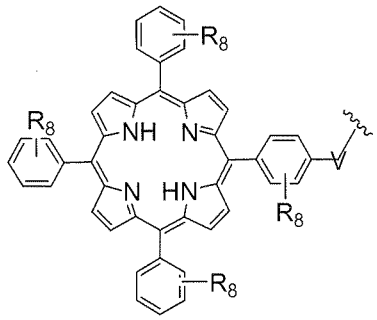
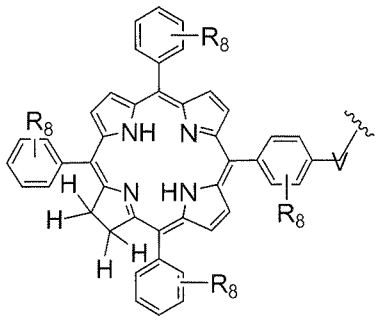
Z is NH, O, S, or $SO_2$;

$R_6$ is a group selected from -CN and $CH_3$;

$R_7$ is a group selected from:

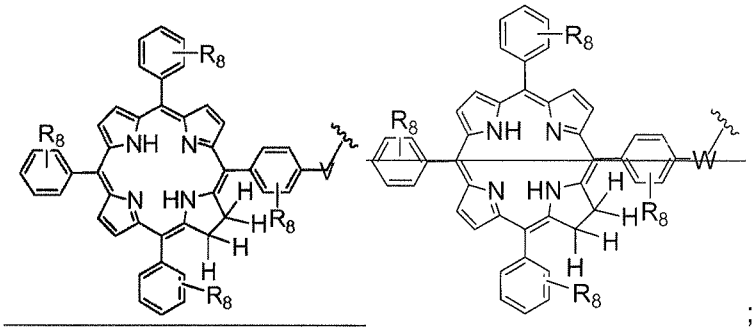



;




;

and




;

V is a group selected from CO, $SO_2$, PO, $PO_2H$ or $CH_2$; and

$R_8$ is a group (substituted in the o, m or p position), which may be the same or different, selected from H, -OH, $-OCH_3$, $-CH_3$, $-COCH_3$, $C(CH_3)_4$, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$ and $-NCOCH_3$;

wherein each R group may be the same or different.

In another aspect, the present invention is direct to an *in vitro* method for introducing a molecule into the cytosol of a cell, comprising contacting said cell with the molecule to be introduced and a compound as defined herein, and irradiating the cell with light of a wavelength effective to activate the photosensitising agent of the compound thereby releasing the molecule into the cytosol.

In another aspect, the present invention is direct to an *in vitro* method of achieving death of a cell comprising contacting said cell with a compound as defined herein, and irradiating the cell with light of a wavelength effective to activate the photosensitising agent of the compound to generate reactive oxygen species which cause death of said cell.

In another aspect, the present invention is direct to an *in vitro* method of expressing an antigenic molecule or a part thereof on the surface of a cell, comprising contacting said cell with said antigenic molecule and a compound as defined herein, and irradiating the cell with light of a wavelength effective to activate the photosensitising agent of the compound, wherein said antigenic molecule is released into the cytosol of the cell and the antigenic molecule or a part thereof of sufficient size to stimulate an immune response is presented on the cell's surface.

In another aspect, the present invention is direct to a pharmaceutical composition comprising a compound as defined herein and one or more pharmaceutically acceptable diluents, carriers or excipients, and optionally a molecule to be internalized.

In another aspect, the present invention is direct to a compound or composition as defined herein for use in therapy, preferably for cancer therapy, gene therapy or for stimulating an immune response.

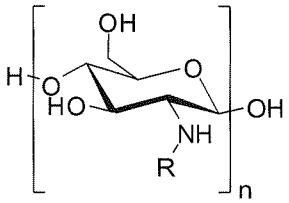
In another aspect, the present invention is direct to a compound or composition as defined herein, and optionally a molecule to be internalized, for use in treating or preventing a disease, disorder or infection in a subject, preferably in which abnormal or excessive cell growth is evident or in which abnormal elevated or suppressed gene expression is evident, especially preferably wherein said disease is cancer.

In another aspect, the present invention is direct to a compound or composition as defined herein for use in treating or preventing a disease, disorder or infection in a subject by generating an immune response, preferably a method of vaccination.

In another aspect, the present invention is direct to a cell or a population of cells ~~obtainable~~ obtained by a method as defined herein.

Claims:

1. A compound comprising a conjugate of a photosensitiser and chitosan, wherein said compound is a compound of Formula (I):



(I)

wherein

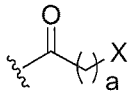
n is an integer greater than or equal to 3,

R appears n times in said compound and

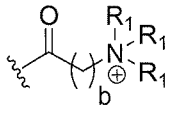
in 0.5%-99.5% of said total Rn groups, each R is a group A selected from:

H,

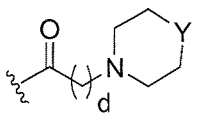




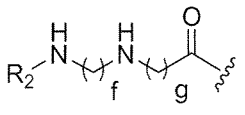
wherein a is 1, 2, 3, 4 or 5; and X is Br, Cl or OH;



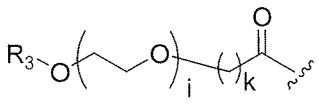
wherein each $R_1$, which may be the same or different, is selected from H, $CH_3$ and $-(CH_2)_c-CH_3$; b is 1, 2, 3, 4 or 5; and c is 0, 1, 2, 3, 4 or 5;



