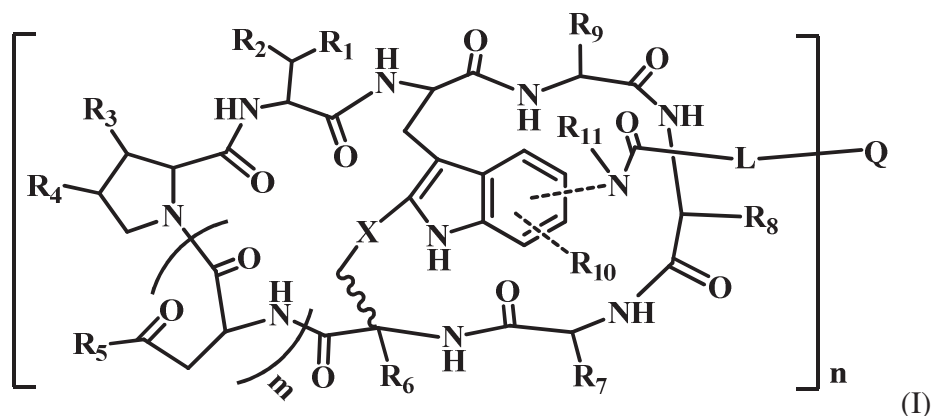


CLAIMS

1. A compound of Formula (I)



or a pharmaceutically acceptable salt, hydrate, or hydrated salt thereof; or the polymorphic crystalline structure thereof; or an optical isomer, racemate, diastereomer or enantiomer thereof; wherein

----- represents a single bond that links any carbon position of the aromatic (indole) ring;

~~~~~ represents an optional single bond or an absent bond;

R<sub>1</sub> and R<sub>2</sub> are independently selected from H, OH, CH<sub>2</sub>OH, CH(OH)CH<sub>2</sub>OH,

CH(CH<sub>3</sub>)CH<sub>2</sub>OH, CH(OH)CH<sub>3</sub>, C<sub>1</sub>-C<sub>8</sub> alkyl, -OR<sub>12</sub> (ether), C<sub>2</sub>-C<sub>8</sub> alkenyl, alkynyl, heteroalkyl, -OCOR<sub>12</sub> (ester), -OC(=O)OR<sub>12</sub> (carbonate), -OC(=O)NHR<sub>12</sub> (carbamate); C<sub>3</sub>-C<sub>8</sub> aryl, heterocyclic, carbocyclic, cycloalkyl, heterocycloalkyl, heteroaralkyl, and alkylcarbonyl;

R<sub>3</sub> and R<sub>4</sub> are independently selected from H, OH, -OR<sub>12</sub> (ether), -OCOR<sub>12</sub> (ester), -OCOCH<sub>3</sub> (acetate), -OCOOR<sub>12</sub> (carbonate), -OC(=O)NHR<sub>12</sub> (carbamate), -OP(O)(OR<sub>12</sub>)(OR<sub>12</sub>') (phosphate), OP(O)(NHR<sub>12</sub>)(NHR<sub>12</sub>') (phosphamide), O-SO<sub>3</sub><sup>-</sup>, and O-glycoside;

R<sub>5</sub> is selected from H, OH, NH<sub>2</sub>, NHOH, NHNH<sub>2</sub>, -OR<sub>12</sub>, -NHR<sub>12</sub>, NHNHR<sub>12</sub>, -NR<sub>12</sub>R<sub>12</sub>', and N(H)(R<sub>12</sub>)R<sub>13</sub>CO(Aa)<sub>p</sub>, (an amino acid or peptide, wherein Aa is an amino acid or a polypeptide, p represents 0 - 6);

R<sub>6</sub> is selected from H, OH, CH<sub>2</sub>OH, CH(OH)CH<sub>2</sub>OH, CH(CH<sub>2</sub>OH)<sub>2</sub>, CH(CH<sub>3</sub>)OH, CH<sub>2</sub>CH<sub>2</sub>OH, PrOH, BuOH, C<sub>1</sub>~C<sub>8</sub> alkyl, -OR<sub>12</sub> (ether), C<sub>2</sub>~C<sub>8</sub> alkenyl, alkynyl, heteroalkyl, -OCOR<sub>12</sub> (ester); C<sub>3</sub>~C<sub>8</sub> aryl, heterocyclic, or carbocyclic;

R<sub>7</sub>, R<sub>8</sub> and R<sub>9</sub> are independently selected from H, OH, CH<sub>3</sub>, CH(CH<sub>3</sub>)<sub>2</sub>, CH(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>OH, CH(OH)CH<sub>2</sub>OH, CH<sub>2</sub>CH(OH)CH<sub>2</sub>OH, CH(CH<sub>2</sub>OH)<sub>2</sub>, CH<sub>2</sub>C(OH)(CH<sub>2</sub>OH)<sub>2</sub>, CH<sub>2</sub>C(OH)(CH<sub>3</sub>)(CH<sub>2</sub>OH), CH<sub>2</sub>C(OH)(CH(CH<sub>3</sub>)<sub>2</sub>)(CH<sub>2</sub>OH), CH<sub>2</sub>CH<sub>2</sub>OH, PrOH, BuOH,

CH<sub>2</sub>COOH, CH<sub>2</sub>CH<sub>2</sub>COOH, CH(OH)COOH, CH<sub>2</sub>CONH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>,  
CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>NHC(=NH)NH<sub>2</sub>, C<sub>1</sub>~C<sub>8</sub> alkyl, CH<sub>2</sub>Ar, CH<sub>2</sub>SH, CH<sub>2</sub>SR<sub>12</sub>,  
CH<sub>2</sub>SSR<sub>12</sub>, CH<sub>2</sub>SSAr, CH<sub>2</sub>CH<sub>2</sub>SCH<sub>3</sub>, -OR<sub>12</sub> (ether), C<sub>2</sub>~C<sub>8</sub> alkenyl, alkynyl, heteroalkyl, -  
OCOR<sub>12</sub> (ester); C<sub>3</sub>~C<sub>8</sub> aryl, heterocyclic, and carbocyclic;

- 5 R<sub>10</sub> is selected from H, NH<sub>2</sub>, OH, SH, NO<sub>2</sub>, halogen, -NHOH, -N<sub>3</sub> (azido); -CN (cyano);  
C<sub>1</sub>~C<sub>8</sub> alkyl, C<sub>2</sub>~C<sub>8</sub> alkenyl, alkynyl, heteroalkyl; C<sub>3</sub>~C<sub>8</sub> aryl, heterocyclic, or carbocyclic; -OR<sub>12</sub>  
(ether), -OCOR<sub>12</sub> (ester), -OCOCH<sub>3</sub> (acetate), -OC(O)OR<sub>12</sub> (carbonate), -OC(O)CH(R<sub>12</sub>)NHAa  
(Aa is an amino acid group), -NR<sub>12</sub>R<sub>12</sub>' (amine), -NR<sub>12</sub>COR<sub>12</sub>' (amine), -NR<sub>12</sub>NR<sub>12</sub>'NR<sub>12</sub>'' (amine);  
-OCONR<sub>12</sub>R<sub>12</sub>' (carbamate); -NR<sub>12</sub>(C=NH)NR<sub>12</sub>'R<sub>12</sub>'' (guanidinium); -NR<sub>12</sub>CO(Aa)<sub>p</sub>, (an amino  
10 acid or peptide, wherein Aa is an amino acid or a polypeptide, p represents 0 - 6); -  
N(R<sub>12</sub>)CONR<sub>12</sub>'R<sub>12</sub>'' (urea); -OCSNHR<sub>12</sub> (thiocarbamate); -SH (thiol); -SR<sub>12</sub> (sulfide); -S(O)R<sub>12</sub>  
(sulfoxide); -S(O<sub>2</sub>)R<sub>12</sub> (sulfone); HSO<sub>3</sub>, HSO<sub>2</sub>, or a salt of HSO<sub>3</sub><sup>-</sup>, SO<sub>3</sub><sup>2-</sup> or -HSO<sub>2</sub><sup>-</sup> (sulphite); -  
OSO<sub>3</sub><sup>-</sup>; -N(R<sub>12</sub>)SOOR<sub>12</sub>' (sulfonamide); H<sub>2</sub>S<sub>2</sub>O<sub>5</sub> or a salt of S<sub>2</sub>O<sub>5</sub><sup>2-</sup> (metabisulfite); PO<sub>3</sub>SH<sub>3</sub>,  
PO<sub>2</sub>S<sub>2</sub>H<sub>2</sub>, POS<sub>3</sub>H<sub>2</sub>, PS<sub>4</sub>H<sub>2</sub> or a salt of PO<sub>3</sub>S<sup>3-</sup>, PO<sub>2</sub>S<sub>2</sub><sup>3-</sup>, POS<sub>3</sub><sup>3-</sup>, PS<sub>4</sub><sup>3-</sup> (mono-, di-, tri-, and tetra-  
15 thiophosphate); (R<sub>12</sub>O)<sub>2</sub>POSR<sub>12</sub>' (thiophosphate ester); HS<sub>2</sub>O<sub>3</sub> or a salt of S<sub>2</sub>O<sub>3</sub><sup>2-</sup> (thiosulfate); HS<sub>2</sub>O<sub>4</sub>  
or a salt of S<sub>2</sub>O<sub>4</sub><sup>2-</sup> (dithionite); (P(=S)(OR<sub>12</sub>)(S)(OH) or a salt formed with a cation  
(phosphorodithioate); -N(R<sub>12</sub>)OR<sub>12</sub>' (hydroxylamine derivative); R<sub>12</sub>C(=O)NOH or a salt formed  
with a cation (hydroxamic acid); (HOCH<sub>2</sub>SO<sub>2</sub><sup>-</sup>, or its salts (formaldehyde sulfoxylate); -  
N(R<sub>12</sub>)COR<sub>12</sub>' (amide); R<sub>12</sub>R<sub>12</sub>'R<sub>12</sub>''NPO<sub>3</sub>H (trialkylphosphor-amidate or phosphoramidic acid); or  
20 ArAr'Ar''NPO<sub>3</sub>H (triarylphosphonium); OP(O)(OM<sub>1</sub>)(OM<sub>2</sub>), OCH<sub>2</sub>OP(O)(OM<sub>1</sub>)(OM<sub>2</sub>), OSO<sub>3</sub>M<sub>1</sub>;  
O-glycoside, NH-glycoside, S-glycoside and CH<sub>2</sub>-glycoside;

M<sub>1</sub> and M<sub>2</sub> are independently selected from H, Na, K, Ca, Mg, NH<sub>4</sub>, and NR<sub>1</sub>'R<sub>2</sub>'R<sub>3</sub>';

R<sub>1</sub>', R<sub>2</sub>' and R<sub>3</sub>' are independently selected from H, C<sub>1</sub>~C<sub>8</sub> alkyl;

Ar, Ar', and Ar'' are C<sub>3</sub>-C<sub>8</sub> aryl or heteroaromatic group;

- 25 R<sub>11</sub> is H, C<sub>1</sub>~C<sub>8</sub> alkyl; C<sub>2</sub>~C<sub>8</sub> alkenyl, alkynyl, heteroalkyl; or C<sub>3</sub>~C<sub>8</sub> aryl, heteroaryl;  
R<sub>12</sub>, R<sub>12</sub>', and R<sub>12</sub>'' are independently selected from H, C<sub>1</sub>~C<sub>8</sub> alkyl; C<sub>2</sub>~C<sub>8</sub> alkenyl, alkynyl,  
heteroalkyl; and C<sub>3</sub>~C<sub>8</sub> aryl, heteroaryl, heterocyclic, or carbocyclic;

X is S, O, NH, SO, SO<sub>2</sub>, or CH<sub>2</sub>;

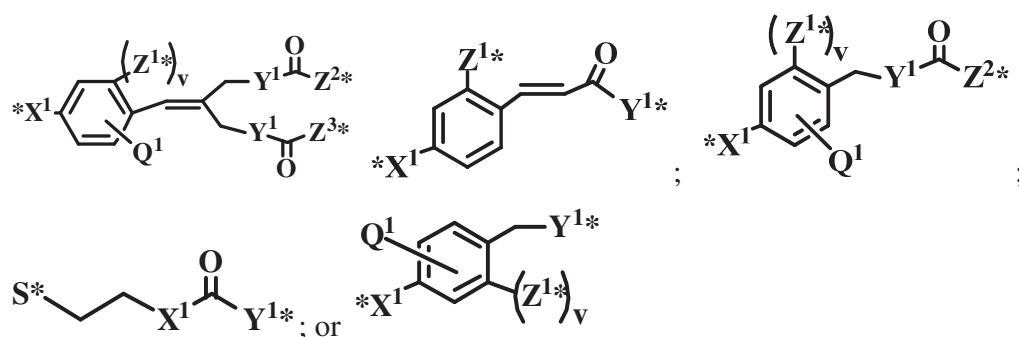
m is 0 or 1;

- 30 n is 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, or 20;

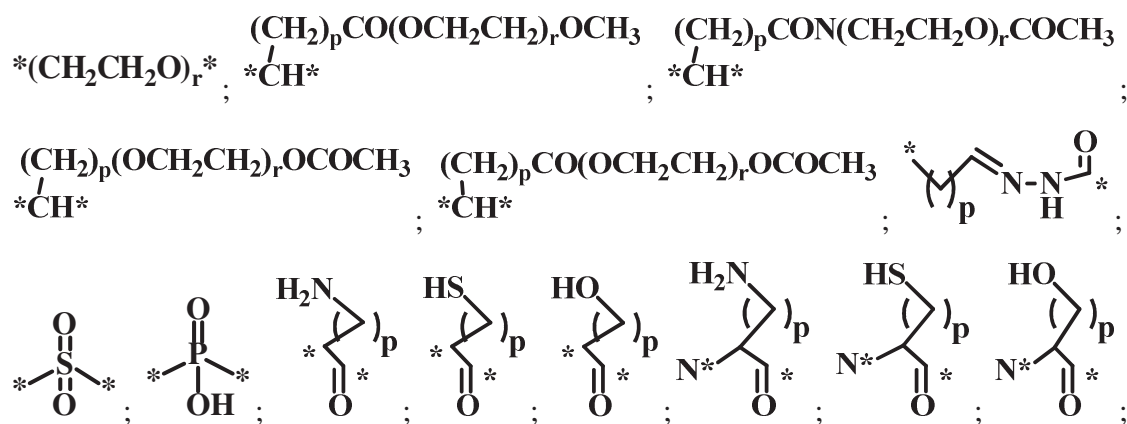
L is a linker or a linker-cell-binding molecule (Q) covalently bound cluster, or a linker  
which has a functional group on the linker that enables linkage with a cell-binding agent (CBA);

Q is a cell-binding agent/molecule (CBA), or a functional group that enables linkage with a cell-binding agent, or a functional group that enables linkage with a linker attached on a cell-binding agent.

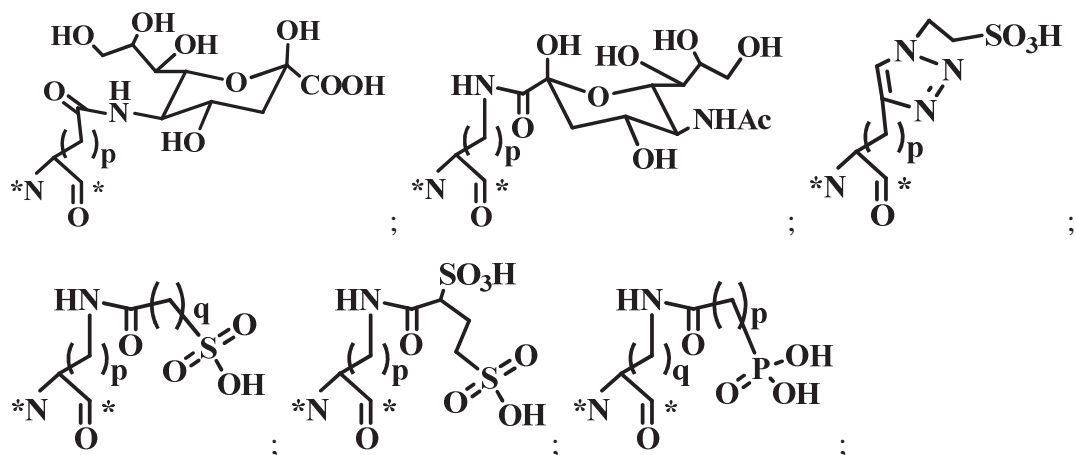
2. The compound according to Claim 1, wherein L is a releasable linker, which has the formula of:  $—W_w—(Aa)_r—Tt—$ ; wherein W is a Stretcher unit; w is 0 or 1; Aa is an Amino Acid unit comprising independent amino acids; r is an integer ranging from 0 to 100; wherein Stretcher unit W contains: a self-immolative linker component having one of the following structures:



wherein the (\*) atom is the point of attachment of additional spacer or releasable linker units, or the cytotoxic agent, and/or the binding agent/molecule (CBA);  $X^1$ ,  $Y^1$ ,  $Z^2$  and  $Z^3$  are independently NH, O, or S;  $Z^1$  is independently H, NH, O or S; v is 0 or 1;  $Q^1$  is independently H, OH,  $C_1$ - $C_6$  alkyl,  $(OCH_2CH_2)_nF$ , Cl, Br, I,  $OR_{12}$ ,  $SR_{12}$ ,  $NR_{12}R_{12}'$ ,  $N=NR_{12}$ ,  $N=R_{12}$ ,  $NR_{12}R_{12}'$ ,  $NO_2$ ,  $SOR_{12}R_{12}'$ ,  $SO_2R_{12}$ ,  $SO_3R_{12}$ ,  $OSO_3R_{12}$ ,  $PR_{12}R_{12}'$ ,  $POR_{12}R_{12}'$ ,  $PO_2R_{12}R_{12}'$ ,  $OPO(OR_{12})(OR_{12}')$ , or  $OCH_2PO(OR_{12})(OR_{12}')$  wherein  $R_{12}$  and  $R_{12}'$  are as defined above; a non-self-immolative linker component is one of the following structures:







wherein the (\*) atom is the point of attachment of additional spacer or releasable linkers, the cytotoxic agents, and/or the binding molecules;  $X^1$ ,  $Y^1$ ,  $Q^1$ ,  $R_{12}$ ,  $R_{12}'$  are defined as above; Ar is an aromatic or hetero aromatic group, composed of one or several rings, comprising three to fourteen carbon atoms wherein one or several H atoms are optionally replaced independently by alkyl, F, Cl, Br, I,  $OR_5$ , or  $SR_5$ ,  $NR_5R_5'$ ,  $N=NR_5$ ,  $N=R_5$ ,  $NR_5R_5'$ ,  $NO_2$ ,  $SOR_5R_5$ ,  $SO_2R_5$ ,  $SO_3R_5$ ,  $OSO_3R_5$ ,  $PR_5R_5'$ ,  $POR_5R_5'$ ,  $PO_5R_5$ ,  $OPO_3R_5R_5'$ , or  $PO_3R_5R_5'$  wherein  $R_5$  and  $R_5'$  are independently H, alkyl, alkenyl, alkynyl, heteroalkyl, aryl, arylalkyl, or carbonyl; r is 0~100; p and q is independently selected from 0, 1, 2, 3, 4, 5 or 6;

peptidyl units; a hydrazone bond; a disulfide; an ester; an oxime; an amide; or a thioether bond; and

spacer (T) is a linear, branched or cyclic alkyl, alkenyl, alkynyl or aryl having from 1 to 10 carbon atoms, or T can be a polyethylene glycol ( $-CH_2CH_2O-$ ) spacer; t is 0, or 1~100, wherein T can also undergo cyclization upon amide bond hydrolysis.

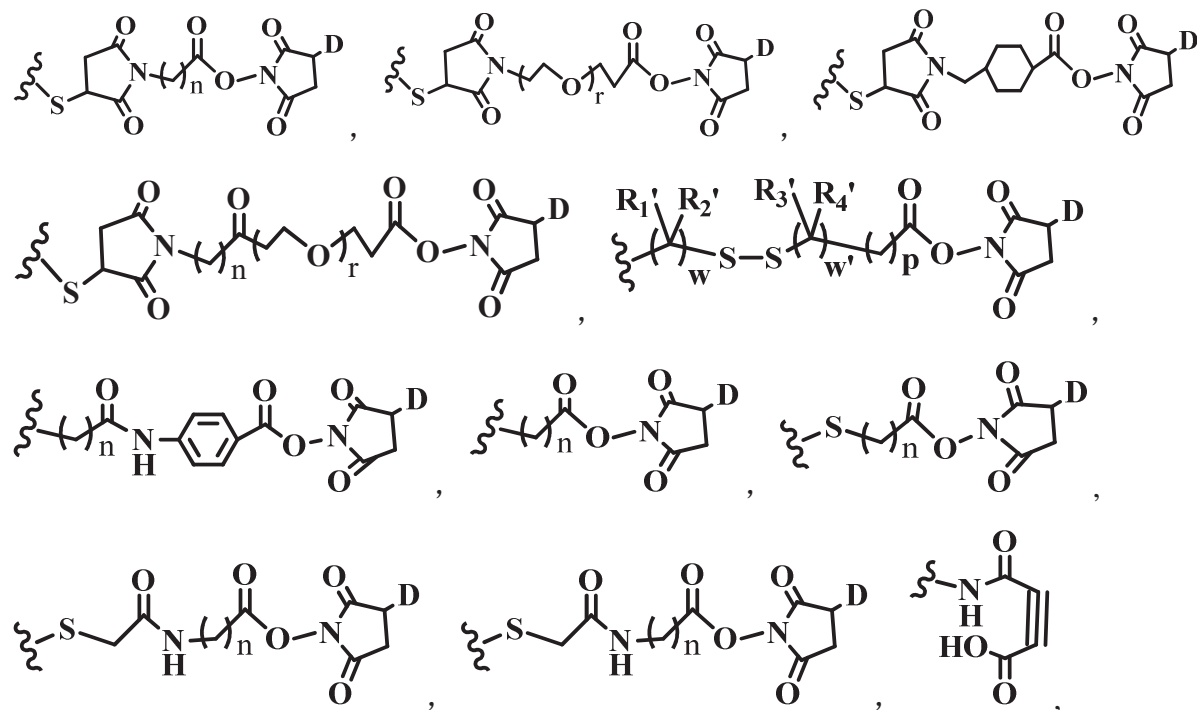
3. The compound according to Claim 2, wherein  $R_{12}$  and  $R_{12}'$  are independently selected from H,  $C_1\sim C_8$  alkyl;  $C_2\sim C_8$  alkenyl, alkynyl, heteroalkyl;  $C_3\sim C_8$  aryl, heterocyclic, carbocyclic, cycloalkyl, and heterocycloalkyl, ~~heteroaralkyl, alkylcarbonyl; or pharmaceutical cation salts.~~

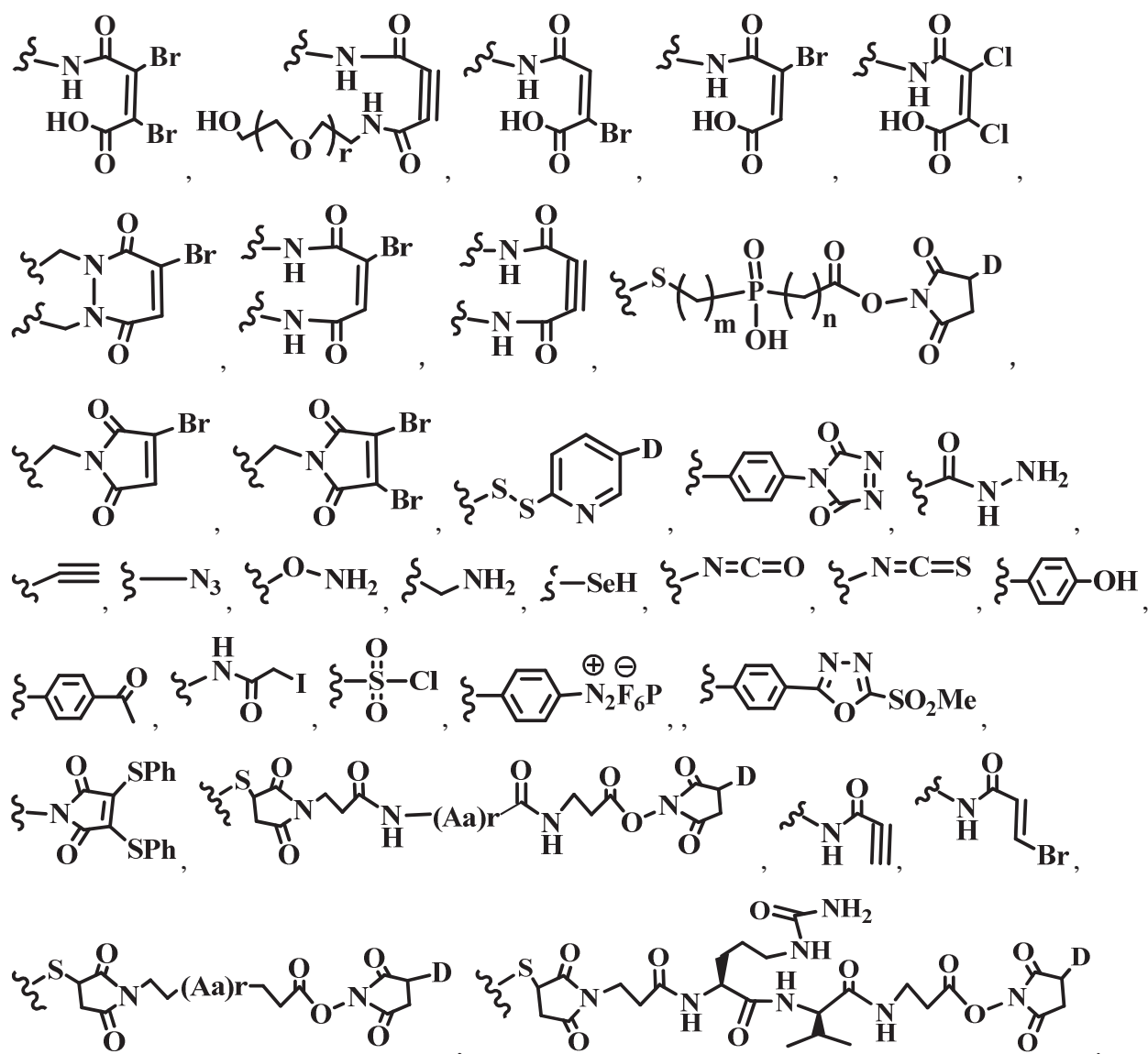
4. ~~The compound according to Claim 2, wherein T undergoes cyclization upon amide bond hydrolysis.~~

54. The compound according to Claim 42, wherein the amide is selected from substituted and unsubstituted 4-aminobutyric acid amides, appropriately substituted bicycle [2.2.1] and bicycle [2.2.2] ring systems, and 2-aminophenylpropionic acid amides.

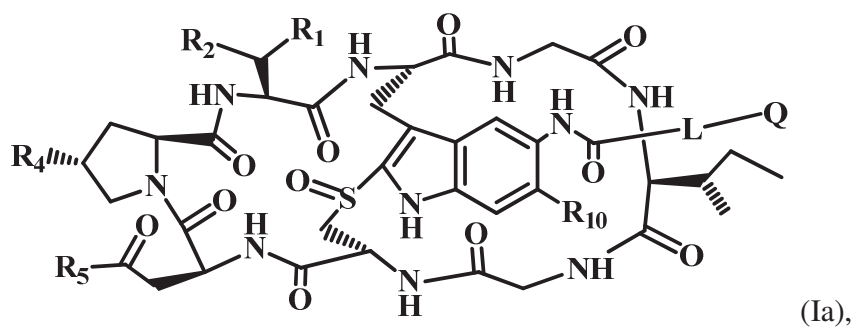
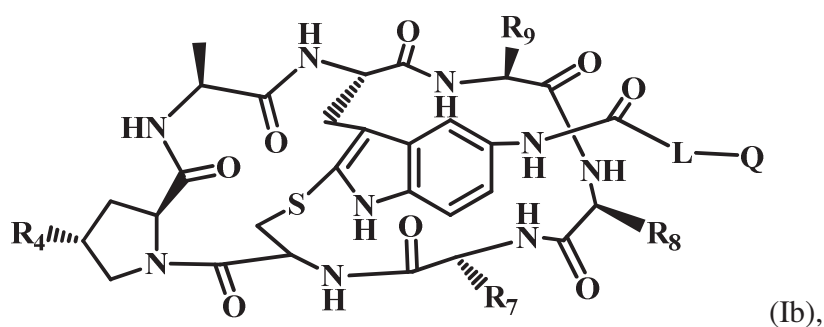
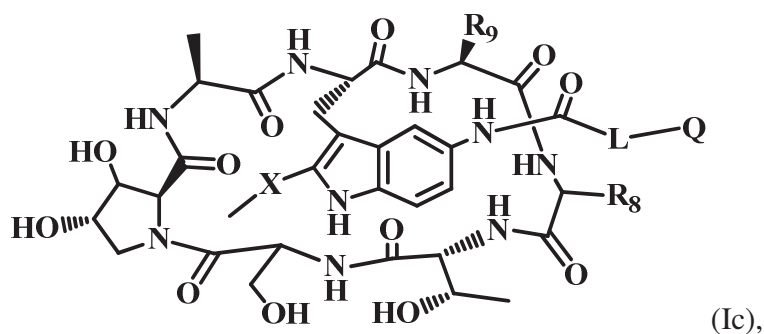
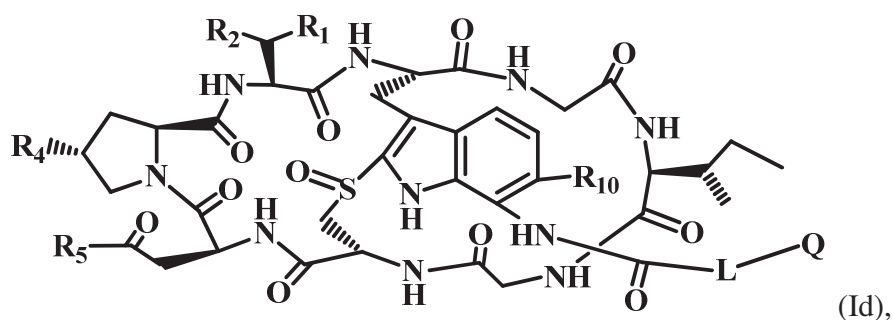
65. The compound according to any preceding Claim, wherein the functional group of Q is chosen from a thiol, an amine, a hydrazine, an alkoxyamino, a disulfide substituent, a maleimido, a haloacetyl group, a carboxy acid, an *N*-hydroxy succinimide ester, a ketone, an ester, an aldehyde, an alkynyl, an alkenyl, or a protected thiol or disulfide group: SAc, SSR<sub>1</sub> or SSAr; wherein Ar is an aromatic group or hetero aromatic group, wherein R<sub>1</sub> is selected from H, OH, CH<sub>2</sub>OH, CH(OH)CH<sub>2</sub>OH, CH(CH<sub>3</sub>)CH<sub>2</sub>OH, CH(OH)CH<sub>3</sub>, C<sub>1</sub>-C<sub>8</sub> alkyl, -OR<sub>12</sub> (ether), C<sub>2</sub>-C<sub>8</sub> alkenyl, alkynyl, heteroalkyl, -OCOR<sub>12</sub> (ester), -OC(=O)OR<sub>12</sub> (carbonate), -OC(=O)NHR<sub>12</sub> (carbamate); C<sub>3</sub>-C<sub>8</sub> aryl, heterocyclic, carbocyclic, cycloalkyl, heterocycloalkyl, heteroaralkyl, and alkylcarbonyl.

76. The compound according to any preceding Claim, wherein Q is one of the following formulas:





87. The compound according to any preceding Claim having the following Formula (Ia) (Ib), (Ic), or (Id):



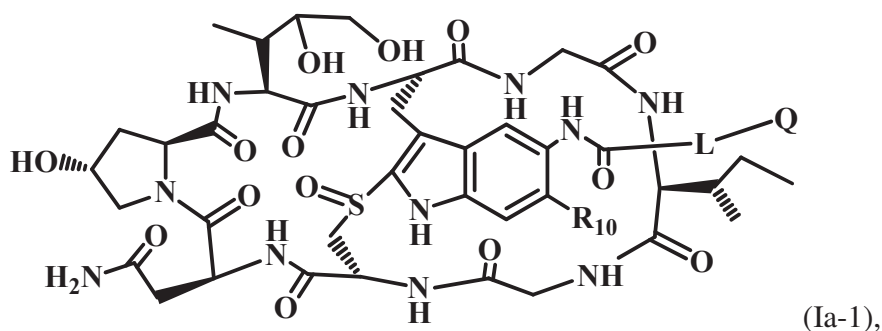
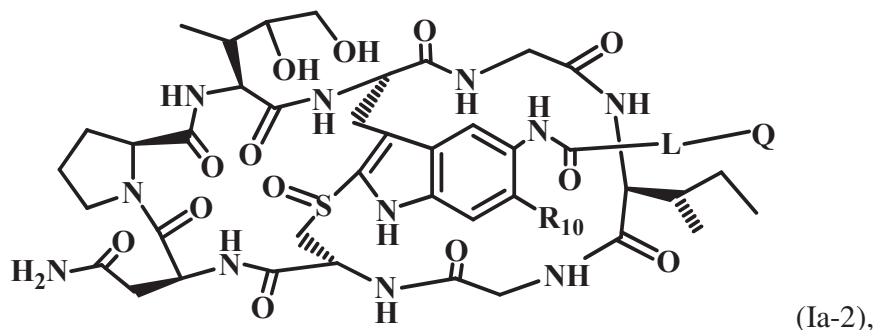
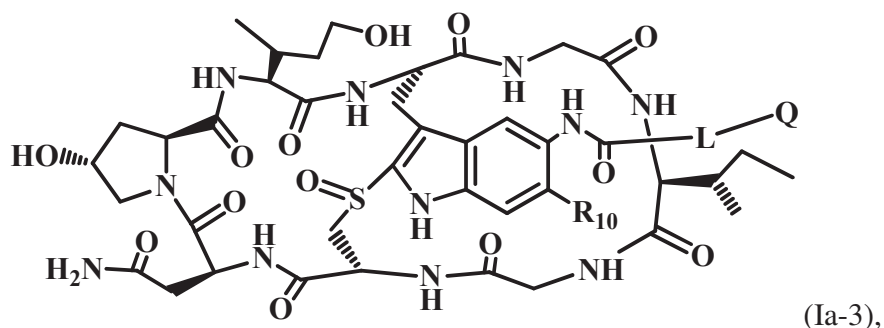
or a pharmaceutically acceptable salt, hydrate, or hydrated salt thereof, or the polymorphic crystalline structure thereof,

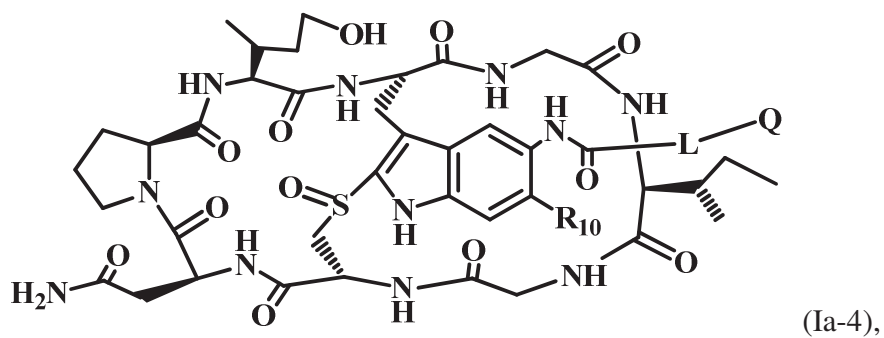
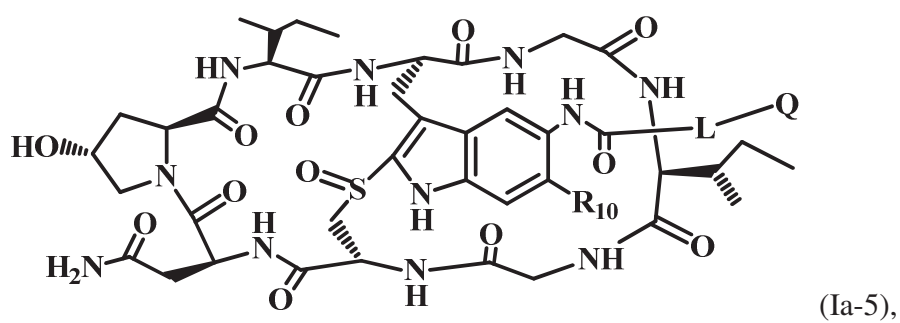
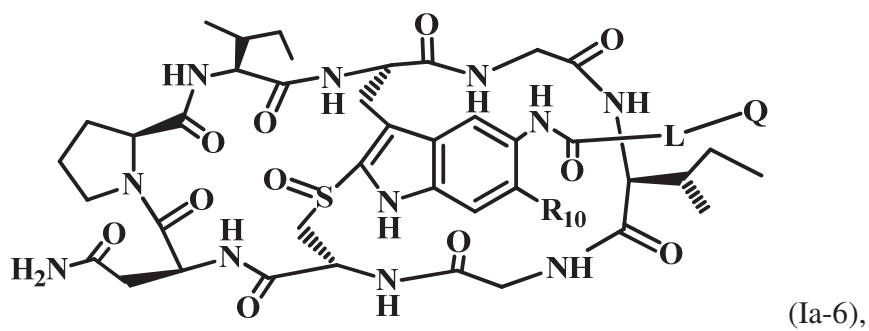
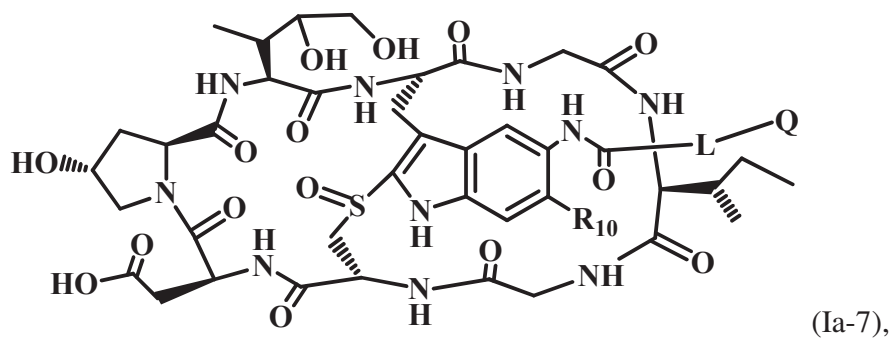
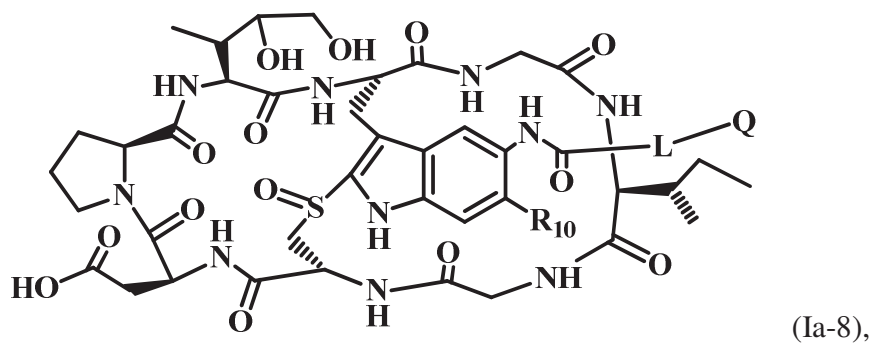
or an optical isomer, racemate, diastereomer or enantiomer thereof,

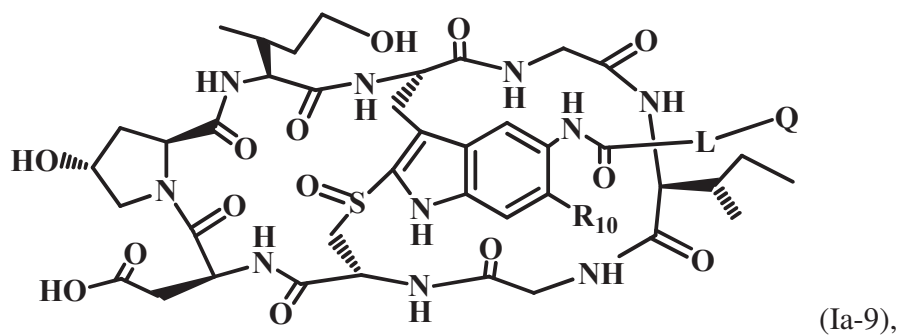
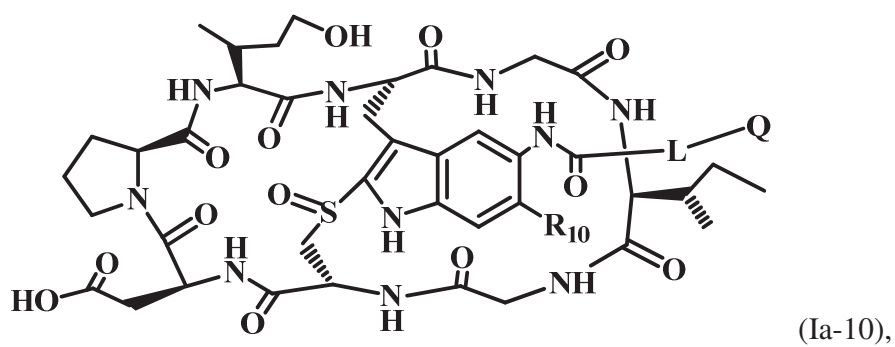
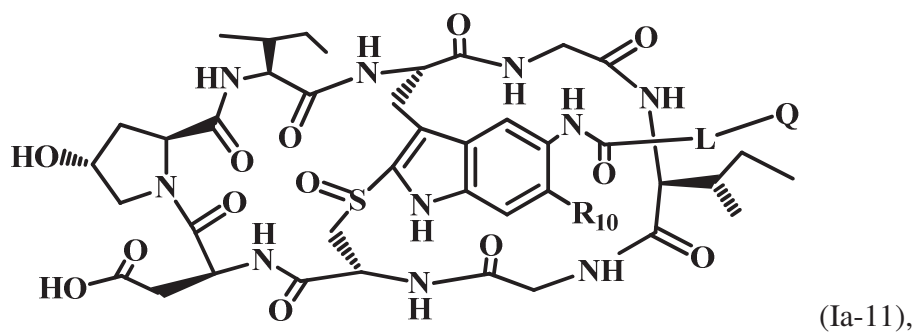
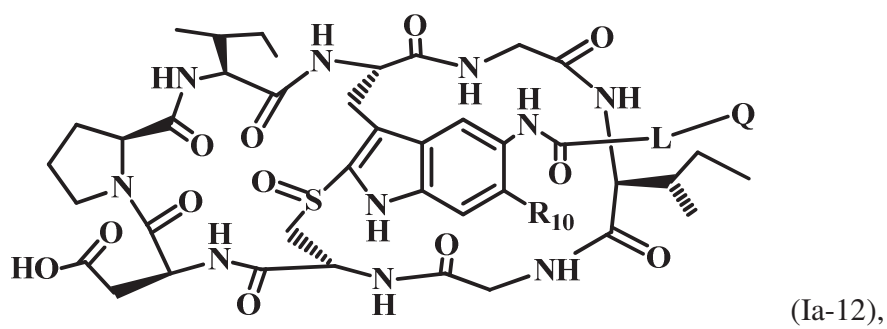
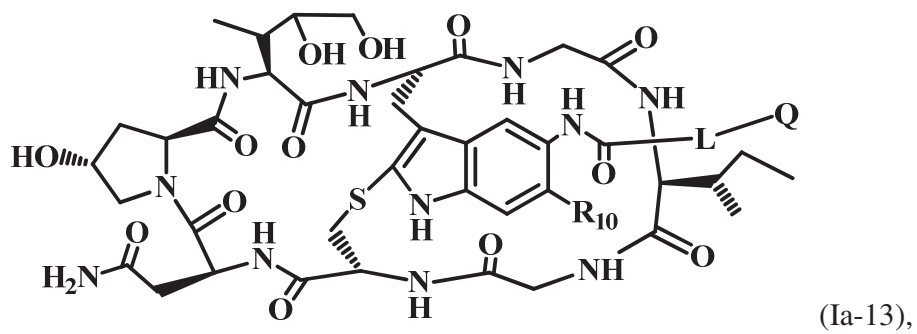
wherein  $R_1$ ,  $R_2$ ,  $R_4$ ,  $R_5$ ,  $R_7$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$ ,  $L$ ,  $X$  and  $Q$  are defined the same as in Claim 1.

