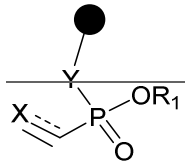
CLAIMS

1. Process for the preparation of a phosphonothiolate or phosphonate comprising the step of:

reacting a compound of formula (I)



(I)

wherein

 represents a double bond or triple bond;

X represents R_3-C when  is a triple bond;

X represents (R_3 R_4)C when  is a double bond;

Y represents S or O;

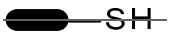
R_1 represents an optionally substituted aliphatic or aromatic residue;

R_3 represents H or C_1-C_8-alkyl;

R_4 represents H or C_1-C_8-alkyl; and

 represents an aliphatic or aromatic residue;

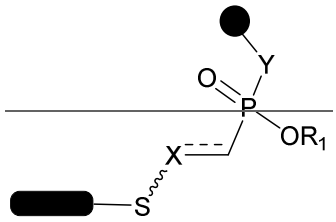
with a thiol-containing molecule of formula (II)



(II)

wherein  represents an amino acid, a peptide, a protein, an antibody, a nucleotide, an oligonucleotide, a saccharide, a polysaccharide, a polymer, an optionally substituted C_1-C_8-alkyl, an optionally substituted phenyl, or an optionally substituted aromatic 5- or 6-membered heterocyclic system;

resulting in a compound of formula (III)



(III)

wherein

 represents a bond if  in a compound of formula (I) represents a double bond ;or

 represents a double bond if  in a compound of formula (I) represents a triple bond; and

, , R_1, X and Y are as defined above.

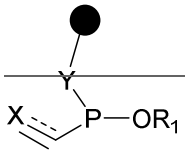
2. The process according to claim 1, wherein  represents a double bond, X represents $(R_3\text{-}R_4)C$, R_3 and R_4 independently represent H or C_1-C_8-alkyl and  represents a bond.

3. The process according to claim 1, wherein  represents a triple bond, X represents R_3-C, R_3 represents H or C_1-C_8-alkyl and  represents a double bond.

4. The process according to any one of the preceding claims, wherein Y is S.

5. The process according to any one of the preceding claims, further comprising a preparation of a compound of formula (I), said preparation comprising:

reacting a compound of formula (IV)



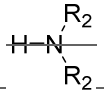
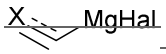
(IV)

wherein R_1, X, Y,  and  are as defined in any one of the preceding claims;

with an oxidant, such as e.g. tert-butyl hydroperoxide (*t*Bu-OOH), meta-chloroperoxybenzoic acid (mCPBA), hydrogen peroxide (H_2O_2), iodine (I_2), potassium peroxymonosulphate, or oxygen (O_2), to form the compound of formula (I).

6. The process according to claim 5, further comprising a preparation of the compound of formula (IV), said preparation comprising:

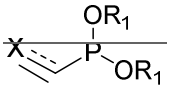
reacting, in sequential order, a phosphorus trihalide (X), preferably PCl_3, with

(i) R_1–OH (XI), (ii)  (XII), wherein R_2 independently represents C_1-C_8-alkyl, (iii)  (XIII), wherein Hal represents a halogen selected from the group consisting of Cl, Br and I, preferably Br, and (iv)  (XIV) to form the compound of formula (IV);

wherein R_1, X, Y,  and  are as defined in any one of the preceding claims.

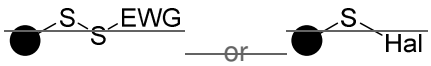
7. The process according to claim 4, further comprising a preparation of a compound of formula (I), said preparation comprising:

reacting a compound of formula (V)



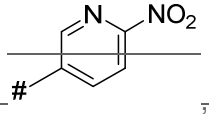
(V)

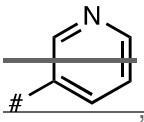
with a compound of formula (VIa) or (VIb):

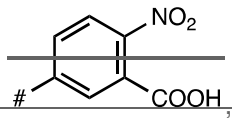


(VIa) (VIb)

to form a compound of formula (I);

wherein EWG represents an electron withdrawing group, said electron withdrawing group preferably being selected from the group consisting of ,



, and 

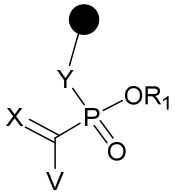
, wherein # indicates the position of S; Hal represents a halogen selected from the group consisting of Cl, Br and I, preferably Cl, and

wherein R_1, X,  and  are as defined in any one of the preceding claims.

8. The process according to claim 7, wherein  is a double bond and X represents $(R_3\text{-}R_4)C$, wherein R_3 and R_4 are as defined in any one of the preceding claims.

91. Process for the preparation of a phosphonothiolate or phosphonate comprising the step of:

Reacting a compound of formula (I*)



(I*)

wherein

V represents C_1-C_8-alkyl, preferably methyl, ethyl or propyl, more preferably methyl;

X represents $(R_3\ R_4)C$;

wherein  represents an aliphatic or aromatic residue;

Y represents S or O;

R_1 represents an optionally substituted aliphatic or aromatic residue;

R_3 represents H or C_1-C_8-alkyl; and

R_4 are as defined in any one of the preceding claims represents H or C_1-C_8-alkyl,

with a thiol-containing molecule of formula (II)

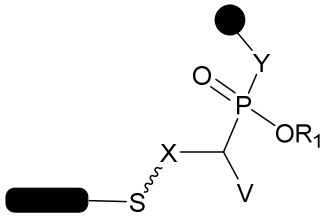


(II)

wherein  is as defined in any one of the preceding claims represents an amino acid, a peptide, a protein, an antibody, a nucleotide, an oligonucleotide, a saccharide, a polysaccharide, a polymer, an optionally substituted C_1-C_8-alkyl, an

optionally substituted phenyl, or an optionally substituted aromatic 5- or 6-membered heterocyclic system;;

resulting in a compound of formula (III*)



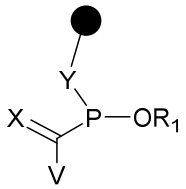
(III*)

wherein ▬ , ● ,V, R_1, X and Y are as defined above in any one of the preceding claims.