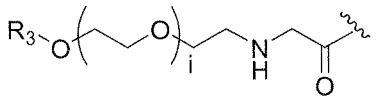
wherein Y is O; S; $SO_2$; $-NCH_3$; or $-N(CH_2)_eCH_3$; d=1, 2, 3, 4 or 5; and e=1, 2, 3, 4 or 5;



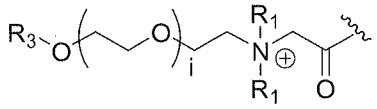
wherein $R_2$ is $-(CH_2)_h-CH_3$ or $-CO-(CH_2)_h-CH_3$; f is 1, 2, 3, 4 or 5; g is 1, 2, 3, 4 or 5; and h is 0, 1, 2, 3, 4 or 5;



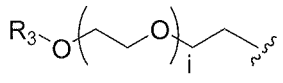
wherein $R_3$ is $-(CH_2)_j-CH_3$, i is an integer from 1 to 200, preferably from 1-10; j is 0, 1, 2, 3, 4 or 5; and k is 1, 2, 3, 4 or 5;



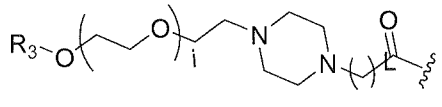
wherein $R_3$ is $-(CH_2)_j-CH_3$, i is an integer from 1 to 200, preferably from 1-10; and j is 0, 1, 2, 3, 4 or 5;



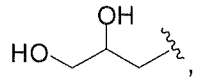
wherein $R_3$ is $-(CH_2)_j-CH_3$, i is an integer from 1 to 200, preferably from 1-10; j is 0, 1, 2, 3, 4 or 5; and each $R_1$, which may be the same or different, is selected from H, $CH_3$ and $-(CH_2)_c-CH_3$; and c is 0, 1, 2, 3, 4 or 5;



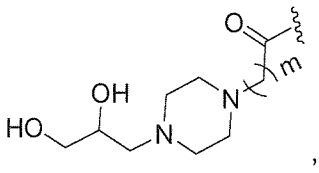
wherein $R_3$= $-(CH_2)_j-CH_3$, i is an integer from 1 to 200, preferably from 1-10; and j is 0, 1, 2, 3, 4 or 5;



wherein $R_3$= $-(CH_2)_j-CH_3$, i is an integer from 1 to 200, preferably from 1-10; L is 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10; and j is 0, 1, 2, 3, 4 or 5;



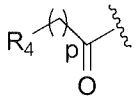
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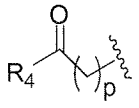


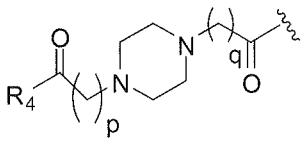
wherein m is 1, 2, 3, 4 or 5;

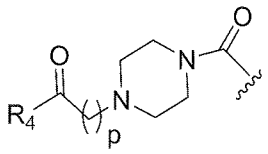
wherein each R group may be the same or different; and

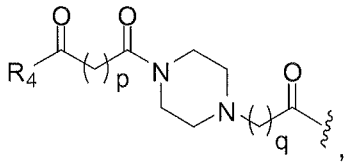
in 0.5%-99.5% of said total Rn groups, each R is a group B selected from:

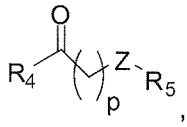


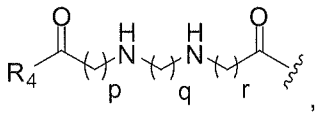


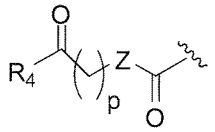


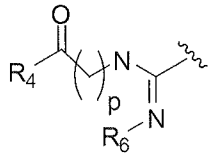


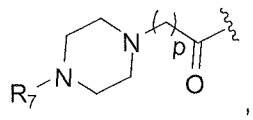






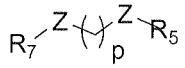






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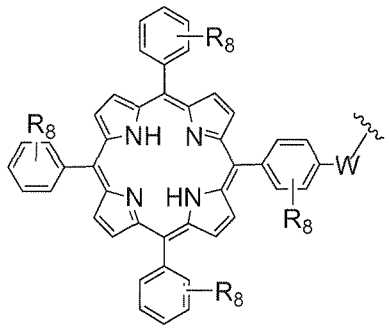


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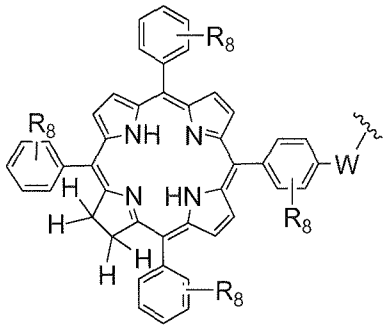
wherein

p is 0, 1, 2, 3, 4 or 5; q is 1, 2, 3, 4 or 5; and r is 1, 2, 3, 4 or 5;

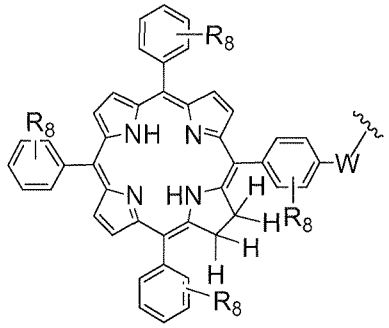
$R_4$ is a group selected from:



;



and



;

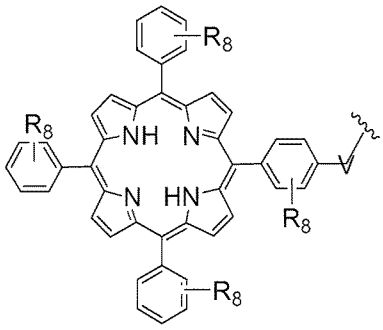
W is a group selected from O, S, NH or $N(CH_3)$;