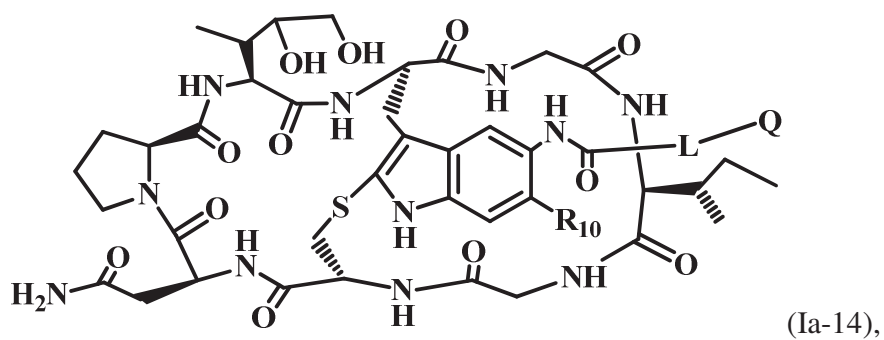
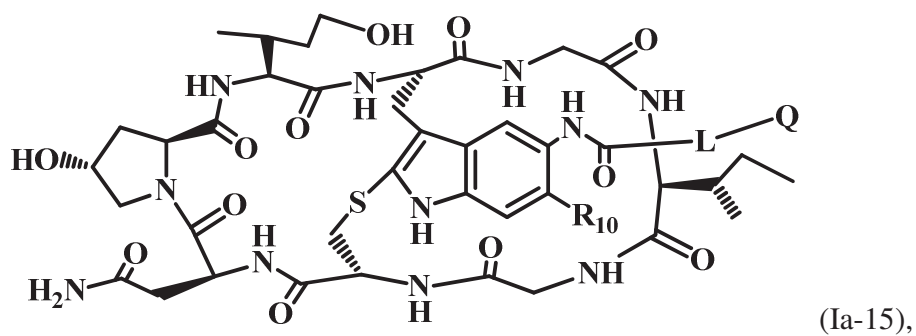
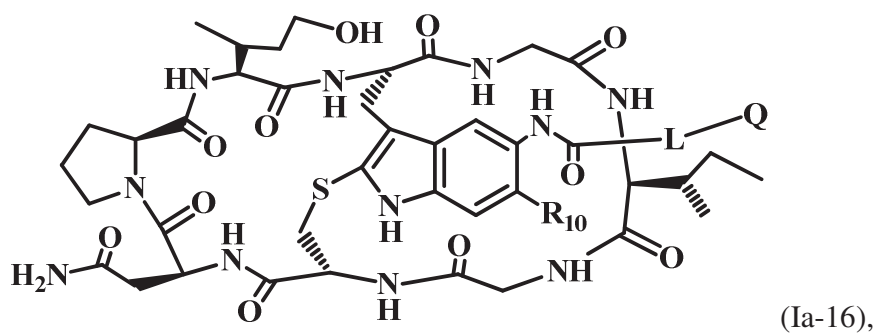
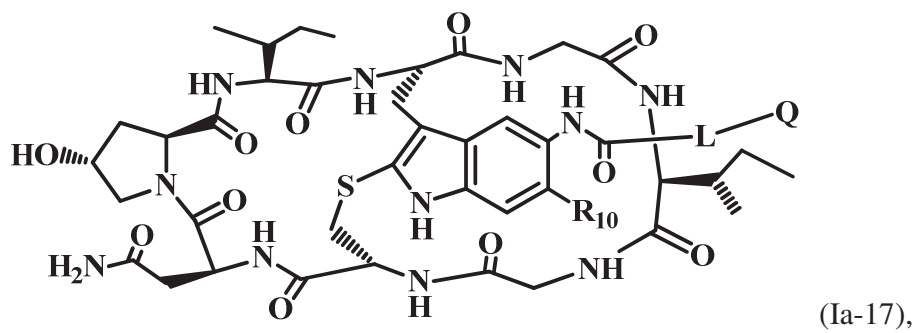
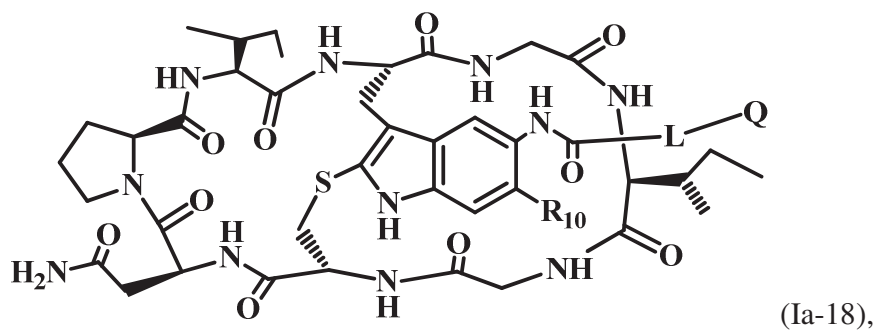
438. The compound according to Claim 1 having the following Formula (Ia-1), (Ia-2), (Ia-3), (Ia-4), (Ia-5), (Ia-6), (Ia-7), (Ia-8), (Ia-9), (Ia-10), (Ia-11), (Ia-12), (Ia-13), (Ia-14), (Ia-15), (Ia-16), (Ia-17), (Ia-18), (Ia-19), (Ia-20), (Ia-21), (Ia-22), (Ia-23), (Ia-24), (Ib-1), (Ib-2), (Ib-3), (Ib-4),

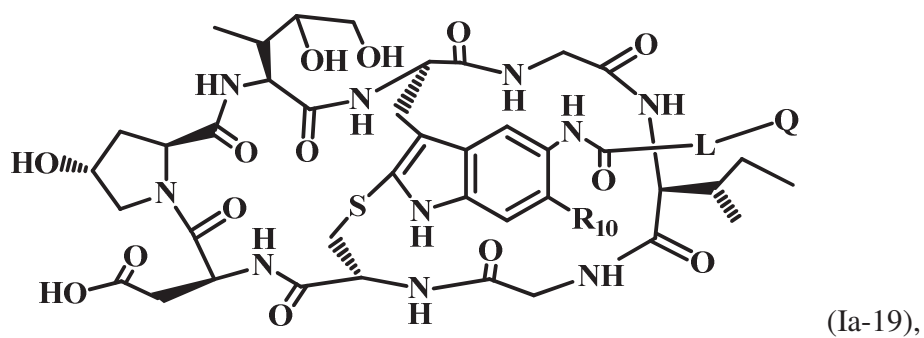
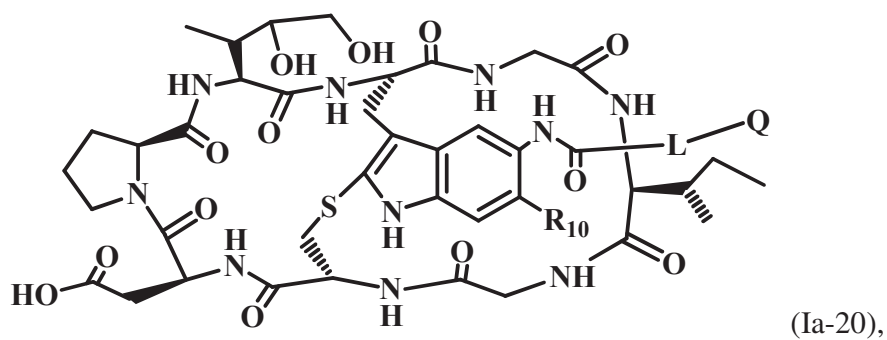
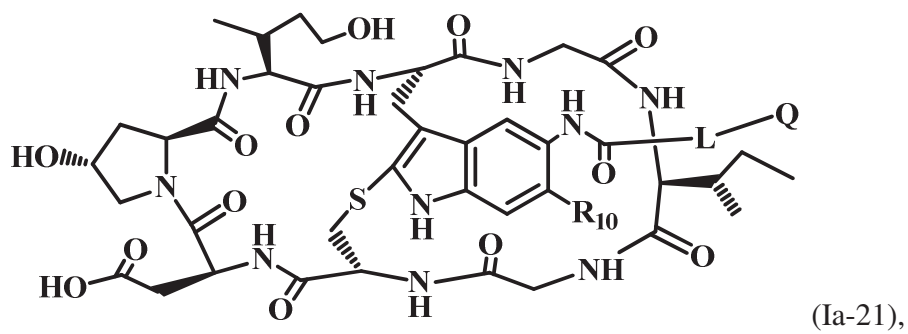
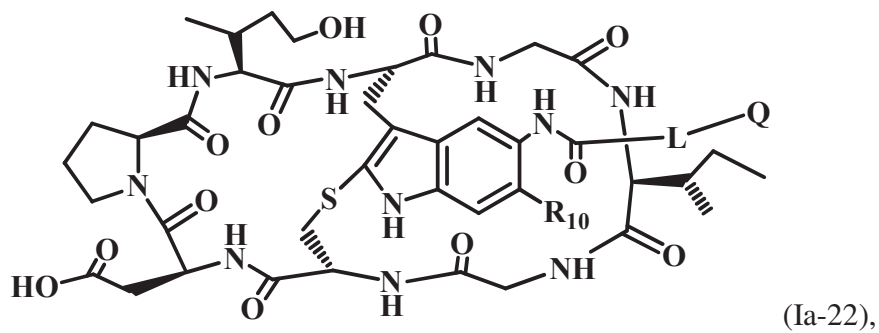
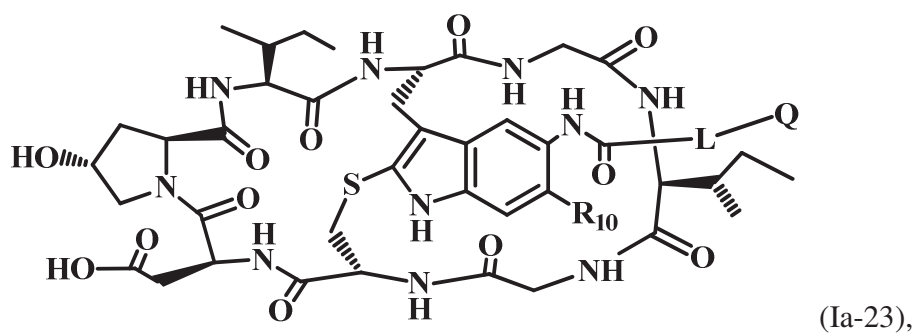
(Ib-5), (Ib-6), (Ib-7), (Ic-1), (Ic-2), (Ic-3), (Ic-4), (Ic-5), (Ic-6), (Id-1), (Id-2), (Id-3), (Id-4), (Id-5),  
 (Id-6), (Id-7), (Id-8), (Id-9), (Id-10), (Id-11), (Id-12), (Id-13), (Id-14), (Id-15), (Id-16), (Id-17),  
 (Id-18), (Id-19), (Id-20), (Id-21), (I-1), (I-2), (I-3), (I-4), (I-5), (I-6), (I-7), (I-8), (I-9), (I-10), (I-  
 11), (I-12), (I-13), (I-14), (I-15), (I-16), (I-17), (I-18), (I-19), (I-20), (I-21), (I-22), (I-23), (I-24),  
 5 (I-25), (I-26), (I-27), (I-28), (I-29), (I-30), (I-31), (I-32), (I-33), (I-34), (I-35), (I-36), (I-37), (I-  
 38), (I-39), (I-40), (I-41), (I-42), (I-43), (I-44), (I-45), (I-46), (I-47), (I-48), (I-49), (I-50), (I-51),  
 (I-52), (I-53), (I-54), (I-55), (I-56), (I-57), (I-58), (I-59), (I-60), (I-61), (I-62), (I-63), (I-64), (I-  