102. The process according to claim 91, wherein Y is S.

113. The process according to claim 91 or 102, further comprising a preparation of a compound of formula (I*), said preparation comprising:

reacting a compound of formula (IV*)

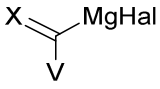


(IV*)

wherein X represents (R_3 R_4)C, and Y, R_1, R_3, R_4, V and ● are as defined in any one of the preceding claims;
with an oxidant, such as e.g. tert-butyl hydroperoxide (*t*Bu-OOH), meta-chloroperoxybenzoic acid (mCPBA), hydrogen peroxide (H_2O_2), iodine (I_2), potassium peroxymonosulphate, or oxygen (O_2), to form the compound of formula (I*).

124. The process according to claim 113, further comprising a preparation of the compound of formula (IV*), said preparation comprising:

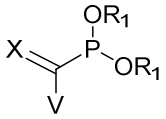
reacting, in sequential order, a phosphorus trihalide (X), preferably PCl_3, with

(i) R_1–OH (XI), (ii)  (XII), wherein R_2 independently represents C_1-C_8-alkyl, (iii)  (XIII*), wherein Hal represents a halogen selected from the group consisting of Cl, Br and I, preferably Br, and X represents (R_3 R_4)C, and (iv)  (XIV) to form the compound of formula (IV*);

wherein R_1, R_3, R_4, V, Y and ● are as defined in any one of the preceding claims.

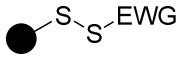
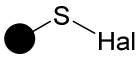
135. The process according to claim ~~102~~, further comprising a preparation of a compound of formula (I*), said preparation comprising:

reacting a compound of formula (V*)



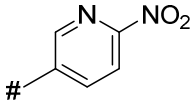
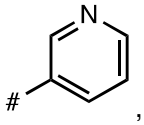
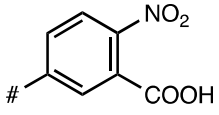
(V*)

with a compound of formula (VIa) or (VIb)

 or 

(VIa) (VIb)

to form a compound of formula (I*);

wherein EWG represents an electron withdrawing group, said electron withdrawing group preferably being selected from the group consisting of , , and , wherein # indicates the position of S; Hal represents a halogen selected from the group consisting of Cl, Br and I, preferably Cl; and

wherein X represents (R_3 R_4)C; and R_1, R_3, R_4, V and ● are as defined in any one of the preceding claims.

~~14. The process according to any one of the preceding claims, wherein R_1 represents C_1-C_8-alkyl optionally substituted with at least one of (C_1-C_8-alkoxy)$_n$ wherein n is 1, 2, 3,~~

4, 5 or 6, F, Cl, Br, I, $-NO_2$, $-N(C_1-C_8\text{-alkyl})H$, $-NH_2$, $-N_3$, $-N(C_1-C_8\text{-alkyl})_2$, =O, C_3-C_8-cycloalkyl, $-S-S-(C_1-C_8\text{-alkyl})$, hydroxy-$(C_1-C_8\text{-alkoxy})_n$ wherein n is 1, 2, 3, 4, 5 or 6, C_2-C_8-alkenyl, C_2-C_8-alkynyl; or

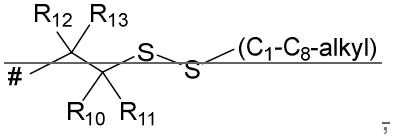
R_1 represents phenyl optionally independently substituted with at least one of C_1-C_8-alkyl, $(C_1-C_8\text{-alkoxy})_n$ wherein n is 1, 2, 3, 4, 5 or 6, F, Cl, I, Br, $-NO_2$, $-N(C_1-C_8$-alkyl)H, $-NH_2$ or $-N(C_1-C_8\text{-alkyl})_2$; or

R_1 represents a 5- or 6-membered heteroaromatic system such as pyridyl;

preferably, R_1 represents C_1-C_8-alkyl, C_1-C_8-alkyl substituted with $-S-S-(C_1-C_8\text{-alkyl})$, C_1-C_8-alkyl substituted with $(C_1-C_8\text{-alkoxy})_n$ wherein n is 1, 2, 3, 4, 5 or 6, C_1-C_8-alkyl substituted with optionally substituted phenyl; or phenyl; or phenyl substituted with $-NO_2$.

15. The process according to any one of the preceding claims, wherein R_1 represents methyl, ethyl, propyl or butyl, more preferably methyl or ethyl.

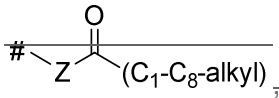
16. The process according to any one of claims 1 to 13, wherein R_1 represents



,

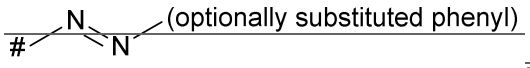
wherein R_{10}, R_{11}, R_{12} and R_{13} each independently represent hydrogen or C_1-C_8-alkyl; and # represents the position of O.

17. The process according to any one of claims 1 to 13, wherein R_1 represents C_1-C_8-alkyl substituted with phenyl, said phenyl being further substituted with



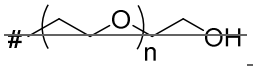
, wherein Z is O or NH, preferably O, and wherein # represents the position of said phenyl.

