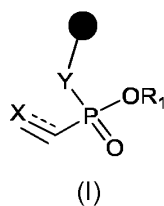


CLAIMS

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

reacting a compound of formula (I)



wherein



represents a double bond or triple bond;

X

represents R₃-C when is a triple bond;

X

represents (R₃ R₄)C when is a double bond;

Y

represents S or O;

R₁

represents an optionally substituted aliphatic or aromatic residue;

R₃

represents H or C₁-C₈-alkyl;

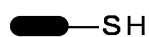
R₄

represents H or C₁-C₈-alkyl; and



represents an aliphatic or aromatic residue;

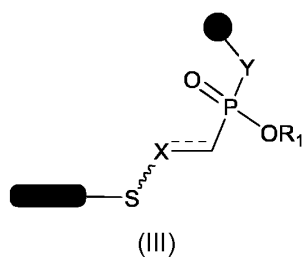
with a thiol-containing molecule of formula (II)



(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 C₁-C₈-alkyl, an optionally substituted phenyl, or an optionally substituted aromatic 5- or 6-membered heterocyclic system;

resulting in a compound of formula (III)



wherein

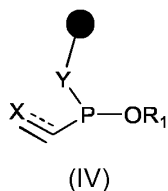
represents a bond if in a compound of formula (I) represents a double bond ;or

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 $(R_3 R_4)C$, 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)

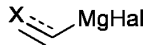


wherein R_1 , X, Y,  and  are as defined in any one of the preceding claims;

with an oxidant, such as e.g. tert-butyl hydroperoxide (tBu-OOH), meta-chloroperoxybenzoic acid (mCPBA), hydrogen peroxide (H₂O₂), iodine (I₂), potassium peroxymonosulphate, or oxygen (O₂), 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:

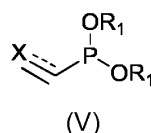
reacting, in sequential order, a phosphorus trihalide (X), preferably PCl₃, with

(i) R₁-OH (XI), (ii)  (XII), wherein R₂ independently represents C₁-C₈-alkyl, (iii)  (XIII), wherein Hal represents a halogen selected from the group consisting of Cl, Br and I, preferably Br, and (iv) -YH (XIV) to form the compound of formula (IV);

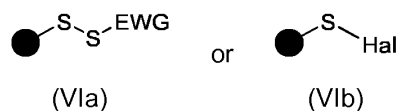
wherein R_1 , X, Y,  and  are as defined in any one of the preceding claims.

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)

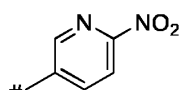


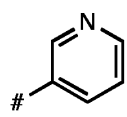
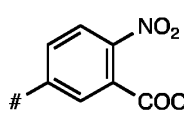
with a compound of formula (VIa) or (VIb):



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 , ,

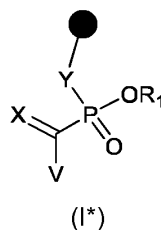

, and
 
, wherein # indicates the position of S; Hal represents a halogen selected from the group consisting of Cl, Br and I, preferably Cl, and

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

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

Reacting a compound of formula (I*)



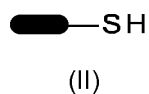
wherein

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

X represents $(R_3 R_4)C$;

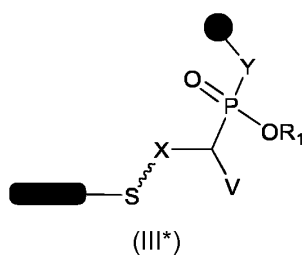
wherein , Y, R_1 , R_3 and R_4 are as defined in any one of the preceding claims,

with a thiol-containing molecule of formula (II)



wherein  is as defined in any one of the preceding claims;

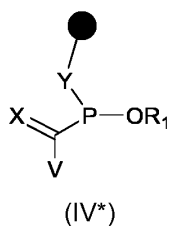
resulting in a compound of formula (III*)



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

10. The process according to claim 9, wherein Y is S.
11. The process according to claim 9 or 10, further comprising a preparation of a compound of formula (I*), said preparation comprising:

reacting a compound of formula (IV*)



wherein X represents (R₃ R₄)C, and Y, R₁, R₃, R₄, V and are as defined in any one of the preceding claims;
with an oxidant, such as e.g. tert-butyl hydroperoxide (tBu-OOH), meta-chloroperoxybenzoic acid (mCPBA), hydrogen peroxide (H₂O₂), iodine (I₂), potassium peroxymonosulphate, or oxygen (O₂), to form the compound of formula (I*).