 65), (I-66), (I-67), (I-68), (I-69), (I-70), (I-71), (I-72), (I-73), (I-74), (I-75), (I-76), (I-77), (I-78),  
 (I-79), (I-80), (I-81), (I-82), (I-83), (I-84), (I-85), (I-86), (I-87), (I-88), (I-89), (I-90), (I-91), (I-  
 10 92), (I-93), (I-94), (I-95), (I-96), (I-97), or (II-1) – (II-91):

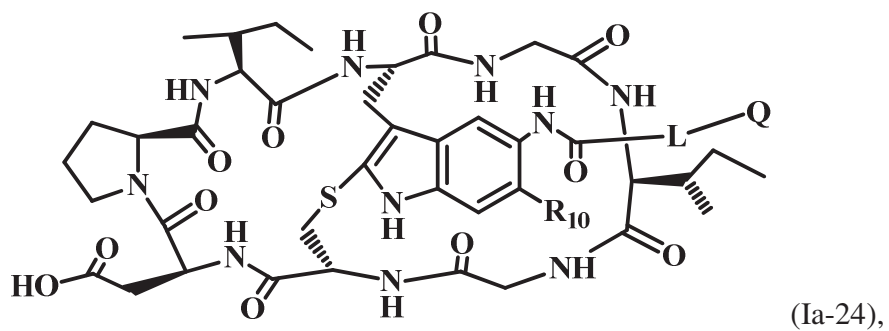
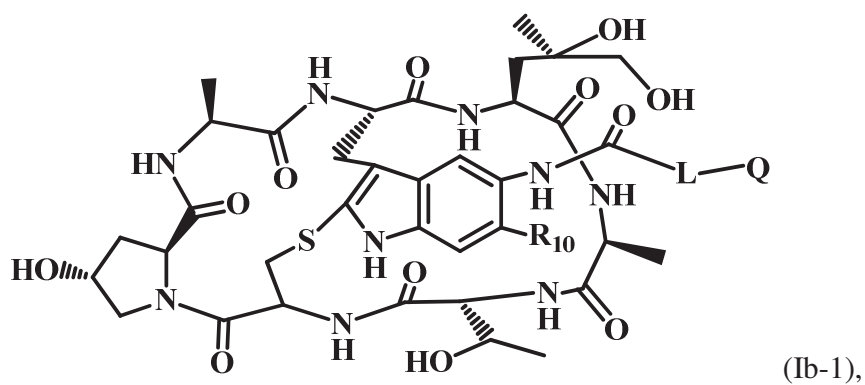
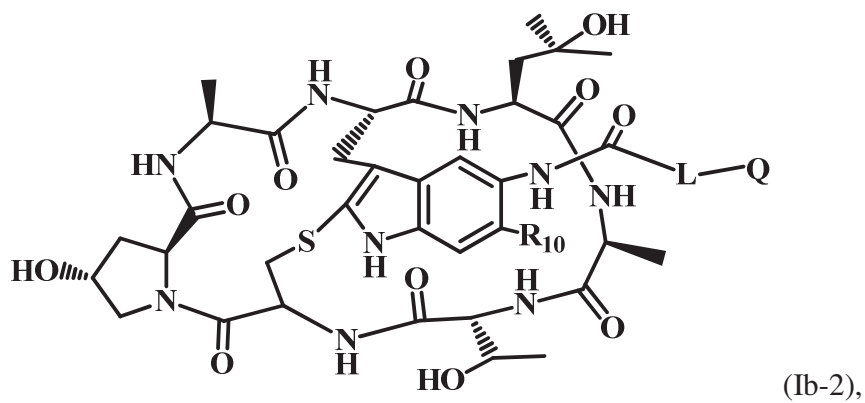
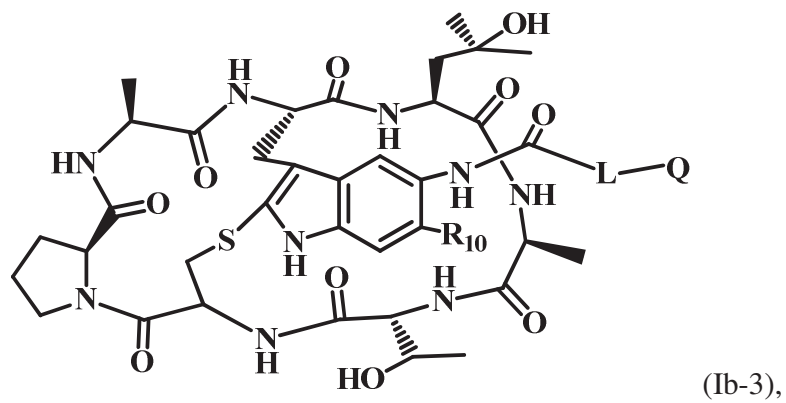


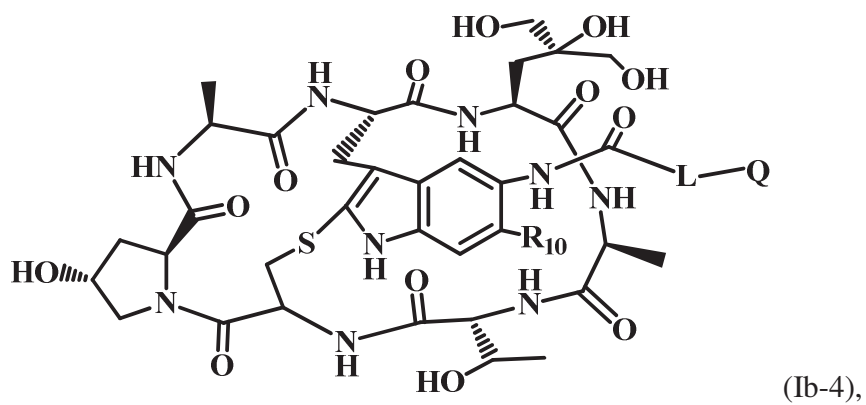
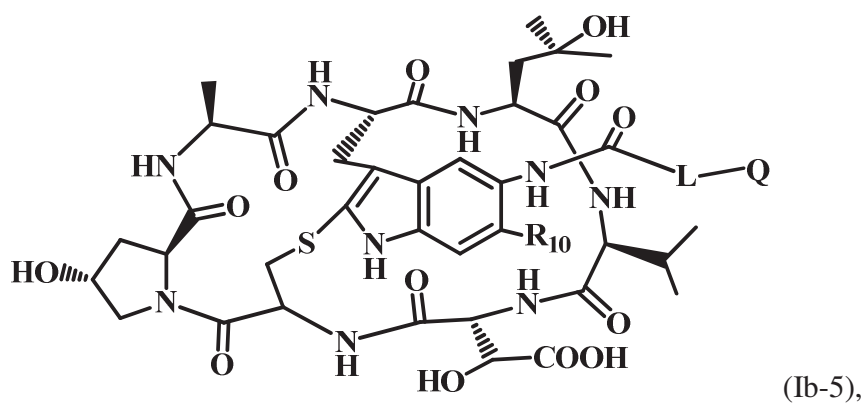
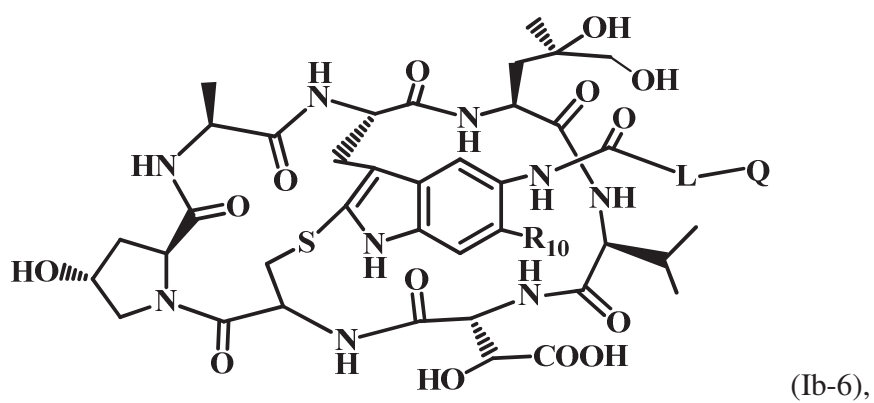
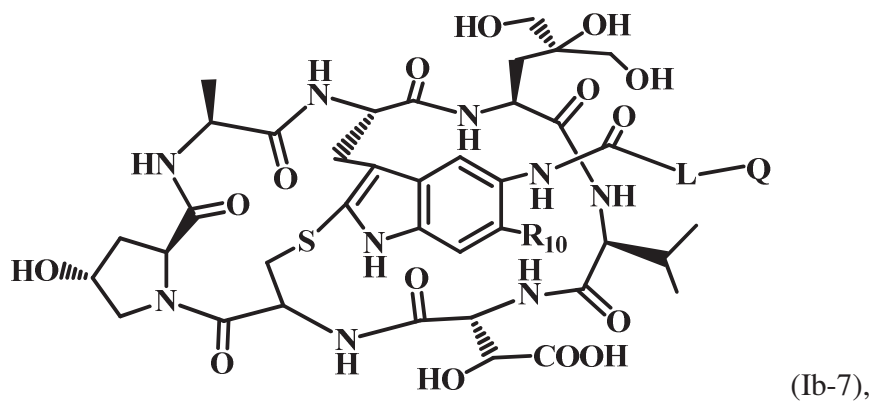


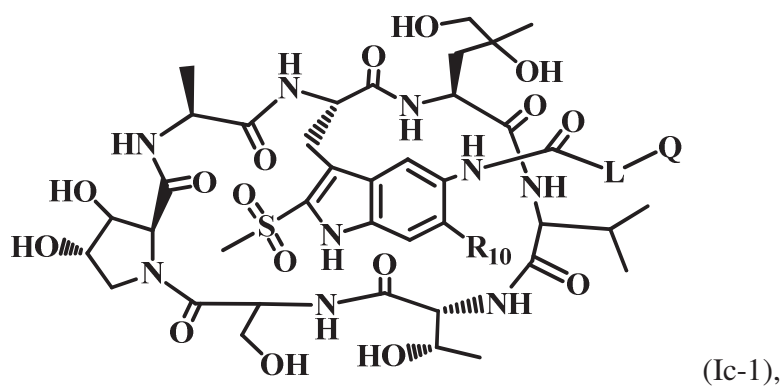
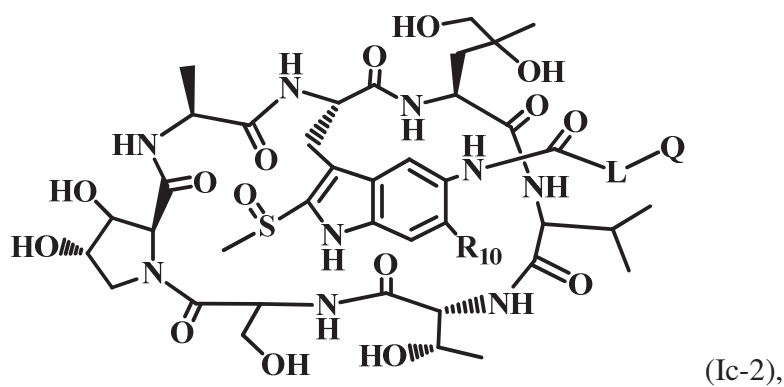
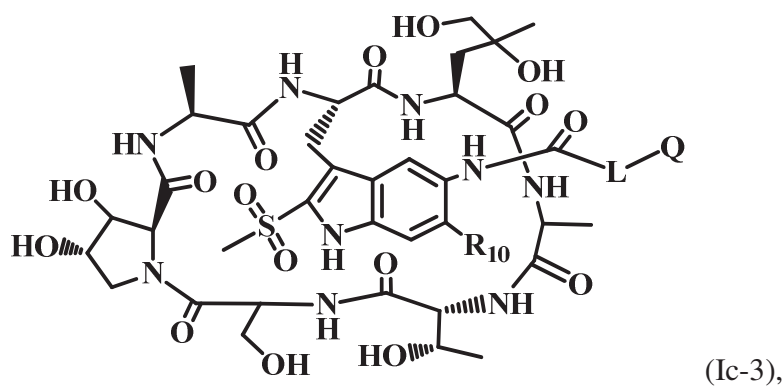
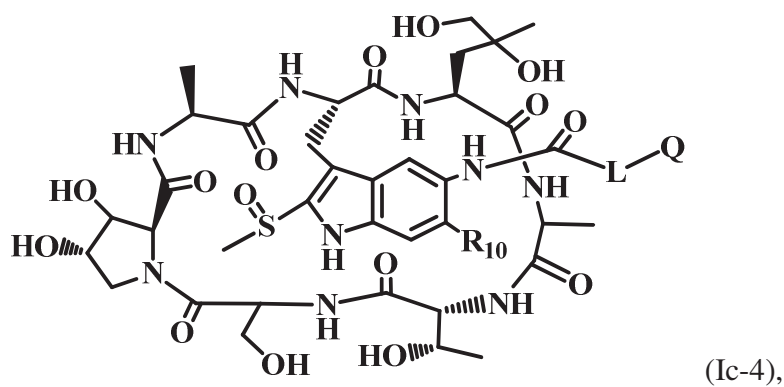


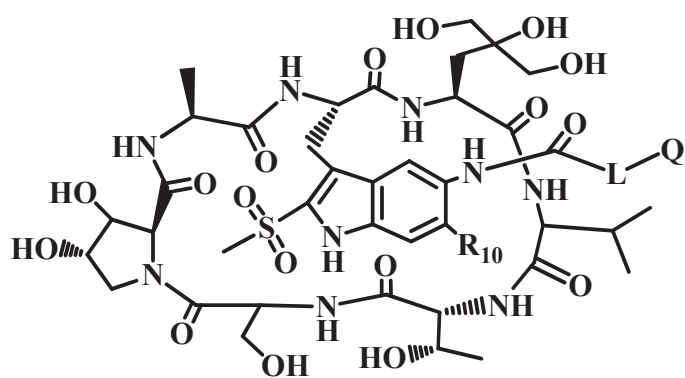




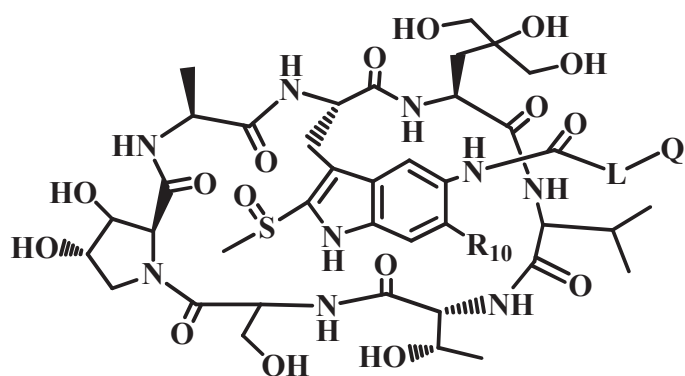




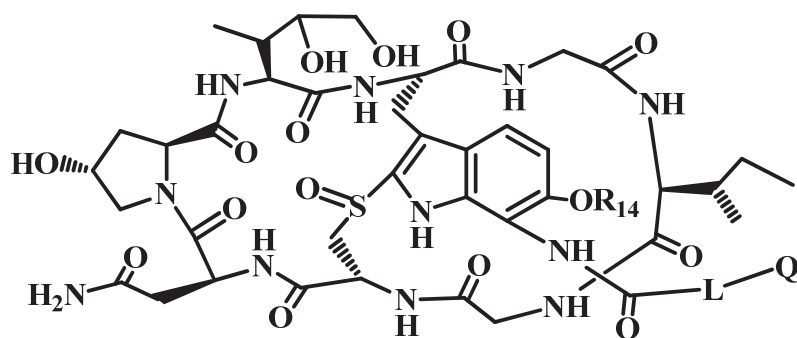




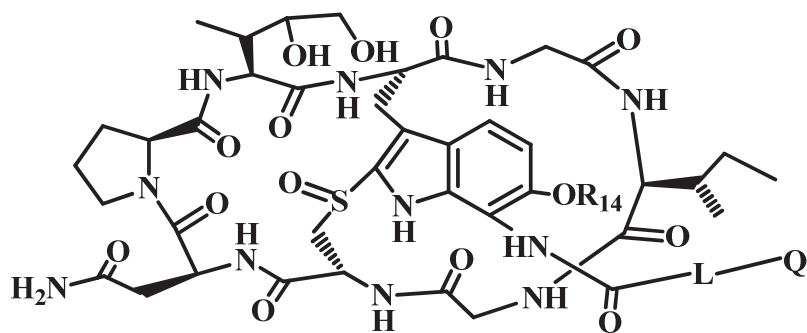
(Ic-5),



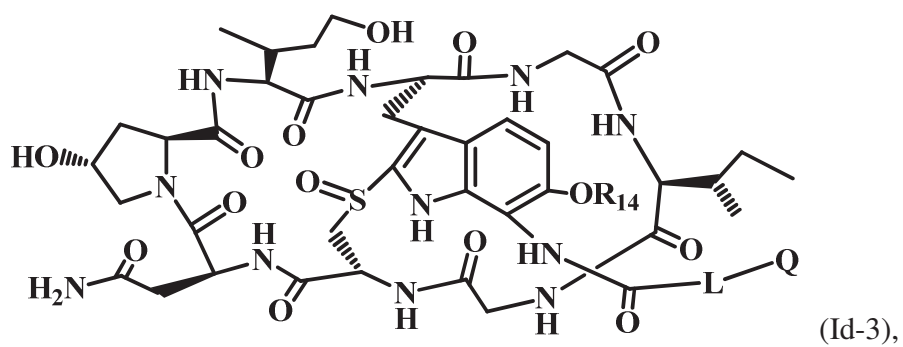
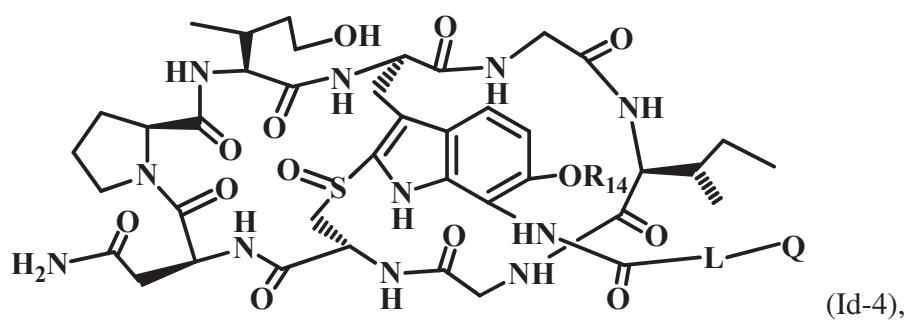
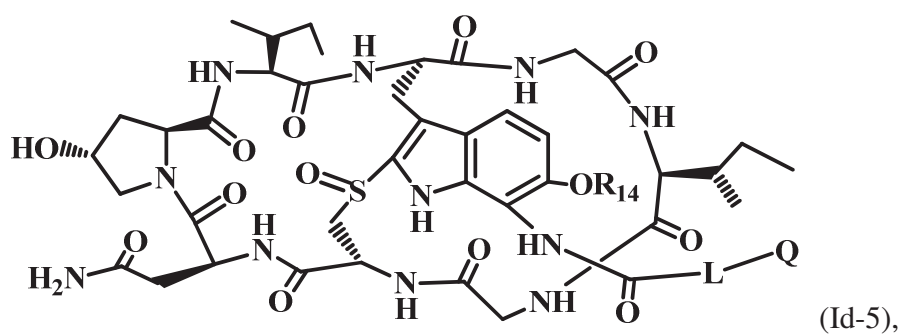
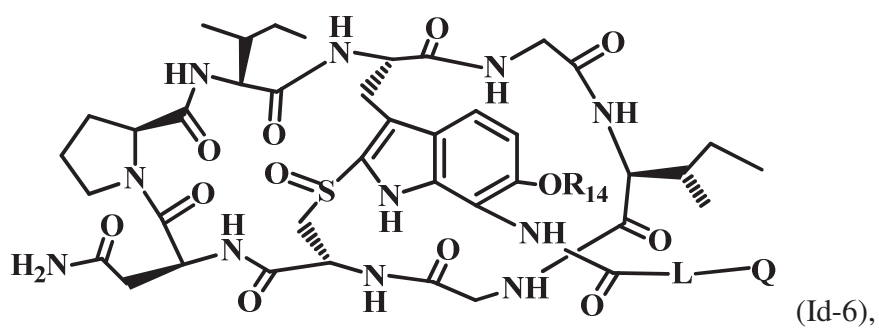
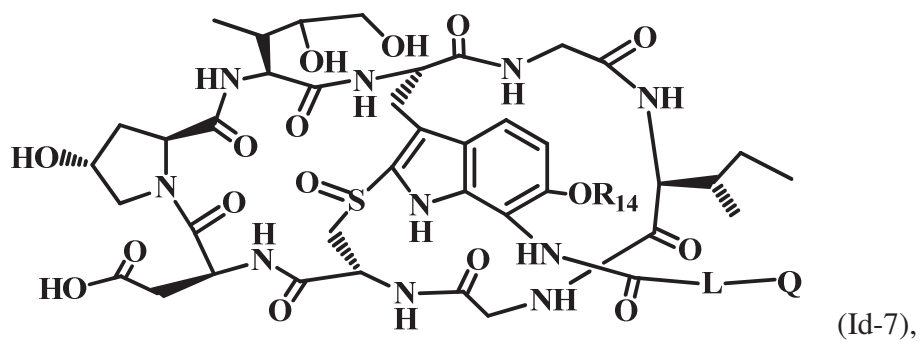
(Ic-6),

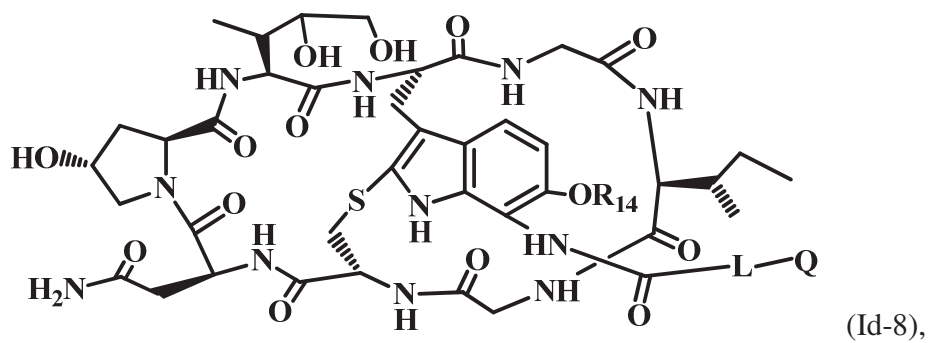
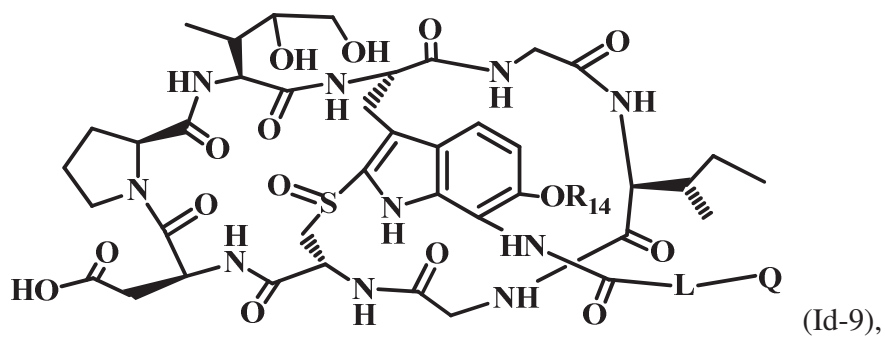
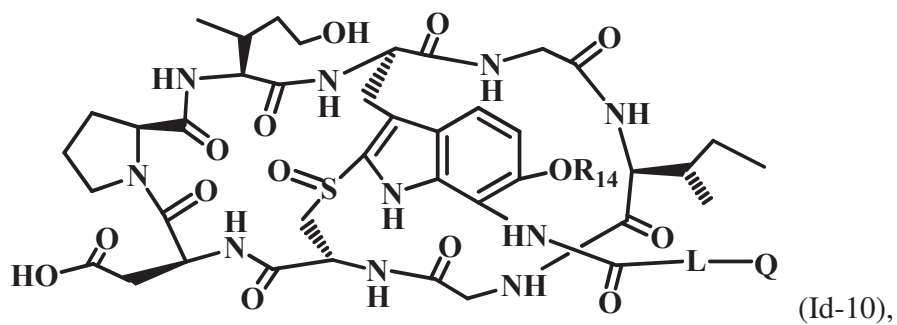
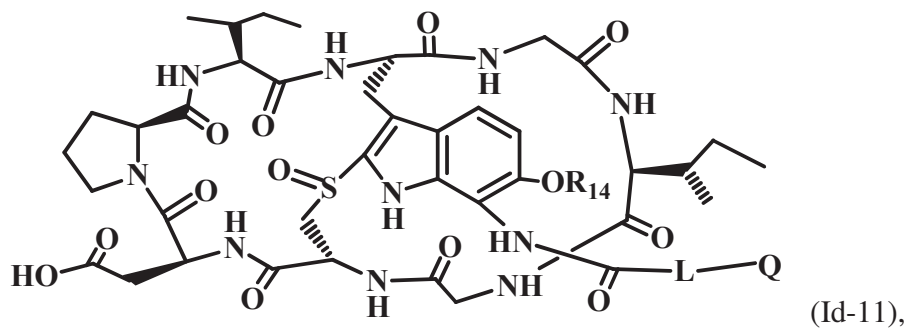
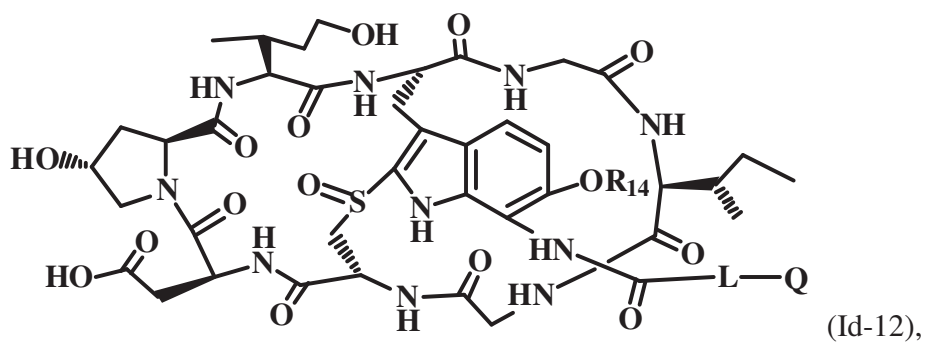


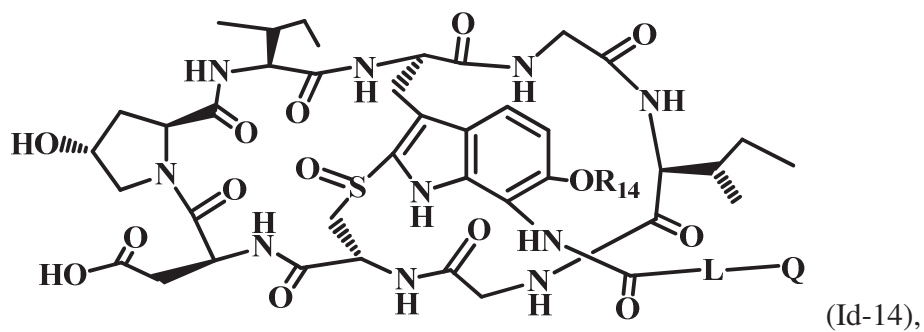
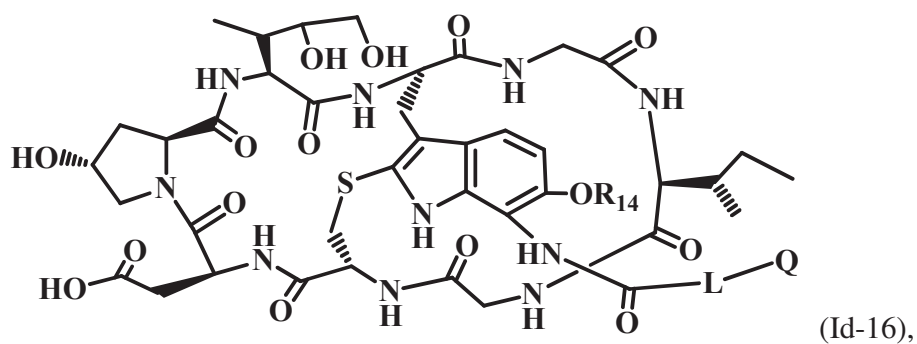
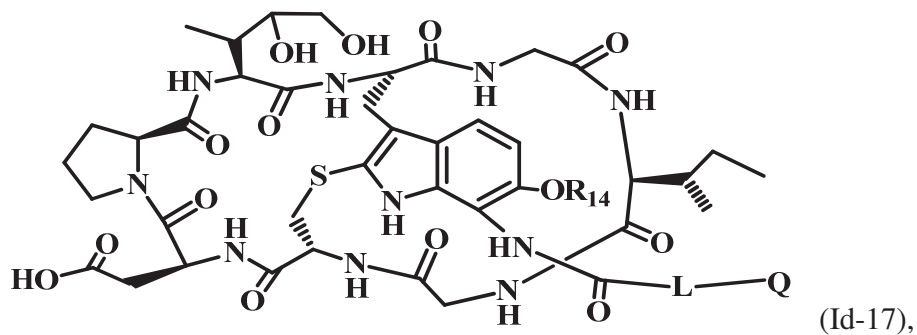