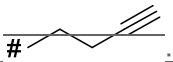
18. The process according to any one of claims 1 to 13, wherein R_1 represents C_1-C_8-alkyl substituted with phenyl, said phenyl being further substituted with



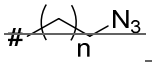
, and wherein # represents the position of said phenyl.

19. The process according to any one of claims 1 to 13, wherein R_1 represents



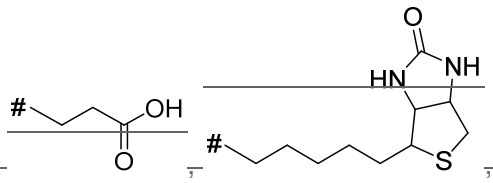
with n = 0, 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10;


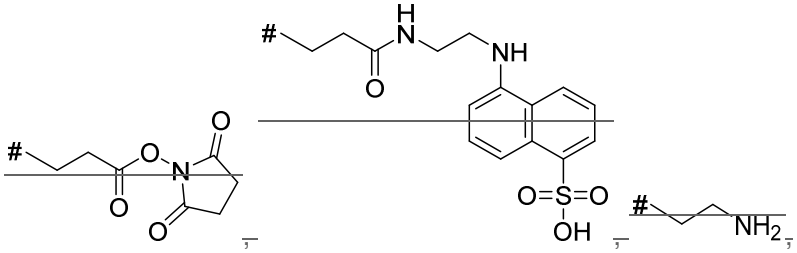
; or

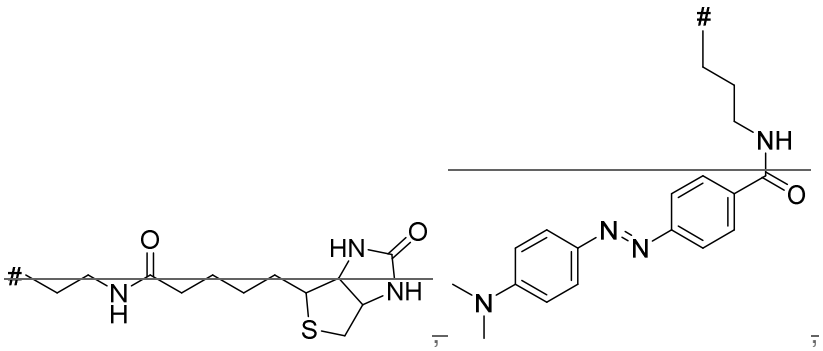


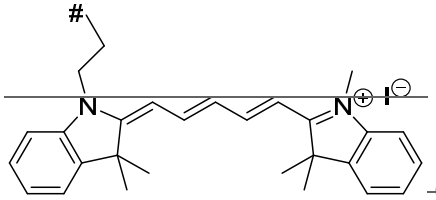
with n = 0, 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10, wherein # represents the position of O.

20. The process according to any one of the preceding claims, wherein ● represents a small molecule such as, for example, an optionally substituted C_1-C_8-alkyl, preferably ethyl, -CH_2-phenyl,









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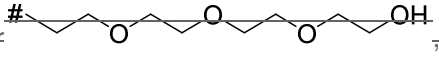


, wherein # indicates the position of the Y; or

● represents an optionally substituted phenyl; or

● represents a radioactive or non-radioactive nuclide, biotin, a reporter enzyme, a nucleotide, an oligonucleotide, a fluorophore such as CY_5 or EDANS, an amino acid, a peptide, or an optionally substituted 5- or 6-membered heteroaromatic system; wherein optionally the ● further comprises a linker that is bound to the Y.

21. The process according to any one of claims 1 to 19, wherein ● represents:

biotin; or

● represents CY_5 or EDANS; or

● represents phenyl, optionally substituted with one, two, three, four or five substituents independently selected from the group consisting of C_1-C_8-alkyl, C_1-C_8-alkoxy, halogen, -CN, $-NO_2$, $-NH_2$, $-N(C_1$-C_8-alkyl), $-N(C_1$-C_8-alkyl)$_2$ -COOH, -$COO(C_1$-C_8-alkyl), -O-C(O)-(C_1-C_8-alkyl), -C(O)N-(C_1-C_8-alkyl), -N(H)-C(O)-(C_1-C_8-alkyl) preferably optionally substituted with one substituent selected from the group consisting of C_1-C_8-alkoxy, -COOH, $-COO(C_1$-C_8-alkyl and NO_2; or

● represents C_1-C_8-alkyl, optionally substituted with at least one substituent selected from the group consisting of C_3-C_8-cycloalkyl; heterocyclyl with 3 to 8 ring members wherein the heteroatom(s) are selected from N, O, S; C_1-C_8-alkoxy; halogen; -CN; $-NO_2$; $-NH_2$; $-N(C_1$-C_8-alkyl); $-N(C_1$-C_8-alkyl)$_2$; -COOH; $-COO(C_1$-C_8-alkyl); -O-C(O)-(C_1-C_8-alkyl); $-CONH_2$; $-C(O)N(C_1$-C_8-alkyl)$_2$; $-C(O)NH-(C_1$-C_8-alkyl); -N(H)-C(O)-(C_1-C_8-alkyl), preferably C_1-C_8-alkoxy, -COOH, $-COO(C_1$-C_8-alkyl and NO_2, phenyl or a heteroaromatic system, a monosaccharide, a polysaccharide, a peptide, a protein, an antibody, a nucleotide, an oligonucleotide, a polymer, an amino acid, a fluorophor, a protein tag (substituent 1st generation), wherein a substituent 1st generation may again optionally be substituted with C_3-C_8-cycloalkyl; heterocyclyl with 3 to 8 ring members wherein the heteroatom(s) are selected from N, O, S; C_1-C_8-alkoxy; halogen; -CN; $-NO_2$; $-NH_2$; $-N(C_1$-C_8-alkyl); $-N(C_1$-C_8-alkyl)$_2$; -COOH; -$COO(C_1$-C_8-alkyl); -O-C(O)-(C_1-C_8-alkyl); $-CONH_2$; $-C(O)N(C_1$-C_8-alkyl)$_2$; $-C(O)NH-(C_1$-C_8-alkyl); -N(H)-C(O)-(C_1-C_8-alkyl), preferably C_1-C_8-alkoxy, -COOH, $-COO(C_1$-C_8-alkyl and NO_2, phenyl or a heteroaromatic system (substituents 2nd generation) and wherein a substituent 2nd generation may be substituted again by at least one substituent selected from the same group and wherein such substitution may go until generation 3, 4, 5, 6, 7, 8, 9 or 10; or