12. The process according to claim 11, further comprising a preparation of the compound of formula (IV*), said preparation comprising:

reacting, in sequential order, a phosphorus trihalide (X), preferably PCl₃, with

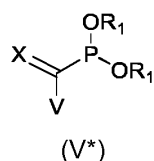
- (i) R₁-OH (XI), (ii) (XII), wherein R₂ independently represents C₁-C₈-alkyl, (iii) (XIII*), wherein Hal represents a halogen selected from the group

consisting of Cl, Br and I, preferably Br, and X represents $(R_3 R_4)C$, and (iv) $\bullet-YH$ (XIV) to form the compound of formula (IV*);

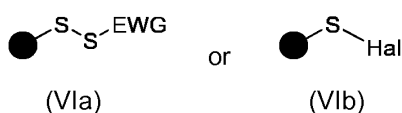
wherein R_1 , R_3 , R_4 , V, Y and $\bullet$ are as defined in any one of the preceding claims.

13. The process according to claim 10, further comprising a preparation of a compound of formula (I*), said preparation comprising:

reacting a compound of formula (V*)



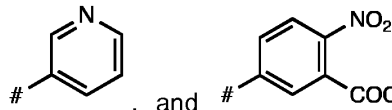
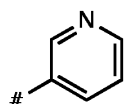
with a compound of formula (VIa) or (VIb)



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 $\#-\text{C}_5\text{H}_4\text{NO}_2$,



, and $\#-\text{C}_6\text{H}_3(\text{NO}_2)(\text{COOH})$, 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 $\bullet$ 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, $-\text{NO}_2$, $-\text{N}(C_1$ - C_8 -alkyl)H, $-\text{NH}_2$, $-\text{N}_3$, $-\text{N}(C_1$ - C_8 -alkyl) $_2$, $=\text{O}$, C_3 - C_8 -cycloalkyl, $-\text{S}-\text{S}-(C_1$ - 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

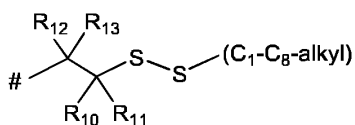
R₁ represents phenyl optionally independently substituted with at least one of C₁-C₈-alkyl, (C₁-C₈-alkoxy)_n wherein n is 1, 2, 3, 4, 5 or 6, F, Cl, I, Br, -NO₂, -N(C₁-C₈-alkyl)H, -NH₂ or -N(C₁-C₈-alkyl)₂; or

R₁ represents a 5- or 6-membered heteroaromatic system such as pyridyl;

preferably, R₁ represents C₁-C₈-alkyl, C₁-C₈-alkyl substituted with -S-S-(C₁-C₈-alkyl), C₁-C₈-alkyl substituted with (C₁-C₈-alkoxy)_n wherein n is 1, 2, 3, 4, 5 or 6, C₁-C₈-alkyl substituted with optionally substituted phenyl; or phenyl; or phenyl substituted with -NO₂.

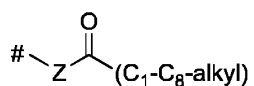
15. The process according to any one of the preceding claims, wherein R₁ represents methyl, ethyl, propyl or butyl, more preferably methyl or ethyl.

16. The process according to any one of claims 1 to 13, wherein R₁ represents



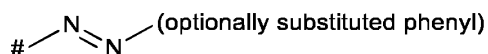
wherein R₁₀, R₁₁, R₁₂ and R₁₃ each independently represent hydrogen or C₁-C₈-alkyl; and # represents the position of O.