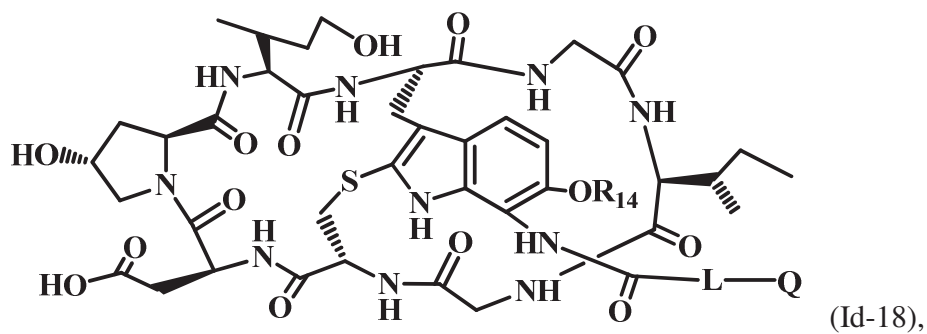
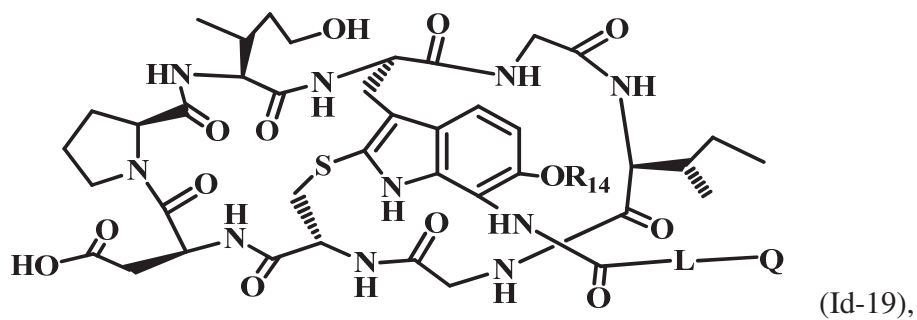
(Id-1),

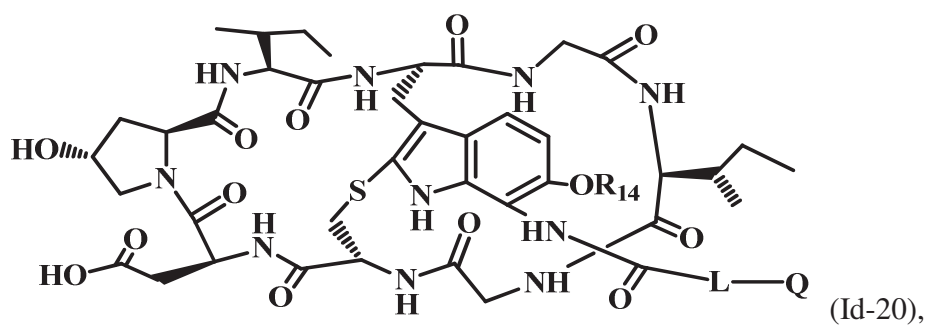
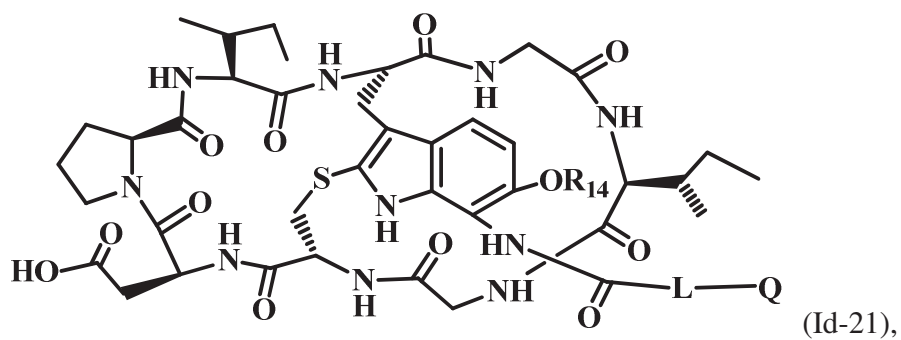
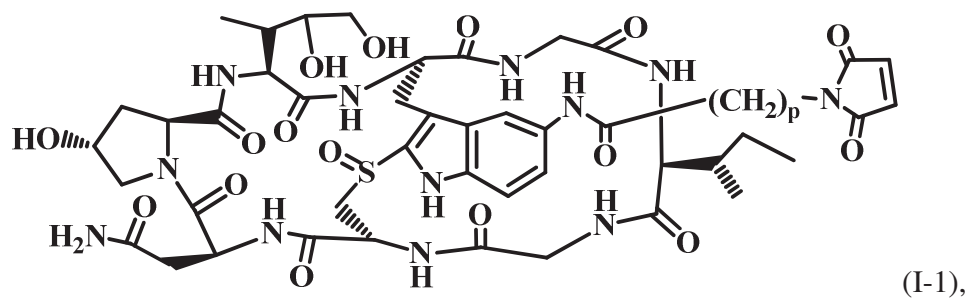
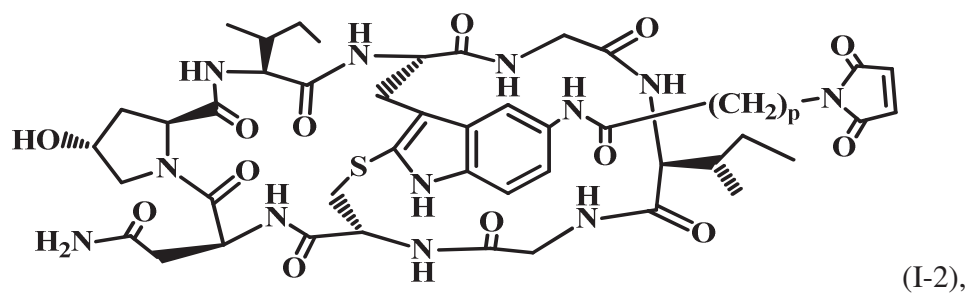
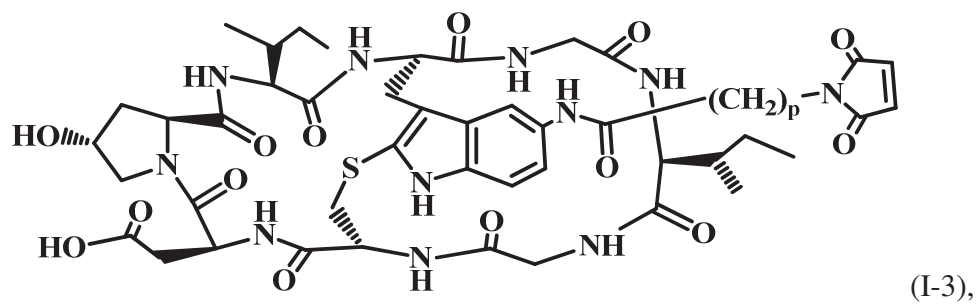


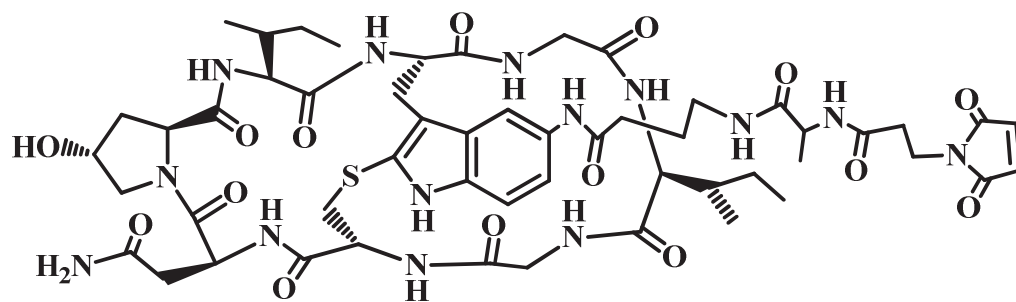
(Id-2),



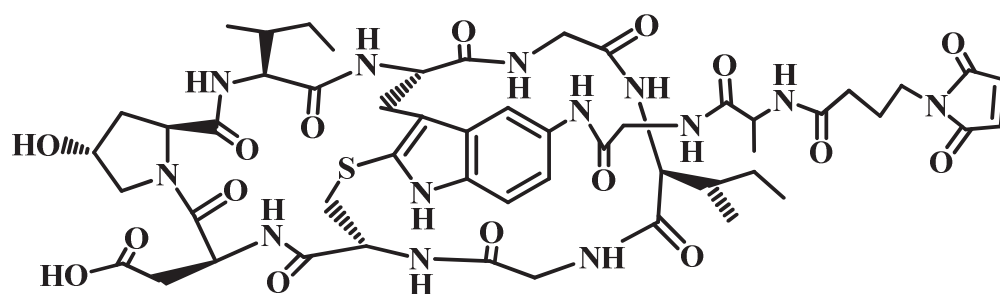




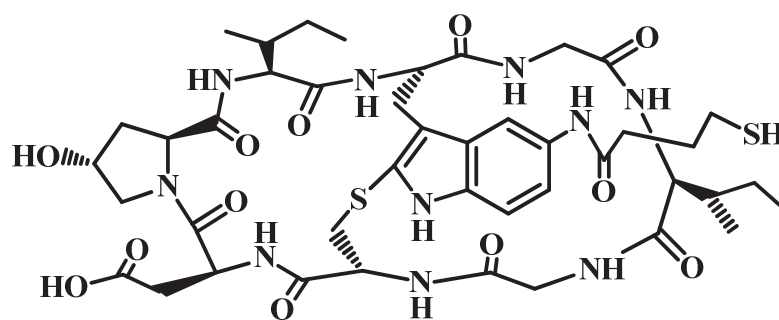




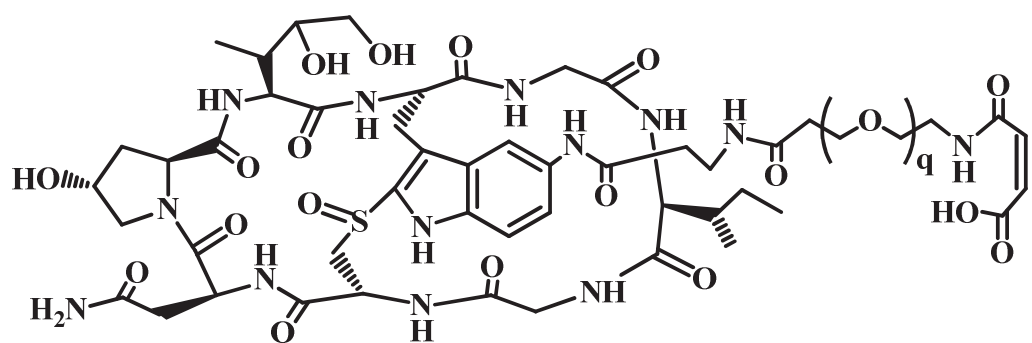
(I-4),



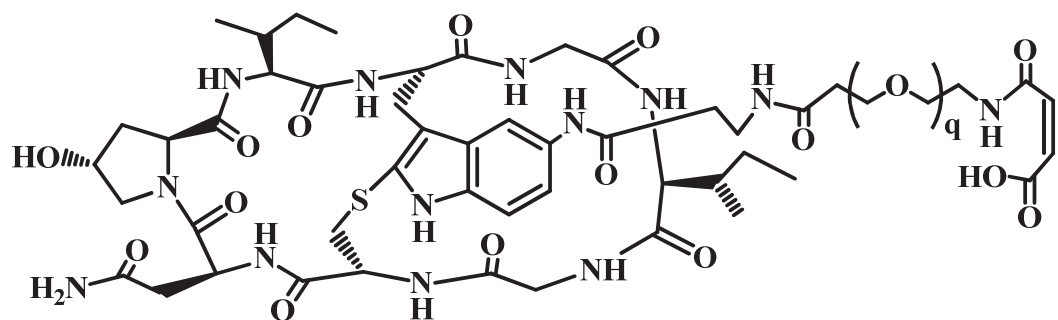
(I-5),



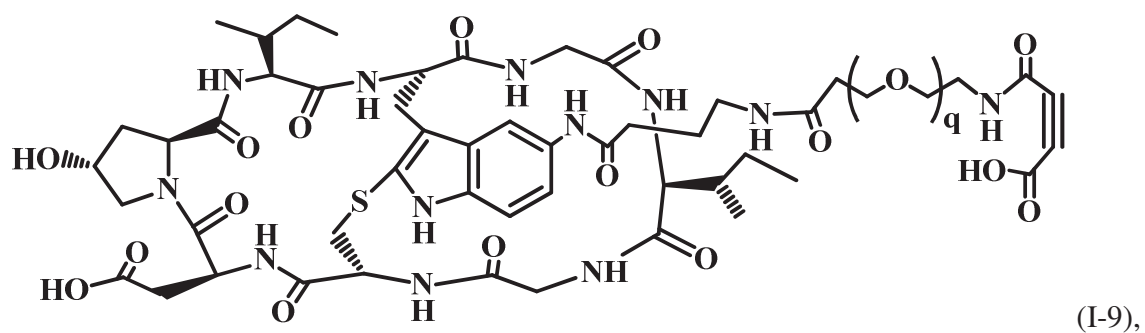
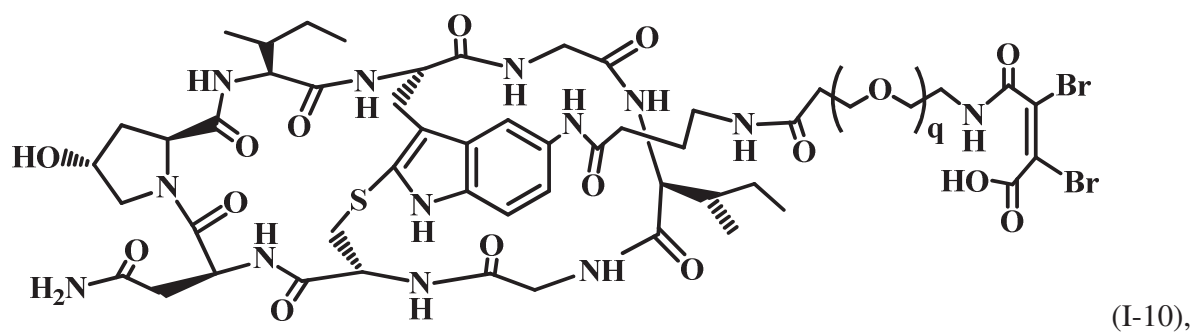
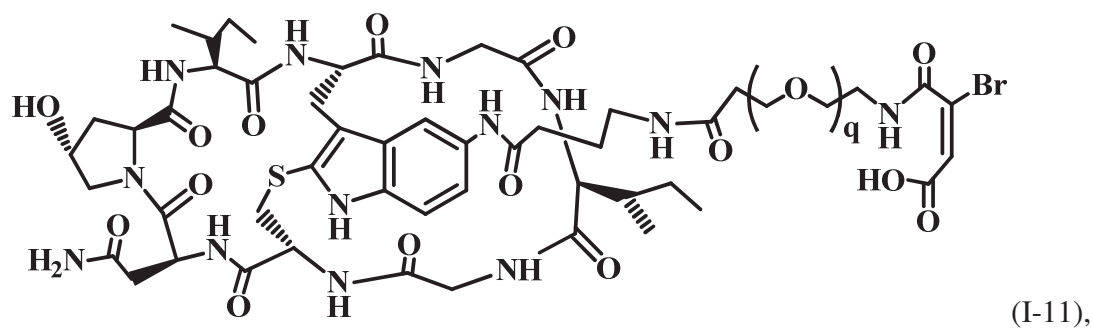
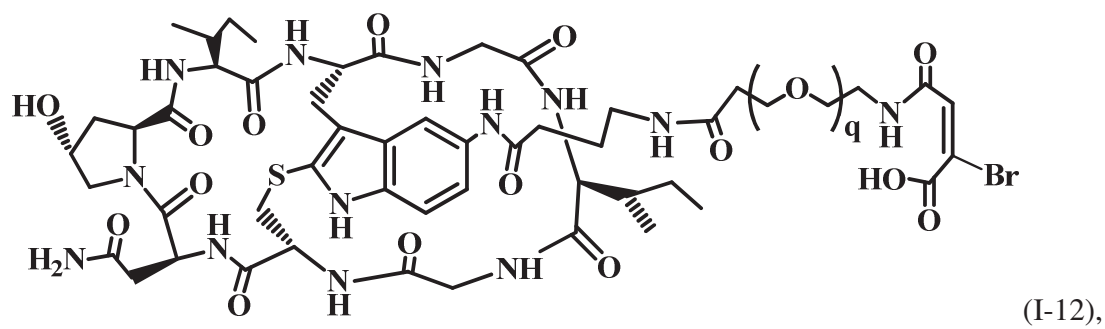
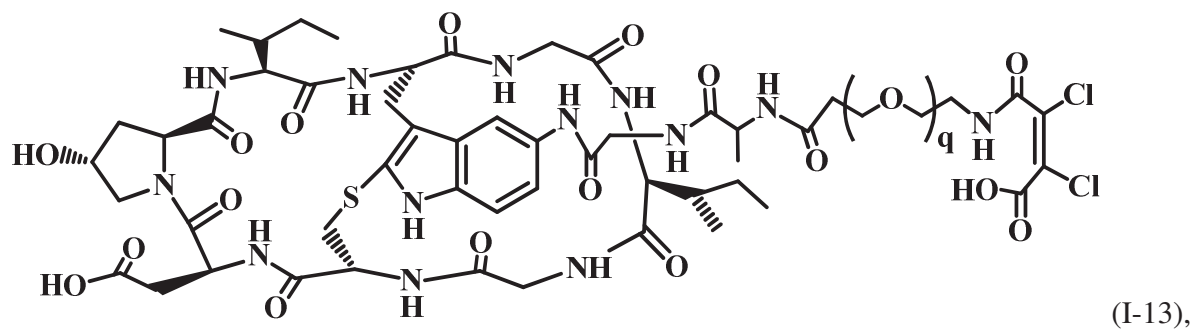
(I-6),

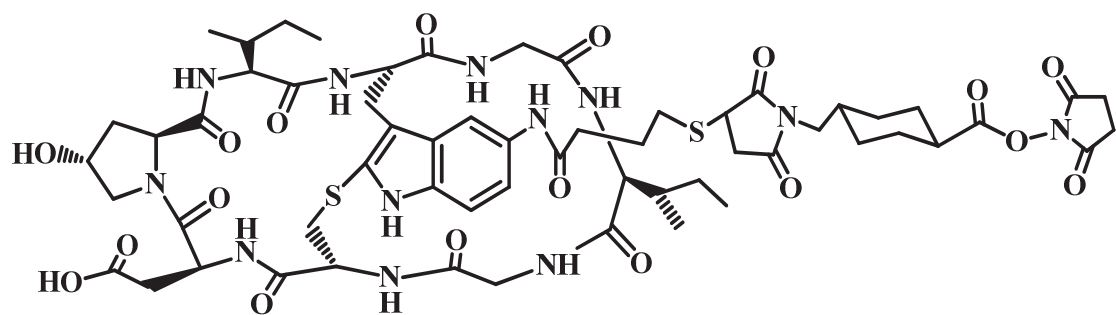


(I-7),

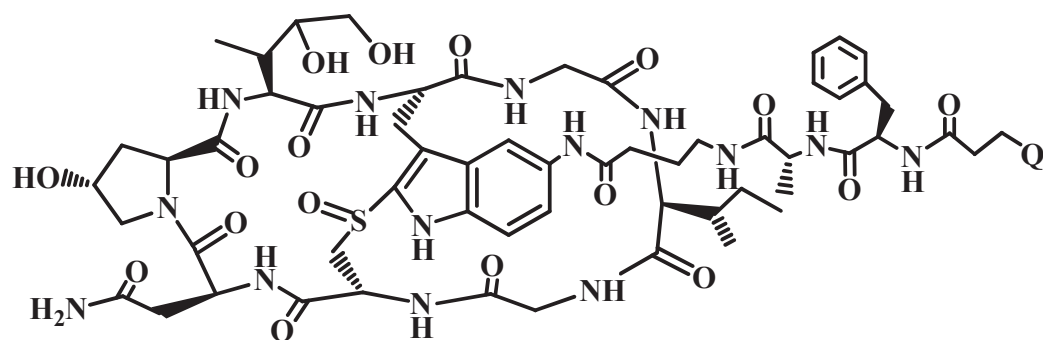


(I-8),

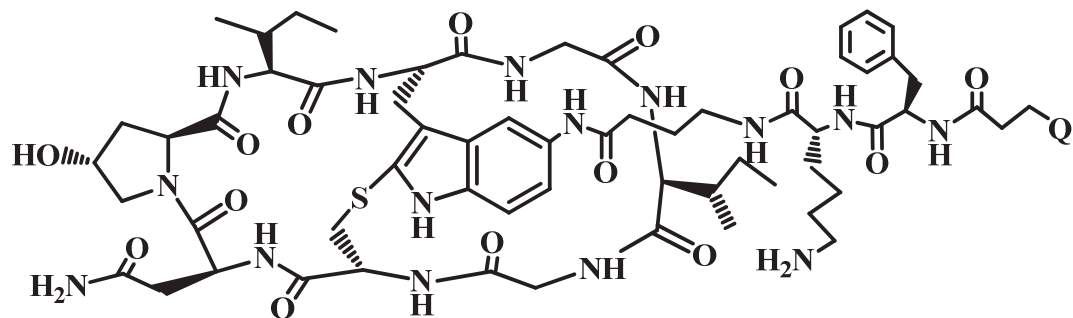




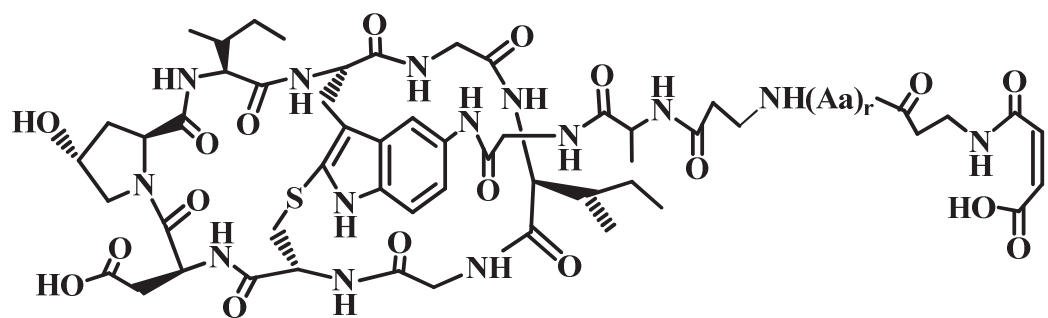
(I-14),



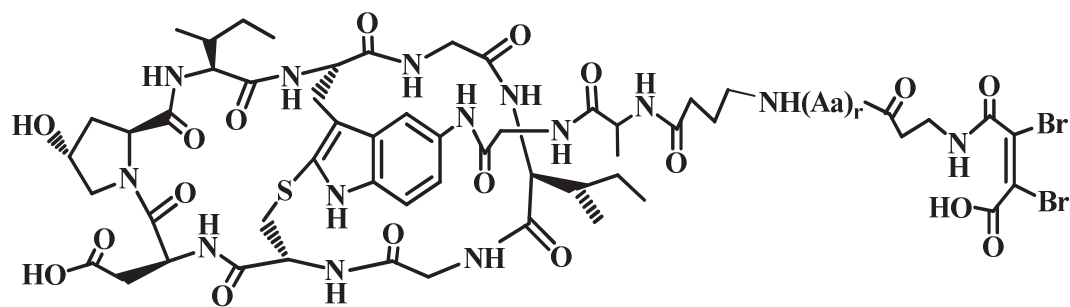
(I-15),



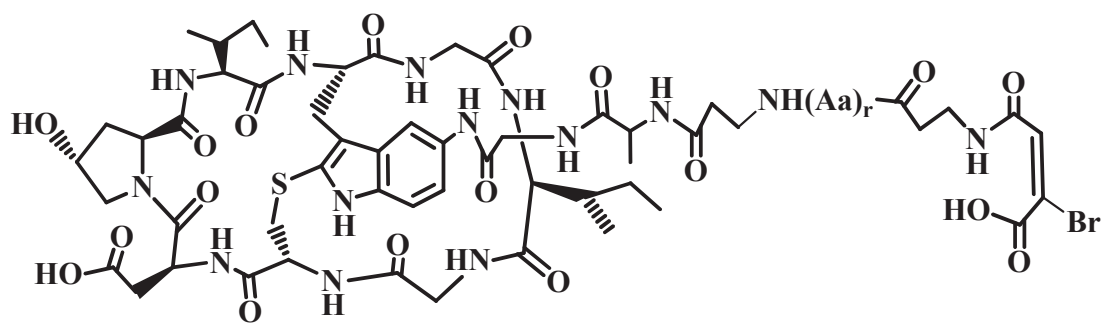
(I-16),



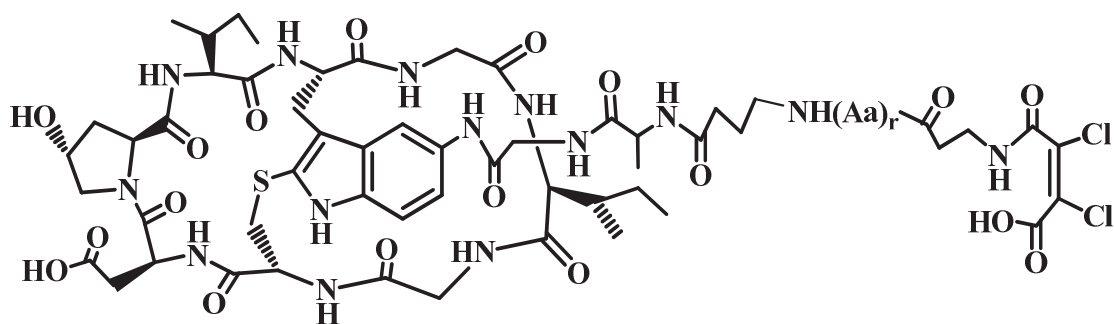
(I-17),



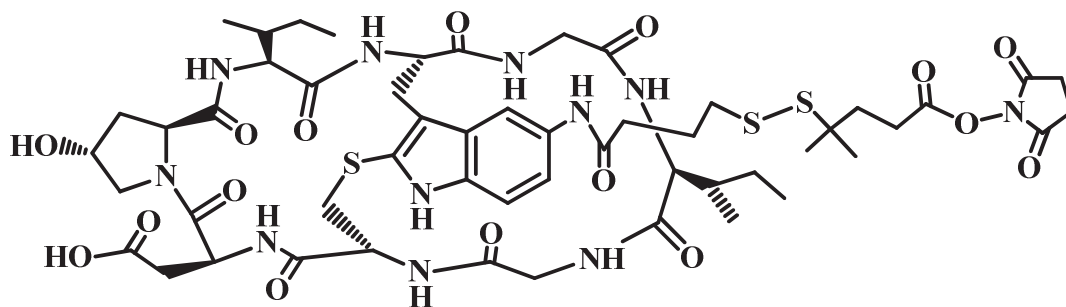
(I-18),



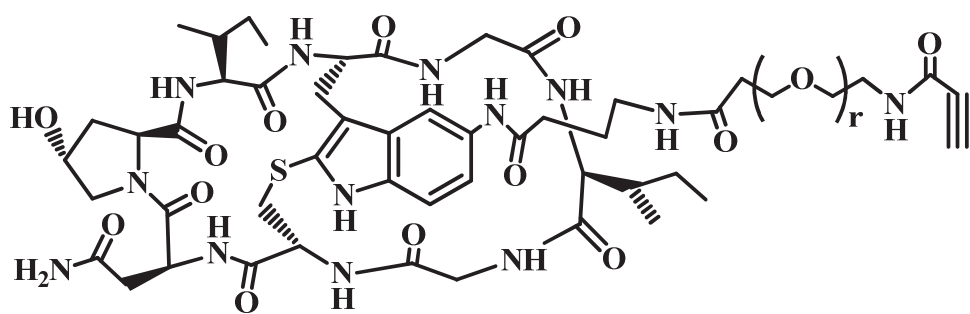
(I-19),



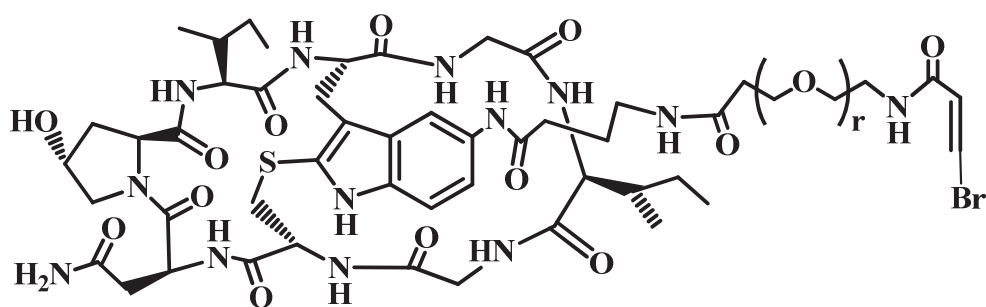
(I-20),



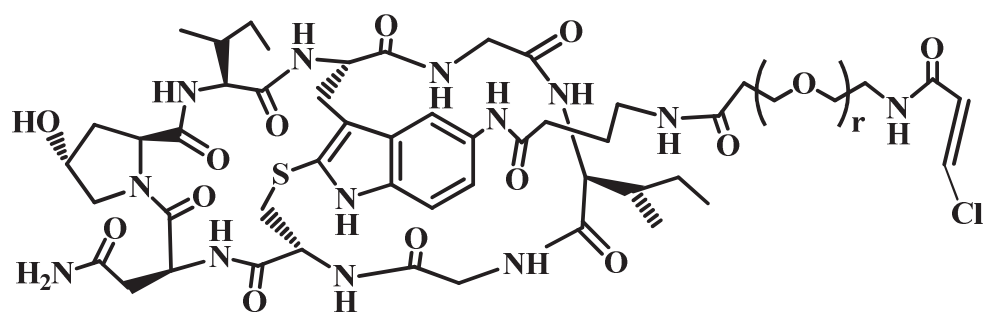
(I-21),



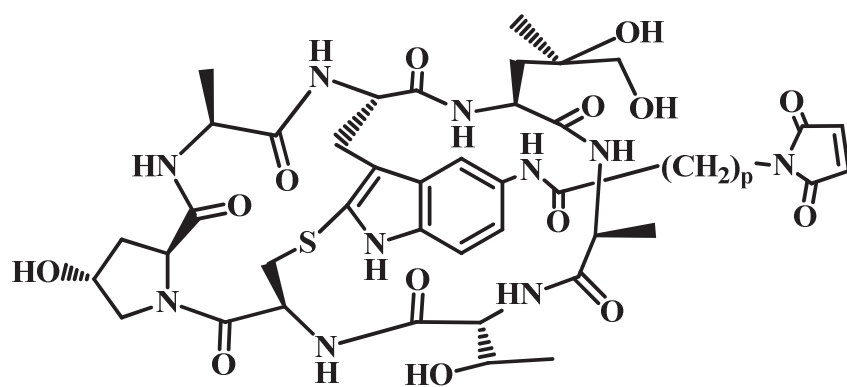
(I-22),



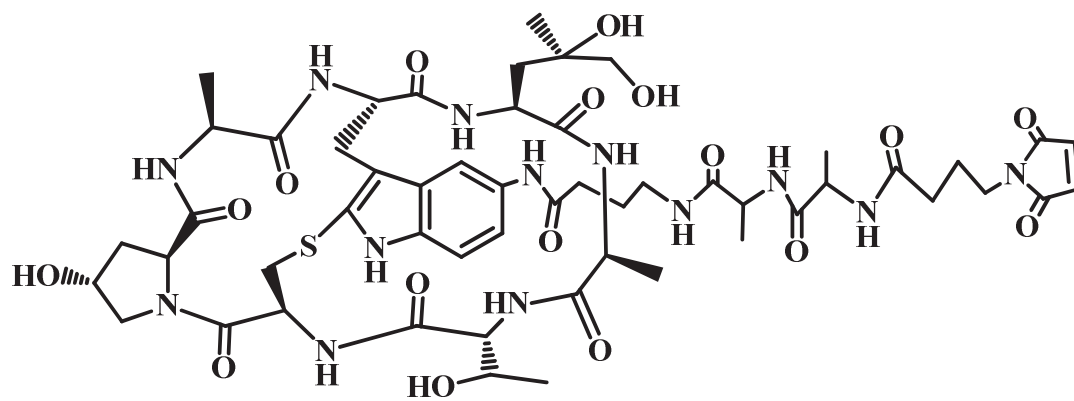
(I-23),



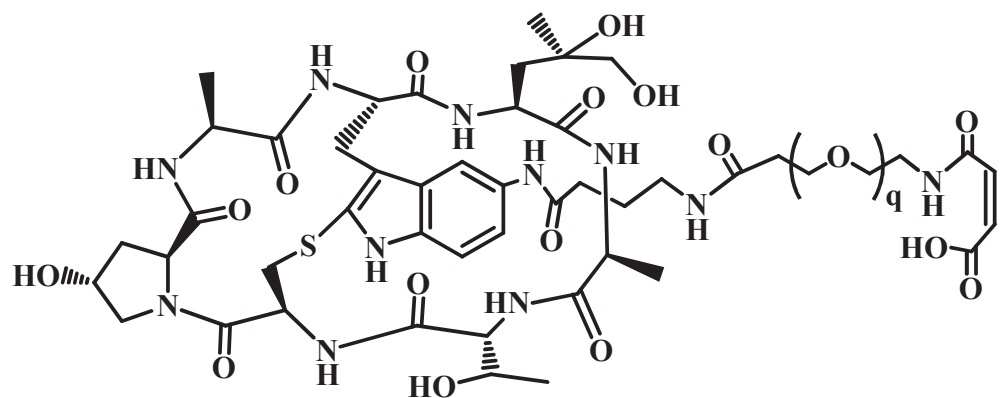
(I-24),



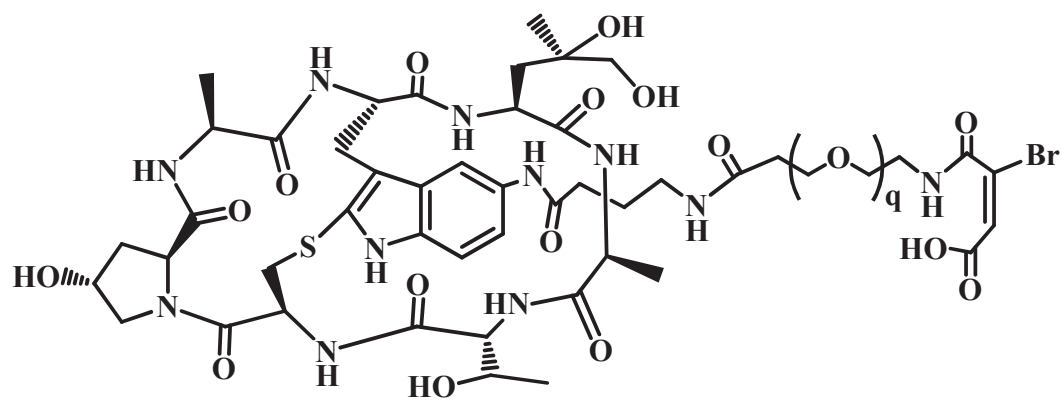
(I-25),