● represents an amino acid, a peptide, a protein, an antibody, a nucleotide, an oligonucleotide, a saccharide, a polysaccharide, a polymer, an optionally substituted C_1-C_8-alkyl, an optionally substituted phenyl, or an optionally substituted aromatic 5- or 6-membered heterocyclic system; wherein optionally the ● further comprises a linker that is bound to the Y; or

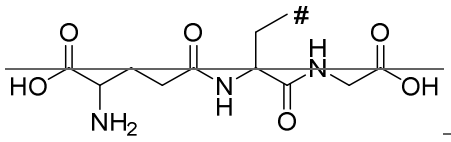
● represents an amino acid, a peptide, a protein, an antibody, a nucleotide or an oligonucleotide; wherein optionally the ● further comprises a linker that is bound to the Y.

22. The process according to any one of claims 1 to 19, wherein ● represents a drug, a protein tag, or a fluorophore such as CY_5 or EDANS, biotin, a protein, a peptide, an antibody or an oligonucleotide; wherein optionally the ● further comprises a linker that is bound to the Y.

23. The process according to any one of claims 1 to 19, wherein ● represents a linker or a linker-drug conjugate.

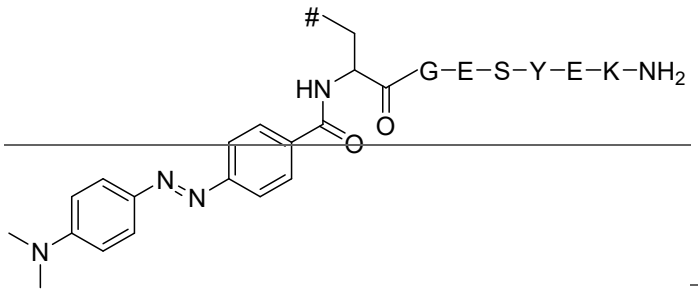
24. The process according to any one of the preceding claims, wherein ▬ represents an amino acid, a peptide, a protein, an antibody, a nucleotide or an oligonucleotide.

25. The process according to any one of the preceding claims, wherein ▬ represents an antibody, preferably an IgG antibody, more preferably a Cetuximab or a Trastuzumab or a Brentuximab; a protein, preferably a GFP protein or eGFP-protein, an albumin, a tripeptide, preferably a peptide of formula (VIII)



(VIII),

or of formula (IX)



(IX)

wherein # represents the position of S.

26. The process according to any one of claims 1 to 19, wherein

● represents an antibody and

● represents a protein tag, or a fluorophore such as CY_5 or EDANS, biotin, a peptide, a protein, an oligonucleotide, or a small molecule; wherein optionally the ● further comprises a linker that is bound to the Y.

27. The process according to any one of claims 1 to 19, wherein

● represents a protein and

● represents a protein tag, or a fluorophore such as CY_5 or EDANS, biotin, a peptide, an antibody, a protein, an oligonucleotide, or a small molecule; wherein optionally the ● further comprises a linker that is bound to the Y.

28. The process according to any one of claims 1 to 19, wherein

● represents a peptide and

● represents a protein tag, or a fluorophore such as CY_5 or EDANS, biotin, a peptide, a protein, an oligonucleotide, or a small molecule; wherein optionally the ● further comprises a linker that is bound to the Y.

29. The process according to any one of claims 1 to 19, wherein

● represents an amino acid and

● represents a protein tag, or a fluorophore such as CY_5 or EDANS, biotin, a peptide, a protein, an oligonucleotide, or a small molecule; wherein optionally the ● further comprises a linker that is bound to the Y.

30. The process according to any one of claims 1 to 19, wherein

● represents an antibody and

~~ represents a linker, a drug, or a linker-drug conjugate.~~

~~31. The process according to any one of claims 1 to 19, wherein~~

~~ represents a nucleotide and~~

~~ represents a peptide, a protein, a protein tag, an antibody, an oligonucleotide, a fluorophore such as CY_5 or EDANS, biotin, or a small molecule; wherein optionally the  further comprises a linker that is bound to the Y.~~

~~32. The process according to any one of claims 1 to 19, wherein~~

~~ represents a nucleotide and~~

~~ represents a linker.~~

~~33. The process according to any one of claims 1 to 19, wherein~~

~~ represents an oligonucleotide and~~

~~ represents a peptide, a protein, a protein tag, an antibody, an oligonucleotide, a fluorophore such as CY_5 or EDANS, biotin, or a small molecule; wherein optionally the  further comprises a linker that is bound to the Y.~~

~~34. The process according to any one of claims 1 to 19, wherein~~

~~ represents an oligonucleotide and~~

~~ represents a linker.~~

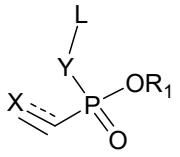
~~35. The process according to any one of claims 1 to 22, 26 to 29, 31 and 33, wherein~~