17. The process according to any one of claims 1 to 13, wherein R₁ represents C₁-C₈-alkyl substituted with phenyl, said phenyl being further substituted with



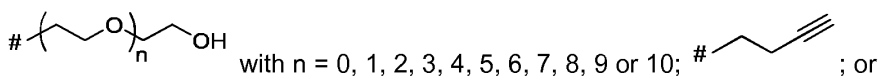
, wherein Z is O or NH, preferably O, and wherein # represents the position of said phenyl.

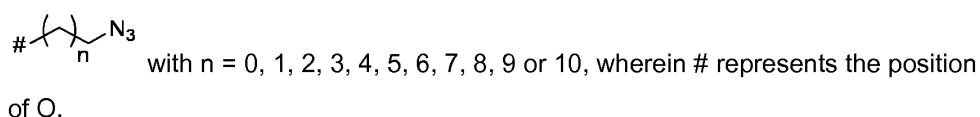
18. The process according to any one of claims 1 to 13, wherein R₁ represents C₁-C₈-alkyl substituted with phenyl, said phenyl being further substituted with



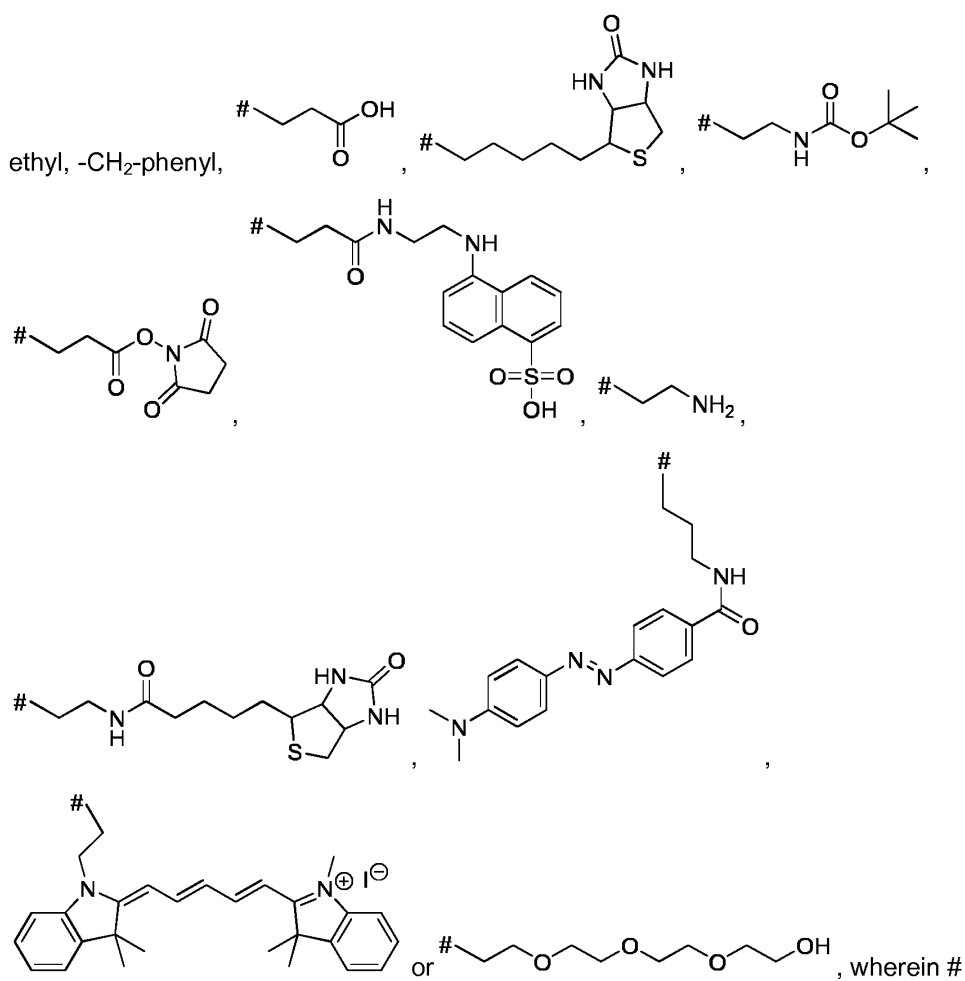
, and wherein # represents the position of said phenyl.

