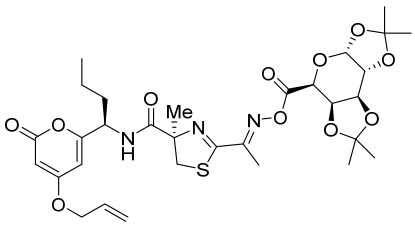
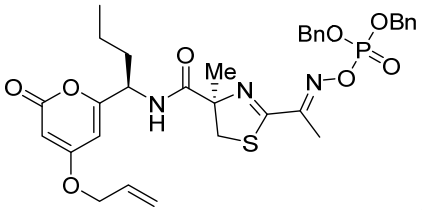
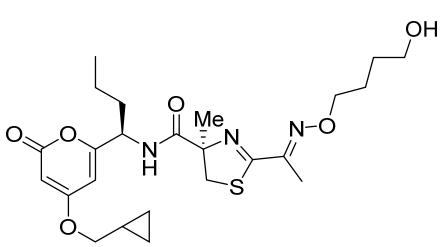
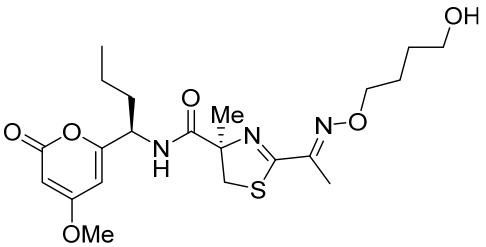
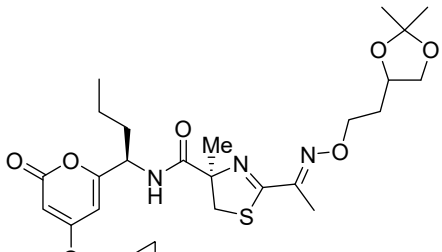
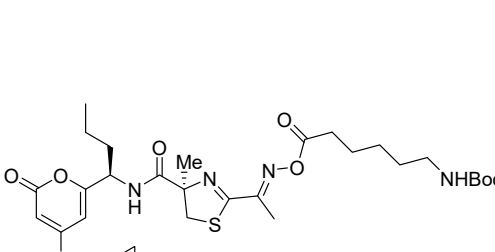
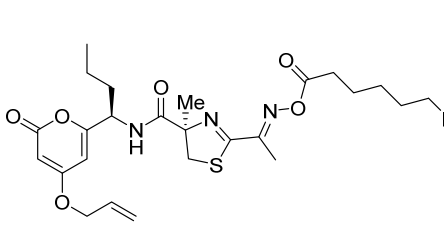
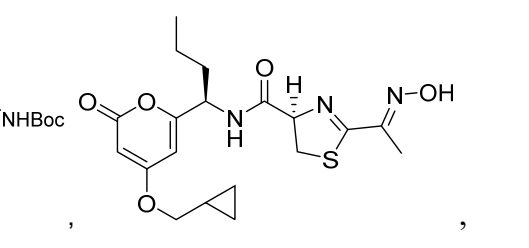




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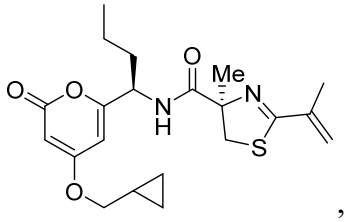
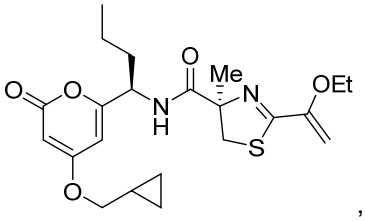
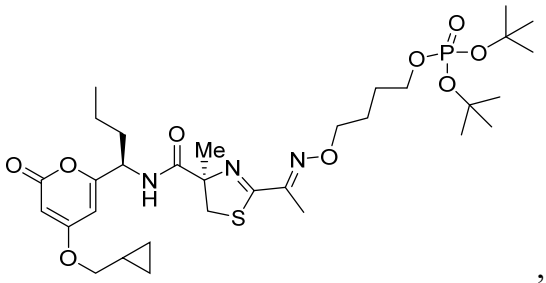
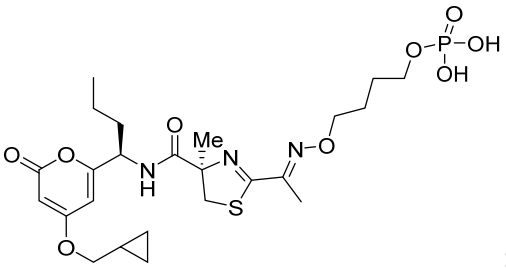