~~ represents an amino acid, a peptide, a nucleotide or an oligonucleotide, wherein the amino acid, peptide, nucleotide or oligonucleotide is bound to a solid support, wherein optionally the  further comprises a linker that is bound to the Y.~~

~~36. The process according to any one of claims 1 to 25, 28 to 29, 31 to 34 and 35, wherein  represents an amino acid, a peptide, a nucleotide or an oligonucleotide, wherein the amino acid, peptide, nucleotide or oligonucleotide is bound to a solid support.~~

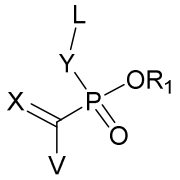
~~37~~6. Process for the preparation of a compound of formula (I) or formula (I*), said process ~~method~~ comprising:

(l) reacting a compound of formula (Ia)



(Ia); or

of formula (I*a)



(I*a),

wherein

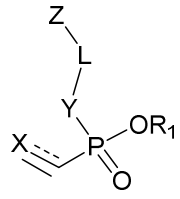
L represents a linker suitable for binding to an amino acid, a peptide, a nucleotide or an oligonucleotide; and

 represents a double bond or triple bond;

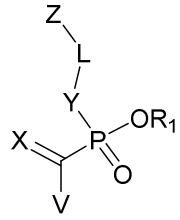
, X, Y, V and R1 are as defined in any one of the preceding claims,

with an amino acid, a peptide, a nucleotide or an oligonucleotide, wherein the amino acid, the peptide, the nucleotide or the oligonucleotide is bound to a solid support,

resulting in a compound of formula (Ib) or (I*b),



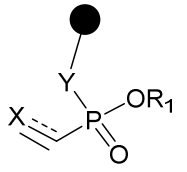
(Ib); or



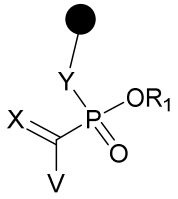
(I*b),

wherein Z represents the amino acid, the peptide, the nucleotide or the oligonucleotide, wherein the amino acid, the peptide, the nucleotide or the oligonucleotide is bound to the solid support; and

(II) cleaving the compound of formula (Ib) or formula (I*b) from the solid support to give the compound of formula (I) or formula (I*):



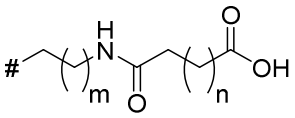
(I); or



(I*),

wherein ● represents the amino acid, the peptide, the nucleotide or the oligonucleotide bound to the Y through the linker L, and

, X, Y, V and R1 are as defined above.

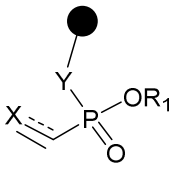
387. The process according to claim 376, wherein the linker L is 

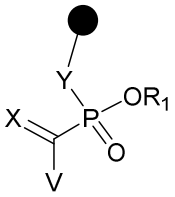
, wherein # indicates the position of the Y, and m and n are each, independently, an integer of from 0 to 20, 0 to 15, 1 to 10, 1 to 8, 1 to 6, 1 to 4, 1 to 3, 1 to 2, or 1, preferably m is 1 and n is 1.

398. The process according to claim 376 or 387, wherein the compound of formula (Ia) or (I*a) is reacted with a peptide bound to a solid support or an oligonucleotide bound to a solid support, preferably with a peptide bound to a solid support.

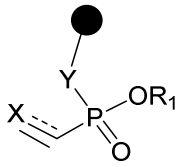
409. The process according to any one of claims 376 to 398, wherein the cleaving is carried out under acidic conditions.

4110. The process according to any one of claims 376 to 409, further comprising reacting the compound of formula (I) or formula (I*) with a compound of formula (II) as defined in any one of the preceding claims.

4211. The process according to any one claims 1 to 365, wherein the  and the  are in the same molecule.

4312. The process according to any one of claims 1 to 36 5, wherein the  and the  are in the same molecule.

4413. A compound of formula (I)



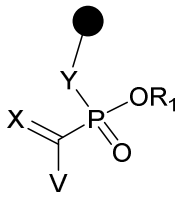
(I)

wherein , , R_1, X and Y are as defined in any one of the preceding claims.

4514. The compound according to claim 4413, wherein  represents a double bond, X represents (R_3 R_4)C, and R_3 and R_4 independently represent H or C_1-C_8-alkyl.

4615. The compound according to claim 4413, wherein  represents a triple bond, X represents R_3-C, and R_3 represents H or C_1-C_8-alkyl.

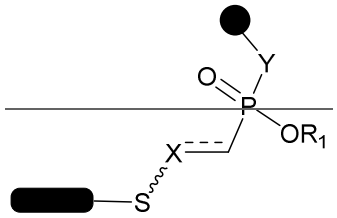
4716. A compound of formula (I*)



(I*)

wherein X represents (R_3 R_4)C, and R_1, R_3, R_4, V, Y and ● are as defined in any one of the preceding claims.

~~48. A compound of formula (III)~~



~~(III)~~

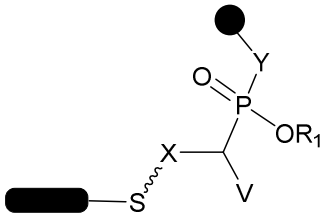
~~wherein~~

~~represents a bond and X represents (R_3 R_4)C, wherein R_3 and R_4 independently represent H or C_1-C_8-alkyl; or~~

~~represents a double bond and X represents R_3C, wherein R_3 represents H or C_1-C_8-alkyl; and~~

~~, , R_1, and Y are as defined in any one of the preceding claims.~~

~~49~~17. A compound of formula (III*)



(III*)

wherein X represents (R_3 R_4)C, and , ● ,V, Y, R_1, R_3 and R_4 are as defined in any one of the preceding claims.