$R_5$ is a group selected from: $-(CH_2)_s-CO-$; $-(CH_2)_s-Z-(CH_2)_t-CO-$ and $-(CH_2)_s-Z-(CH_2)_t-Z-CO-$; wherein s is 0, 1, 2, 3, 4 or 5; t is 0, 1, 2, 3, 4 or 5;

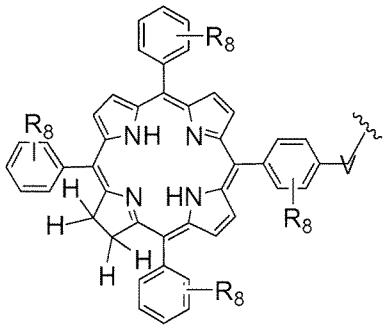
Z is NH, O, S, or $SO_2$;

$R_6$ is a group selected from -CN and $CH_3$;

$R_7$ is a group selected from:

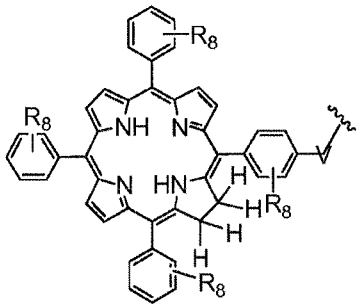


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and



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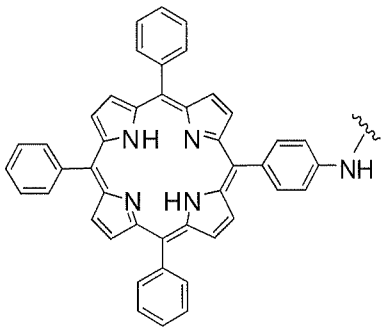
V is a group selected from CO, $SO_2$, PO, $PO_2H$ or $CH_2$; and

$R_8$ is a group (substituted in the o, m or p position), which may be the same or different, selected from H, -OH, $-OCH_3$, $-CH_3$, $-COCH_3$, $C(CH_3)_4$, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$ and $-NCOCH_3$;

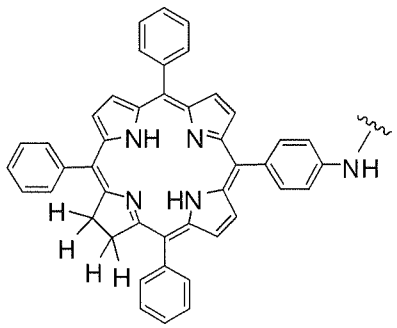
wherein each R group may be the same or different.

2. A compound as claimed in claim 1 wherein n is an integer from 10 to 100.

3. A compound as claimed in claim 1 or 2 wherein $R_4$ is selected from

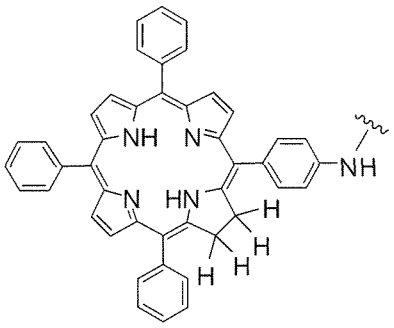


TPPa ;



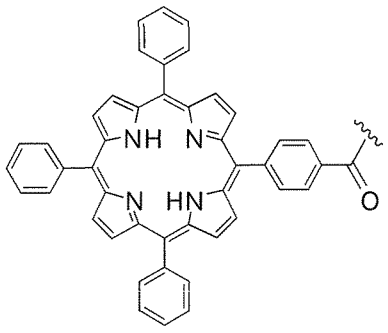
$TPCa_1$ ;

and

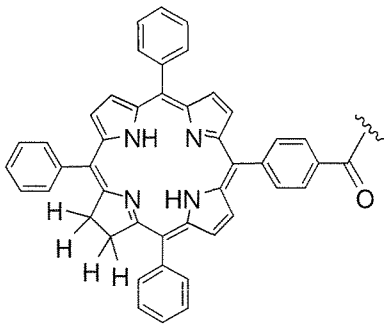



$TPCa_2$

4. A compound as claimed in any one of claims 1 to 3 wherein $R_7$ is selected from

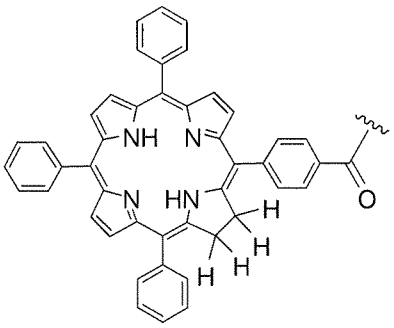



TPPc ;




$TPCc_1$ ;

and



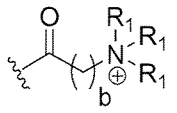

$TPCc_2$

5. A compound as claimed in claim 3 or 4 wherein $R_4$ or $R_7$ is $TPC_{a1}$ or $TPC_{a2}$.

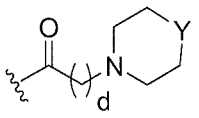
6. A compound as claimed in any one of claims 1 to 5 wherein group A provides 70 to 95% of the total Rn groups and group B provides 5 to 30% of the total Rn groups.