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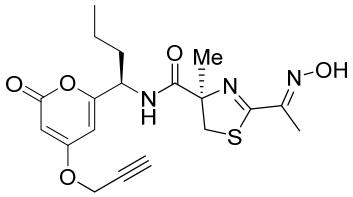
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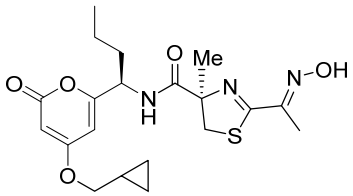
or a pharmaceutically acceptable salt or ester thereof.

15. The compound according to claim 1, of formula



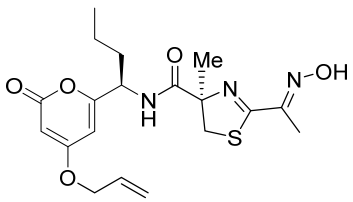
or a pharmaceutically acceptable salt or ester thereof.

16. The compound according to claim 1, of formula



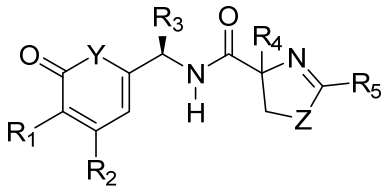
or a pharmaceutically acceptable salt or ester thereof.

17. The compound according to claim 1, of formula



or a pharmaceutically acceptable salt or ester thereof.

18. The compound according to claim 1, of formula **I** or a pharmaceutically acceptable salt or ester thereof



I

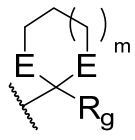
wherein:

R_1 is selected from hydrogen, halogen, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl, and substituted or unsubstituted C_2-C_{12} alkynyl, wherein the optional substituents are one or more substituents R_x;

R_2 is selected from hydrogen, halogen, substituted or unsubstituted C_1-C_{24} alkyl, substituted or unsubstituted C_2-C_{24} alkenyl, substituted or unsubstituted C_2-C_{24} alkynyl, $-OR_a$, $-OSO_2R_b$, $-NR_cR_d$, $-NR_c(C=O)R_f$, and $-NR_cSO_2R_b$, wherein the optional substituents are one or more substituents R_x;

R_3 is selected from halogen-substituted or unsubstituted C_1-C_{12} alkyl, halogen-substituted or unsubstituted C_2-C_{12} alkenyl, halogen-substituted or unsubstituted C_2-C_{12} alkynyl and substituted or unsubstituted C_3-C_6 cycloalkyl-C_1-C_{12} alkyl, wherein the optional substituents are one or more substituents R_x and the halogen substituents are one or more substituents independently selected from F, Cl, Br and I;

R_4 is selected from hydrogen, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl and substituted or unsubstituted C_2-C_{12} alkynyl, wherein the optional substituents are one or more substituents R_x;

R_5 is selected from $-C(OR_e)_2R_g$, $-C(SR_e)_2R_g$, $-CH(OR_a)R_g$, $-CH(O-(C=O)R_f)R_g$, $-CH(NR_cR_d)R_g$, $-CH(NR_c-(C=O)R_f)R_g$, $-CH(NR_c-OR_h)R_g$, $-(C=O)R_g$, $-(C=NR_c)R_g$, $-(C=N-OR_h)R_g$, $-(C=N-O-(C=O)R_f)R_g$, $-(C=N-NR_cR_d)R_g$, $-(C=O)OR_a$, $-(C=O)NR_c-OR_h$, and $-(C=O)NR_cR_d$; or R_5 is a  group where m is 0, 1 or 2 and each E group is independently selected from O and S;

Y is –O-;

Z is –S-;

each group R_a is independently selected from hydrogen, a protecting group for OH, substituted or unsubstituted C_1-C_{24} alkyl, substituted or unsubstituted C_2-C_{24} alkenyl, substituted or unsubstituted C_2-C_{24} alkynyl, substituted or unsubstituted C_3-C_6cycloalkyl-C_1-C_{12}alkyl, substituted

or unsubstituted aryl, substituted or unsubstituted heterocyclic group, $-(CH_2CH_2O)_pCH_2CH_3$, and $-(CH_2CH_2O)_pCH_3$ wherein p is from 1 to 25 and the optional substituents are one or more substituents R_x;