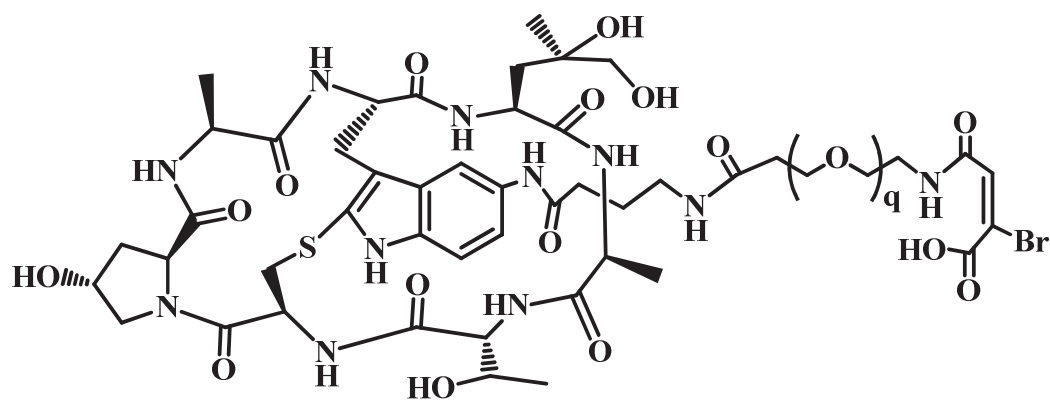
(I-26),



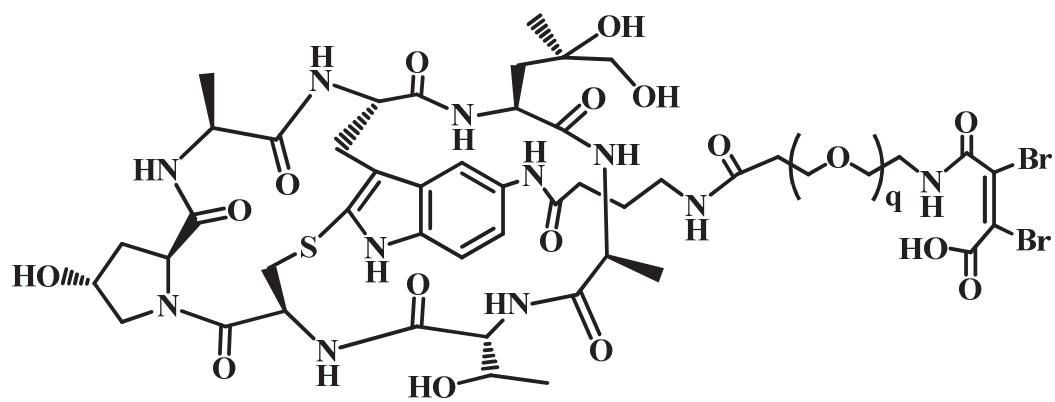
(I-27),



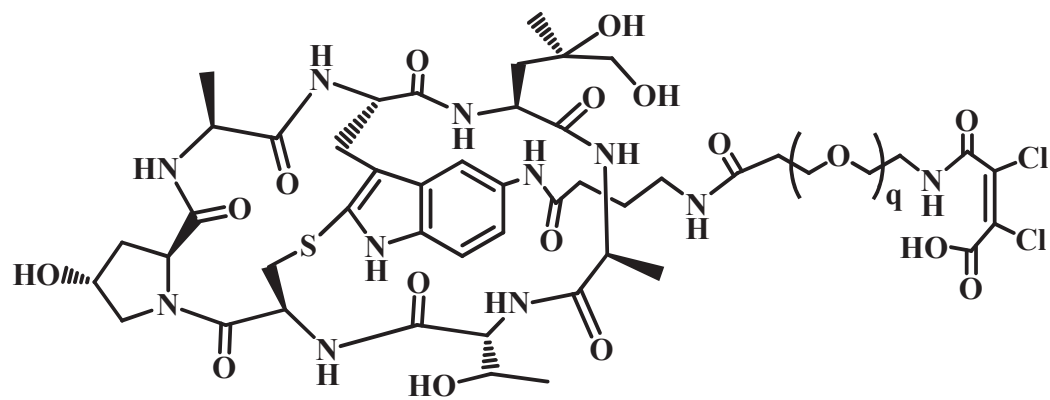
(I-28),



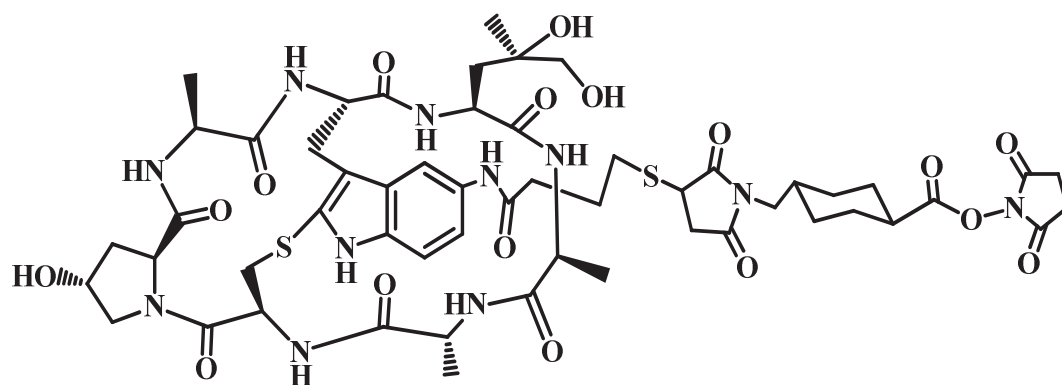
(I-29),



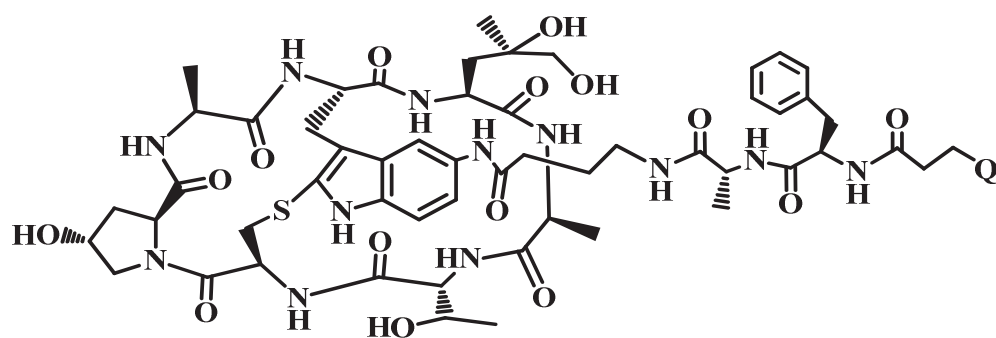
(I-30),



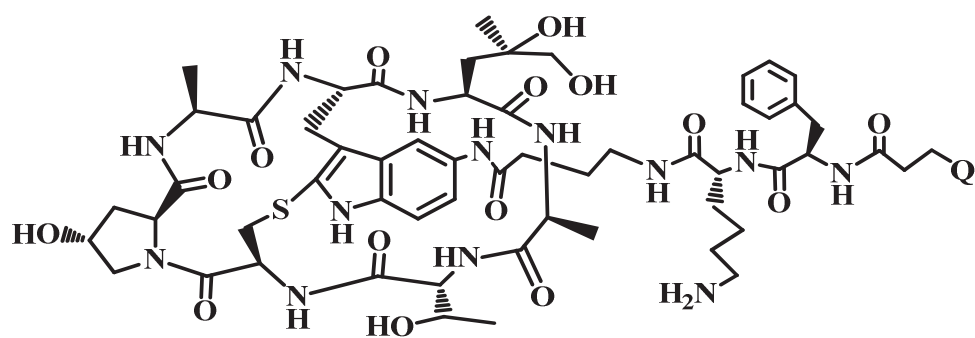
(I-31),



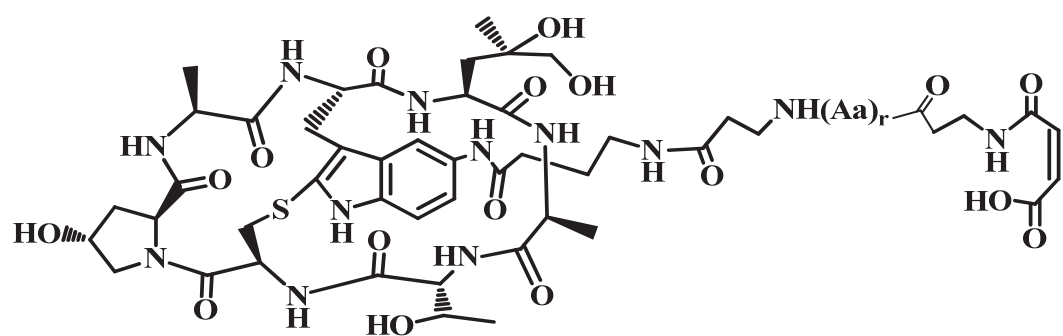
(I-32),



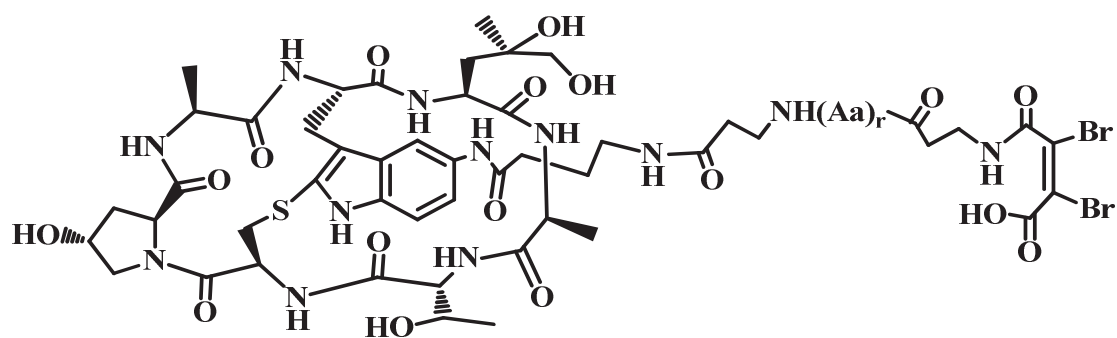
(I-33),



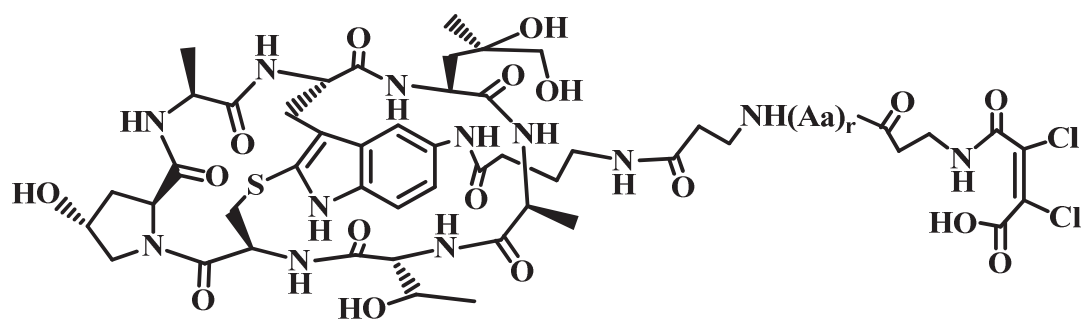
(I-34),



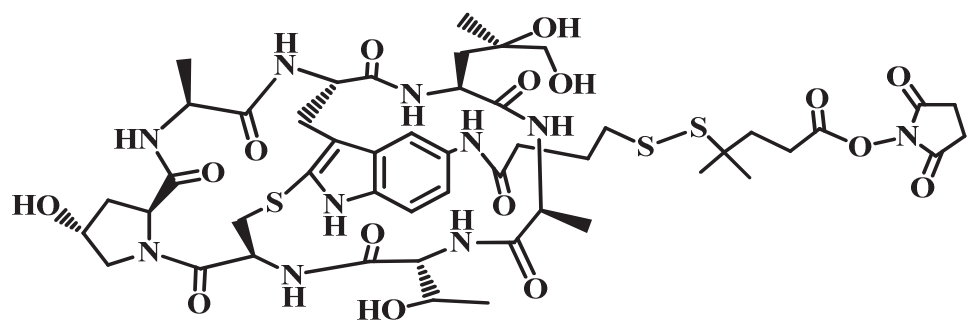
(I-35),



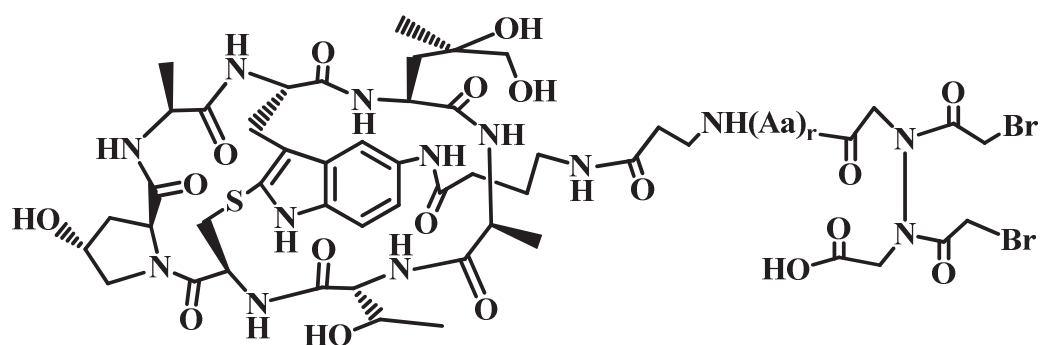
(I-36),



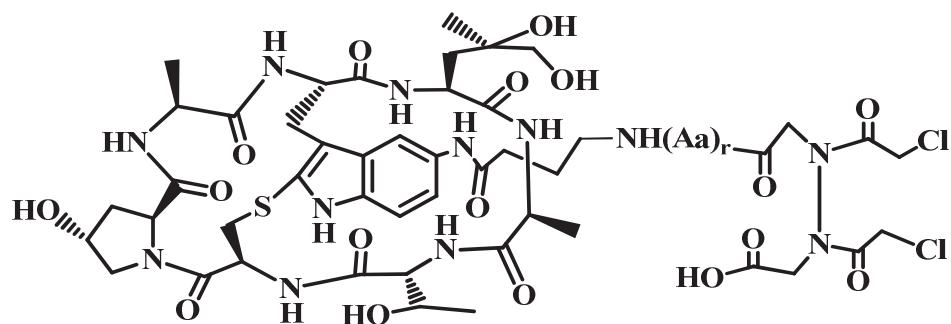
(I-37),



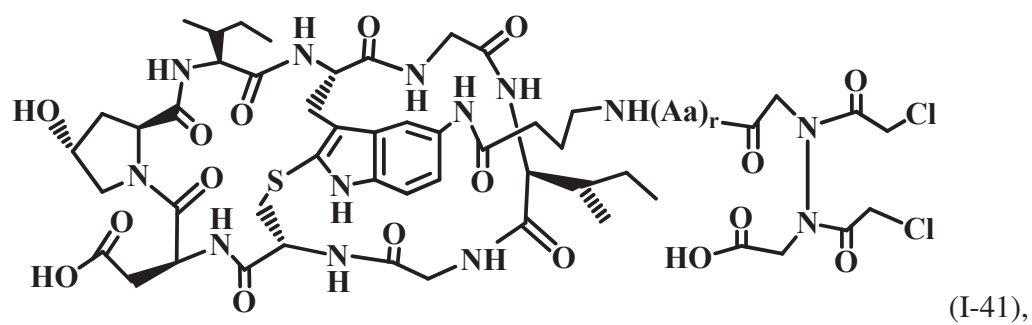
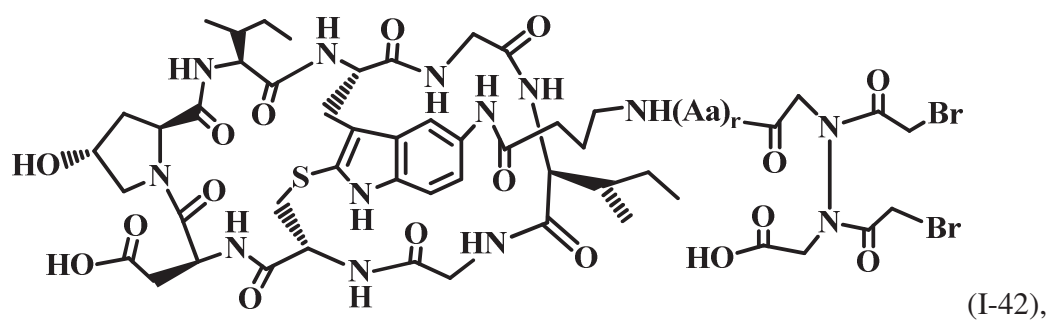
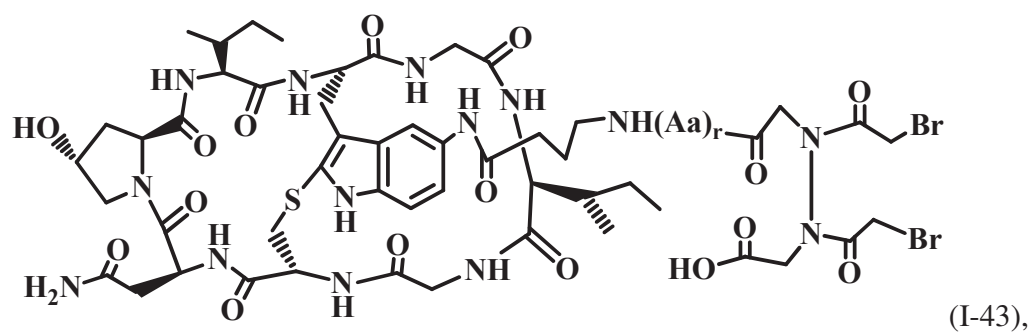
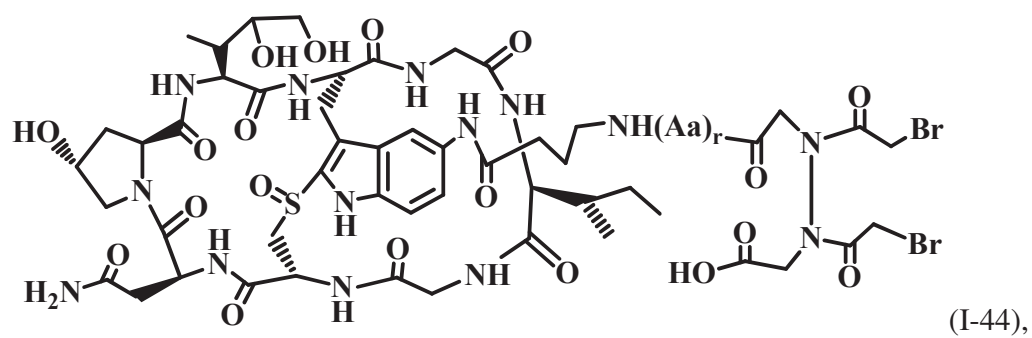
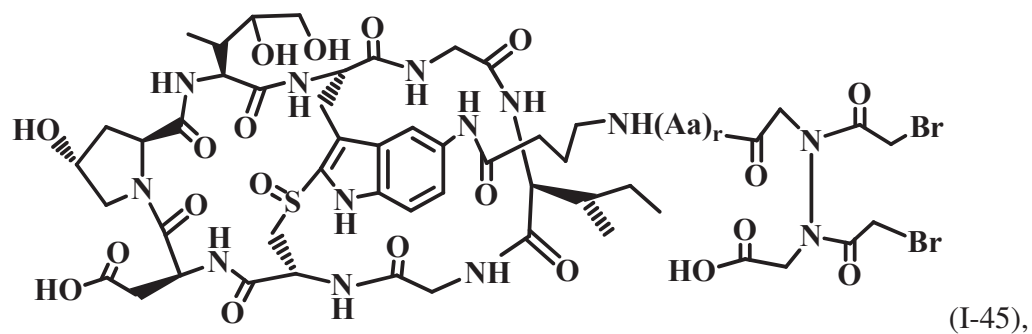
(I-38),

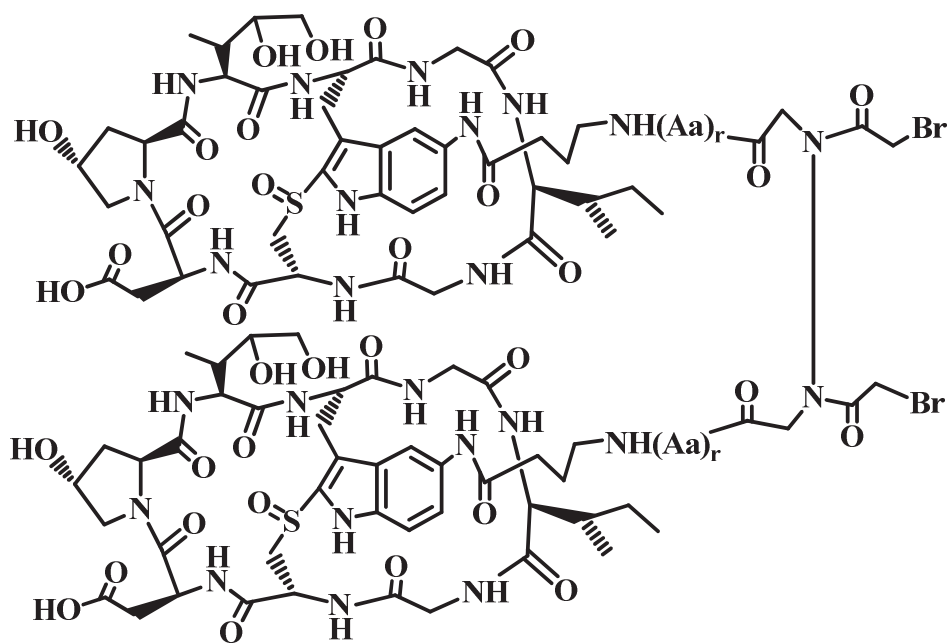


(I-39),

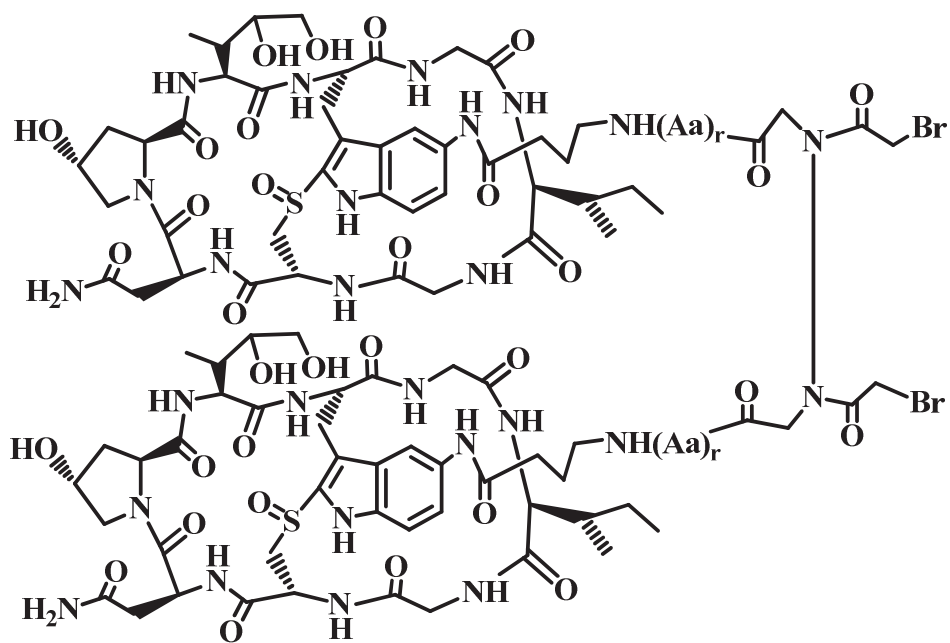


(I-40),

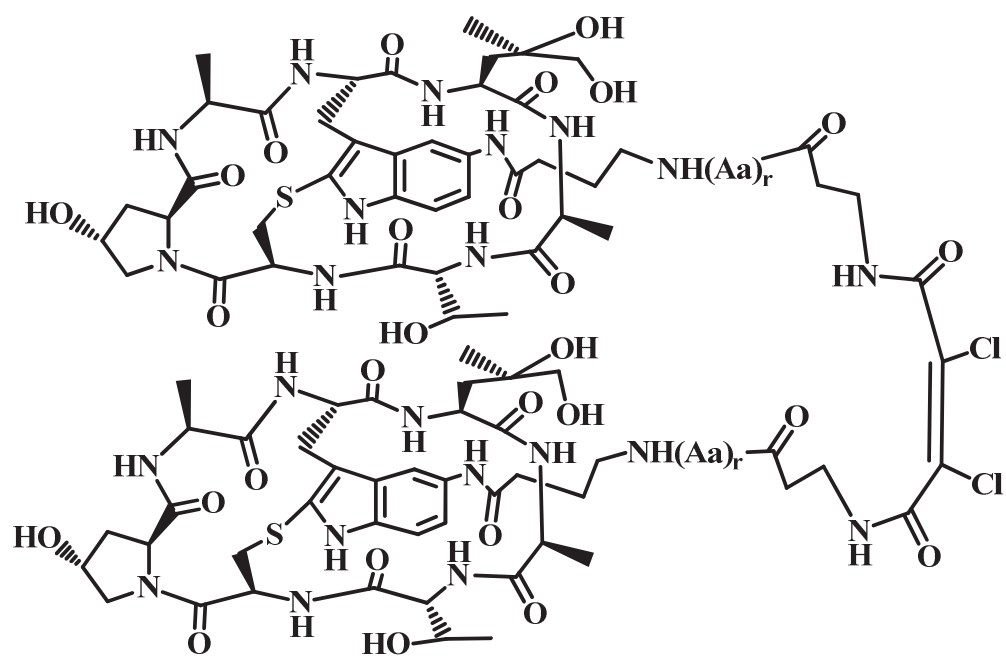




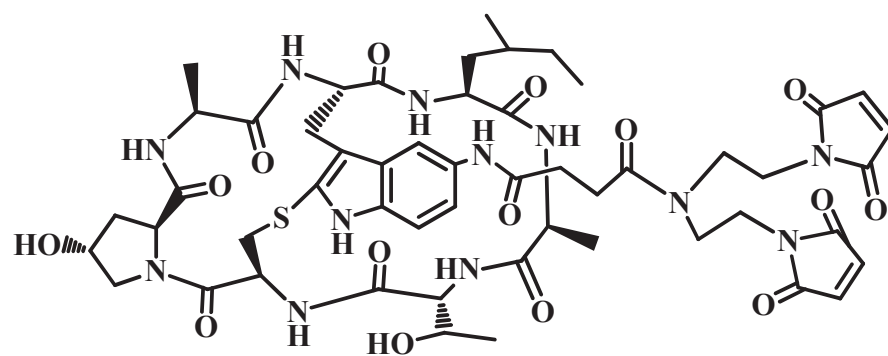
(I-46),



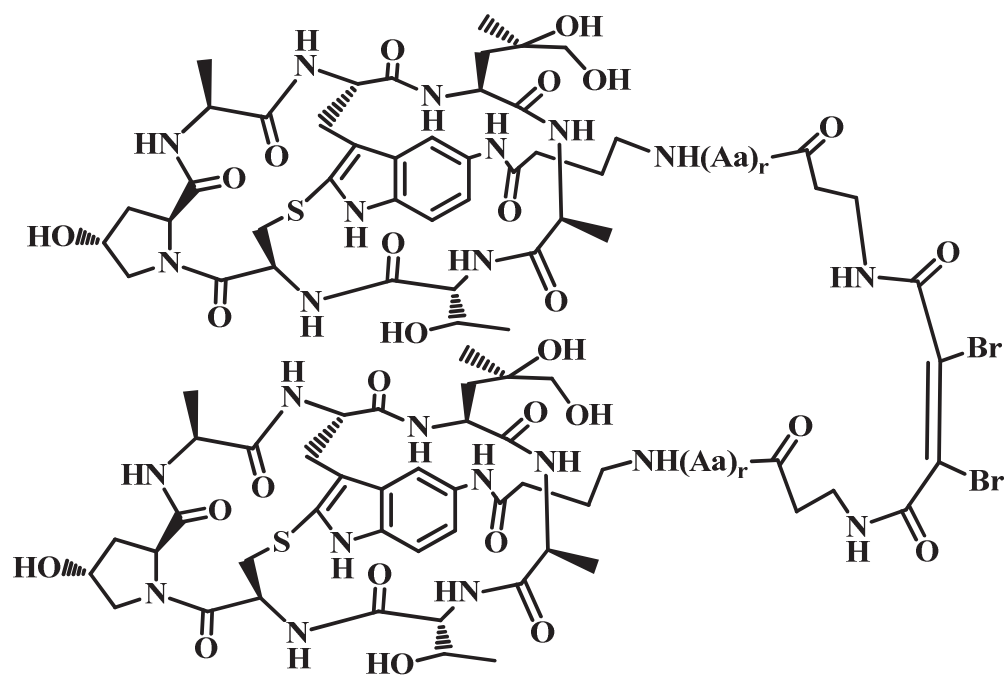
(I-47),



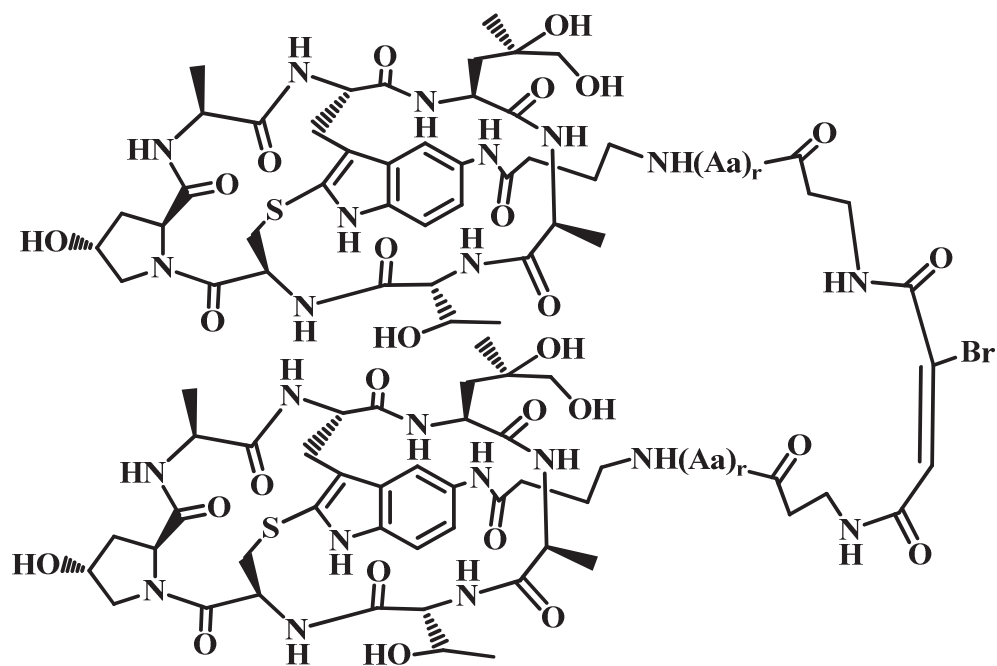
(I-48),



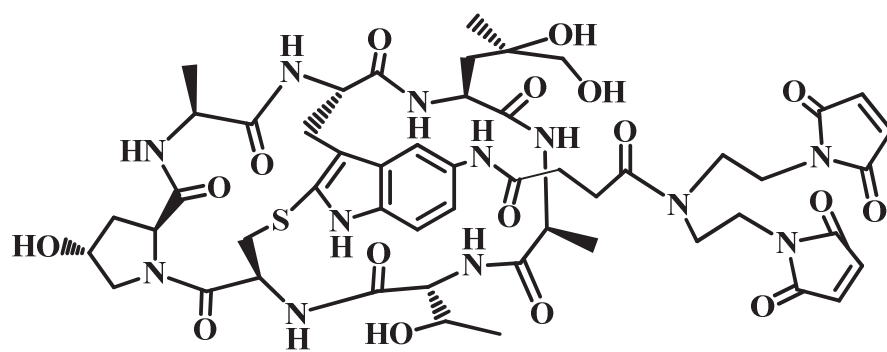
(I-49),



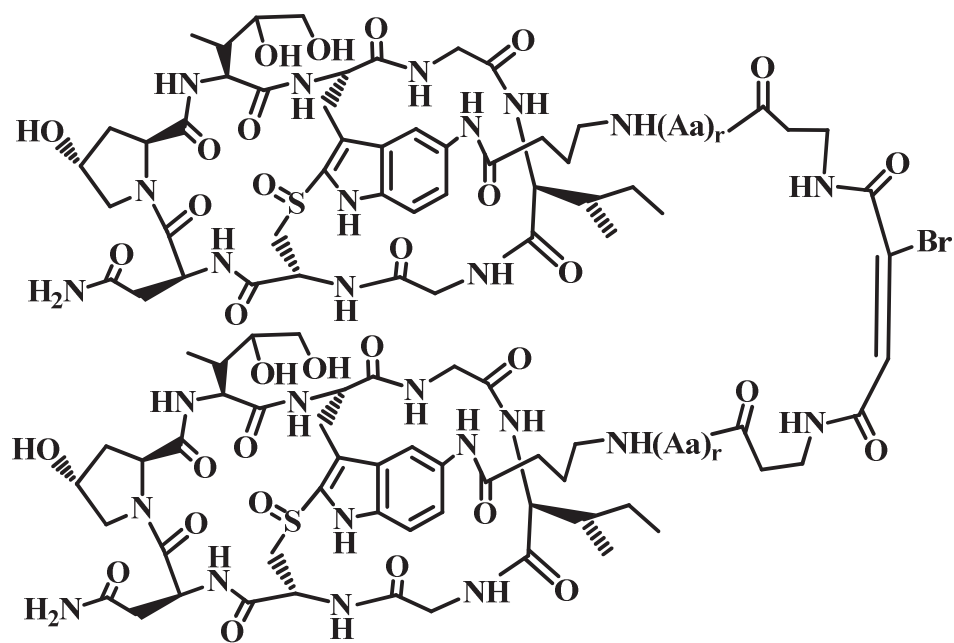
(I-50),



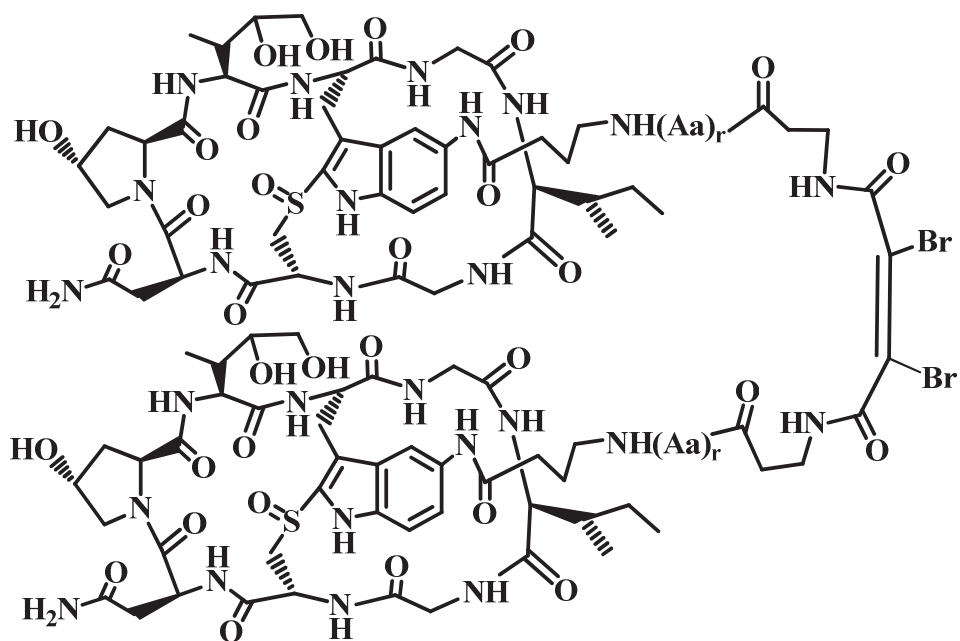
(I-51),



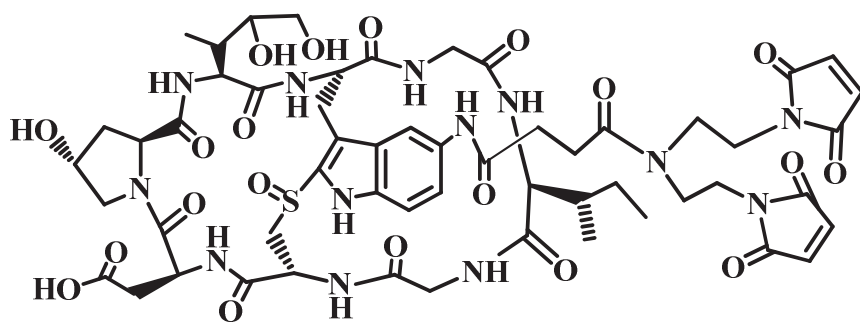
(I-52),



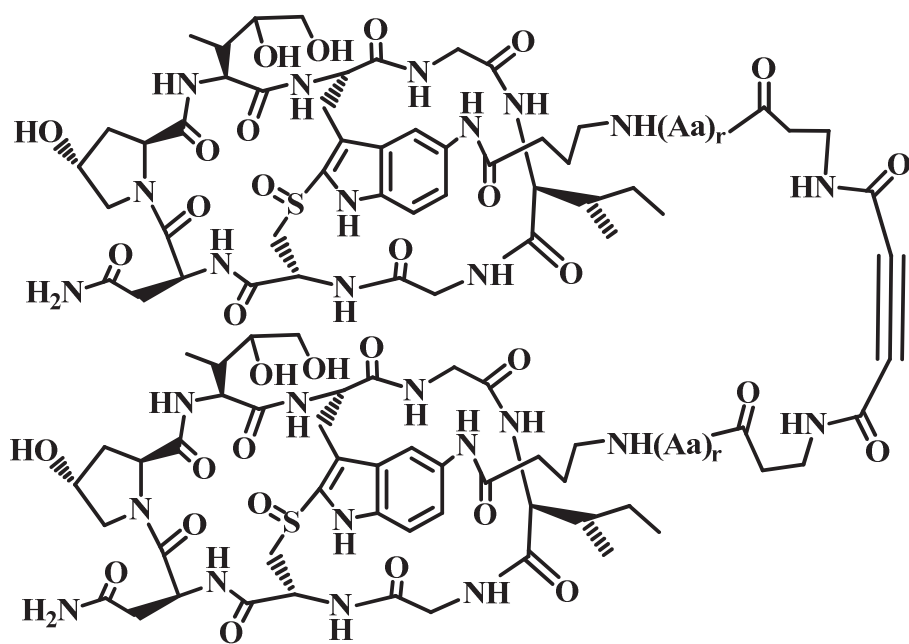
(I-53),



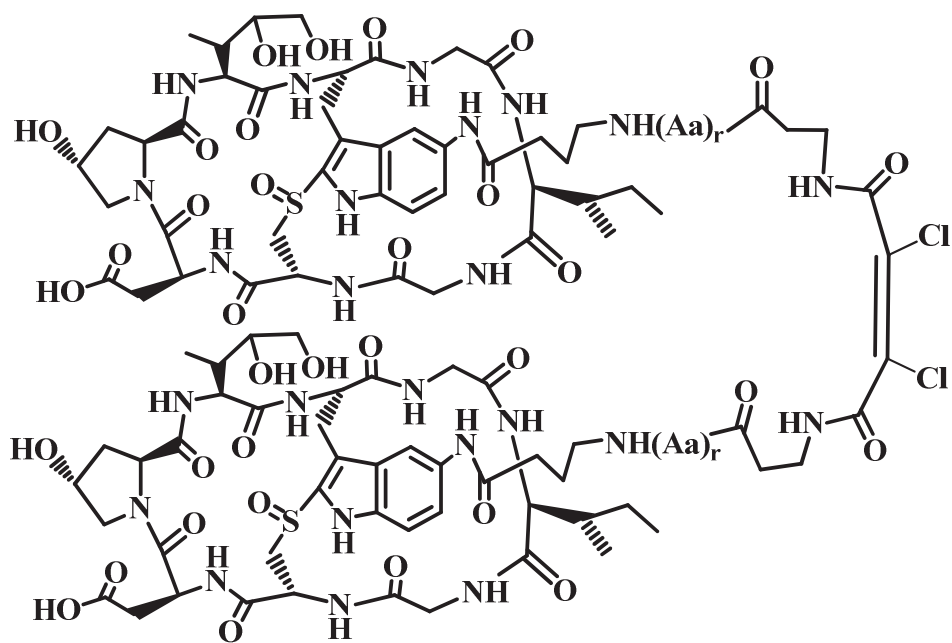
(I-54),



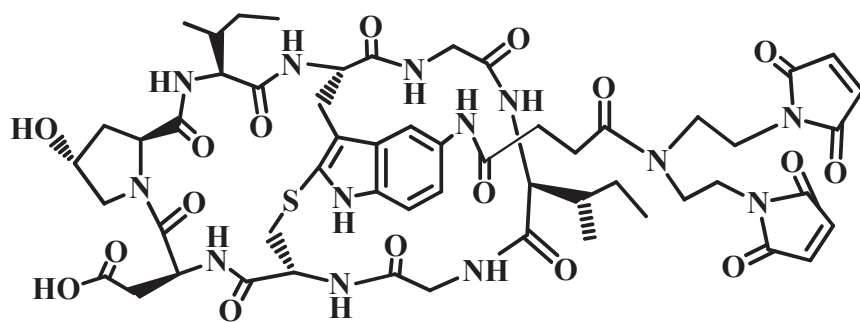
(I-55),