7. A compound as claimed in any one of claims 1 to 6 wherein each group A R group is selected from:

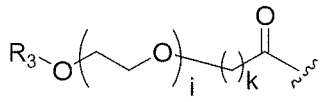

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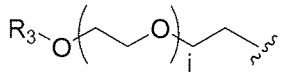
wherein preferably each $R_1$ is $CH_3$ and b is 1;


,

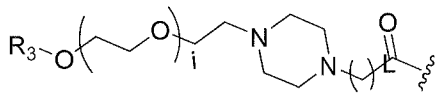
wherein preferably Y is $-NCH_3$ and d is 1;


,

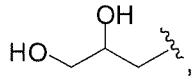
wherein preferably j is 0 or 1; i is 3 or 6 and k is 1;

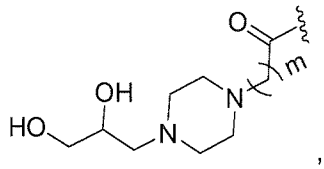

,

wherein preferably j is 1 and i is 2;


,

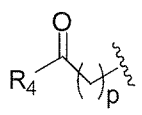
wherein preferably j is 0 or 1 and i is 2, 4 or 5 and L is 1;


, and

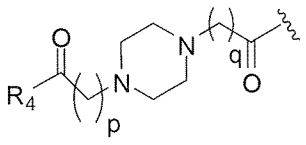

,

wherein preferably m is 1, and each R group may be the same or different.

8. A compound as claimed in any one of claims 1 to 7 wherein each group B R group is selected from:

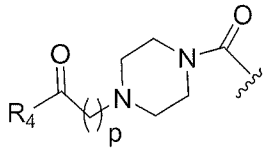

,

wherein preferably p is 1;



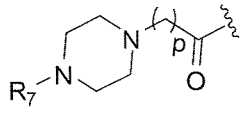
,

wherein preferably p is 1 and q is 1;



,

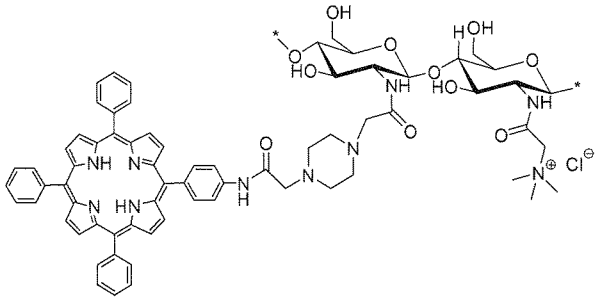
wherein preferably p is 1; and



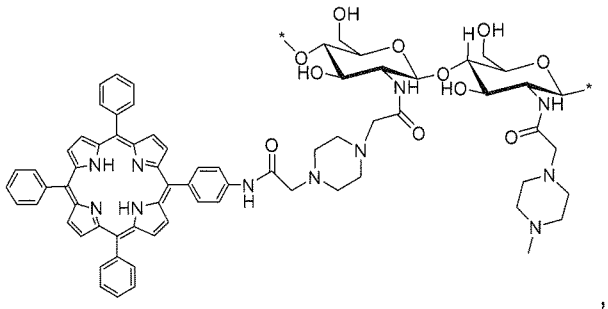
,

wherein preferably p is 1, and each R group may be the same or different.

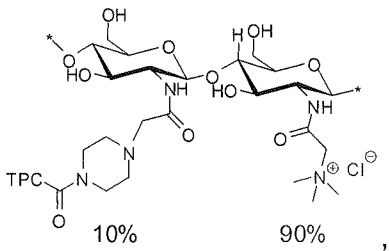
9. A compound as claimed in any one of claims 1 to 8 wherein said compound is selected from the group consisting of



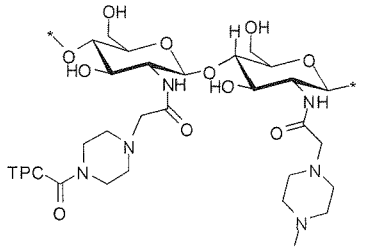
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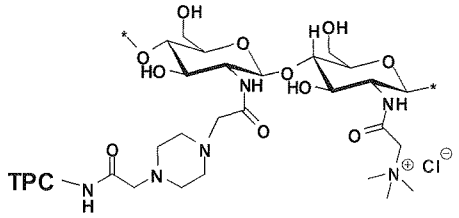
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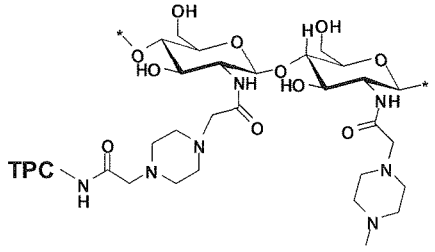
10% 90% ,



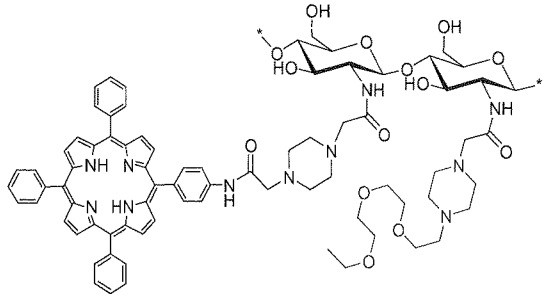

10% 90% ,



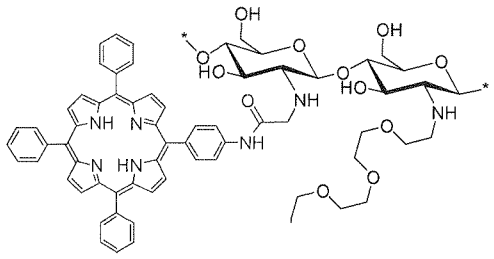

**10%** **90%** ,




**10%** **90%** ,



$R_1$-10%, $R_2$-90% and



$R_3$- 4%, $R_4$-23% .