each group R_b is independently selected from substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl, substituted or unsubstituted C_2-C_{12} alkynyl, substituted or unsubstituted aryl, and substituted or unsubstituted heterocyclic group, wherein the optional substituents are one or more substituents R_x;

each group R_c and R_d is independently selected from hydrogen, a protecting group for amino, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl, and substituted or unsubstituted C_2-C_{12} alkynyl, wherein the optional substituents are one or more substituents R_x; or R_c and R_d together with the nitrogen atom to which they are attached form a heterocyclic group;

each group R_e is substituted or unsubstituted C_1-C_{12} alkyl group, wherein the optional substituents are one or more substituents R_x;

each group R_f is independently selected from hydrogen, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl, substituted or unsubstituted C_2-C_{12} alkynyl, $-CH_2O(CH_2CH_2O)_pCH_2CH_3$ and $-CH_2O(CH_2CH_2O)_pCH_3$ wherein p is from 1 to 25 and the optional substituents are one or more substituents R_x;

each group R_g is independently selected from hydrogen, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl and substituted or unsubstituted C_2-C_{12} alkynyl, wherein the optional substituents are one or more substituents R_x;

each group R_h is independently selected from hydrogen, a protecting group for OH, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl, substituted or unsubstituted C_2-C_{12} alkynyl, substituted or unsubstituted C_3-C_6cycloalkyl-C_1-C_{12}alkyl, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclic group, $-(CH_2CH_2O)_pCH_2CH_3$, $-(CH_2CH_2O)_pCH_3$ wherein p is from 1 to 25, and substituted or unsubstituted monosaccharide residue, wherein the optional substituents are one or more substituents R_x;

substituents R_x are selected from the group consisting of C_1-C_{12} alkyl groups which may be optionally substituted with at least one group R_y, C_2-C_{12} alkenyl groups which may be optionally substituted with at least one group R_y, C_2-C_{12} alkynyl groups which may be optionally substituted with at least one group R_y, halogen atoms, oxo groups, thio groups, cyano groups, nitro groups, OR_y, $OCOR_y$, $OCOOR_y$, COR_y, $COOR_y$, $OCONR_yR_z$, $CONR_yR_z$, SR_y, $S(=O)R_y$, SO_2R_y, SSR_y, $P(=O)(R_y)OR_z$, NR_yR_z, NR_yCOR_z, $NR_yC(=O)NR_yR_z$, $NR_yC(=NR_y)NR_yR_z$, aryl groups having from 6 to 18 carbon atoms in one or more rings which may optionally be substituted with one or more substituents which may be the same or different selected from the group consisting of R_y, OR_y,

$OCOR_y$, $OCOOR_y$, NR_yR_z, NR_yCOR_z, and $NR_yC(=NR_y)NR_yR_z$, aralkyl groups comprising an alkyl groups having from 1 to 12 carbon atoms substituted with an optionally substituted aryl group as defined above, aralkyloxy groups comprising an alkoxy group having from 1 to 12 carbon atoms substituted with an optionally substituted aryl group as defined above, and a 5- to 14- membered saturated or unsaturated heterocyclic group having one or more rings and comprising at least one oxygen, nitrogen or sulphur atom in said ring(s), said heterocyclic group optionally being substituted with one or more substituents R_y, and where there is more than one optional substituents on any given group the optional substituents R_y may be the same or different; and

each R_y and R_z is independently selected from the group consisting of hydrogen, C_1-C_{12} alkyl groups, C_1-C_{12} alkyl groups that are substituted with at least one halogen atom, aralkyl groups comprising a C_1-C_{12} alkyl group that is substituted with an aryl group having from 6 to 18 carbon atoms in one or more rings and heterocycloalkyl group comprising a C_1-C_{12} alkyl group that is substituted with a 5- to 14- membered saturated or unsaturated heterocyclic group having one or more rings and comprising at least one oxygen, nitrogen or sulphur atom in said ring(s).

19. A pharmaceutical composition comprising a compound as defined in any of claims 1 to 18, or a pharmaceutically acceptable salt or ester thereof, and a pharmaceutically acceptable carrier.

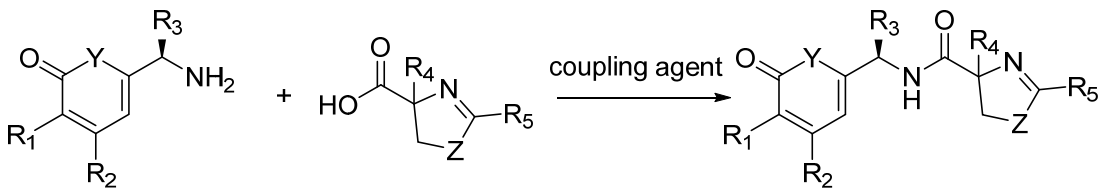
20. A dosage form comprising a pharmaceutical composition as defined in claim 19.