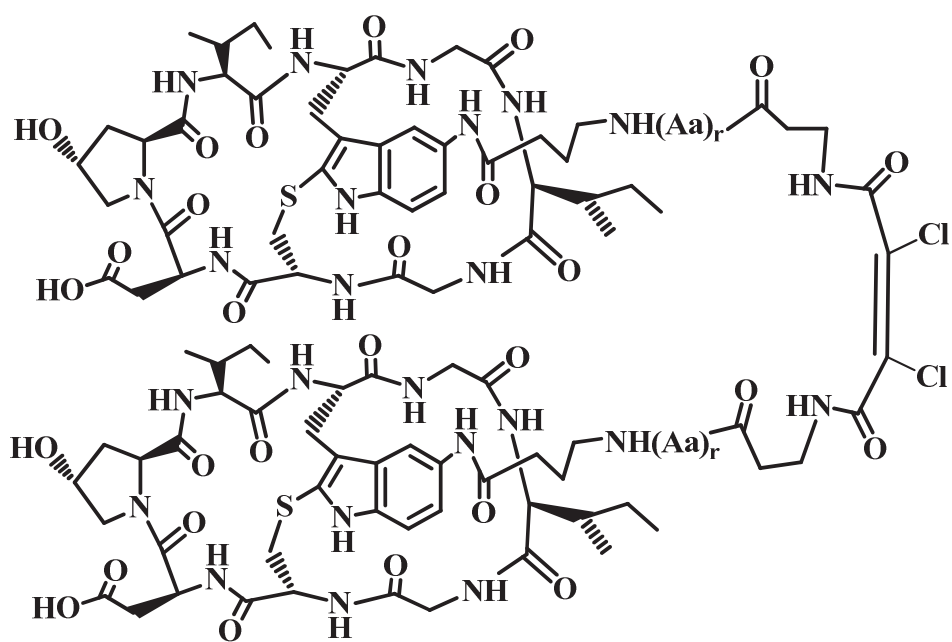
(I-56),



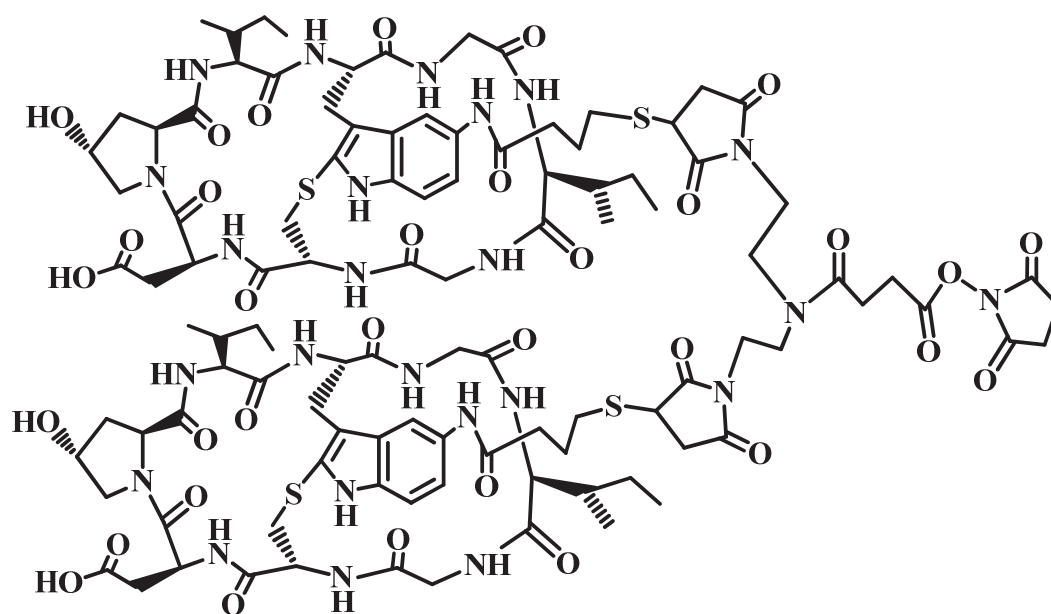
(I-57),



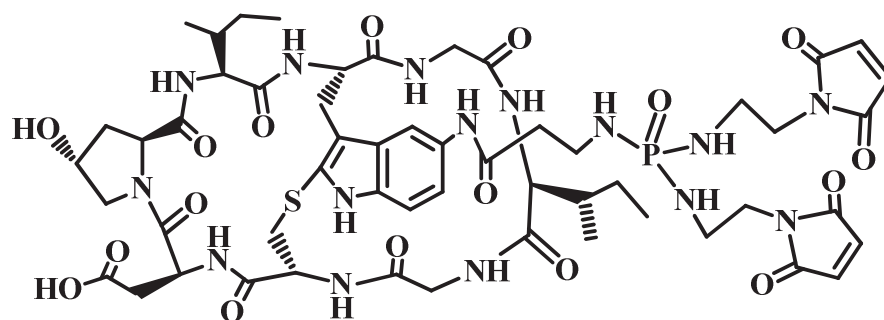
(I-58),



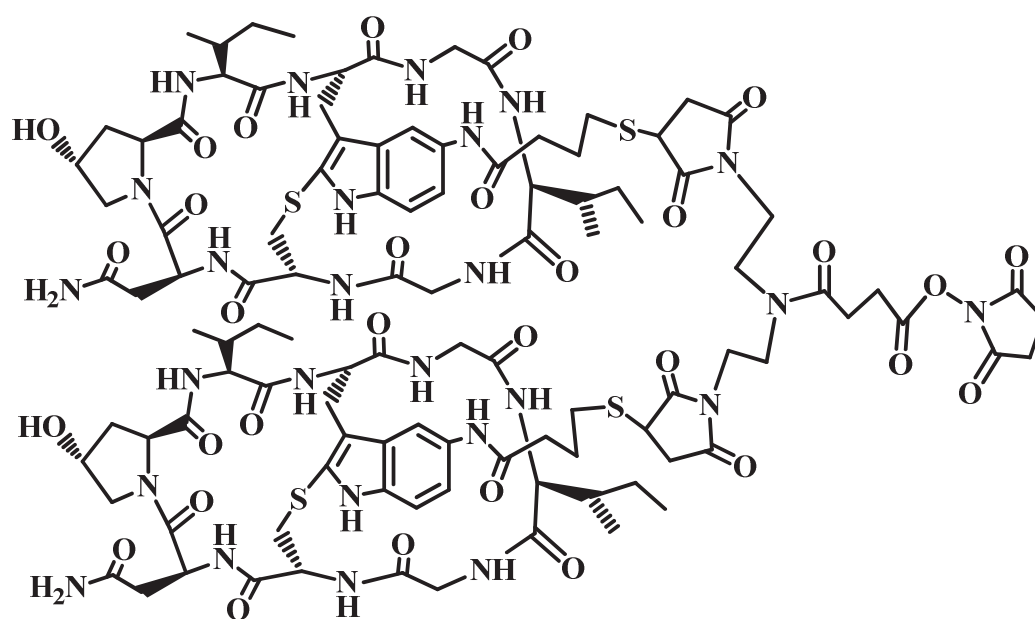
(I-59),



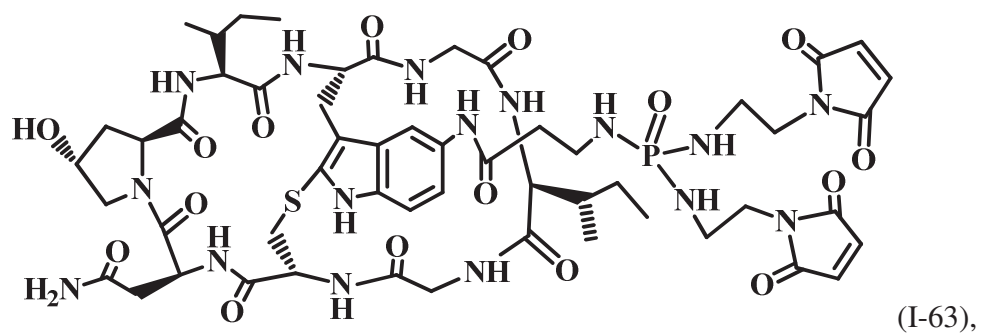
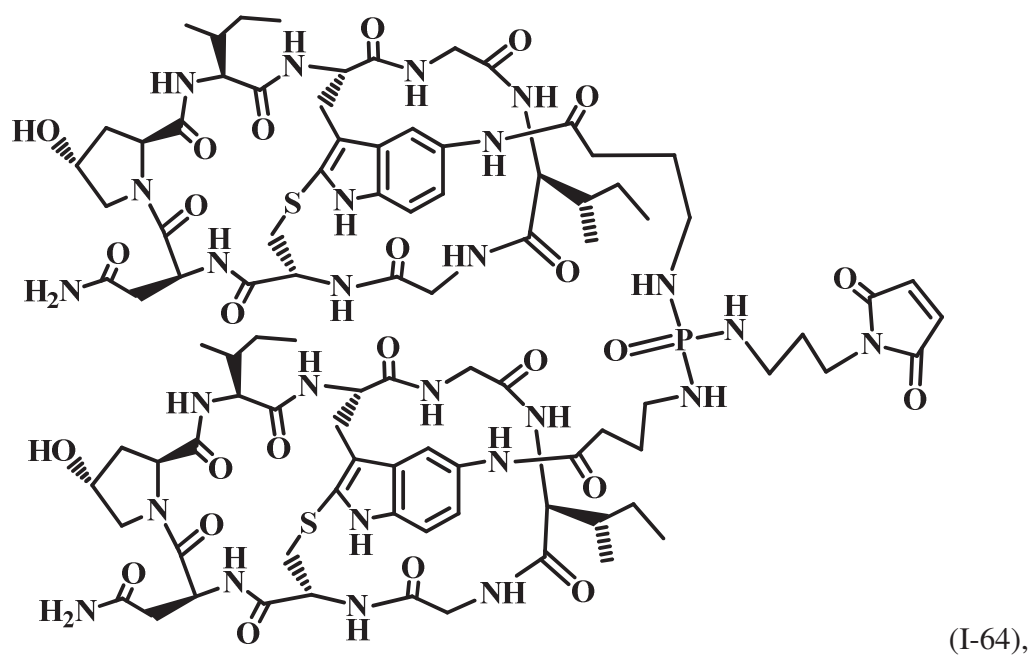
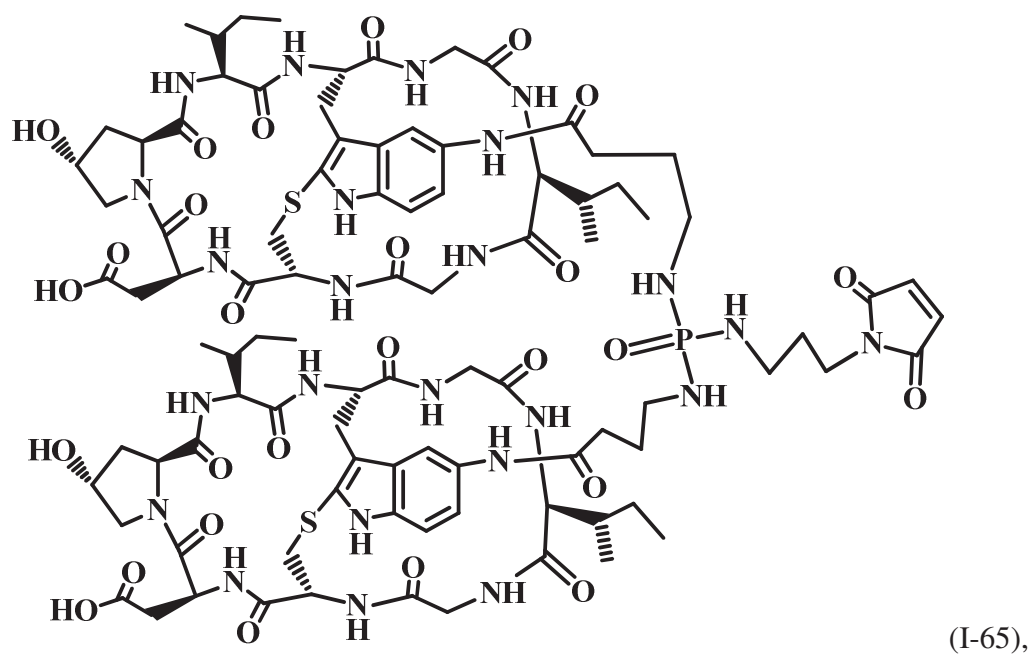
(I-60),

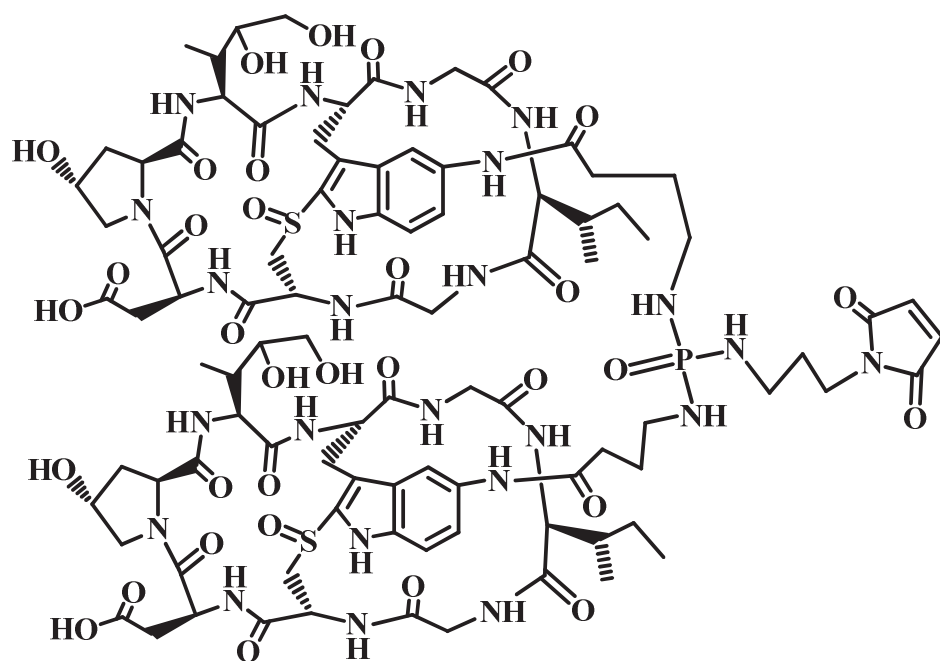


(I-61),

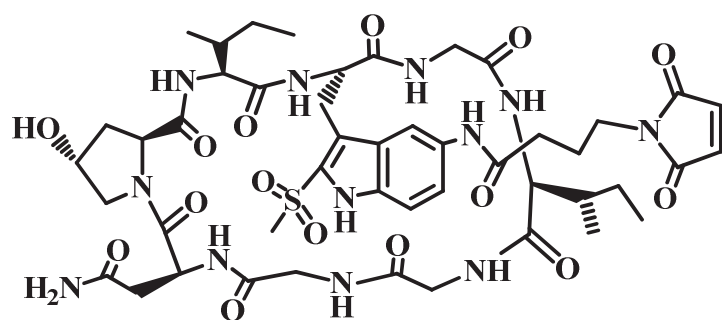


(I-62),

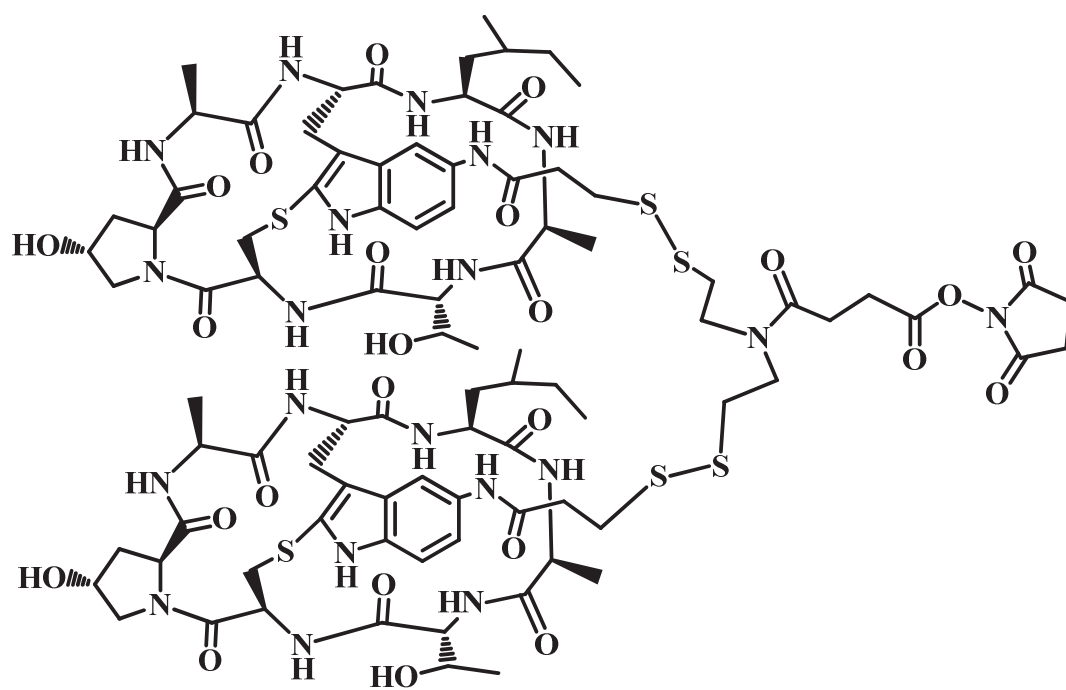




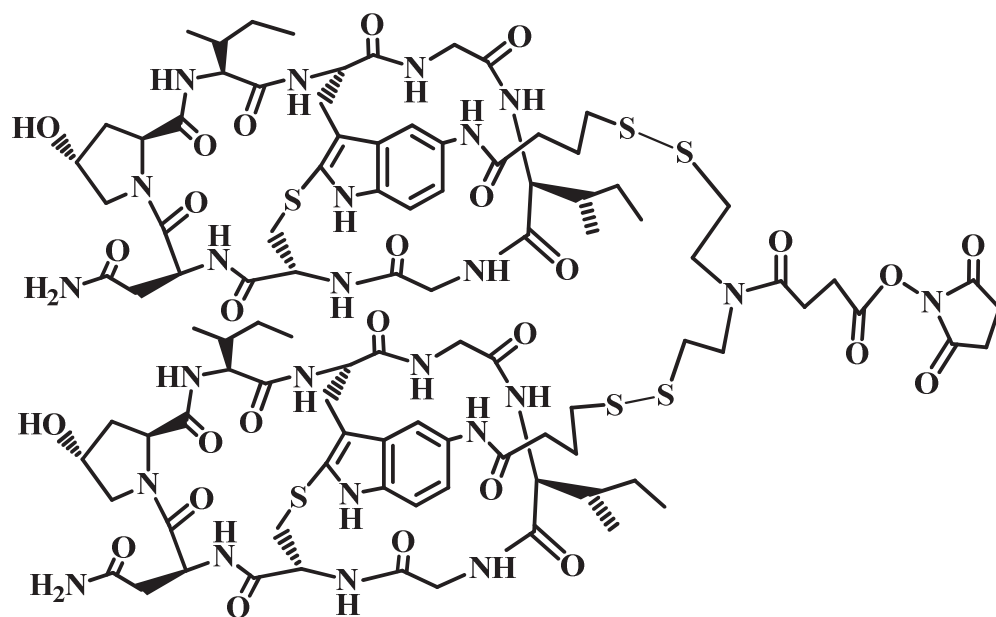
(I-66),



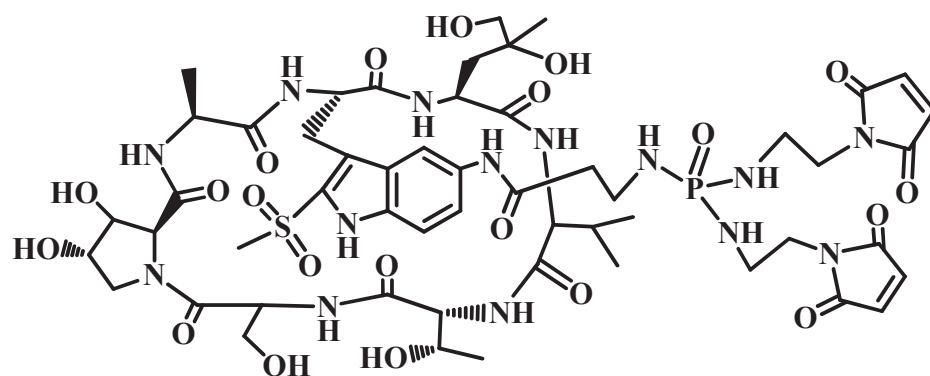
(I-67),



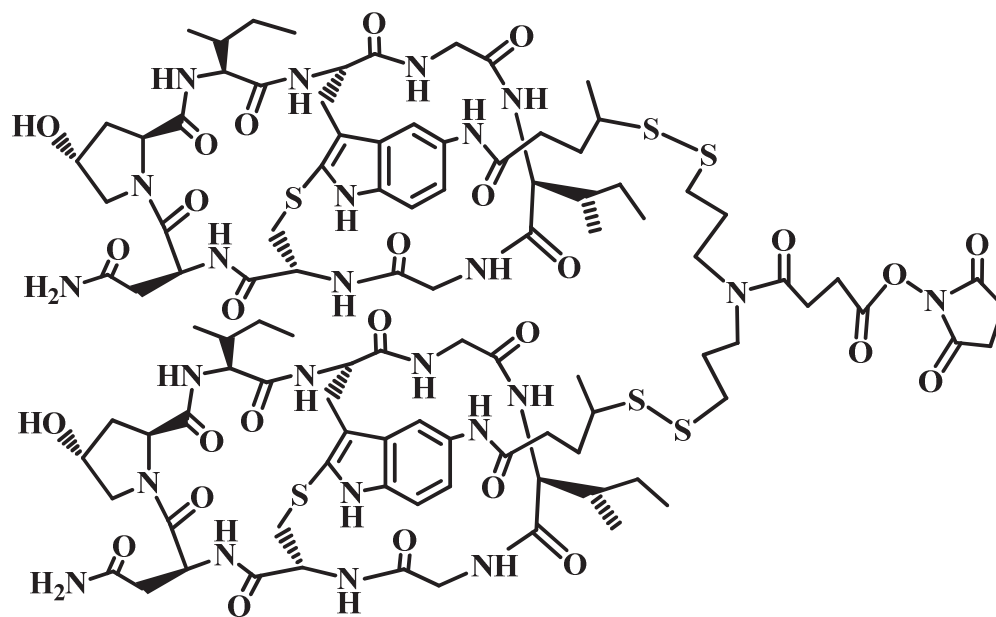
(I-68),



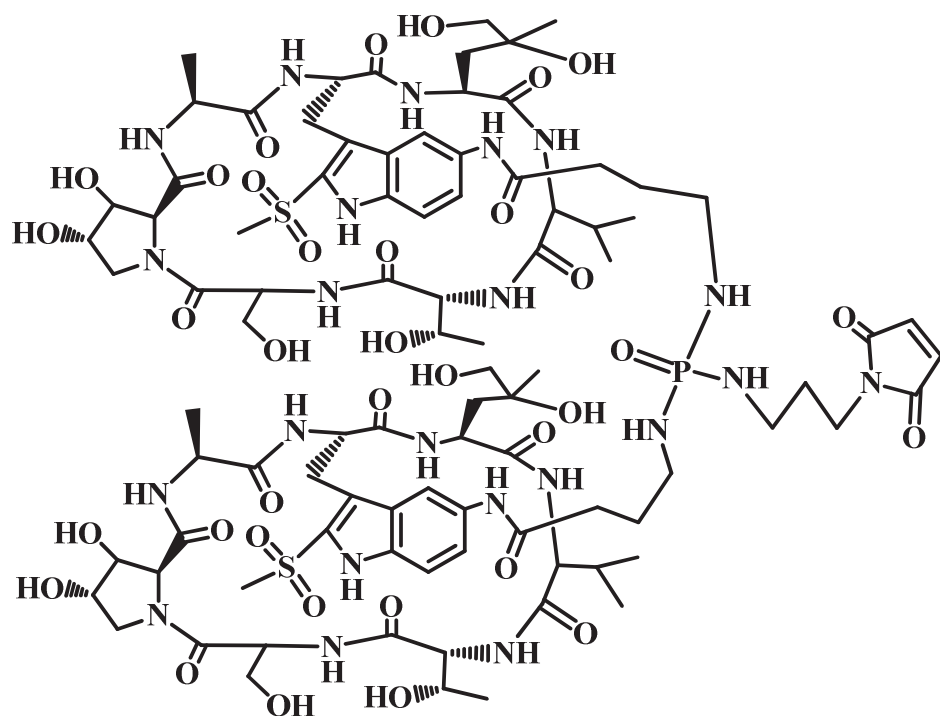
(I-69),



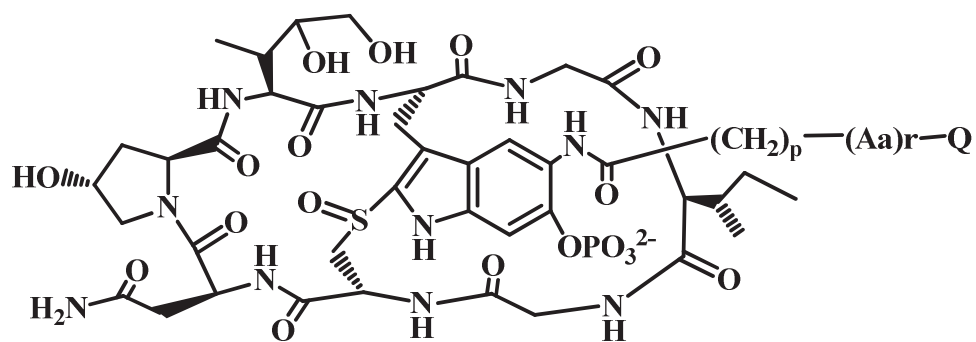
(I-70),



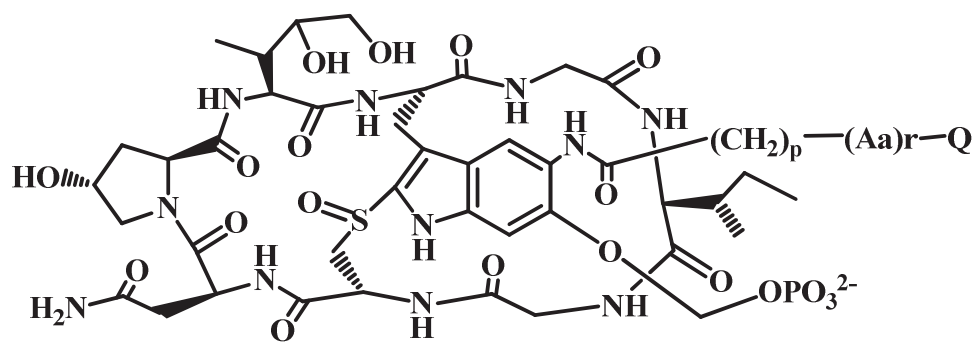
(I-71),



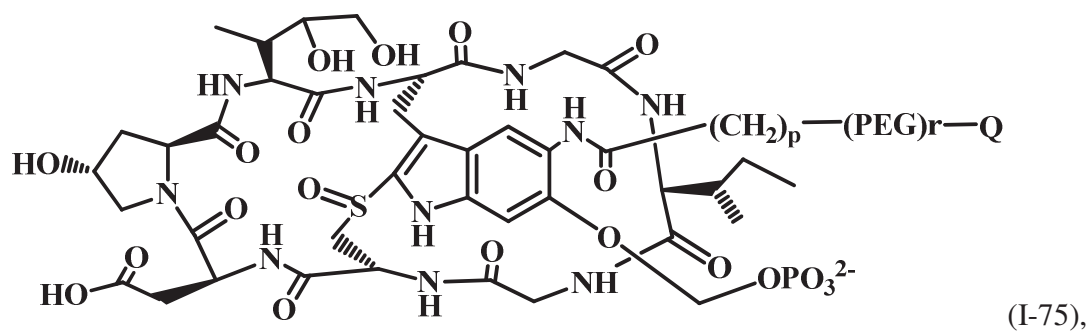
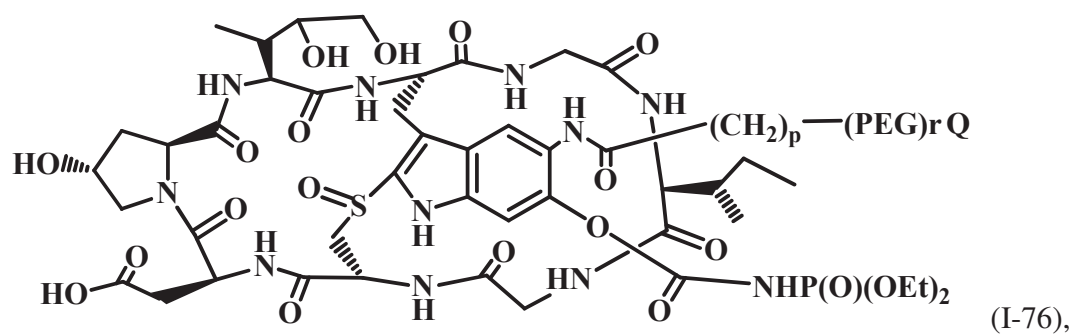
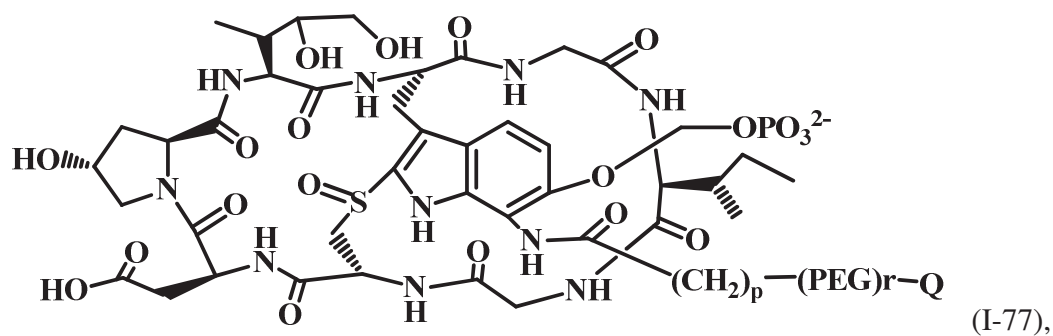
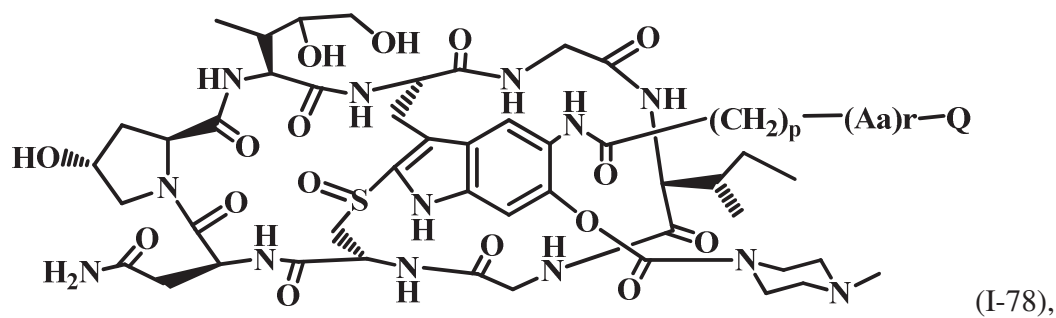
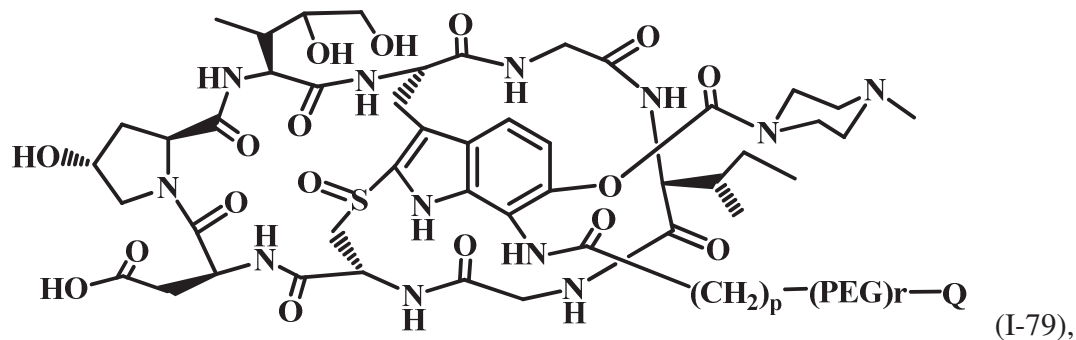
(I-72),

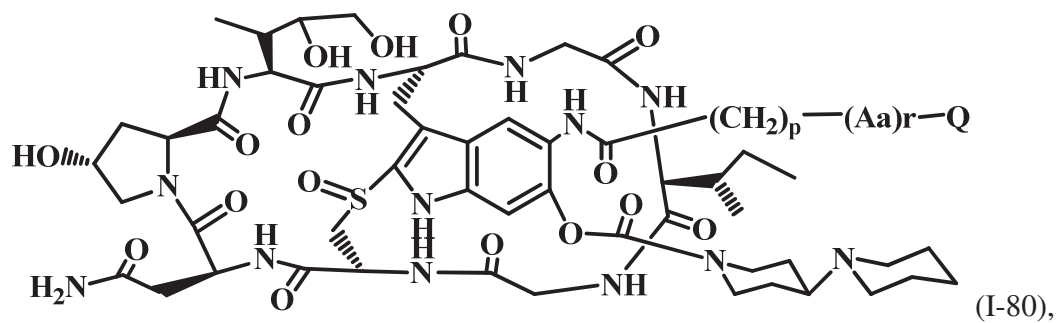
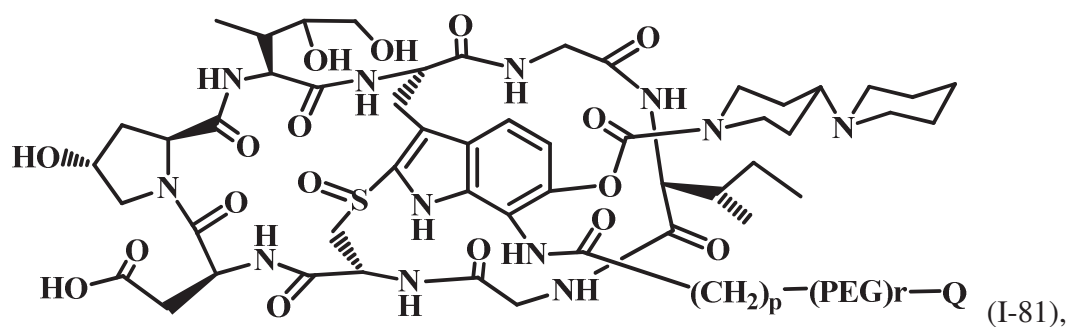
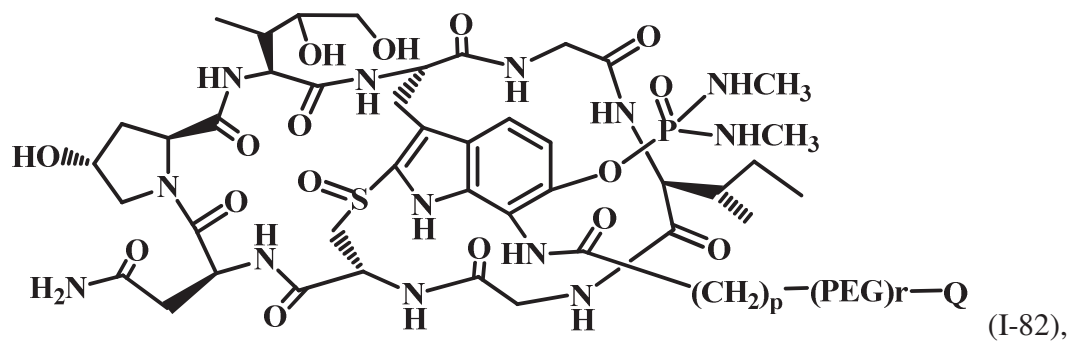
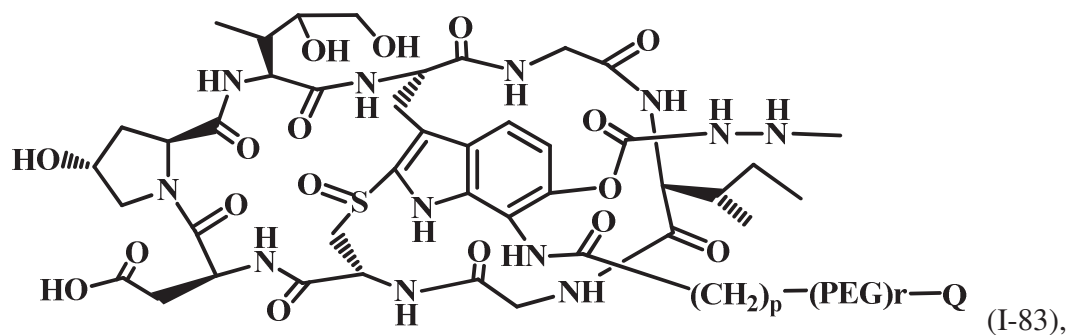
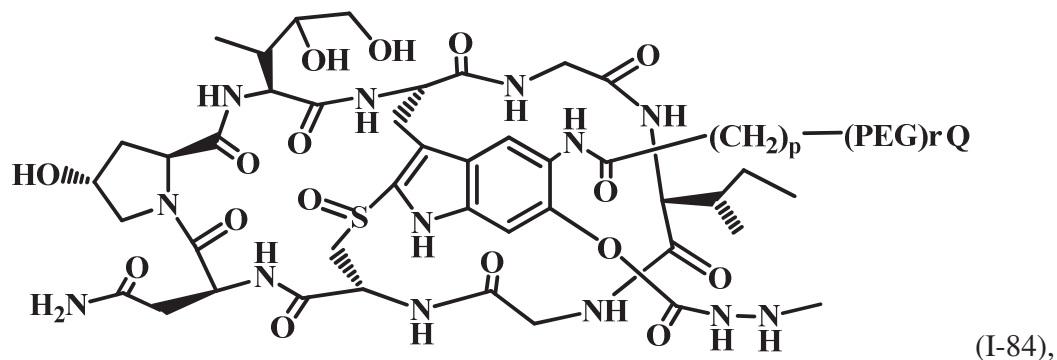


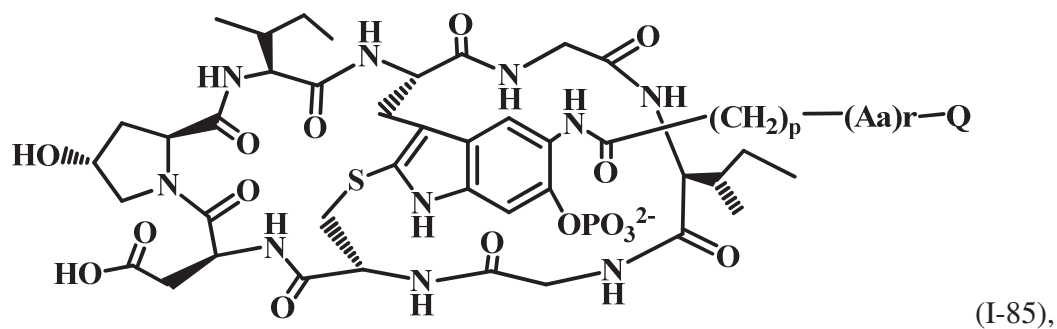
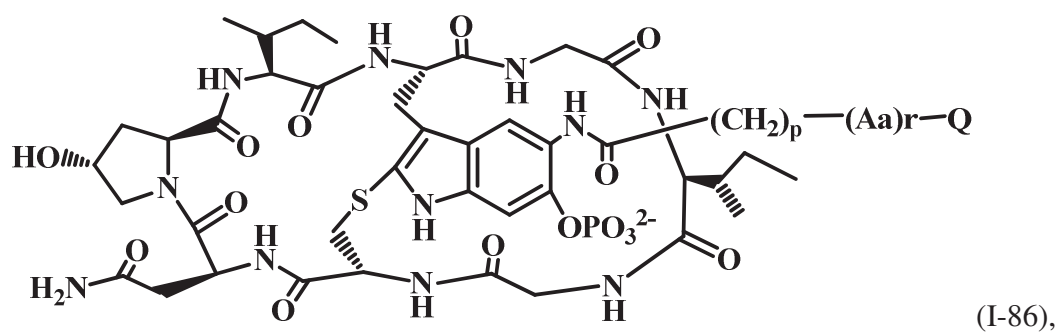
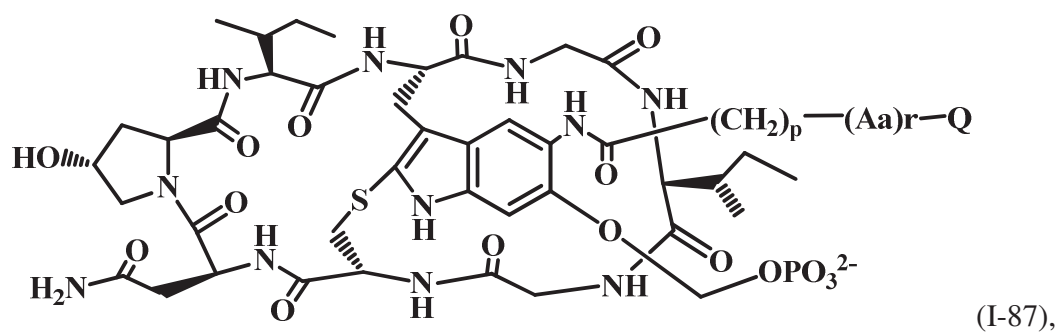
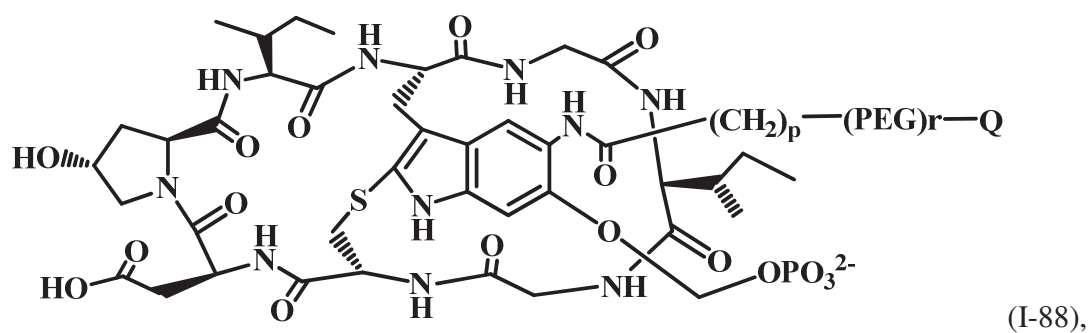
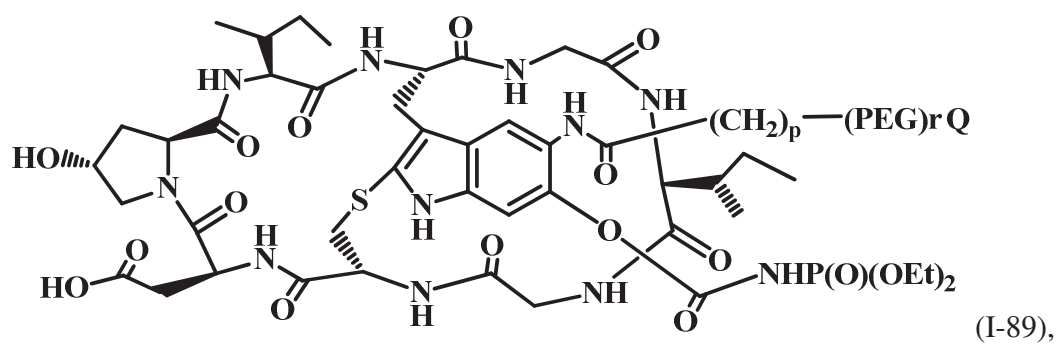
(I-73),

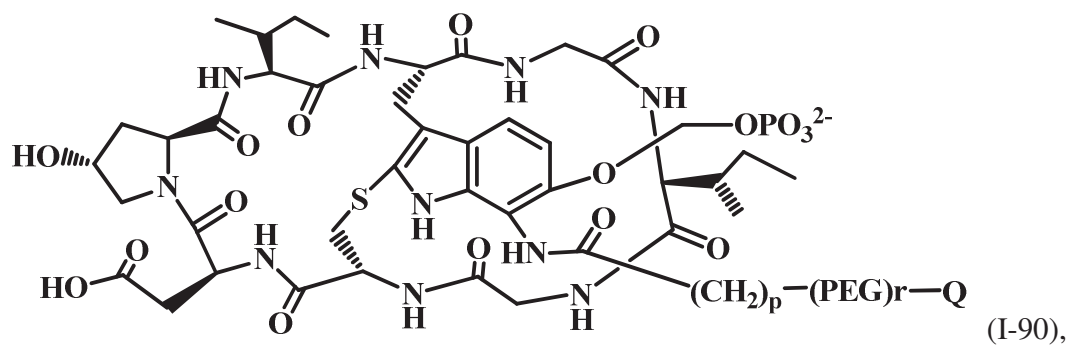
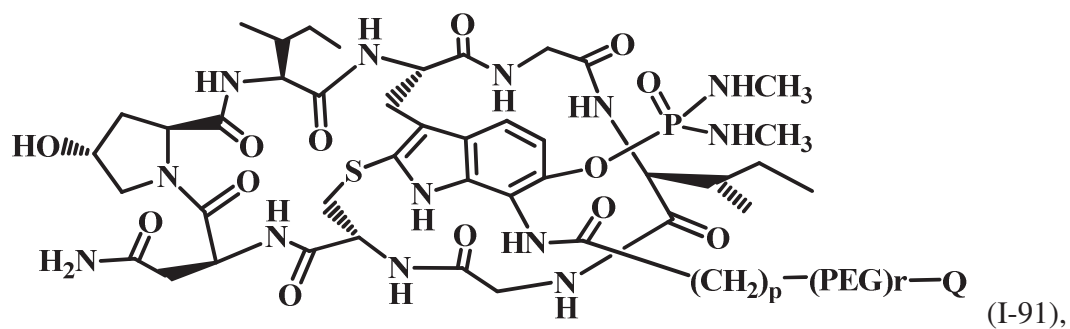