19. The process according to any one of claims 1 to 13, wherein R₁ represents





20. The process according to any one of the preceding claims, wherein $\bullet$ represents a small molecule such as, for example, an optionally substituted C₁-C₈-alkyl, preferably



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 CY₅ 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 CY₅ or EDANS; or

● represents phenyl, optionally substituted with one, two, three, four or five substituents independently selected from the group consisting of C₁-C₈-alkyl, C₁-C₈-alkoxy, halogen, -CN, -NO₂, -NH₂, -N(C₁-C₈-alkyl), -N(C₁-C₈-alkyl)₂, -COOH, -COO(C₁-C₈-alkyl), -O-C(O)-(C₁-C₈-alkyl), -C(O)N(C₁-C₈-alkyl), -N(H)-C(O)-(C₁-C₈-alkyl) preferably optionally substituted with one substituent selected from the group consisting of C₁-C₈-alkoxy, -COOH, -COO(C₁-C₈-alkyl and NO₂; or

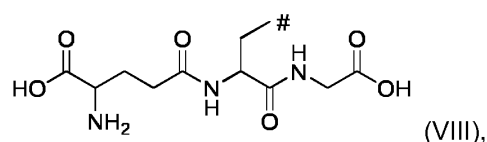
● represents C₁-C₈-alkyl, optionally substituted with at least one substituent selected from the group consisting of C₃-C₈-cycloalkyl; heterocyclyl with 3 to 8 ring members wherein the heteroatom(s) are selected from N, O, S; C₁-C₈-alkoxy; halogen; -CN; -NO₂; -NH₂; -N(C₁-C₈-alkyl); -N(C₁-C₈-alkyl)₂; -COOH; -COO(C₁-C₈-alkyl); -O-C(O)-(C₁-C₈-alkyl); -CONH₂; -C(O)N(C₁-C₈-alkyl)₂; -C(O)NH-(C₁-C₈-alkyl); -N(H)-C(O)-(C₁-C₈-alkyl), preferably C₁-C₈-alkoxy, -COOH, -COO(C₁-C₈-alkyl and NO₂, 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 C₃-C₈-cycloalkyl; heterocyclyl with 3 to 8 ring members wherein the heteroatom(s) are selected from N, O, S; C₁-C₈-alkoxy; halogen; -CN; -NO₂; -NH₂; -N(C₁-C₈-alkyl); -N(C₁-C₈-alkyl)₂; -COOH; -COO(C₁-C₈-alkyl); -O-C(O)-(C₁-C₈-alkyl); -CONH₂; -C(O)N(C₁-C₈-alkyl)₂; -C(O)NH-(C₁-C₈-alkyl); -N(H)-C(O)-(C₁-C₈-alkyl), preferably C₁-C₈-alkoxy, -COOH, -COO(C₁-C₈-alkyl and NO₂, 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 C₁-C₈-alkyl, an optionally substituted phenyl, or an optionally substituted aromatic 5-

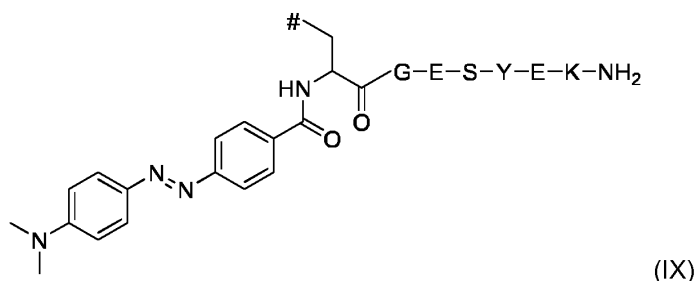
or 6-membered heterocyclic system; wherein optionally the $\bullet$ further comprises a linker that is bound to the Y; or

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

22. The process according to any one of claims 1 to 19, wherein $\bullet$ represents a drug, a protein tag, or a fluorophore such as CY₅ or EDANS, biotin, a protein, a peptide, an antibody or an oligonucleotide; wherein optionally the $\bullet$ further comprises a linker that is bound to the Y.
23. The process according to any one of claims 1 to 19, wherein $\bullet$ represents a linker or a linker-drug conjugate.
24. The process according to any one of the preceding claims, wherein $\bullet$ 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 $\bullet$ 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)



or of formula (IX)



wherein # represents the position of S.