21. A compound as defined in any of claims 1 to 18 or a pharmaceutical composition as defined in claim 19 or dosage form as defined in claim 20 for use as a medicament.

22. Use of a compound as defined in any of claims 1 to 18, a pharmaceutical composition as defined in claim 19 or a dosage form as defined in claim 20 in the preparation of a medicament for the treatment of cancer.

23. Use of the compound, composition or dosage form as claimed in claim 22, wherein the cancer is selected from solid tumours, lung cancer, colon cancer, breast cancer and pancreas cancer.

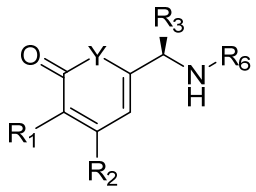
24. A process for obtaining a compound as defined in any of claims 1 to 18 comprising the coupling of a compound of formula **II** with a compound of formula **III** in accordance to Scheme 1



II **III** **I**

wherein R_1 is as defined for the compounds of formula **I** in claim 1 or 3 or an appropriately protected group as needed and R_2, R_3, R_4, R_5, Y, and Z are as defined for the compounds of formula **I** in any of claims 1 or 6 to 13 or an appropriately protected group as needed.

25. An intermediate compound of formula **IIa**



IIa

wherein:

R_1 is selected from hydrogen, halogen, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl, and substituted or unsubstituted C_2-C_{12} alkynyl, wherein the optional substituents are one or more substituents R_x;

R_2 is selected from hydrogen, halogen, substituted or unsubstituted C_1-C_{24} alkyl, substituted or unsubstituted C_2-C_{24} alkenyl, substituted or unsubstituted C_2-C_{24} alkynyl, $-OR_a$, OSO_2R_b, $-NR_cR_d$, $-NR_c(C=O)R_f$, and $-NR_cSO_2R_b$, wherein the optional substituents are one or more substituents R_x;

R_3 is selected from halogen-substituted or unsubstituted C_1-C_{12} alkyl, halogen-substituted or unsubstituted C_2-C_{12} alkenyl, halogen-substituted or unsubstituted C_2-C_{12} alkynyl and substituted or unsubstituted C_3-C_6 cycloalkyl-C_1-C_{12} alkyl, wherein the optional substituents are one or more substituents R_x and the halogen substituents are one or more substituents independently selected from F, Cl, Br, and I;

R_6 is selected from hydrogen and a carbamate protecting group for amino;

Y is -O-;

R_a is selected from hydrogen, a protecting group for OH, substituted or unsubstituted C_1-C_{24} alkyl, substituted or unsubstituted C_2-C_{24} alkenyl, substituted or unsubstituted C_2-C_{24} alkynyl, substituted or unsubstituted C_3-C_6cycloalkyl-C_1-C_{12}alkyl, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclic group, $-(CH_2CH_2O)_pCH_2CH_3$, and $-(CH_2CH_2O)_pCH_3$ wherein p is from 1 to 25 and the optional substituents are one or more substituents R_x;

each group R_b is independently selected from substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl, substituted or unsubstituted C_2-C_{12} alkynyl, substituted or unsubstituted aryl, and substituted or unsubstituted heterocyclic group, wherein the optional substituents are one or more substituents R_x;

each group R_c and R_d are independently selected from hydrogen, a protecting group for amino, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl, and substituted or unsubstituted C_2-C_{12} alkynyl, wherein the optional substituents are one or more substituents R_x; or R_c and R_d together with the nitrogen atom to which they are attached form a heterocyclic group;

R_f is independently selected from hydrogen, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl, substituted or unsubstituted C_2-C_{12} alkynyl, $-CH_2O(CH_2CH_2O)_pCH_2CH_3$, and $-CH_2O(CH_2CH_2O)_pCH_3$ wherein p is from 1 to 25 and the optional substituents are one or more substituents R_x;