10. An *in vitro* method for introducing a molecule into the cytosol of a cell, comprising contacting said cell with the molecule to be introduced and a compound as defined in any one of claims 1 to 9, and irradiating the cell with light of a

wavelength effective to activate the photosensitising agent of the compound thereby releasing the molecule into the cytosol.

11. An *in vitro* method of achieving death of a cell comprising contacting said cell with a compound as defined in any one of claims 1 to 9, and irradiating the cell with light of a wavelength effective to activate the photosensitising agent of the compound to generate reactive oxygen species which cause death of said cell.

12. An *in vitro* method of expressing an antigenic molecule or a part thereof on the surface of a cell, comprising contacting said cell with said antigenic molecule and a compound as defined in any one of claims 1 to 9, and irradiating the cell with light of a wavelength effective to activate the photosensitising agent of the compound, wherein said antigenic molecule is released into the cytosol of the cell and the antigenic molecule or a part thereof of sufficient size to stimulate an immune response is presented on the cell's surface.

13. A pharmaceutical composition comprising a compound as defined in any one of claims 1 to 9 and one or more pharmaceutically acceptable diluents, carriers or excipients, and optionally a molecule to be internalized.

14. A compound or composition as defined in any one of claims 1 to 9 and 13 for use in therapy, preferably for cancer therapy, gene therapy or for stimulating an immune response.

15. A compound or composition as defined in any one of claims 1 to 9 and 13, and optionally a molecule to be internalized, for use in treating or preventing a disease, disorder or infection in a subject, preferably in which abnormal or excessive cell growth is evident or in which abnormal elevated or suppressed gene expression is evident, especially preferably wherein said disease is cancer.

16. A compound or composition according to claim 15, wherein said treatment or prevention comprises contacting cells in the subject with a molecule to be introduced and said compound or composition, and irradiating the cells with light of a wavelength effective to activate the photosensitising agent of the compound.

17. A compound or composition according to claim 16, wherein said molecule to be introduced is a cytotoxic molecule, preferably bleomycin.

18. A compound or composition according to claim 15, wherein said treatment or prevention comprises contacting cells in the subject with said compound or composition, and irradiating the cells with light of a wavelength effective to activate the photosensitising agent of the compound to generate reactive oxygen species which cause death of said cells.

19. A compound or composition as defined in any one of claims 1 to 9 or 13 for use in treating or preventing a disease, disorder or infection in a subject by generating an immune response, preferably a method of vaccination.

20. A compound or composition according to claim 19 wherein said treatment or prevention comprises contacting cells in a subject with said compound or composition, and irradiating the cells with light of a wavelength effective to activate the photosensitising agent of the compound, wherein said antigenic molecule is released into the cytosol of the cells and the antigenic molecule or a part thereof of sufficient size to stimulate an immune response is presented on the cells' surface.

21. A cell or a population of cells obtained by a method as defined in any one of claims 10 to 12.

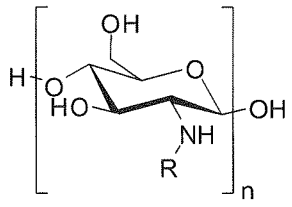
22. The cell or population of cells as claimed in claim 21 for use in therapy, preferably for cancer therapy, gene therapy or for stimulating an immune response.

23. Use of a compound or composition as defined in any one of claims 1 to 9 and 13, and optionally a molecule to be internalized in the manufacture of a medicament for treating or preventing a disease, disorder or infection in a patient, wherein preferably, in said disease, disorder or infection abnormal or excessive cell growth is evident or abnormal elevated or suppressed gene expression is evident, especially preferably wherein said disease is cancer, or preferably an immune response is generated in said method, wherein preferably the method is vaccination.

24. A kit comprising a compound or composition as defined in any one of claims 1 to 9 and 13 and a molecule to be internalized, preferably for simultaneous, separate or sequential use to treat or prevent a disease, disorder or infection in a subject, preferably for use in therapy, preferably cancer therapy, gene therapy or for stimulating an immune response.

Claims:

1. A compound comprising a conjugate of a photosensitiser and chitosan, wherein said compound is a compound of Formula (I):



(I)

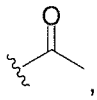
wherein

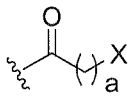
n is an integer greater than or equal to 3,

R appears n times in said compound and

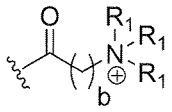
in 0.5%-99.5% of said total Rn groups, each R is a group A selected from:

H,

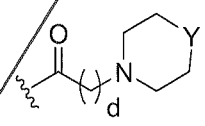
,

,

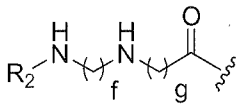
wherein a is 1, 2, 3, 4 or 5; and X is Br, Cl or OH;

,

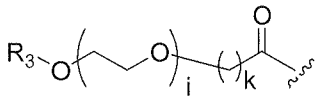
wherein each $R_1$, which may be the same or different, is selected from H, $CH_3$ and $-(CH_2)_c-CH_3$; b is 1, 2, 3, 4 or 5; and c is 0, 1, 2, 3, 4 or 5;

,

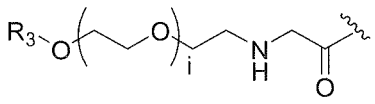
wherein Y is O; S; $SO_2$; $-NCH_3$; or $-N(CH_2)_eCH_3$; d=1, 2, 3, 4 or 5; and e=1, 2, 3, 4 or 5;