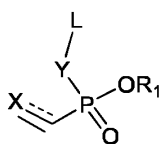
26. The process according to any one of claims 1 to 19, wherein
— represents an antibody and
● represents a protein tag, or a fluorophore such as CY₅ or EDANS, biotin, a peptide, a protein, an oligonucleotide, or a small molecule; wherein optionally the ● further comprises a linker that is bound to the 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 CY₅ 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 CY₅ 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 CY₅ 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 CY₅ 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.

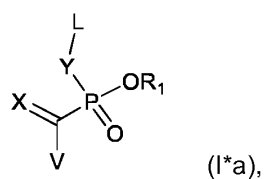
33. The process according to any one of claims 1 to 19, wherein — represents an oligonucleotide and ● represents a peptide, a protein, a protein tag, an antibody, an oligonucleotide, a fluorophore such as CY₅ or EDANS, biotin, or a small molecule; wherein optionally the ● further comprises a linker that 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. Process for the preparation of a compound of formula (I) or formula (I*), said method comprising:

(I) reacting a compound of formula (Ia)



(Ia); or

of formula (I*a)



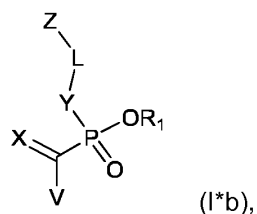
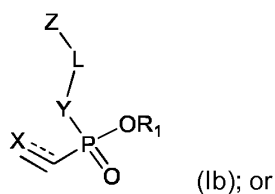
wherein

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

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

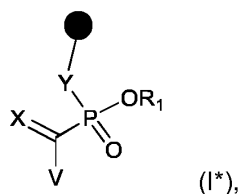
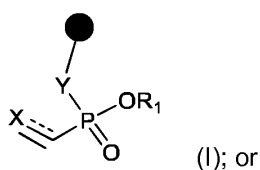
with an amino acid, a peptide, a nucleotide or an oligonucleotide, wherein the amino acid, the peptide, the nucleotide or the oligonucleotide is bound to a solid support,

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



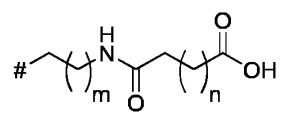
wherein Z represents the amino acid, the peptide, the nucleotide or the oligonucleotide, wherein the amino acid, the peptide, the nucleotide or the oligonucleotide is bound to the solid support; and

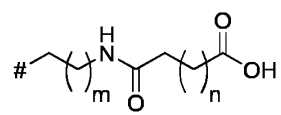
(II) cleaving the compound of formula (Ib) or formula (I*b) from the solid support to give the compound of formula (I) or formula (I*):

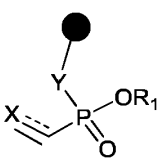
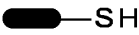


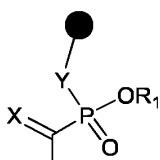
wherein $\bullet$ represents the amino acid, the peptide, the nucleotide or the oligonucleotide bound to the Y through the linker L, and

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

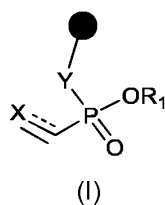


38. The process according to claim 37, wherein the linker L is , wherein # indicates the position of the Y, and m and n are each, independently, an integer of from 0 to 20, 0 to 15, 1 to 10, 1 to 8, 1 to 6, 1 to 4, 1 to 3, 1 to 2, or 1, preferably m is 1 and n is 1.
39. The process according to claim 37 or 38, 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.
40. The process according to any one of claims 37 to 39, wherein the cleaving is carried out under acidic conditions.
41. The process according to any one of claims 37 to 40, 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.