~~50. The compound according to any one of claims 44 to 49, wherein Y is S.~~

51. The compound according to any one of claims 44 to 50, wherein R_1 represents C_1-C_8-alkyl optionally substituted with at least one of $(C_1$-C_8-alkoxy$)_n$ wherein n is 1, 2, 3, 4, 5 or 6, F, Cl, Br, I, $-NO_2$, $-N(C_1$-C_8-alkyl$)H$, $-NH_2$, $-N_3$, $-N(C_1$-C_8-alkyl$)_2$, =O, C_3-C_8-cycloalkyl, –S-S-$(C_1$-C_8-alkyl), hydroxy-$(C_1$-C_8-alkoxy$)_n$ wherein n is 1, 2, 3, 4, 5 or 6, C_2-C_8-alkenyl, C_2-C_8-alkynyl; or

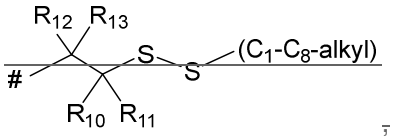
R_1 represents phenyl optionally independently substituted with at least one of C_1-C_8-alkyl, $(C_1$-C_8-alkoxy$)_n$ wherein n is 1, 2, 3, 4, 5 or 6, F, Cl, I, Br, $-NO_2$, $-N(C_1$-C_8-alkyl$)H$, $-NH_2$ or $-N(C_1$-C_8-alkyl$)_2$; or

R_1 represents a 5- or 6-membered heteroaromatic system such as pyridyl;

preferably, R_1 represents C_1-C_8-alkyl, C_1-C_8-alkyl substituted with –S-S-$(C_1$-C_8-alkyl), C_1-C_8-alkyl substituted with $(C_1$-C_8-alkoxy$)_n$ wherein n is 1, 2, 3, 4, 5 or 6, C_1-C_8-alkyl substituted with optionally substituted phenyl; or phenyl; or phenyl substituted with $–NO_2$.

52. The compound according to any one of claims 44 to 51, wherein R_1 represents methyl, ethyl, propyl or butyl, more preferably methyl or ethyl.

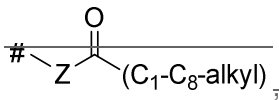
53. The compound according to any one of claims 44 to 50, wherein R_1 represents



,

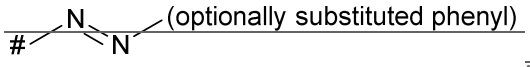
wherein R_{10}, R_{11}, R_{12} and R_{13} each independently represent hydrogen or C_1-C_8-alkyl; and # represents the position of O.

54. The compound according to any one of claims 44 to 50, wherein R_1 represents C_1-C_8-alkyl substituted with phenyl, said phenyl being further substituted with



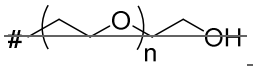
, wherein Z is O or NH, preferably O, and wherein # represents the position of said phenyl.

55. The compound according to any one of claims 44 to 50, wherein R_1 represents C_1-C_8-alkyl substituted with phenyl, said phenyl being further substituted with

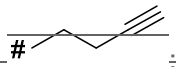


, and wherein # represents the position of said phenyl.

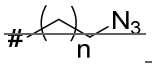
56. The compound according to any one of claims 44 to 50, wherein R_1 represents



with n = 0, 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10;

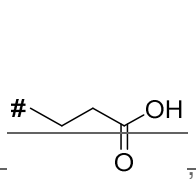


; or



with n = 0, 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10, wherein # represents the position of O.

57. The compound according to any one of claims 44 to 56, wherein  represents a small molecule such as, for example, an optionally substituted C_1-C_8-alkyl, preferably ethyl, -CH_2-phenyl,



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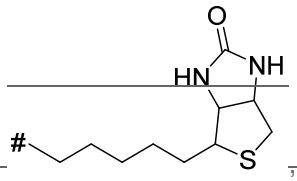

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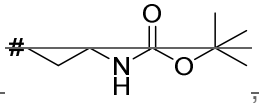

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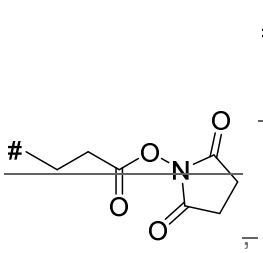

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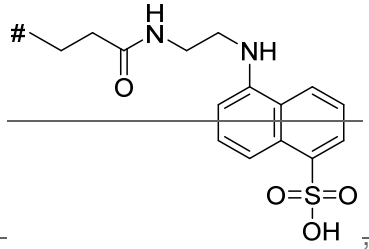

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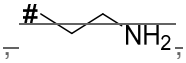

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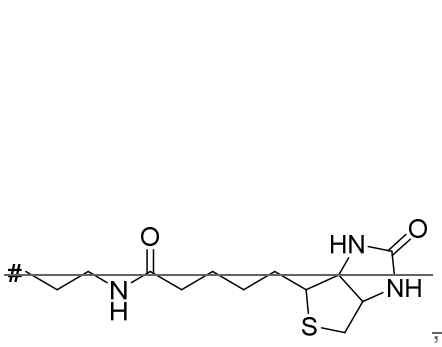

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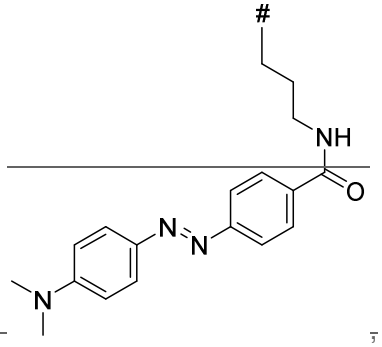

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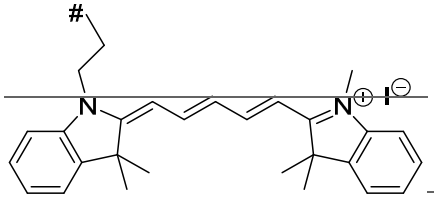

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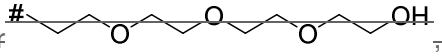


, wherein # indicates the position of the Y; or

- represents an optionally substituted phenyl; or

- represents a radioactive or non-radioactive nuclide, biotin, a reporter enzyme, a nucleotide, an oligonucleotide, a fluorophore such as CY_5 or EDANS, an amino acid, a peptide, or an optionally substituted 5- or 6-membered heteroaromatic system;

wherein optionally the - further comprises a linker that is bound to the Y.