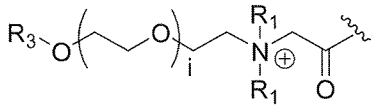
wherein $R_2$ is $-(CH_2)_h-CH_3$ or $-CO-(CH_2)_h-CH_3$; f is 1, 2, 3, 4 or 5; g is 1, 2, 3, 4 or 5; and h is 0, 1, 2, 3, 4 or 5;



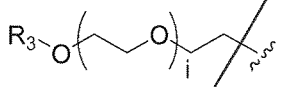
wherein $R_3$ is $-(CH_2)_j-CH_3$, i is an integer from 1 to 200, preferably from 1-10; j is 0, 1, 2, 3, 4 or 5; and k is 1, 2, 3, 4 or 5;



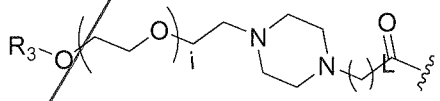
wherein $R_3$ is $-(CH_2)_j-CH_3$, i is an integer from 1 to 200, preferably from 1-10; and j is 0, 1, 2, 3, 4 or 5;



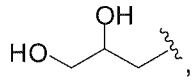
wherein $R_3$ is $-(CH_2)_j-CH_3$, i is an integer from 1 to 200, preferably from 1-10; j is 0, 1, 2, 3, 4 or 5; and each $R_1$, which may be the same or different, is selected from H, $CH_3$ and $-(CH_2)_c-CH_3$; and c is 0, 1, 2, 3, 4 or 5;



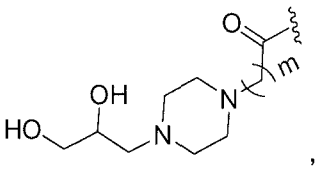
wherein $R_3$= $-(CH_2)_j-CH_3$, i is an integer from 1 to 200, preferably from 1-10; and j is 0, 1, 2, 3, 4 or 5;



wherein $R_3$= $-(CH_2)_j-CH_3$, i is an integer from 1 to 200, preferably from 1-10; L is 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10; and j is 0, 1, 2, 3, 4 or 5;



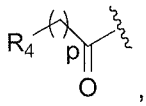
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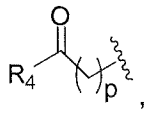


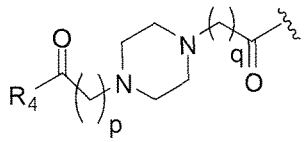
wherein m is 1, 2, 3, 4 or 5;

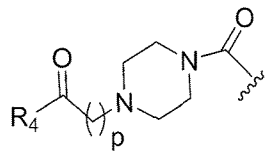
wherein each R group may be the same or different; and

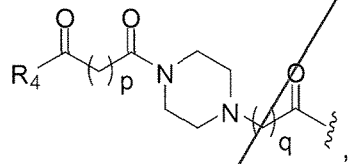
in 0.5%-99.5% of said total Rn groups, each R is a group B selected from:

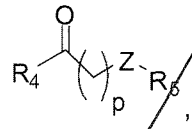


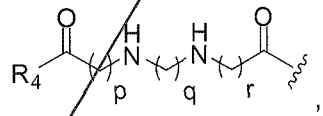


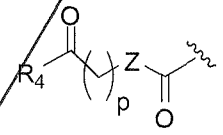


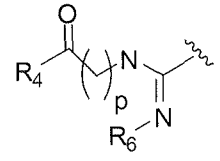


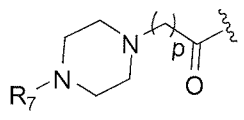






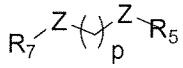






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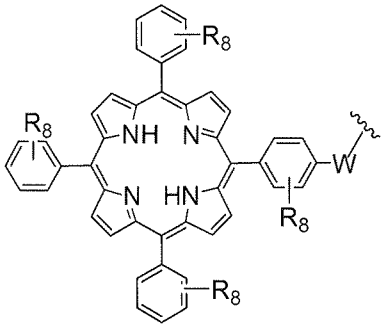


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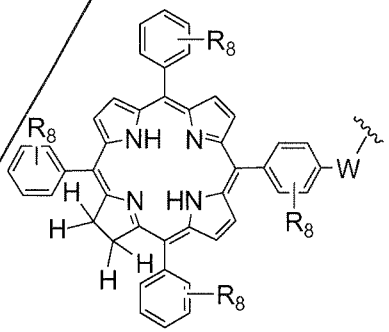
wherein

p is 0, 1, 2, 3, 4 or 5; q is 1, 2, 3, 4 or 5; and r is 1, 2, 3, 4 or 5;

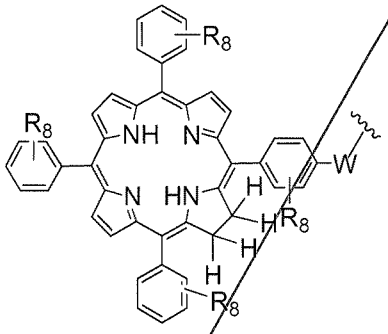
$R_4$ is a group selected from:



;



and



;

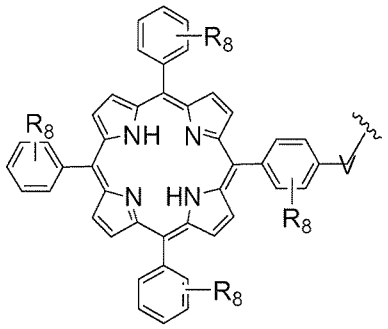
W is a group selected from O, S, NH or $N(CH_3)$;