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

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

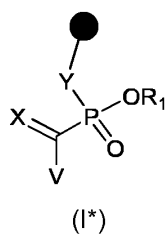
44. A compound of formula (I)



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

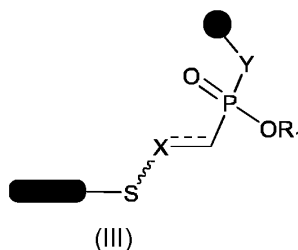
45. The compound according to claim 44, wherein  represents a double bond, X represents $(R_3 R_4)C$, and R_3 and R_4 independently represent H or C_1 - C_8 -alkyl.
46. The compound according to claim 44, wherein  represents a triple bond, X represents R_3-C , and R_3 represents H or C_1 - C_8 -alkyl.

47. A compound of formula (I*)



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

48. A compound of formula (III)



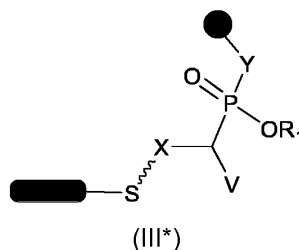
wherein

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

, $\bullet$, R_1 , and Y are as defined in any one of the preceding claims.

49. A compound of formula (III*)



wherein X represents $(R_3 R_4)C$, and , $\bullet$, 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

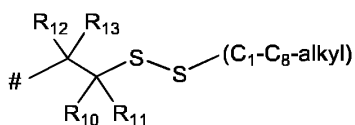
R₁ represents phenyl optionally independently substituted with at least one of C₁-C₈-alkyl, (C₁-C₈-alkoxy)_n wherein n is 1, 2, 3, 4, 5 or 6, F, Cl, I, Br, -NO₂, -N(C₁-C₈-alkyl)H, -NH₂ or -N(C₁-C₈-alkyl)₂; or

R₁ represents a 5- or 6-membered heteroaromatic system such as pyridyl;

preferably, R₁ represents C₁-C₈-alkyl, C₁-C₈-alkyl substituted with -S-S-(C₁-C₈-alkyl), C₁-C₈-alkyl substituted with (C₁-C₈-alkoxy)_n wherein n is 1, 2, 3, 4, 5 or 6, C₁-C₈-alkyl substituted with optionally substituted phenyl; or phenyl; or phenyl substituted with -NO₂.

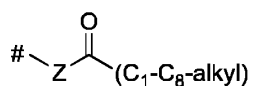
52. The compound according to any one of claims 44 to 51, wherein R₁ represents methyl, ethyl, propyl or butyl, more preferably methyl or ethyl.

53. The compound according to any one of claims 44 to 50, wherein R₁ represents



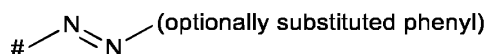
wherein R₁₀, R₁₁, R₁₂ and R₁₃ each independently represent hydrogen or C₁-C₈-alkyl; and # represents the position of O.

54. The compound according to any one of claims 44 to 50, wherein R₁ represents C₁-C₈-alkyl substituted with phenyl, said phenyl being further substituted with



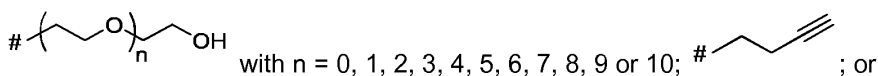
, wherein Z is O or NH, preferably O, and wherein # represents the position of said phenyl.

55. The compound according to any one of claims 44 to 50, wherein R₁ represents C₁-C₈-alkyl substituted with phenyl, said phenyl being further substituted with



, and wherein # represents the position of said phenyl.