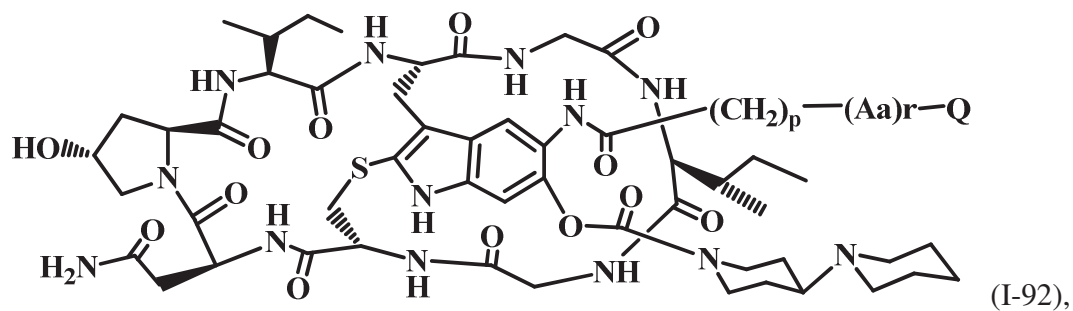
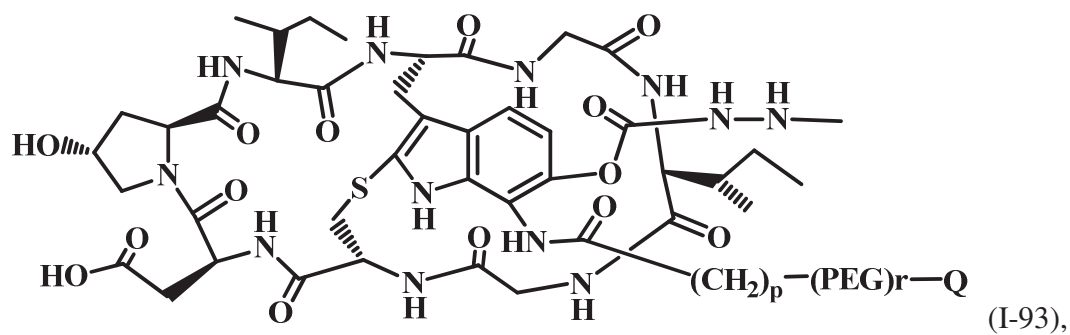
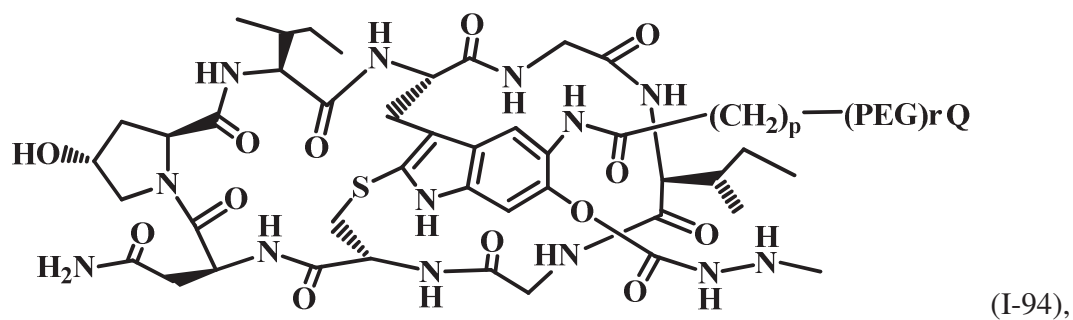


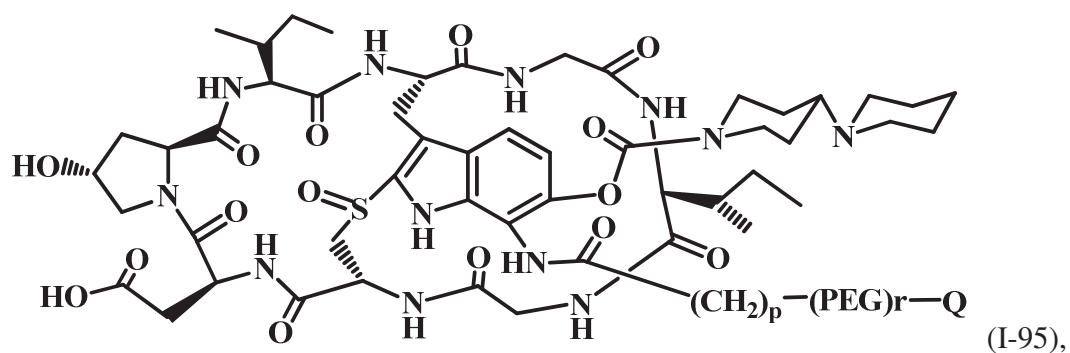
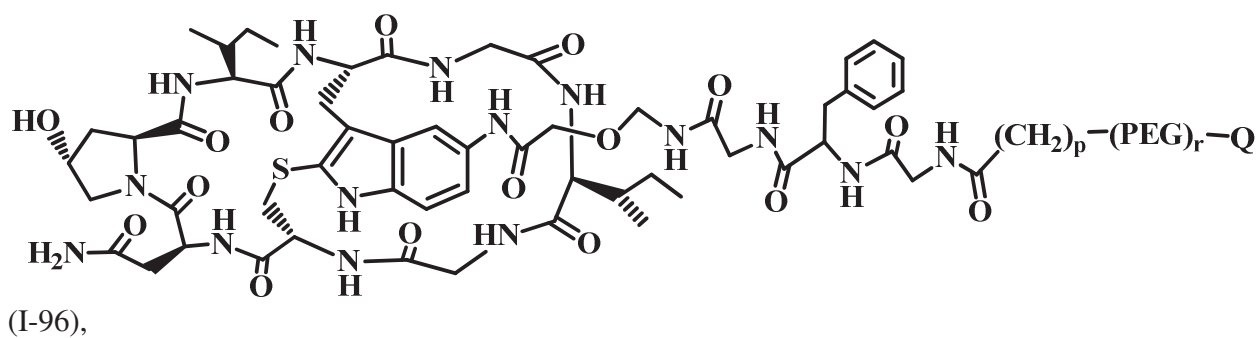
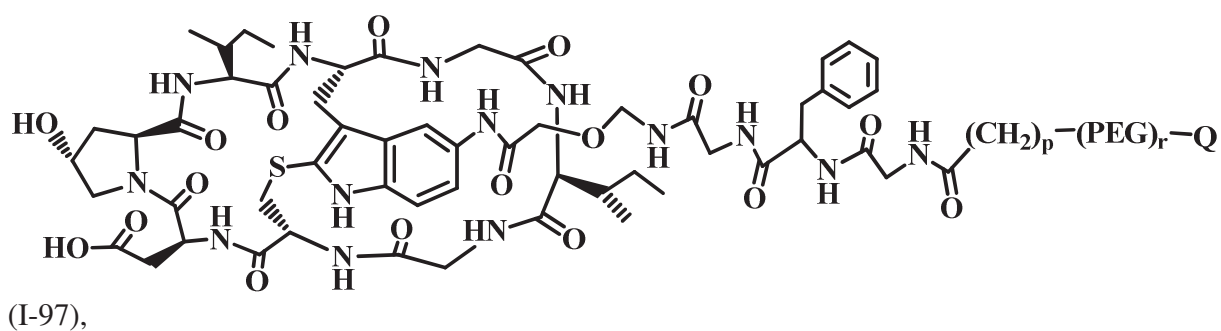
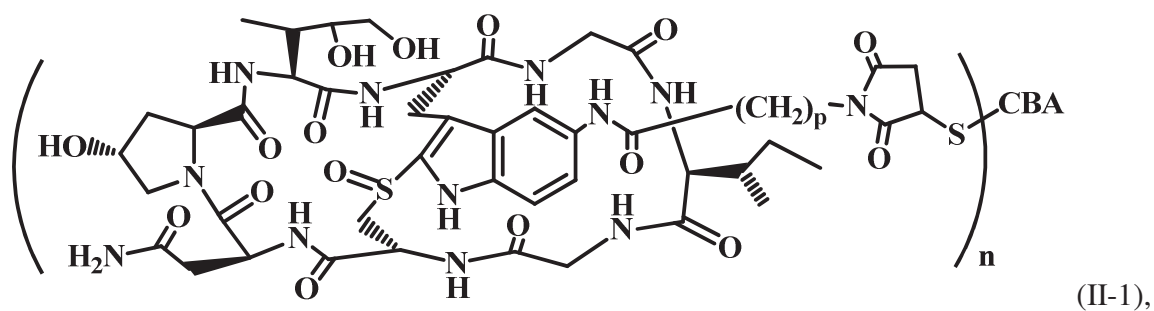
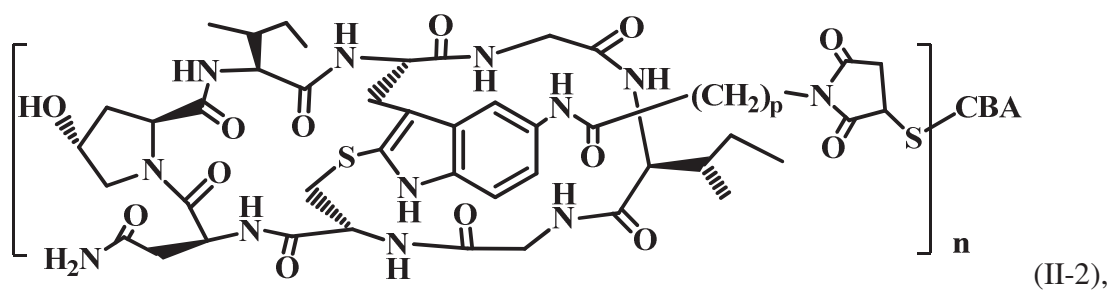
(I-74),



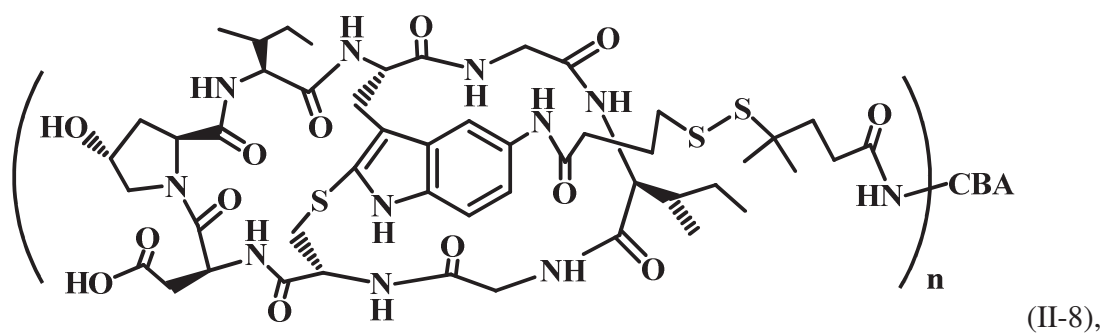
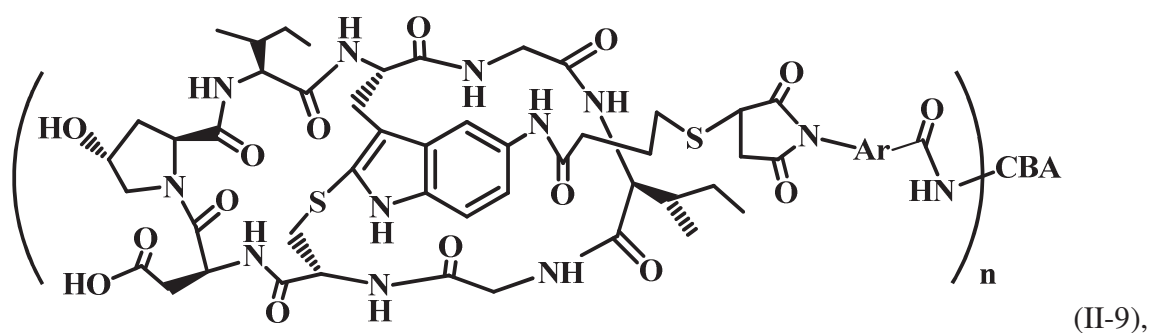
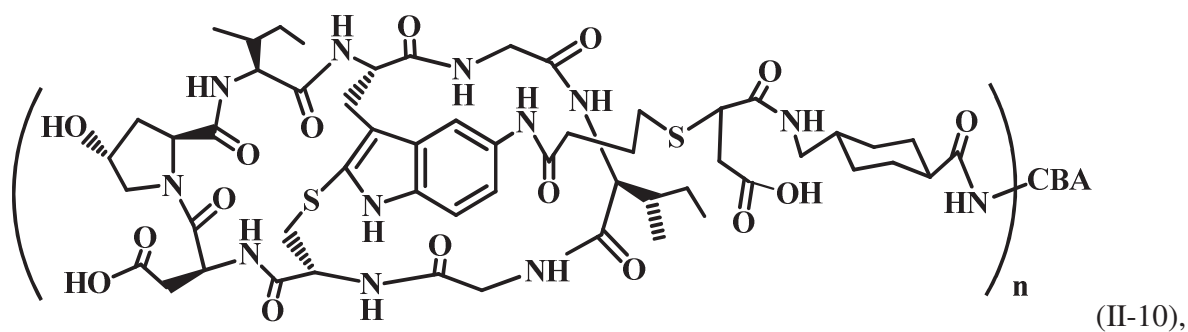
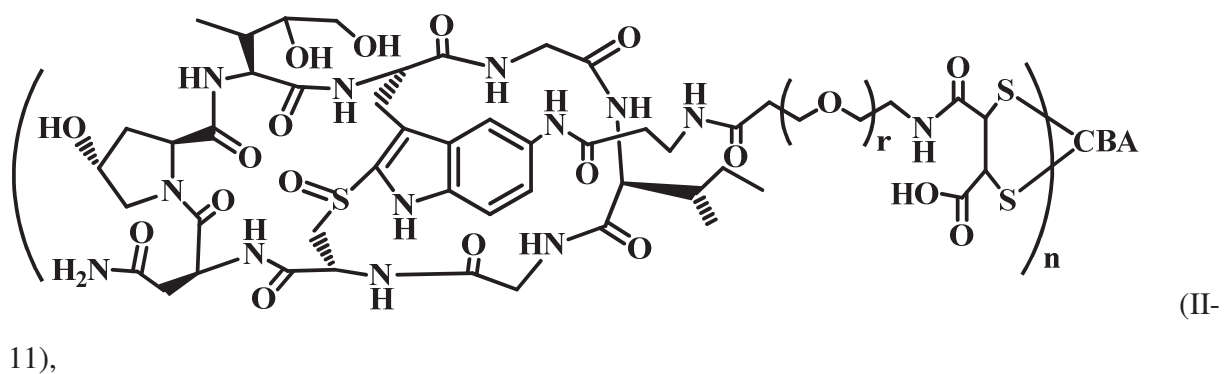
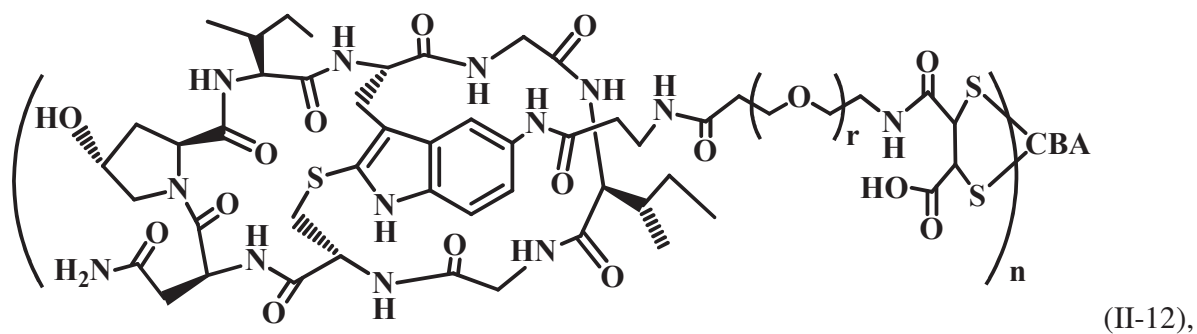


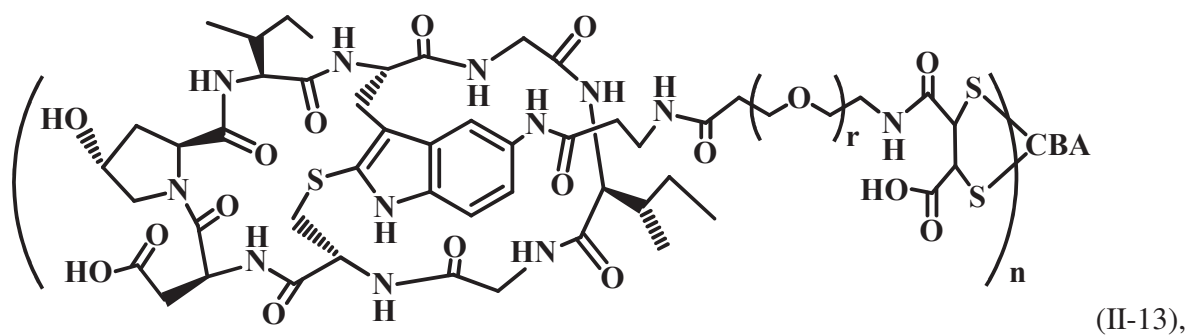
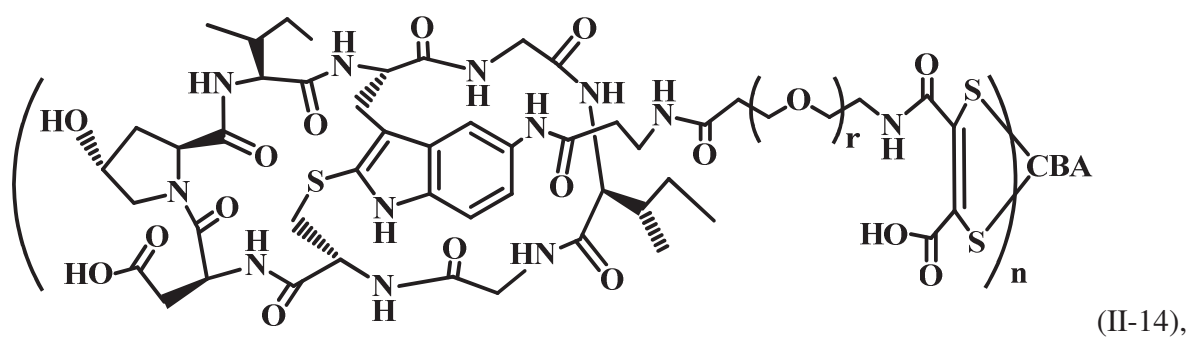
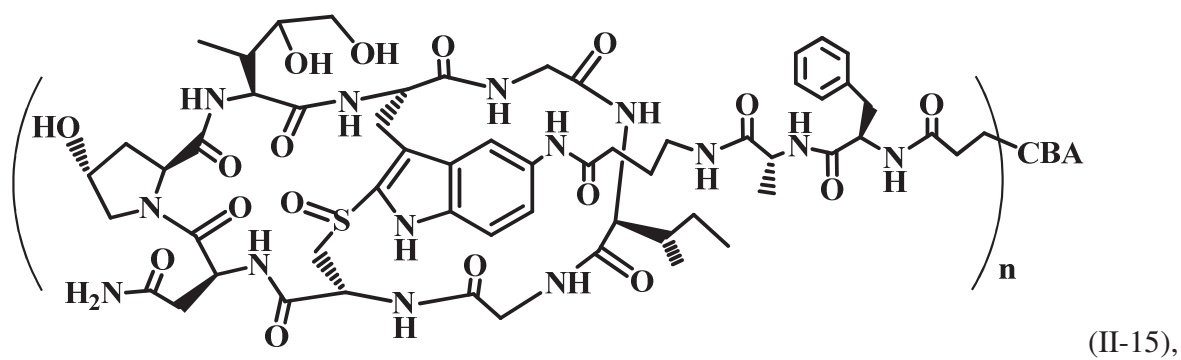


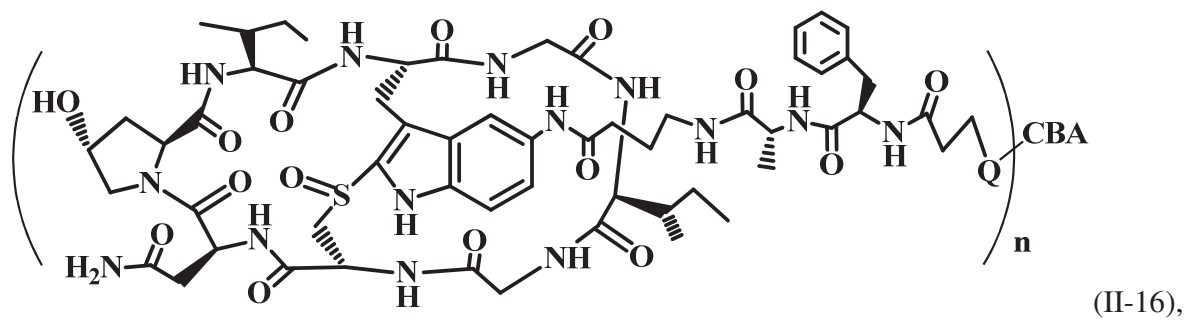
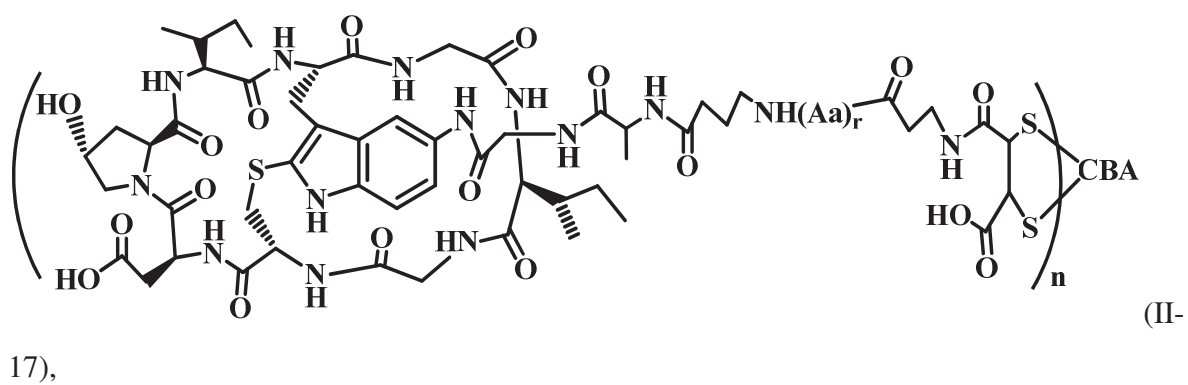
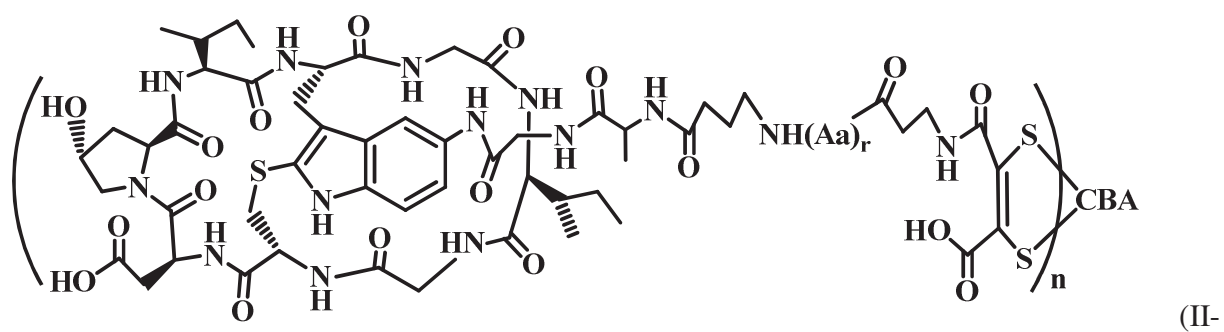




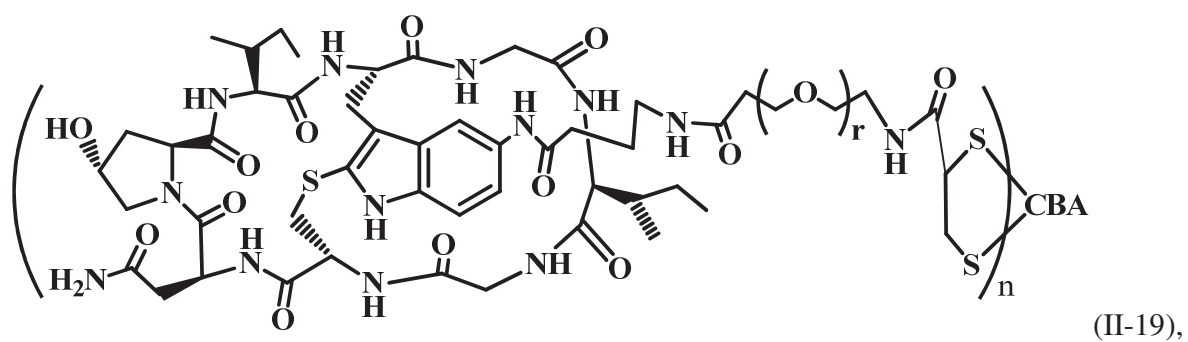


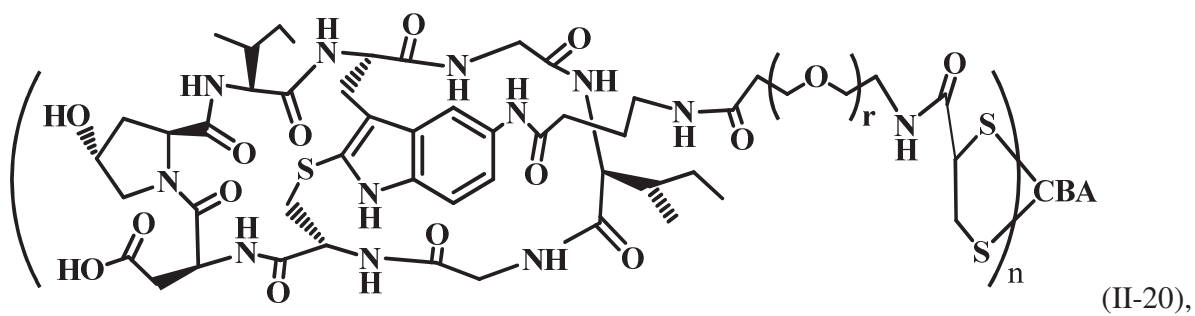
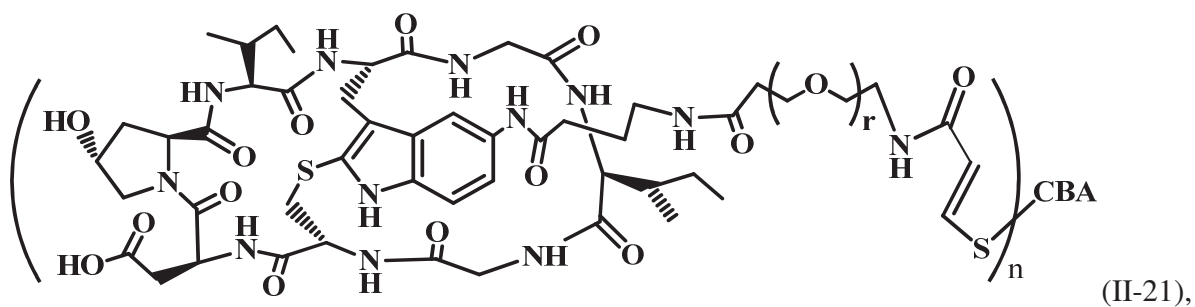
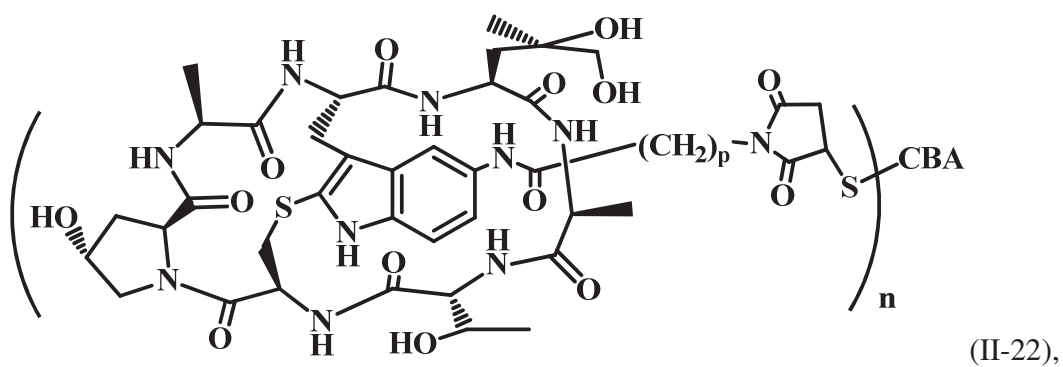
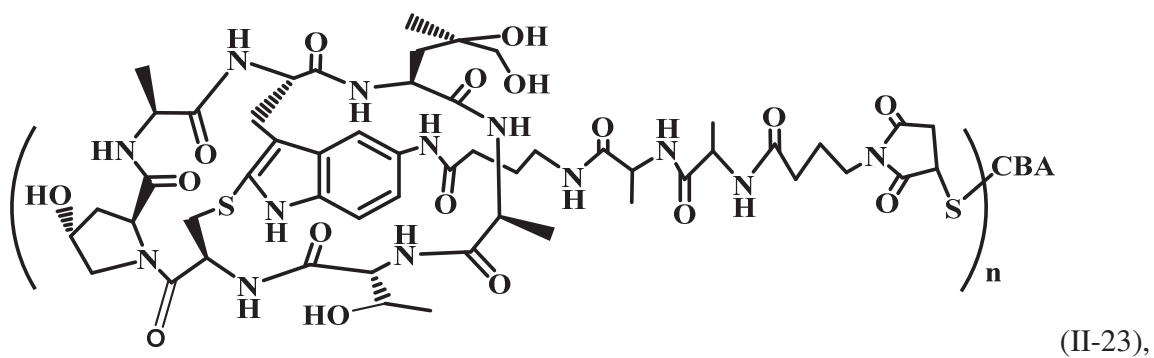
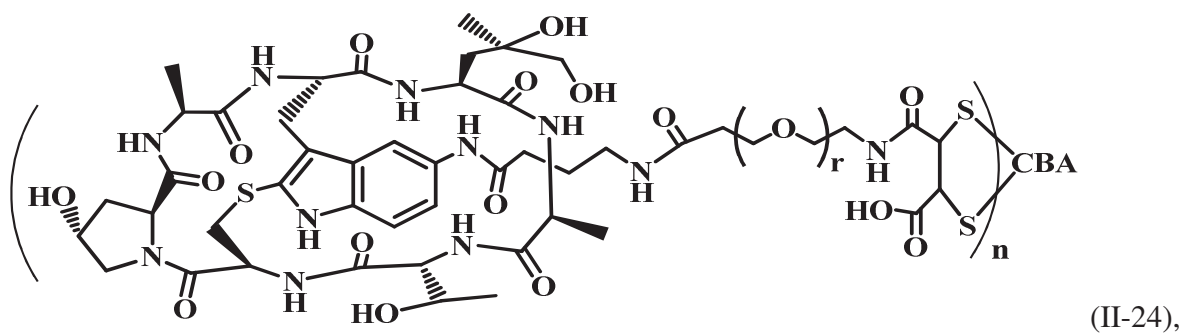


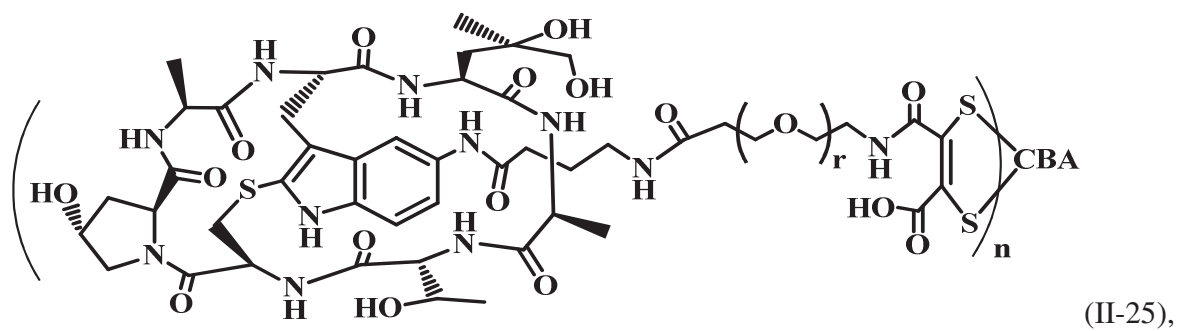
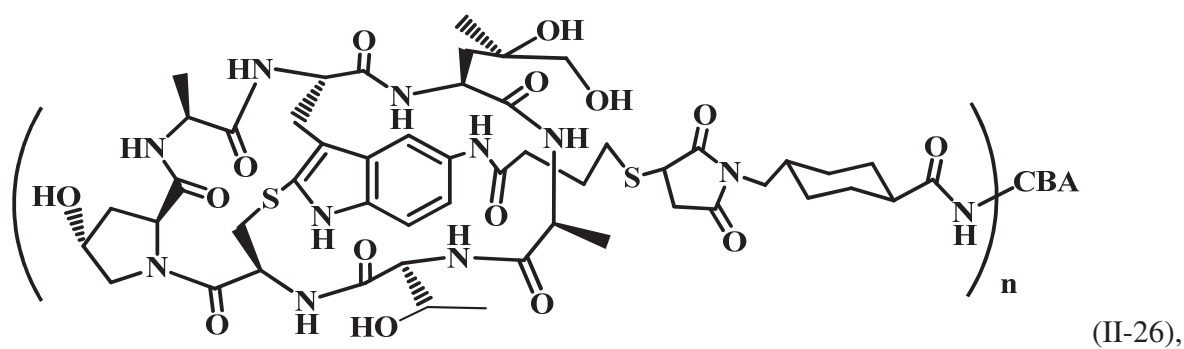
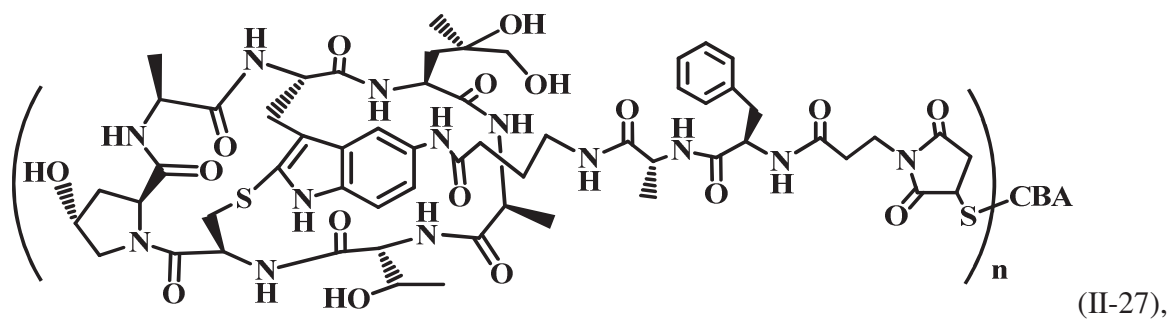
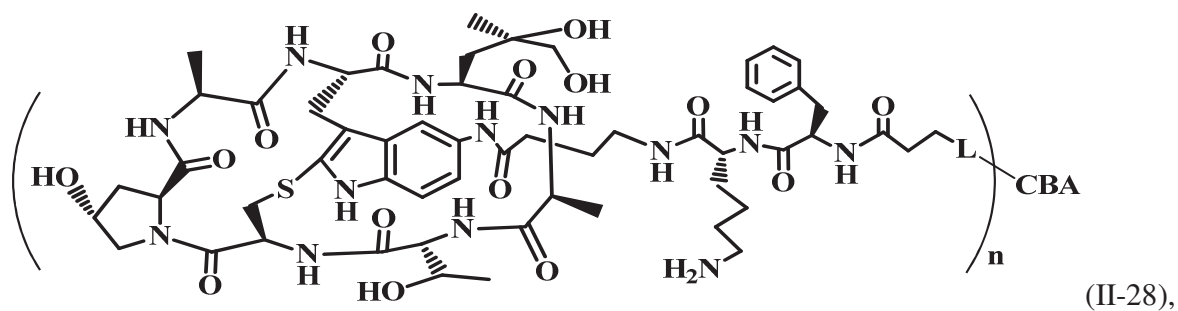
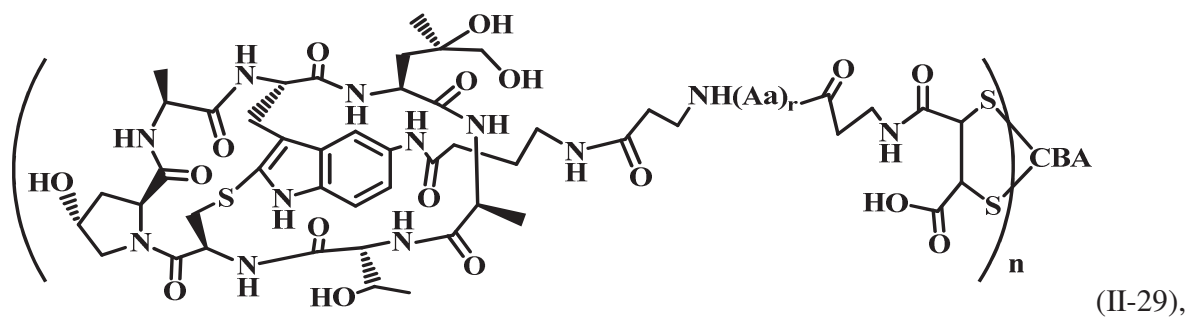


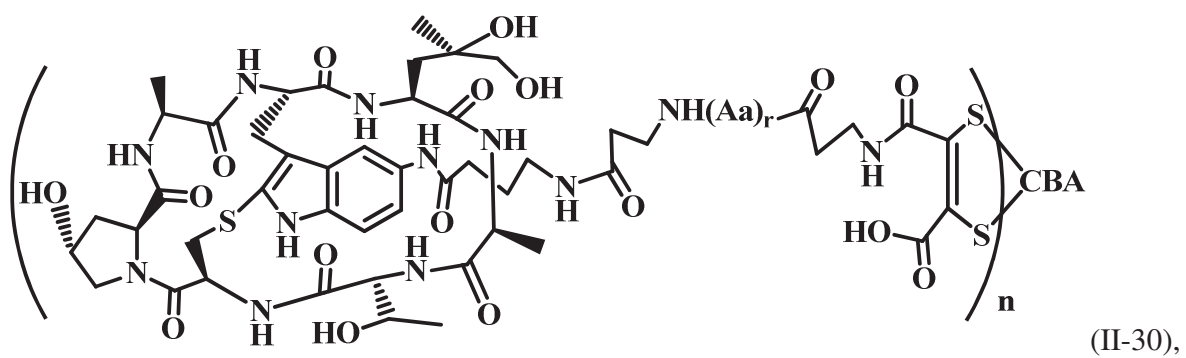
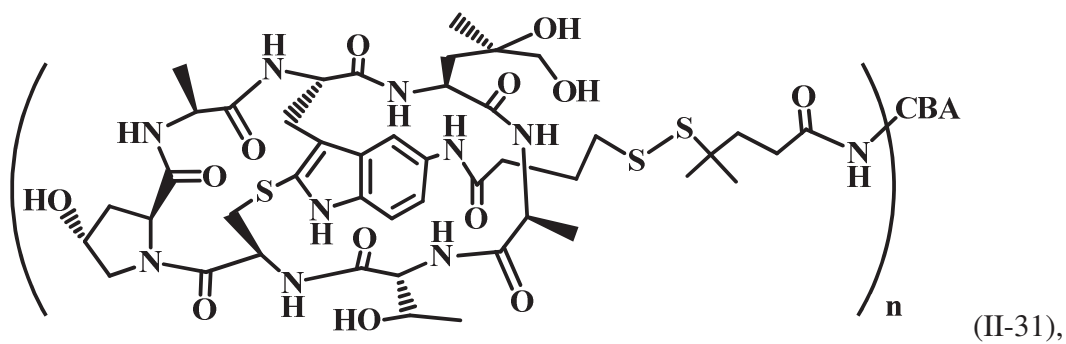
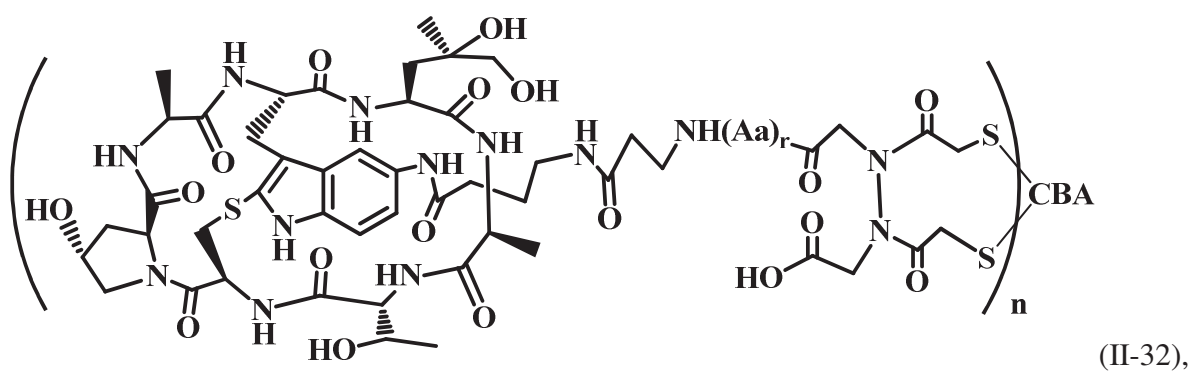
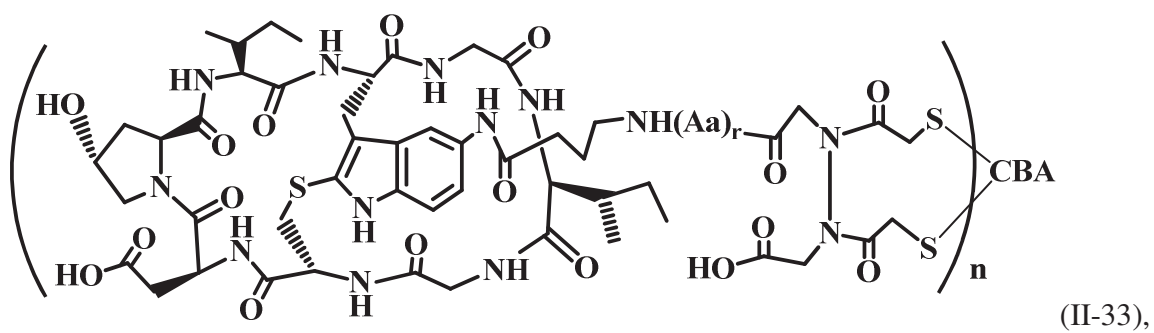
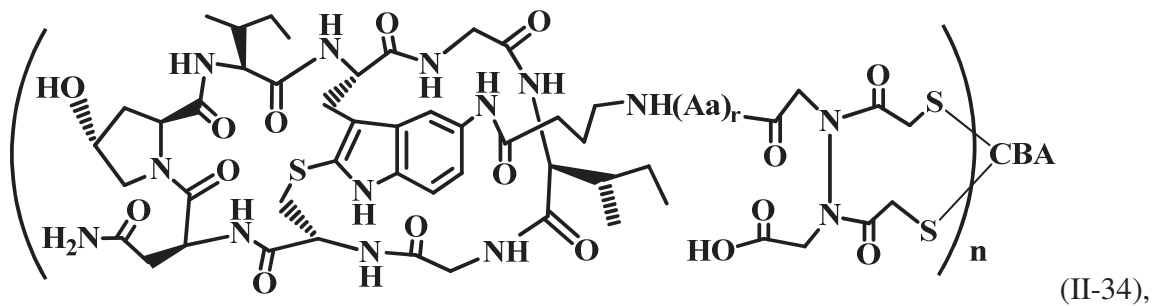


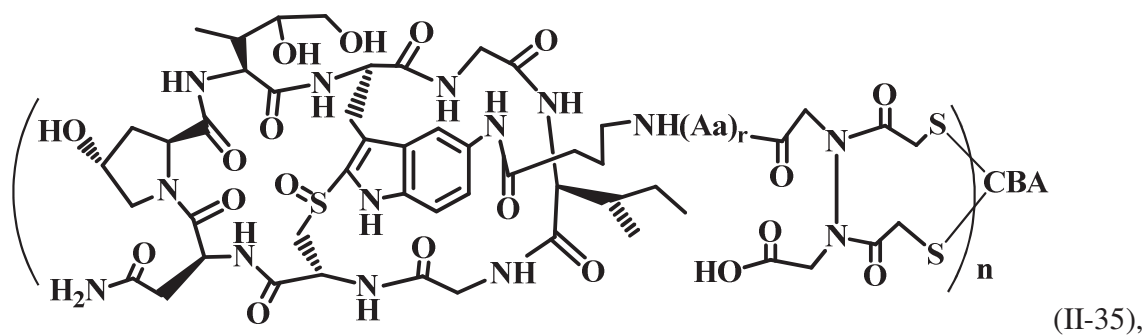
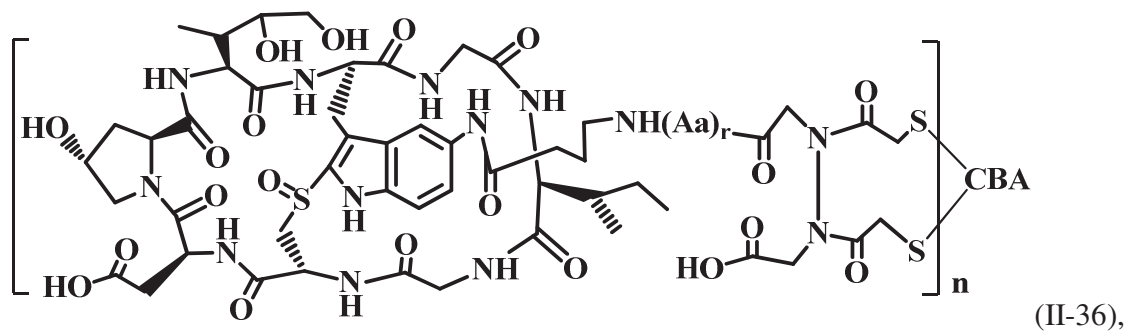