$R_5$ is a group selected from: $-(CH_2)_s-CO-$; $-(CH_2)_s-Z-(CH_2)_t-CO-$ and $-(CH_2)_s-Z-(CH_2)_t-Z-CO-$; wherein s is 0, 1, 2, 3, 4 or 5; t is 0, 1, 2, 3, 4 or 5;

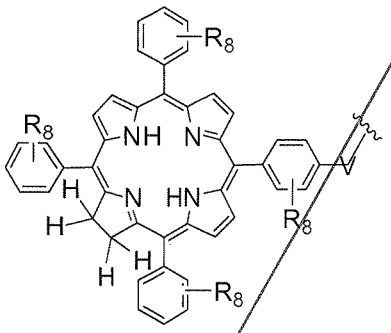
Z is NH, O, S, or $SO_2$;

$R_6$ is a group selected from -CN and $CH_3$;

$R_7$ is a group selected from:

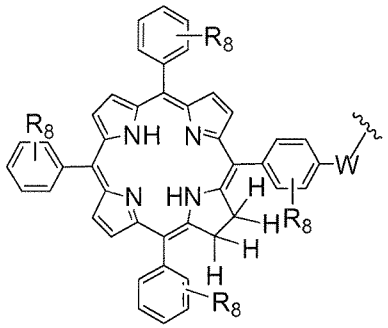



;




;

and




;

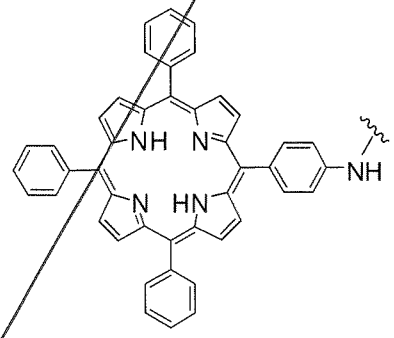
V is a group selected from CO, $SO_2$, PO, $PO_2H$ or $CH_2$; and

$R_8$ is a group (substituted in the o, m or p position), which may be the same or different, selected from H, -OH, $-OCH_3$, $-CH_3$, $-COCH_3$, $C(CH_3)_4$, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$ and $-NCOCH_3$;

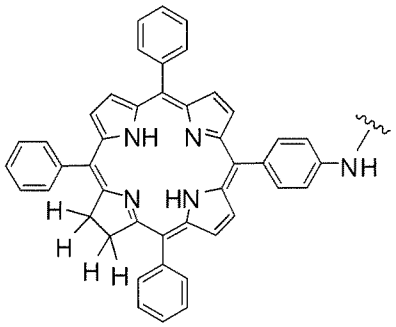
wherein each R group may be the same or different.

2. A compound as claimed in claim 1 wherein n is an integer from 10 to 100.

3. A compound as claimed in claim 1 or 2 wherein $R_4$ is selected from

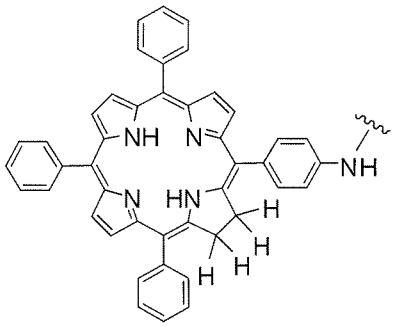



TPPa ;



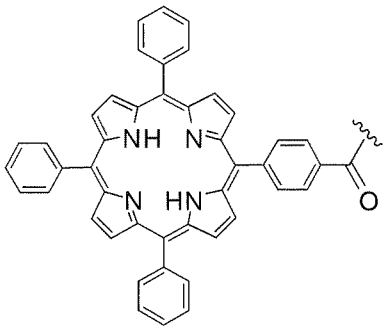

$TPCa_1$ ;

and

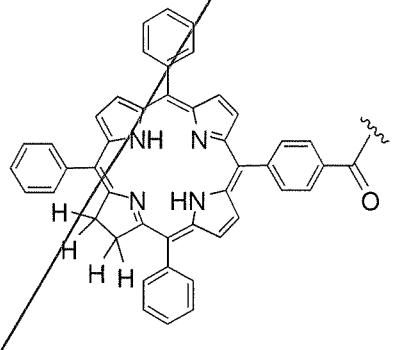


$TPCa_2$ .

4. A compound as claimed in any one of claims 1 to 3 wherein $R_7$ is selected from

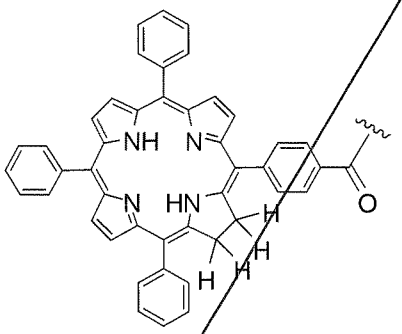


TPPc ;



$TPCc_1$ ;

and



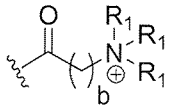
$TPCc_2$ .

5. A compound as claimed in claim 3 or 4 wherein $R_4$ or $R_7$ is $TPC_{a1}$ or $TPC_{a2}$.

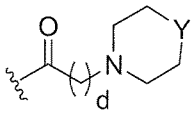
6. A compound as claimed in any one of claims 1 to 5 wherein group A provides 70 to 95% of the total Rn groups and group B provides 5 to 30% of the total Rn groups.