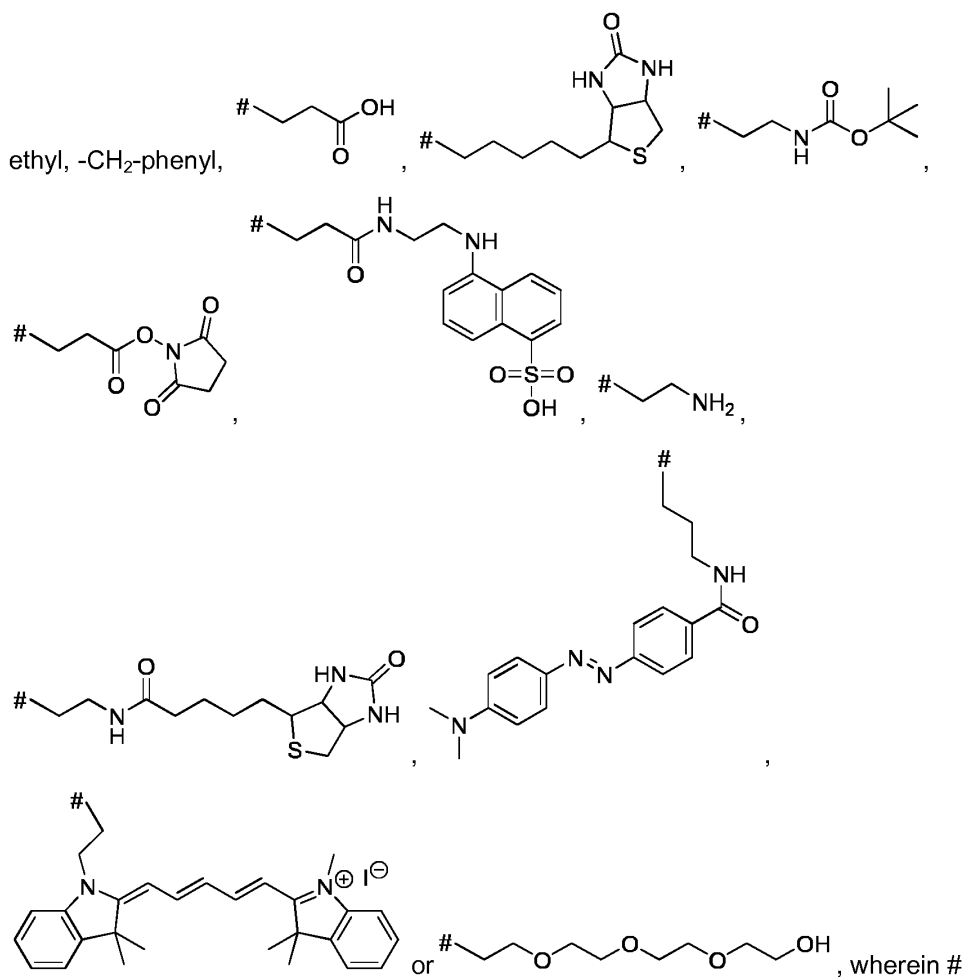
56. The compound according to any one of claims 44 to 50, wherein R₁ represents



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

$\# \text{---} \left(\text{---} \right)_n \text{---} \text{N}_3$ 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 $\bullet$ represents a small molecule such as, for example, an optionally substituted C_1 - C_8 -alkyl, preferably



indicates the position of the Y; or

$\bullet$ represents an optionally substituted phenyl; or

$\bullet$ 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 $\bullet$ 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 CY₅ or EDANS; or

● represents phenyl, optionally substituted with one, two, three, four or five substituents independently selected from the group consisting of C₁-C₈-alkyl, C₁-C₈-alkoxy, halogen, -CN, -NO₂, -NH₂, -N(C₁-C₈-alkyl), -N(C₁-C₈-alkyl)₂, -COOH, -COO(C₁-C₈-alkyl), -O-C(O)-(C₁-C₈-alkyl), -C(O)N(C₁-C₈-alkyl), -N(H)-C(O)-(C₁-C₈-alkyl) preferably optionally substituted with one substituent selected from the group consisting of C₁-C₈-alkoxy, -COOH, -COO(C₁-C₈-alkyl and NO₂; or