58. The compound according to any one of claims 44 to 56, wherein - represents:

biotin; or

- represents CY_5 or EDANS; or

- represents phenyl, optionally substituted with one, two, three, four or five substituents independently selected from the group consisting of C_1-C_8-alkyl, C_1-C_8-alkoxy, halogen, -CN, $-NO_2$, $-NH_2$, $-N(C_1$-C_8-alkyl), $-N(C_1$-C_8-alkyl)$_2$, -COOH, $-COO(C_1$-C_8-alkyl), -O-C(O)-(C_1-C_8-alkyl), -C(O)N-(C_1-C_8-alkyl), -N(H)-C(O)-(C_1-C_8-alkyl) preferably optionally substituted with one substituent selected from the group consisting of C_1-C_8-alkoxy, -COOH, $-COO(C_1$-C_8-alkyl and NO_2; or

- represents C_1-C_8-alkyl, optionally substituted with at least one substituent selected from the group consisting of C_3-C_8-cycloalkyl; heterocyclyl with 3 to 8 ring members wherein the heteroatom(s) are selected from N, O, S; C_1-C_8-alkoxy; halogen; -CN; $-NO_2$; $-NH_2$; $-N(C_1$-C_8-alkyl); $-N(C_1$-C_8-alkyl)$_2$; -COOH; $-COO(C_1$-C_8-alkyl); -O-C(O)-(C_1-C_8-alkyl); $-CONH_2$; $-C(O)N(C_1$-C_8-alkyl)$_2$; $-C(O)NH-(C_1$-C_8-alkyl); -N(H)-C(O)-(C_1-C_8-alkyl), preferably C_1-C_8-alkoxy, -COOH, $-COO(C_1$-C_8-alkyl and NO_2, phenyl or a heteroaromatic system, a monosaccharide, a polysaccharide, a peptide, a protein, an antibody, a nucleotide, an oligonucleotide, a polymer, an amino acid, a fluorophor, a protein tag (substituent 1st generation), wherein a substituent 1st generation may again optionally be substituted with C_3-C_8-cycloalkyl; heterocyclyl with 3 to 8 ring members wherein the heteroatom(s) are selected from N, O, S; C_1-C_8-alkoxy; halogen; -CN; $-NO_2$; $-NH_2$; $-N(C_1$-C_8-alkyl); $-N(C_1$-C_8-alkyl)$_2$; -COOH; $-COO(C_1$-C_8-alkyl); -O-C(O)-(C_1-C_8-alkyl); $-CONH_2$; $-C(O)N(C_1$-C_8-alkyl)$_2$; $-C(O)NH-(C_1$-C_8-alkyl); -N(H)-C(O)-(C_1-C_8-alkyl), preferably C_1-C_8-alkoxy, -COOH, $-COO(C_1$-C_8-alkyl and NO_2, phenyl or a heteroaromatic system (substituents 2nd generation) and

wherein a substituent 2nd generation may be substituted again by at least one substituent selected from the same group and wherein such substitution may go until generation 3, 4, 5, 6, 7, 8, 9 or 10; or

● represents an amino acid, a peptide, a protein, an antibody, a nucleotide, an oligonucleotide, a saccharide, a polysaccharide, a polymer, an optionally substituted C_1-C_8-alkyl, an optionally substituted phenyl, or an optionally substituted aromatic 5- or 6-membered heterocyclic system; wherein optionally the ● further comprises a linker that is bound to the Y; or

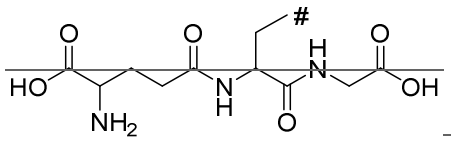
● represents an amino acid, a peptide, a protein, an antibody, a nucleotide or an oligonucleotide; wherein optionally the ● further comprises a linker that is bound to the Y.

59. The compound according to any one of claims 44 to 56, wherein ● represents a drug, a protein tag, or a fluorophore such as CY_5 or EDANS, biotin, a protein, a peptide, an antibody or an oligonucleotide; wherein optionally the ● further comprises a linker that is bound to the Y.

60. The compound according to any one of claims 44 to 56, wherein ● represents a linker or a linker-drug conjugate.

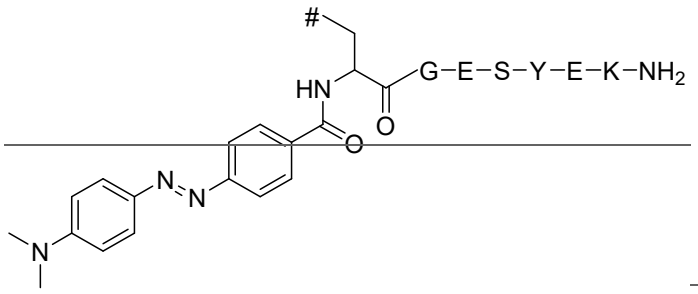
61. The compound according to any one of claims 48 to 60, wherein ▬ represents an amino acid, a peptide, a protein, an antibody, a nucleotide or an oligonucleotide.