7. A compound as claimed in any one of claims 1 to 6 wherein each group A R group is selected from:

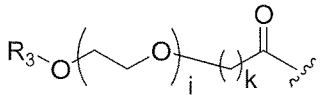

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,

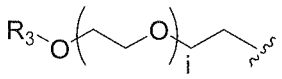
wherein preferably each $R_1$ is $CH_3$ and b is 1;


,

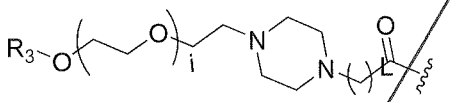
wherein preferably Y is $-NCH_3$ and d is 1;


,

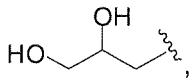
wherein preferably j is 0 or 1; i is 3 or 6 and k is 1;

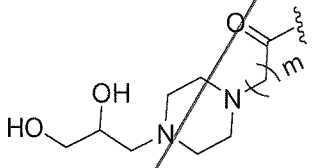

,

wherein preferably j is 1 and i is 2;


,

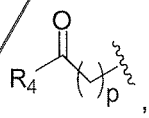
wherein preferably j is 0 or 1 and i is 2, 4 or 5 and L is 1;


, and

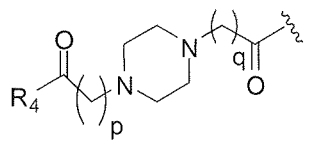

,

wherein preferably m is 1, and each R group may be the same or different.

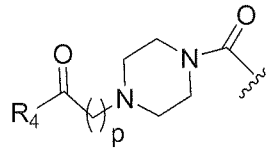
8. A compound as claimed in any one of claims 1 to 7 wherein each group B R group is selected from:


,

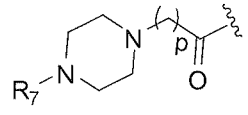
wherein preferably p is 1;



wherein preferably p is 1 and q is 1;



wherein preferably p is 1; and



wherein preferably p is 1, and each R group may be the same or different.

9. A compound as claimed in any one of claims 1 to 8 wherein said compound is selected from a compound as set forth in Figure 1.

10. An *in vitro* method for introducing a molecule into the cytosol of a cell, comprising contacting said cell with the molecule to be introduced and a compound as defined in any one of claims 1 to 9, and irradiating the cell with light of a wavelength effective to activate the photosensitising agent of the compound thereby releasing the molecule into the cytosol.

11. An *in vitro* method of achieving death of a cell comprising contacting said cell with a compound as defined in any one of claims 1 to 9, and irradiating the cell with light of a wavelength effective to activate the photosensitising agent of the compound to generate reactive oxygen species which cause death of said cell.

12. An *in vitro* method of expressing an antigenic molecule or a part thereof on the surface of a cell, comprising contacting said cell with said antigenic molecule and a compound as defined in any one of claims 1 to 9, and irradiating the cell with light of a wavelength effective to activate the photosensitising agent of the compound, wherein said antigenic molecule is released into the cytosol of the cell and the antigenic molecule or a part thereof of sufficient size to stimulate an immune response is presented on the cell's surface.

13. A pharmaceutical composition comprising a compound as defined in any one of claims 1 to 9 and one or more pharmaceutically acceptable diluents, carriers or excipients, and optionally a molecule to be internalized.

14. A compound or composition as defined in any one of claims 1 to 9 and 13 for use in therapy, preferably for cancer therapy, gene therapy or for stimulating an immune response.

15. A compound or composition as defined in any one of claims 1 to 9 and 13, and optionally a molecule to be internalized, for use in treating or preventing a disease, disorder or infection in a subject, preferably in which abnormal or excessive cell growth is evident or in which abnormal elevated or suppressed gene expression is evident, especially preferably wherein said disease is cancer.

16. A compound or composition according to claim 15, wherein said treatment or prevention comprises contacting cells in the subject with a molecule to be introduced and said compound or composition, and irradiating the cells with light of a wavelength effective to activate the photosensitising agent of the compound.

17. A compound or composition according to claim 16, wherein said molecule to be introduced is a cytotoxic molecule, preferably bleomycin.

18. A compound or composition according to claim 15, wherein said treatment or prevention comprises contacting cells in the subject with said compound or composition, and irradiating the cells with light of a wavelength effective to activate the photosensitising agent of the compound to generate reactive oxygen species which cause death of said cells.

19. A compound or composition as defined in any one of claims 1 to 9 or 13 for use in treating or preventing a disease, disorder or infection in a subject by generating an immune response, preferably a method of vaccination.

20. A compound or composition according to claim 19 wherein said treatment or prevention comprises contacting cells in a subject with said compound or composition, and irradiating the cells with light of a wavelength effective to activate the photosensitising agent of the compound, wherein said antigenic molecule is

released into the cytosol of the cells and the antigenic molecule or a part thereof of sufficient size to stimulate an immune response is presented on the cells' surface.

21. A cell or a population of cells obtainable by a method as defined in any one of claims 10 to 12.

22. The cell or population of cells as claimed in claim 21 for use in therapy, preferably for cancer therapy, gene therapy or for stimulating an immune response.

23. Use of a compound or composition as defined in any one of claims 1 to 9 and 13, and optionally a molecule to be internalized in the manufacture of a medicament for treating or preventing a disease, disorder or infection in a patient, wherein preferably, in said disease, disorder or infection abnormal or excessive cell growth is evident or abnormal elevated or suppressed gene expression is evident, especially preferably wherein said disease is cancer, or preferably an immune response is generated in said method, wherein preferably the method is vaccination.

24. A kit comprising a compound or composition as defined in any one of claims 1 to 9 and 13 and a molecule to be internalized, preferably for simultaneous, separate or sequential use to treat or prevent a disease, disorder or infection in a subject, preferably for use in therapy, preferably cancer therapy, gene therapy or for stimulating an immune response.