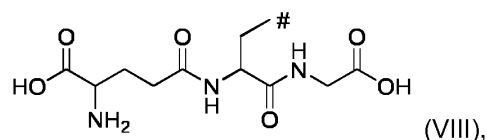
● represents C₁-C₈-alkyl, optionally substituted with at least one substituent selected from the group consisting of C₃-C₈-cycloalkyl; heterocyclyl with 3 to 8 ring members wherein the heteroatom(s) are selected from N, O, S; C₁-C₈-alkoxy; halogen; -CN; -NO₂; -NH₂; -N(C₁-C₈-alkyl); -N(C₁-C₈-alkyl)₂; -COOH; -COO(C₁-C₈-alkyl); -O-C(O)-(C₁-C₈-alkyl); -CONH₂; -C(O)N(C₁-C₈-alkyl)₂; -C(O)NH-(C₁-C₈-alkyl); -N(H)-C(O)-(C₁-C₈-alkyl), preferably C₁-C₈-alkoxy, -COOH, -COO(C₁-C₈-alkyl and NO₂, 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 C₃-C₈-cycloalkyl; heterocyclyl with 3 to 8 ring members wherein the heteroatom(s) are selected from N, O, S; C₁-C₈-alkoxy; halogen; -CN; -NO₂; -NH₂; -N(C₁-C₈-alkyl); -N(C₁-C₈-alkyl)₂; -COOH; -COO(C₁-C₈-alkyl); -O-C(O)-(C₁-C₈-alkyl); -CONH₂; -C(O)N(C₁-C₈-alkyl)₂; -C(O)NH-(C₁-C₈-alkyl); -N(H)-C(O)-(C₁-C₈-alkyl), preferably C₁-C₈-alkoxy, -COOH, -COO(C₁-C₈-alkyl and NO₂, 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 C₁-C₈-alkyl, an optionally substituted phenyl, or an optionally substituted aromatic 5-

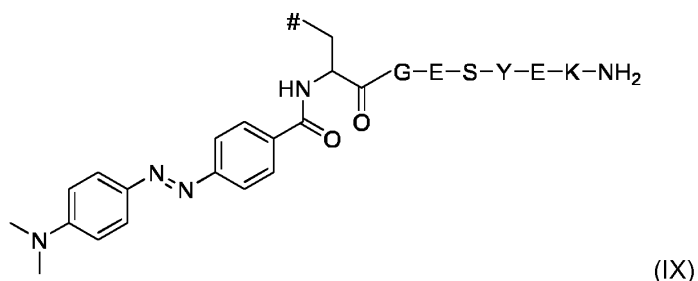
or 6-membered heterocyclic system; wherein optionally the $\bullet$ further comprises a linker that is bound to the Y; or

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

59. The compound according to any one of claims 44 to 56, wherein $\bullet$ represents a drug, a protein tag, or a fluorophore such as CY₅ or EDANS, biotin, a protein, a peptide, an antibody or an oligonucleotide; wherein optionally the $\bullet$ further comprises a linker that is bound to the Y.
60. The compound according to any one of claims 44 to 56, wherein $\bullet$ represents a linker or a linker-drug conjugate.
61. The compound according to any one of claims 48 to 60, wherein $\blacksquare$ 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 $\blacksquare$ 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)



or of formula (IX)



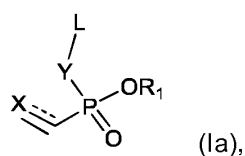
wherein # represents the position of S.

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 CY₅ 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 CY₅ 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 CY₅ 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 CY₅ 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 CY₅ 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

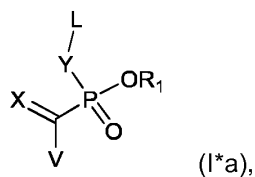
— represents a nucleotide and

● represents a linker.

70. The compound according to any one of claims 48 to 56, wherein — represents an oligonucleotide and ● represents a peptide, a protein, a protein tag, an antibody, an oligonucleotide, a fluorophore such as CY₅ 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. A kit comprising a solid support, and a compound of formula (Ia)



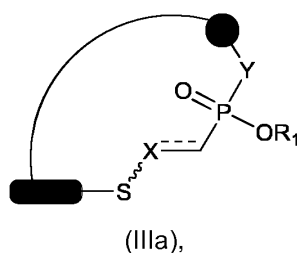
and/or a compound of formula (I*a)



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

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

76. The kit of claim 75, 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. The kit of claim 75 or 76, 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)



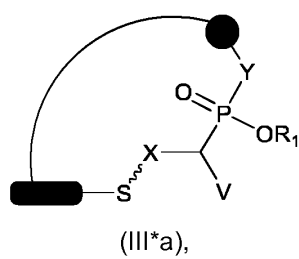
wherein

represents a bond and X represents (R₃ R₄)C; or

represents a double bond and X represents R₃-C; and

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

79. A compound of formula (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.