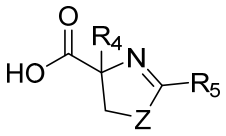
substituents R_x are selected from the group consisting of C_1-C_{12} alkyl groups which may be optionally substituted with at least one group R_y, C_2-C_{12} alkenyl groups which may be optionally substituted with at least one group R_y, C_2-C_{12} alkynyl groups which may be optionally substituted with at least one group R_y, halogen atoms, oxo groups, thio groups, cyano groups, nitro groups, OR_y, $OCOR_y$, $OCOOR_y$, COR_y, $COOR_y$, $OCONR_yR_z$, $CONR_yR_z$, SR_y, $S(=O)R_y$, SO_2R_y, OSO_2OR_y, SSR_y, $P(=O)(R_y)OR_z$, $OP(=O)(OR_y)_2$, NR_yR_z, $NR_yC(=O)R_z$, $NR_yC(=O)OR_z$, $NR_yC(=O)NR_yR_z$, $NR_yC(=NR_y)NR_yR_z$, aryl groups having from 6 to 18 carbon atoms in one or more rings which may optionally be substituted with one or more substituents which may be the same or different selected from the group consisting of R_y, OR_y, $OCOR_y$, $OCOOR_y$, NR_yR_z, NR_yCOR_z, and $NR_yC(=NR_y)NR_yR_z$, aralkyl groups comprising an alkyl groups having from 1 to 12 carbon atoms substituted with an optionally substituted aryl group as defined above, aralkyloxy groups comprising an alkoxy group having from 1 to 12 carbon atoms substituted with an optionally substituted aryl group as defined above, and a 5- to 14- membered saturated or unsaturated heterocyclic group having one or more rings and comprising at least one oxygen, nitrogen or sulphur atom in said ring(s), said heterocyclic group optionally being substituted with one or more substituents R_y, and where there is more than one optional substituents on any given group the optional substituents R_y may be the same or different; and

each R_y and R_z is independently selected from the group consisting of hydrogen, C_1-C_{12} alkyl groups, C_1-C_{12} alkyl groups that are substituted with at least one halogen atom, aralkyl groups comprising a C_1-C_{12} alkyl group that is substituted with an aryl group having from 6 to 18 carbon atoms in one or more rings and heterocycloalkyl group comprising a C_1-C_{12} alkyl group that is substituted with a 5- to 14- membered saturated or unsaturated heterocyclic group having one or more rings and comprising at least one oxygen, nitrogen or sulphur atom in said ring(s); and

wherein each alkyl, alkenyl and alkynyl group is cyclic or non-cyclic;

or a salt thereof.

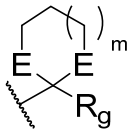
26. An intermediate compound of formula **IIIa**



IIIa

wherein R_4 is selected from unsubstituted C_1-C_{12} alkyl, unsubstituted C_2-C_{12} alkenyl and unsubstituted C_2-C_{12} alkynyl;

R_5 is selected from $-C(OR_e)_2R_g$, $-C(SR_e)_2R_g$, $-CH(OR_a)R_g$, $-CH(O-(C=O)R_f)R_g$, $-CH(NR_c-(C=O)R_f)R_g$, $-CH(NR_c-OR_h)R_g$, $-(C=O)R_g$, $-(C=NR_c)R_g$, $-(C=N-OR_h)R_g$, $-(C=N-O-(C=O)R_f)R_g$, $-(C=N-O-(C=O)OR_a)R_g$, $-(C=N-O-[(P=O)(OR_a)_2])R_g$, $-(C=N-NR_cR_d)R_g$, $-(C=O)OR_a$, $-(C=O)NR_c-OR_h$, $-(C=O)NR_cR_d$, $-(C=CH_2)R_g$, and $-(C=CH_2)OR_a$; or R_5 is a



group where m is 0, 1, or 2 and each E group is independently selected from O and S;

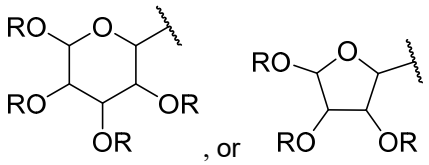
Z is -S-;

each group R_a is independently selected from hydrogen, a protecting group for OH, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl, substituted or unsubstituted C_2-C_{12} alkynyl, substituted or unsubstituted C_3-C_6cycloalkyl-C_1-C_{12}alkyl, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclic group, $-(CH_2CH_2O)_pCH_2CH_3$, and $-(CH_2CH_2O)_pCH_3$ wherein p is from 1 to 25 and the optional substituents are one or more substituents R_x;

each group R_c and R_d is independently selected from hydrogen, a protecting group for amino, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl, substituted or unsubstituted C_2-C_{12} alkynyl, wherein the optional substituents are one or more substituents R_x; or R_c and R_d together with the nitrogen atom to which they are attached form a heterocyclic group;