62. The compound according to any one of claims 48 to 61, wherein ▬ represents an antibody, preferably an IgG-antibody, more preferably a Cetuximab or a Trastuzumab or a Brentuximab; a protein, preferably a GFP protein or eGFP protein, an albumin, a tripeptide, preferably a peptide of formula (VIII)



(VIII),

or of formula (IX)



(IX)

wherein # represents the position of S.

63. The compound according to any one of claims 48 to 56, wherein

represents an antibody and

represents a protein tag, or a fluorophore such as CY_5 or EDANS, biotin, a peptide, a protein, an oligonucleotide, or a small molecule; wherein optionally the further comprises a linker that is bound to the Y.

64. The compound according to any one of claims 48 to 56, wherein

represents a protein and

represents a protein tag, or a fluorophore such as CY_5 or EDANS, biotin, a peptide, an antibody, a protein, an oligonucleotide, or a small molecule; wherein optionally the further comprises a linker that is bound to the Y.

65. The compound according to any one of claims 48 to 56, wherein

represents a peptide and

represents a protein tag, or a fluorophore such as CY_5 or EDANS, biotin, a peptide, an antibody, a protein, an oligonucleotide, or a small molecule; wherein optionally the further comprises a linker that is bound to the Y.

66. The compound according to any one of claims 48 to 56, wherein

represents an amino acid and

represents a protein tag, or a fluorophore such as CY_5 or EDANS, biotin, a peptide, a protein, an oligonucleotide, or a small molecule; wherein optionally the further comprises a linker that is bound to the Y.

67. The compound according to any one of claims 48 to 56, wherein

represents an antibody and

 represents a linker, a drug, or a linker-drug conjugate.

68. The compound according to any one of claims 48 to 56, wherein

 represents a nucleotide and

 represents a peptide, a protein, a protein tag, an antibody, an oligonucleotide, a fluorophore such as CY_5 or EDANS, biotin, or a small molecule; wherein optionally the  further comprises a linker that is bound to the Y.

69. The compound according to any one of claims 48 to 56, wherein

 represents a nucleotide and

 represents a linker.

70. The compound according to any one of claims 48 to 56, wherein

 represents an oligonucleotide and

 represents a a peptide, a protein, a protein tag, an antibody, an oligonucleotide, a fluorophore such as CY_5 or EDANS, biotin, or a small molecule; wherein optionally the  further comprises a linker that is bound to the Y.

71. The compound according to any one of claims 48 to 56, wherein

 represents an oligonucleotide and

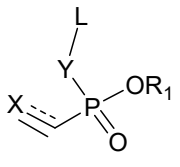
 represents a linker.

72. The compound according to any one of claims 44 to 59, 61 to 66, 68 and 70, wherein  represents an amino acid, a peptide, a nucleotide or an oligonucleotide, wherein the amino acid, peptide, nucleotide or oligonucleotide is bound to a solid support, wherein optionally the  further comprises a linker that is bound to the Y, preferably wherein the compound is a compound of formula.

73. The compound according to claim 72, wherein the compound is a compound of formula (I) or formula (I*).

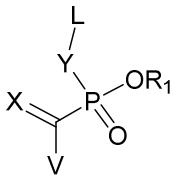
74. The compound according to any one of claims 48 to 62, 65 to 66, 68 to 71 and 72 wherein  represents an amino acid, a peptide, a nucleotide or an oligonucleotide, wherein the amino acid, peptide, nucleotide or oligonucleotide is bound to a solid support.

~~75~~18. A kit comprising a solid support, and
a compound of formula (Ia)



(Ia),

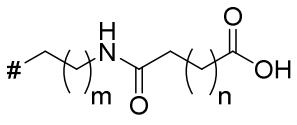
and/or a compound of formula (I*a)



(I*a),

wherein L is a linker suitable for binding to an amino acid, a peptide, a nucleotide or an oligonucleotide; and

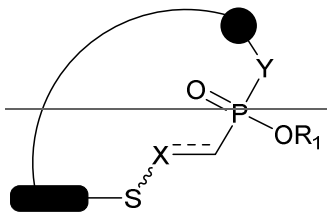
wherein , R_1, X, Y, and V are as defined in any one of the preceding claims.

~~76~~19. The kit of claim ~~75~~18, wherein the linker L is 

, wherein # indicates the position of the Y, and m and n are each, independently, an integer of from 0 to 20, 0 to 15, 1 to 10, 1 to 8, 1 to 6, 1 to 4, 1 to 3, 1 to 2, or 1, preferably m is 1 and n is 1.

~~77~~20. The kit of claim ~~75~~18 or ~~76~~19, further comprising one or more of an amino acid, one or more of a peptide, one or more of a nucleotide, and/or one or more of an oligonucleotide.

~~78. A compound of formula (IIIa)~~



~~(IIIa),~~

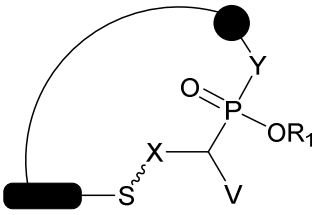
~~wherein~~

~~represents a bond and X represents $(R_3 R_4)C$; or~~

~~represents a double bond and X represents $R_3–C$; and~~

~~, , R_1, X and Y are as defined in any one of the preceding claims.~~

~~79~~21. A compound of formula (III*a)



(III*a),

wherein X represents $(R_3 R_4)C$, and , , ,V, Y, R_1, R_3, and R_4 are as defined in any one of the preceding claims.