each group R_e is substituted or unsubstituted C_1-C_{12} alkyl group, wherein the optional substituents are one or more substituents R_x;

each group R_f is independently selected from hydrogen, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl, substituted or unsubstituted C_2-C_{12} alkynyl, $-CH_2O(CH_2CH_2O)_pCH_2CH_3$, $-CH_2O(CH_2CH_2O)_pCH_3$ wherein p is from 1 to 25 and the optional substituents are one or more substituents R_x, and a group of formula:



where each R group is, at each occurrence, independently selected from hydrogen, substituted or unsubstituted C_1-C_6 alkyl group, substituted or unsubstituted –(C=O)-(C_1-C_6)alkyl, and substituted or unsubstituted –(C=O)NH(C_1-C_6)alkyl, wherein the optional substituents are one or more substituents R_x; or two adjacent OR groups form an isopropylidene ketal or an acetal group selected from methylene-, methoxymethylene-, ethoxymethylene-, ethylidene-, benzylidene-, and *p*-methoxybenzylidene- acetals;

each group R_g is independently selected from hydrogen, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl and substituted or unsubstituted C_2-C_{12} alkynyl, wherein the optional substituents are one or more substituents R_x;

each group R_h is independently selected from hydrogen, a protecting group for OH, substituted or unsubstituted C_1-C_{12} alkyl, substituted or unsubstituted C_2-C_{12} alkenyl, substituted or unsubstituted C_2-C_{12} alkynyl, substituted or unsubstituted C_3-C_6cycloalkyl-C_1-C_{12}alkyl, substituted or unsubstituted heterocyclo-C_1-C_{12}alkyl, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclic group, -$(CH_2CH_2O)_pCH_2CH_3$, -$(CH_2CH_2O)_pCH_3$, wherein p is from 1 to 25, and substituted or unsubstituted monosaccharide residue, wherein the optional substituents are one or more substituents R_x;

substituents R_x are selected from the group consisting of C_1-C_{12} alkyl groups which may be optionally substituted with at least one group R_y, C_2-C_{12} alkenyl groups which may be optionally substituted with at least one group R_y, C_2-C_{12} alkynyl groups which may be optionally substituted with at least one group R_y, halogen atoms, oxo groups, thio groups, cyano groups, nitro groups, OR_y, $OCOR_y$, $OCOOR_y$, COR_y, $COOR_y$, $OCONR_yR_z$, $CONR_yR_z$, SR_y, $S(=O)R_y$, SO_2R_y, OSO_2OR_y, SSR_y, $P(=O)(R_y)OR_z$, $OP(=O)(OR_y)_2$, NR_yR_z, $NR_yC(=O)R_z$, $NR_yC(=O)OR_z$, $NR_yC(=O)NR_yR_z$, $NR_yC(=NR_y)NR_yR_z$, aryl groups having from 6 to 18 carbon atoms in one or more rings which may optionally be substituted with one or more substituents which may be the same or different selected from the group consisting of R_y, OR_y, $OCOR_y$, $OCOOR_y$, NR_yR_z, NR_yCOR_z, and $NR_yC(=NR_y)NR_yR_z$, aralkyl groups comprising an alkyl groups having from 1 to 12 carbon atoms substituted with an optionally substituted aryl group as defined above, aralkyloxy groups comprising an alkoxy group having from 1 to 12 carbon atoms substituted with an optionally substituted aryl group as defined above, and a 5- to 14- membered saturated or unsaturated heterocyclic group having one or more rings and comprising at least one oxygen, nitrogen or sulphur atom in said ring(s), said heterocyclic group optionally being substituted with one or more substituents R_y, and where there is more than one optional substituents on any given group the optional substituents R_y may be the same or different; and

each R_y and R_z is independently selected from the group consisting of hydrogen, C_1-C_{12} alkyl groups, C_1-C_{12} alkyl groups that are substituted with at least one halogen atom, aralkyl groups comprising a C_1-C_{12} alkyl group that is substituted with an aryl group having from 6 to 18 carbon atoms in one or more rings and heterocycloalkyl group comprising a C_1-C_{12} alkyl group that is substituted with a 5- to 14- membered saturated or unsaturated heterocyclic group having one or more rings and comprising at least one oxygen, nitrogen or sulphur atom in said ring(s); and

wherein each alkyl, alkenyl and alkynyl group is cyclic or non-cyclic;

or a salt thereof.