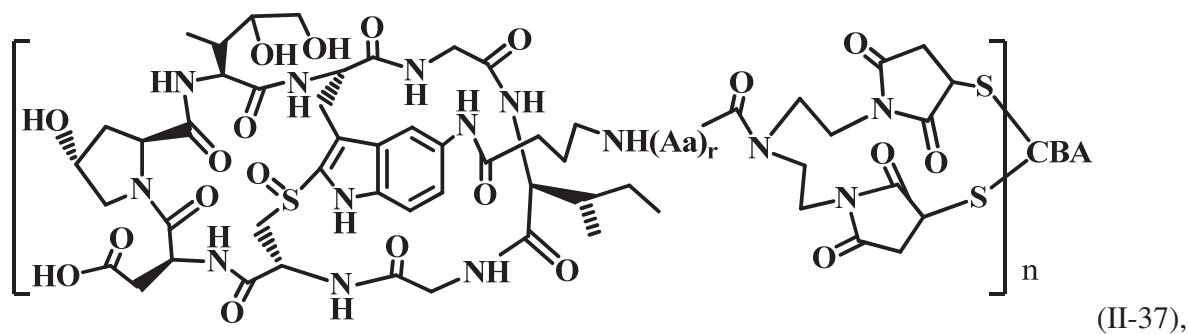
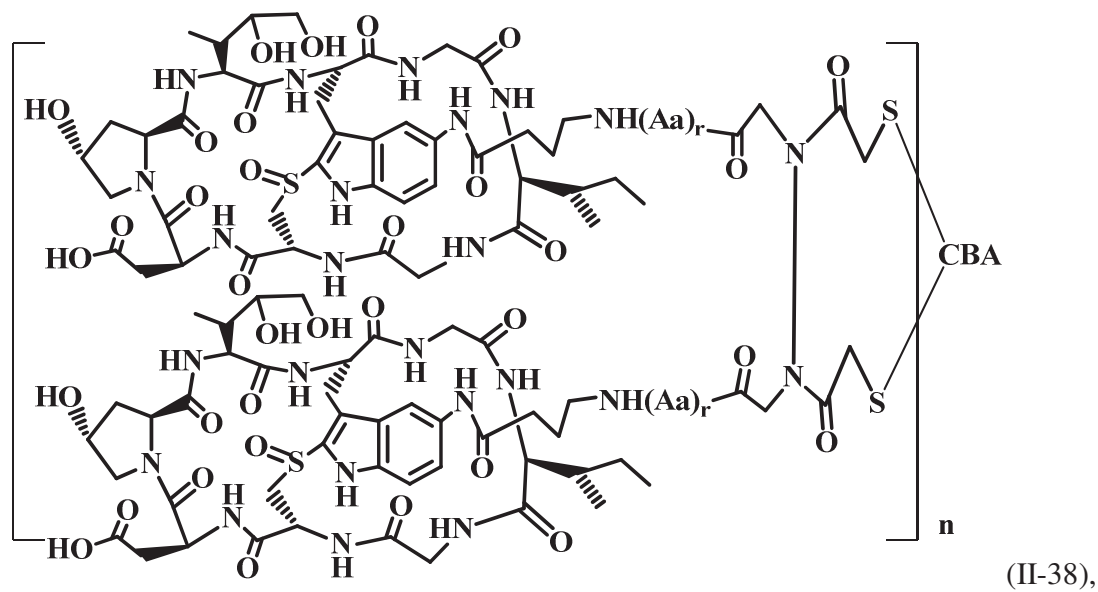
5 18),



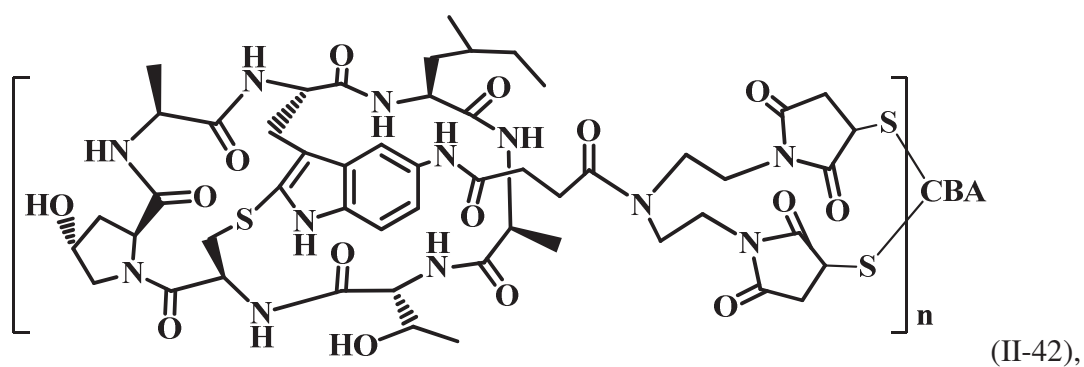
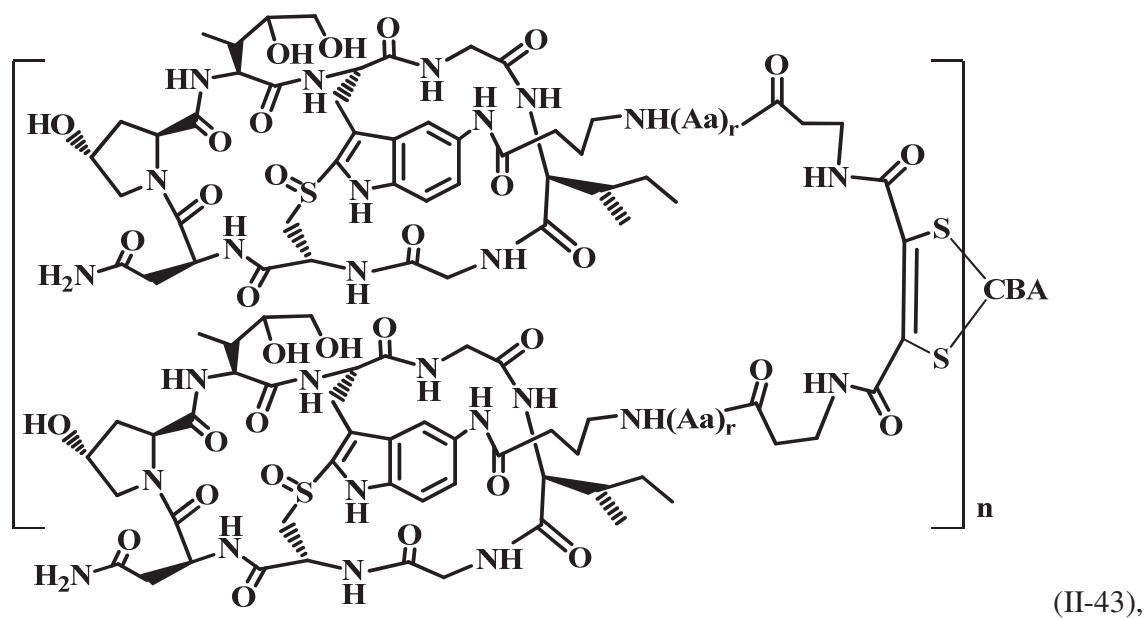
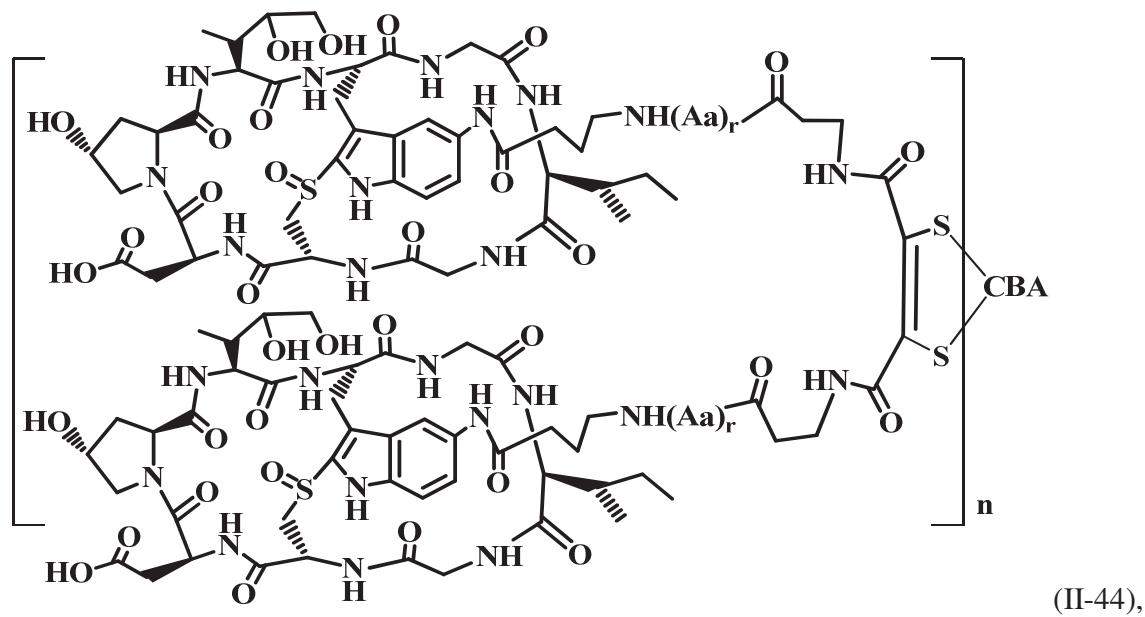


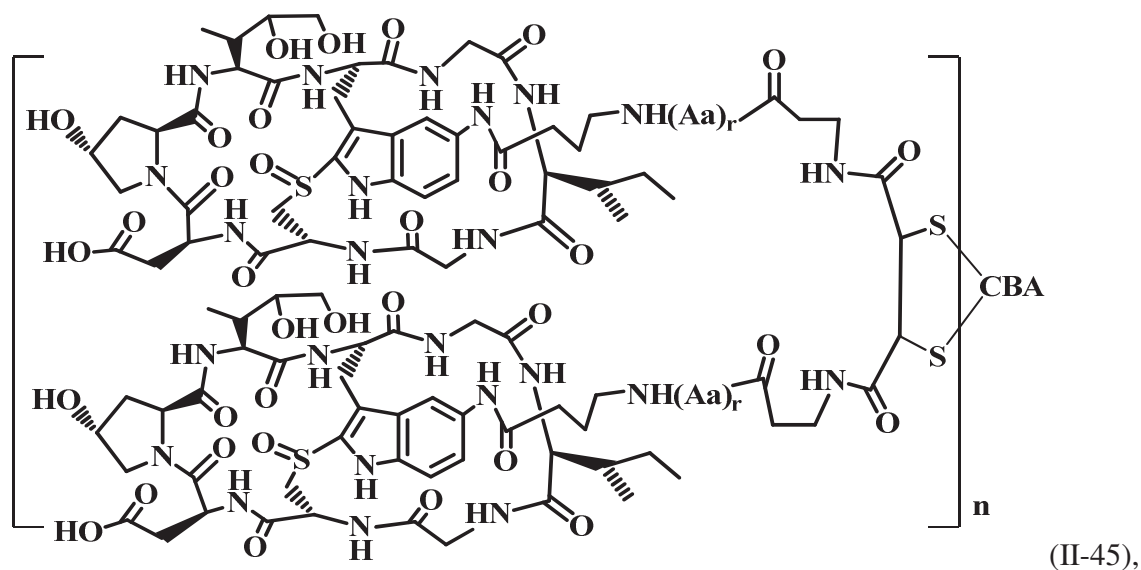
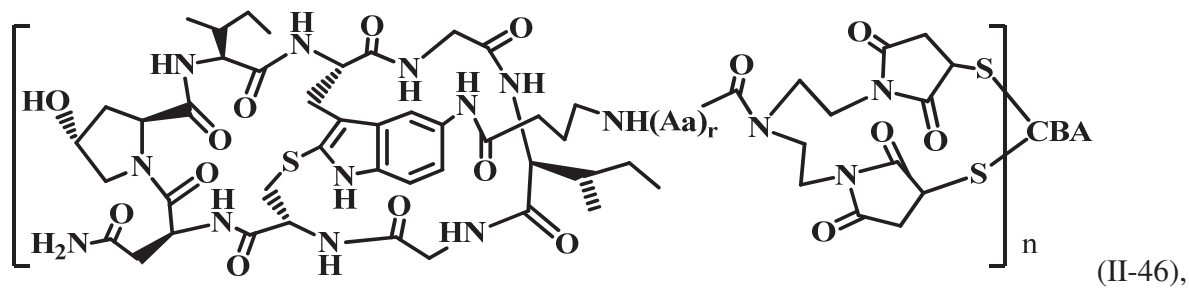
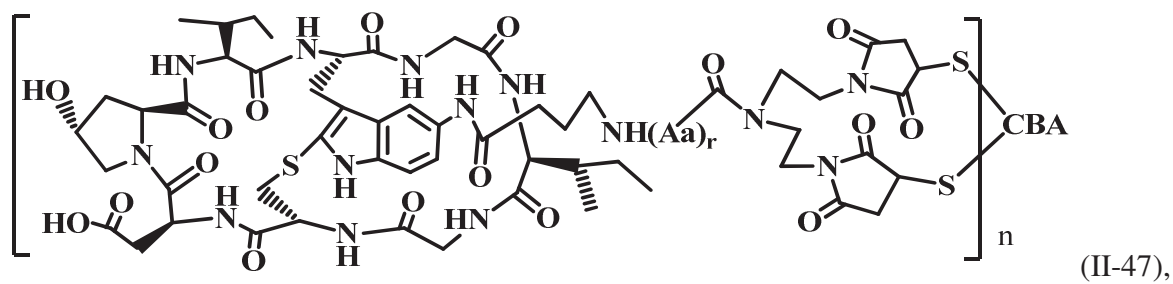
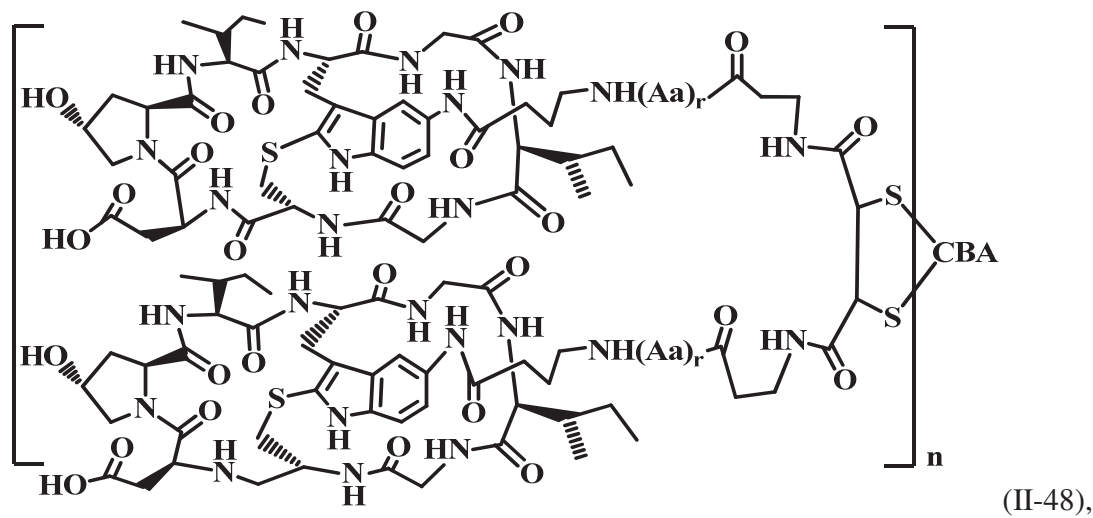


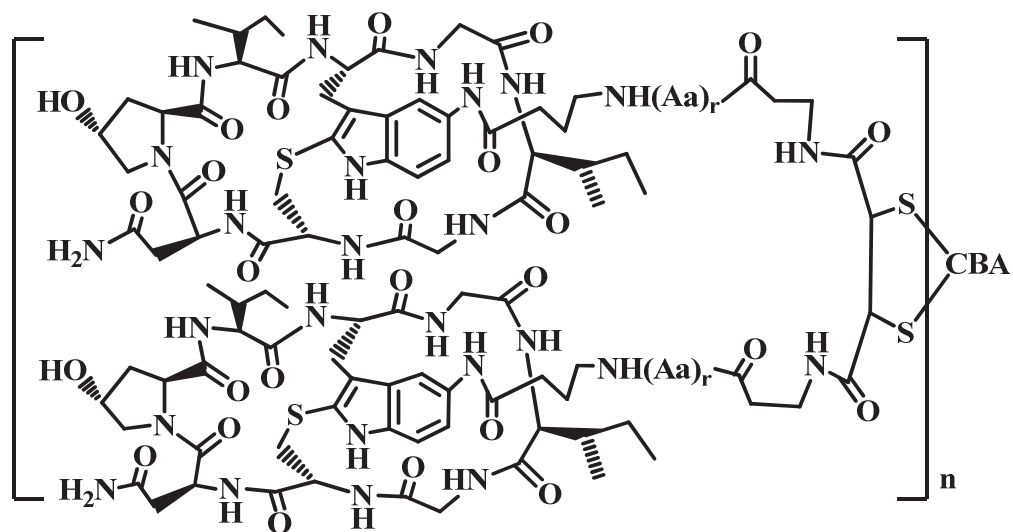
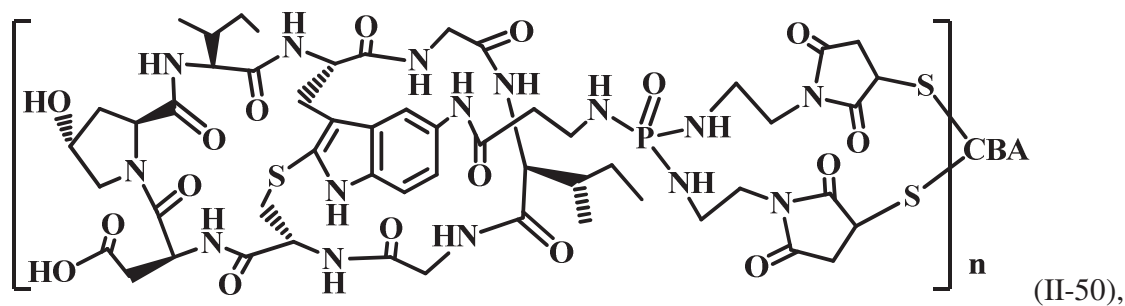
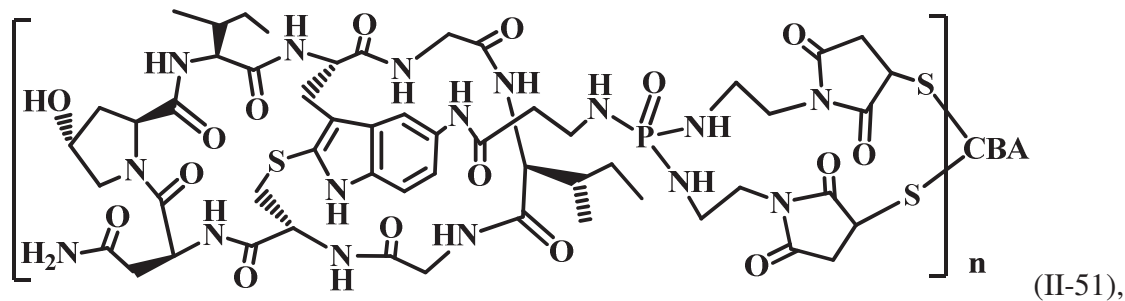
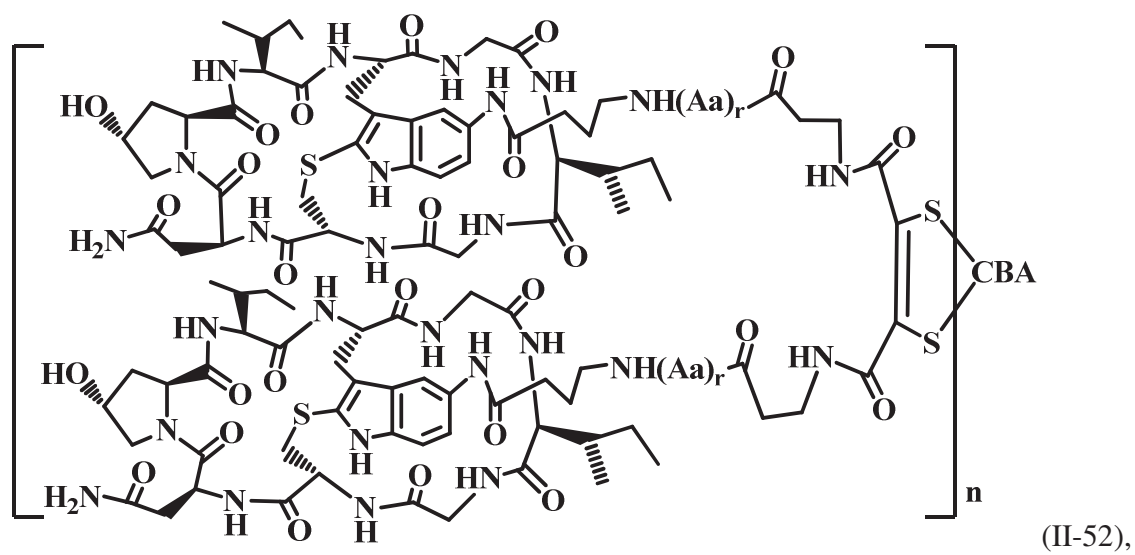


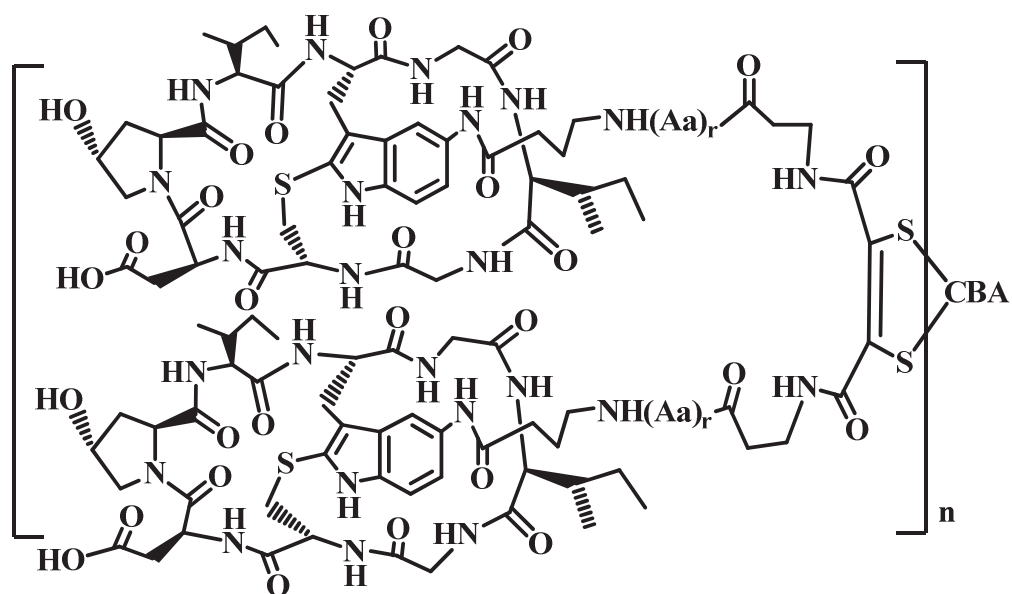
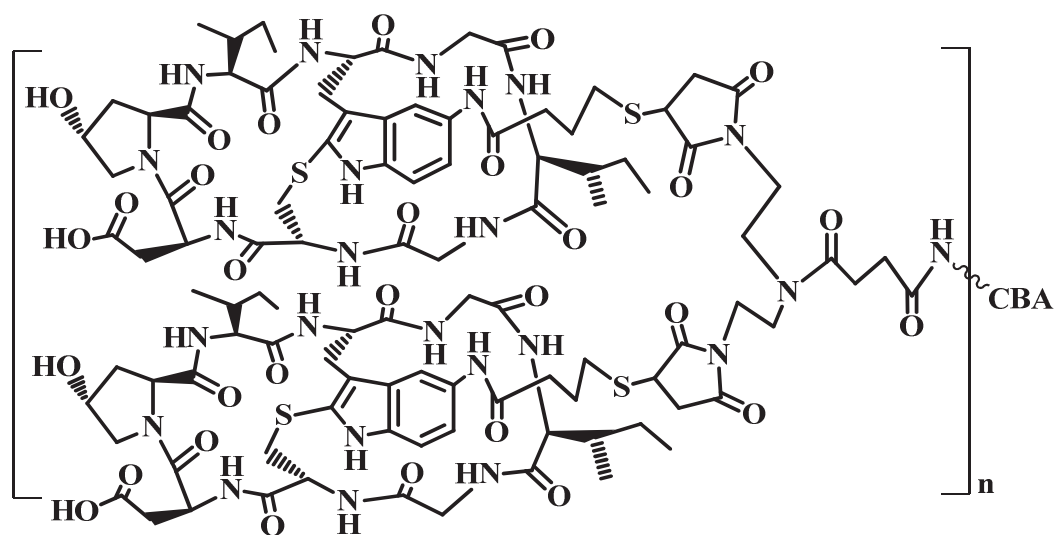
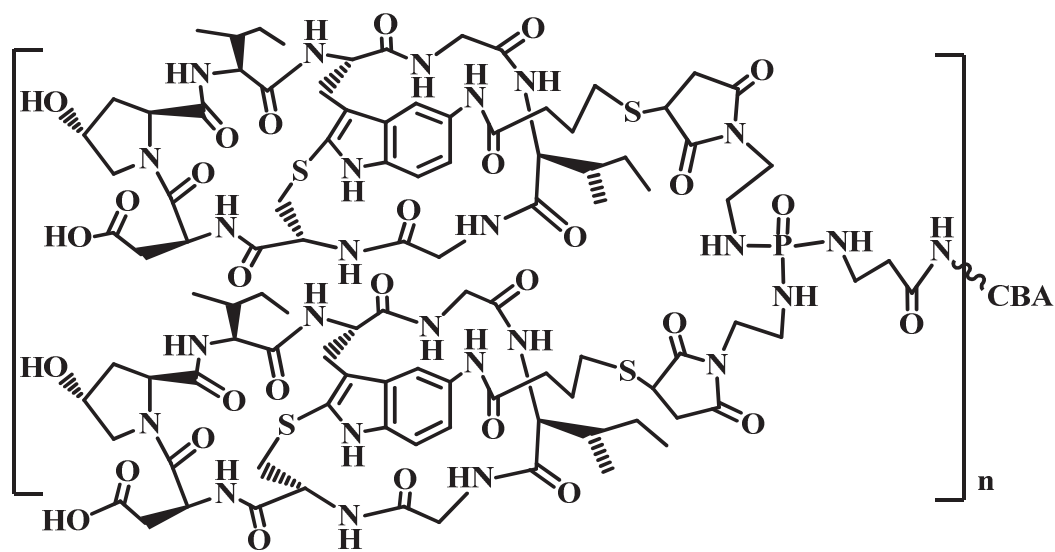


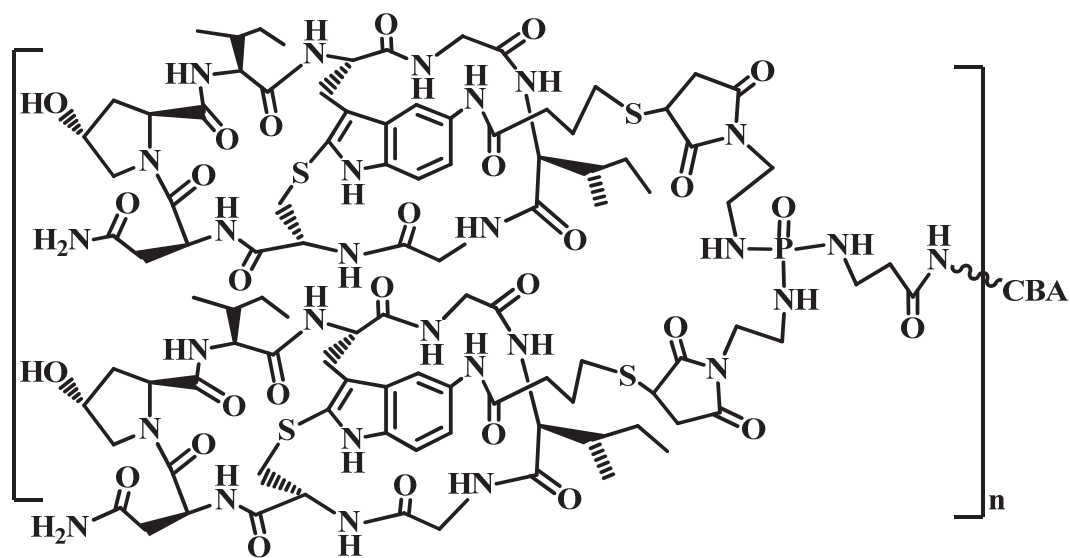
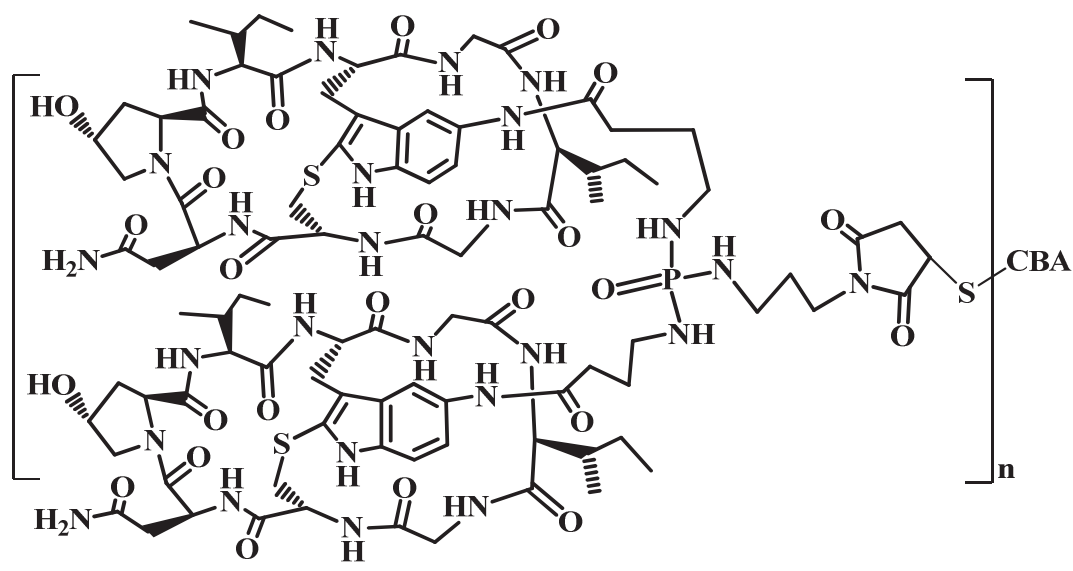
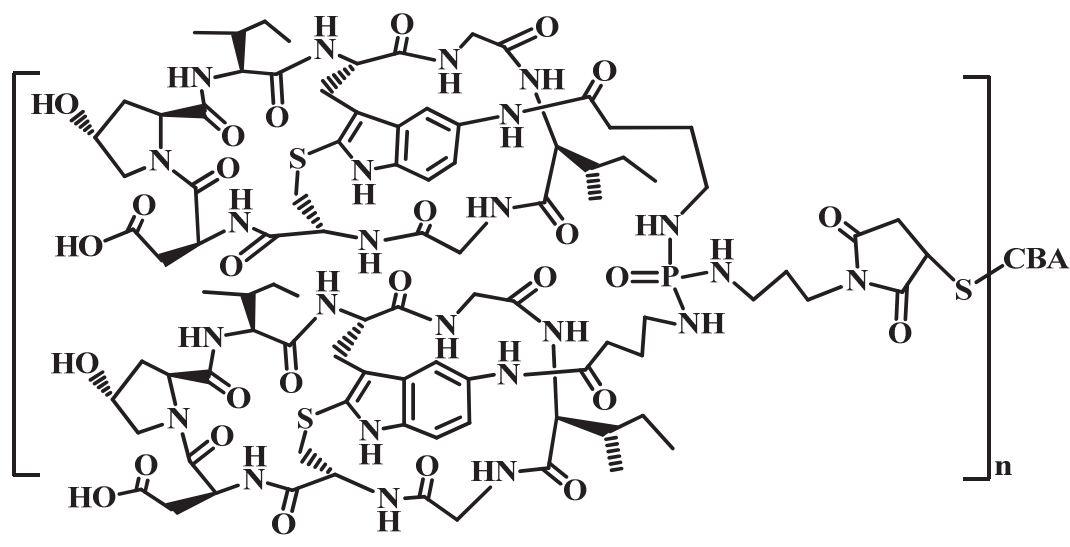


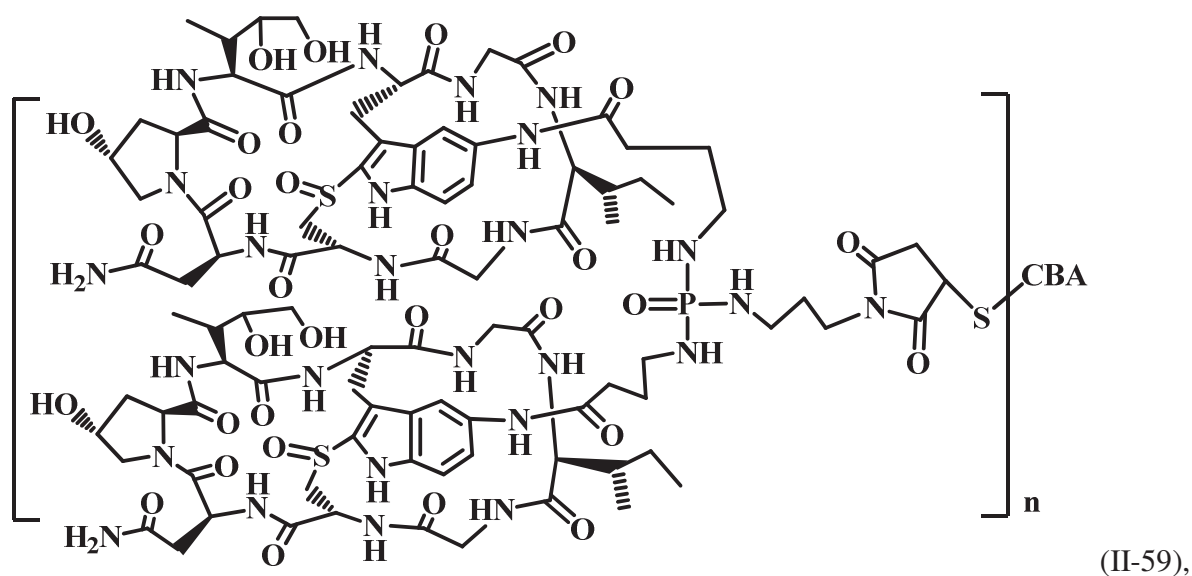
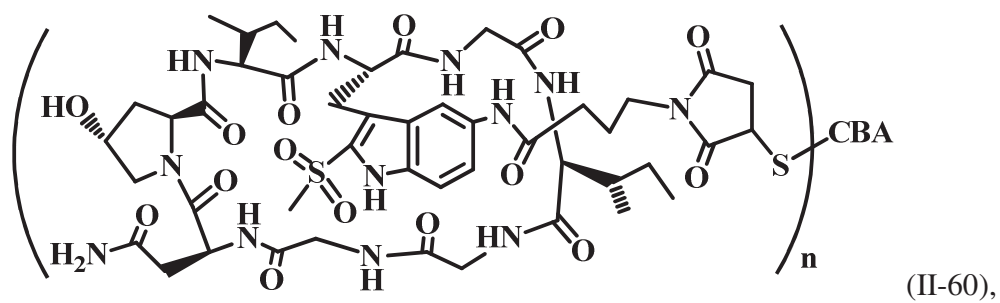
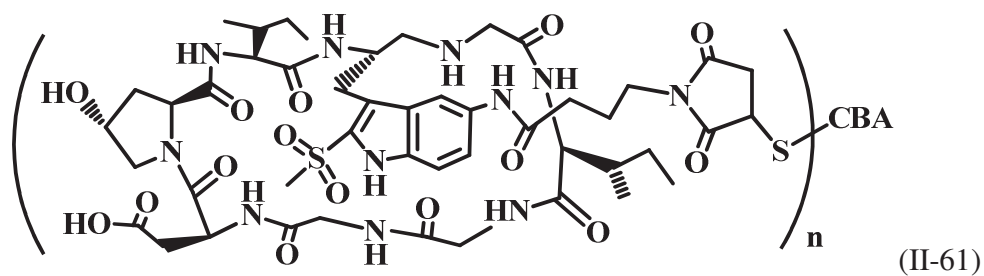
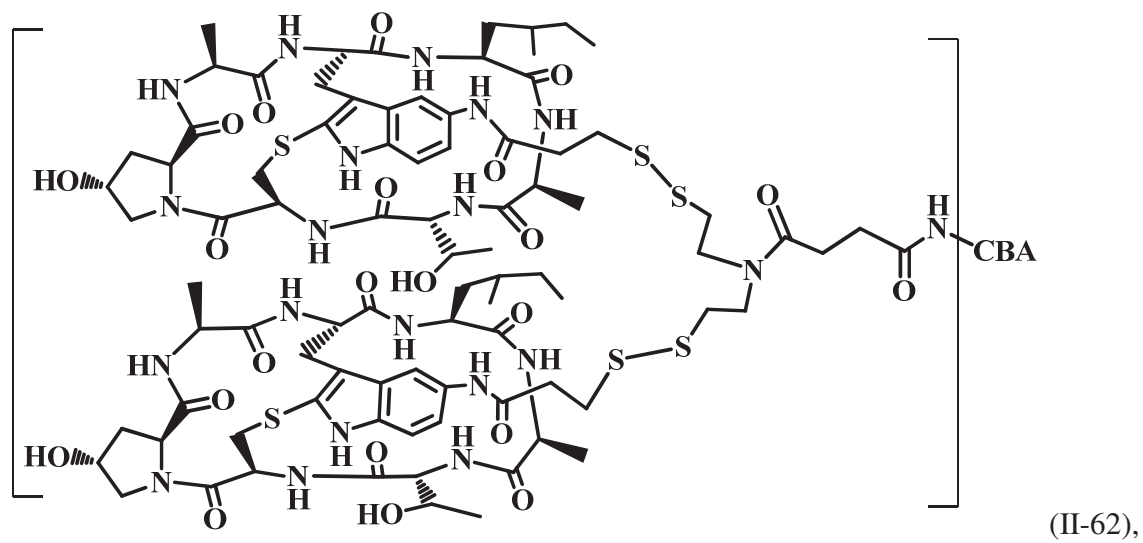


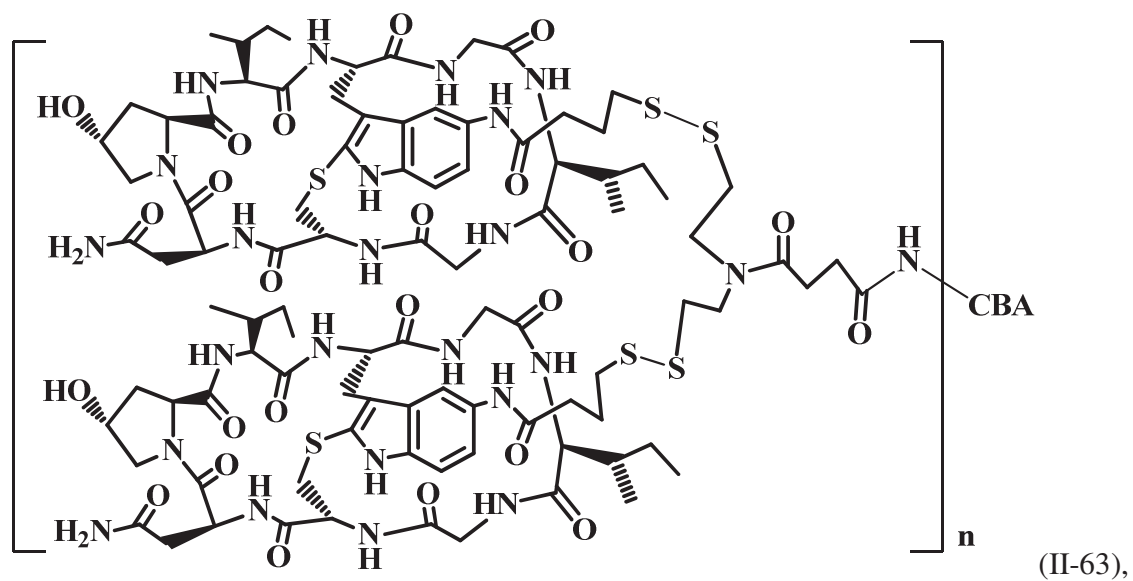
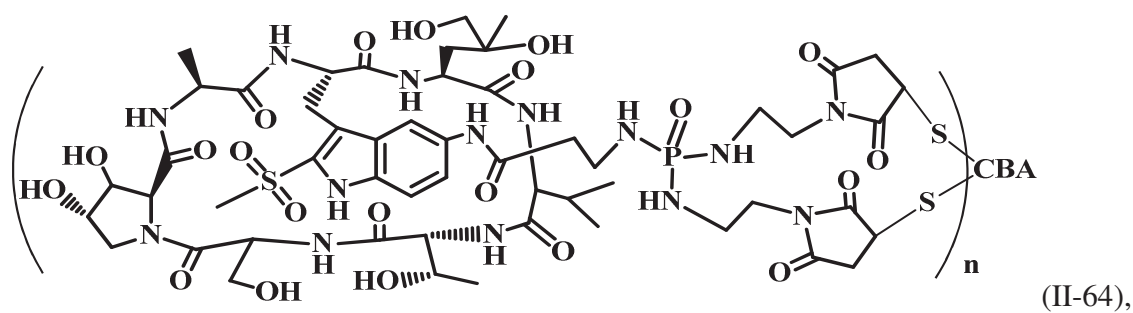
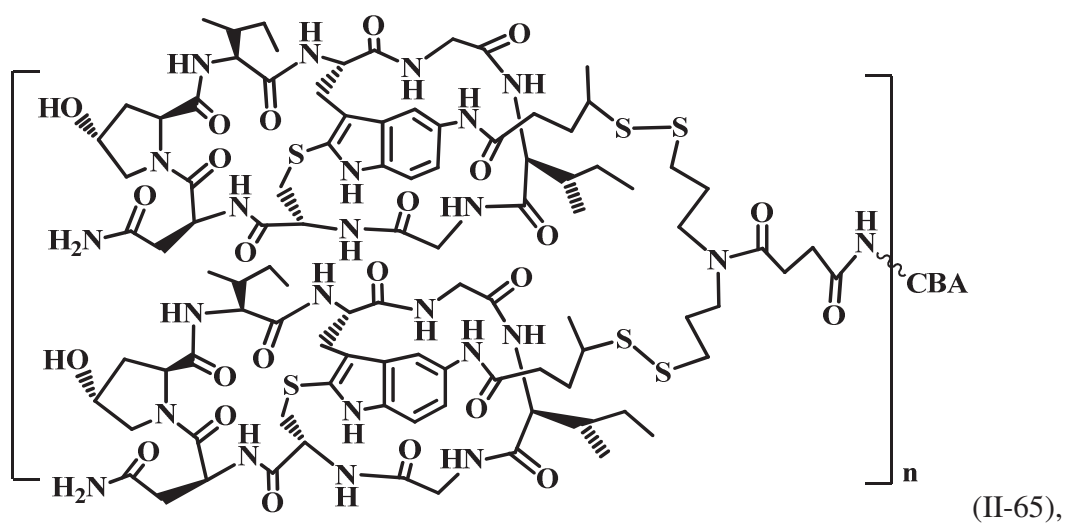
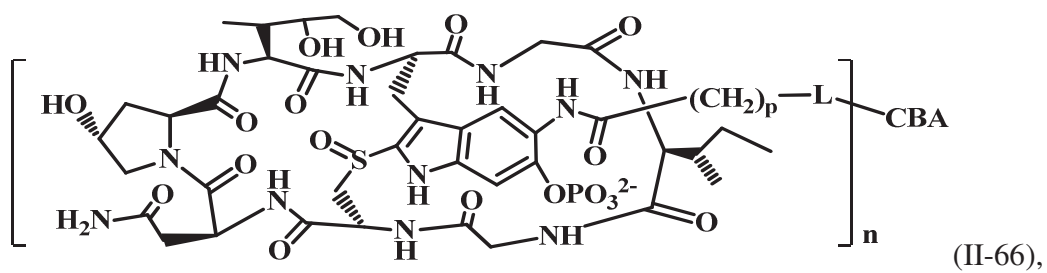


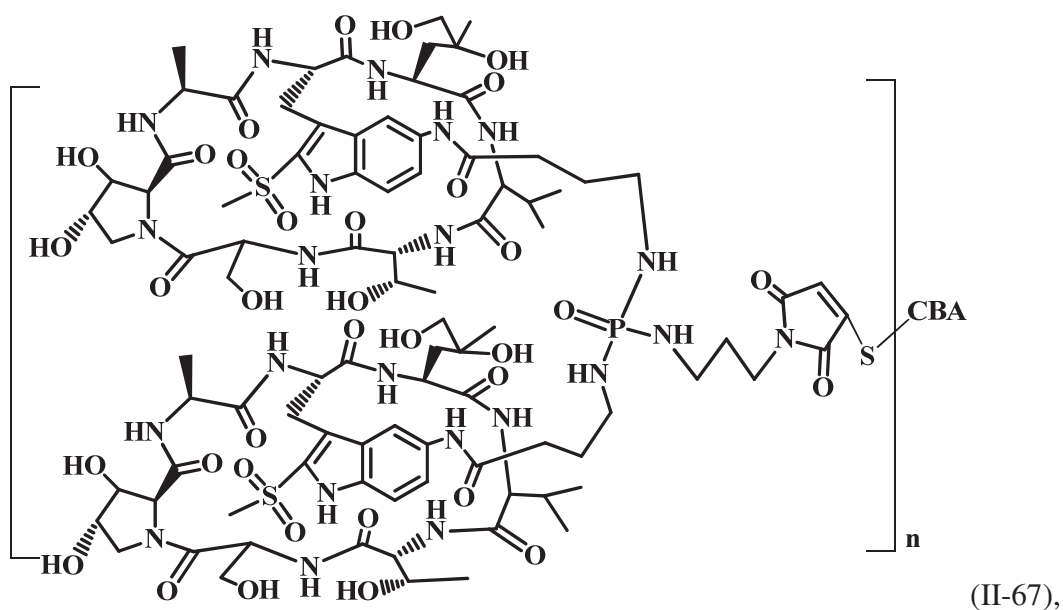
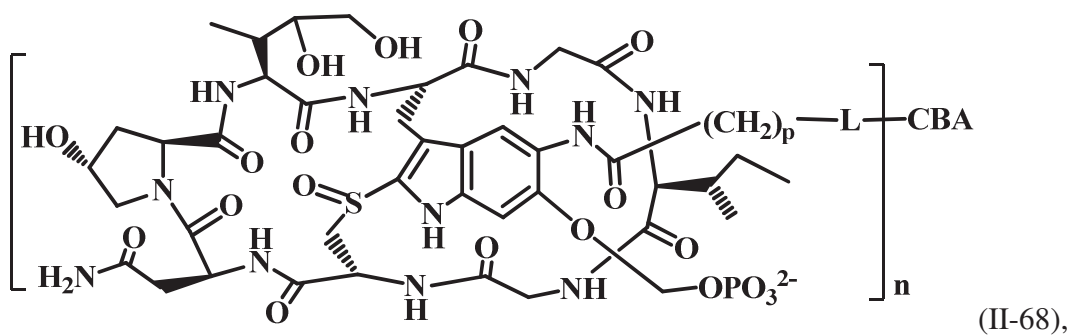
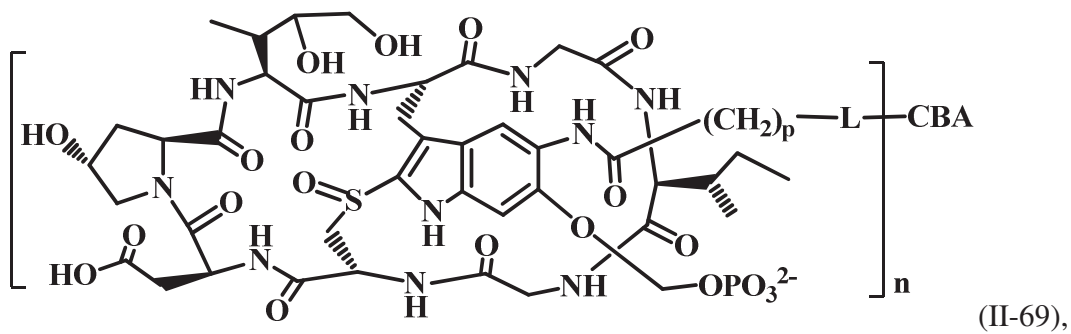
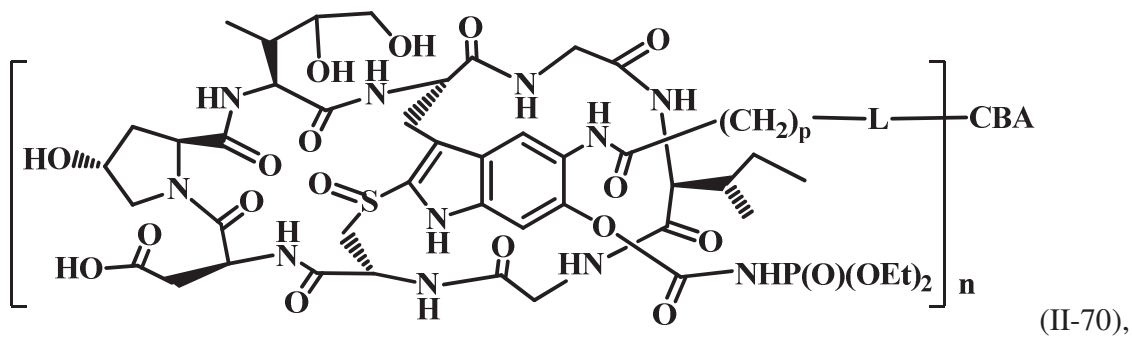


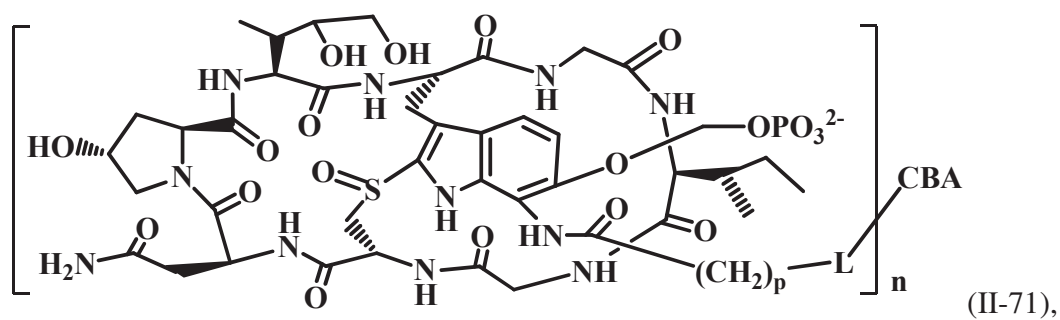
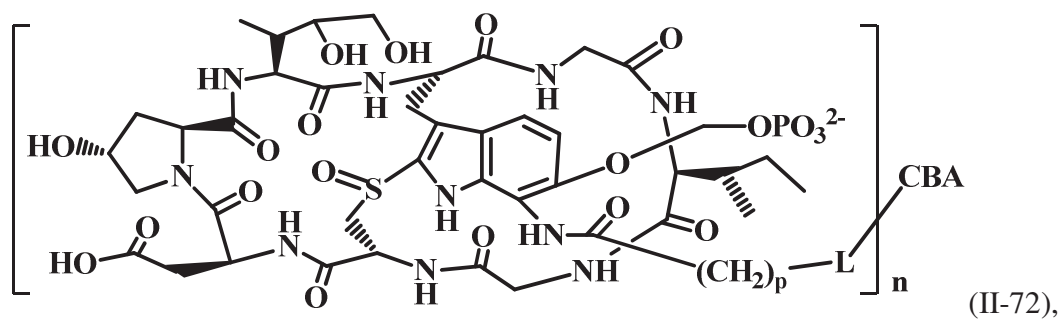
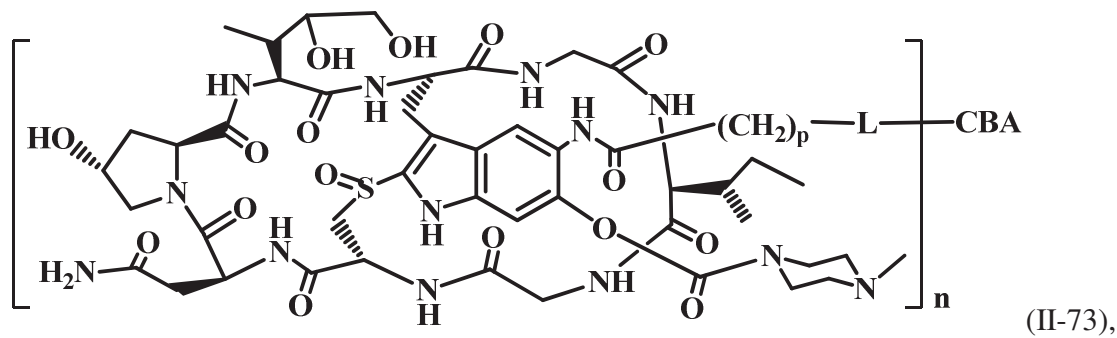
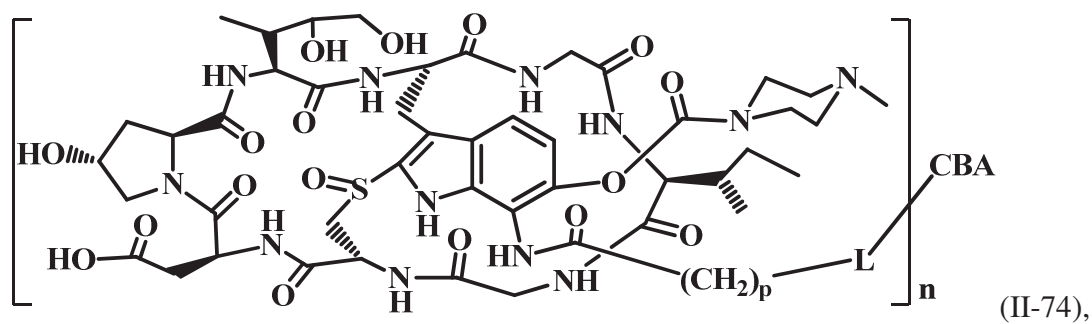
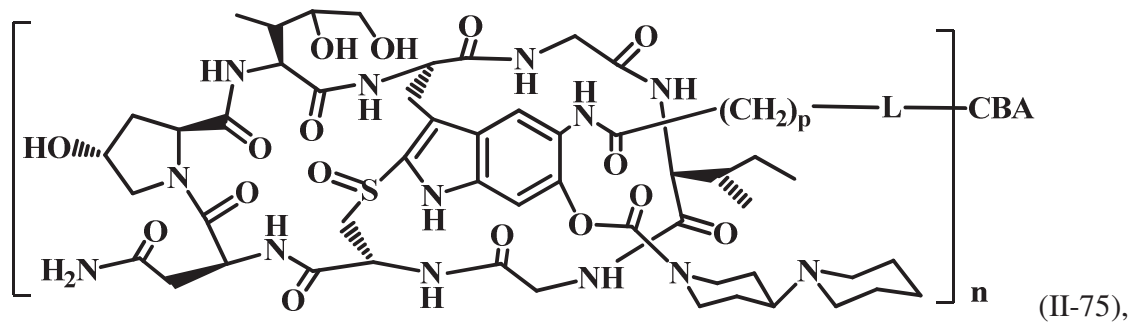


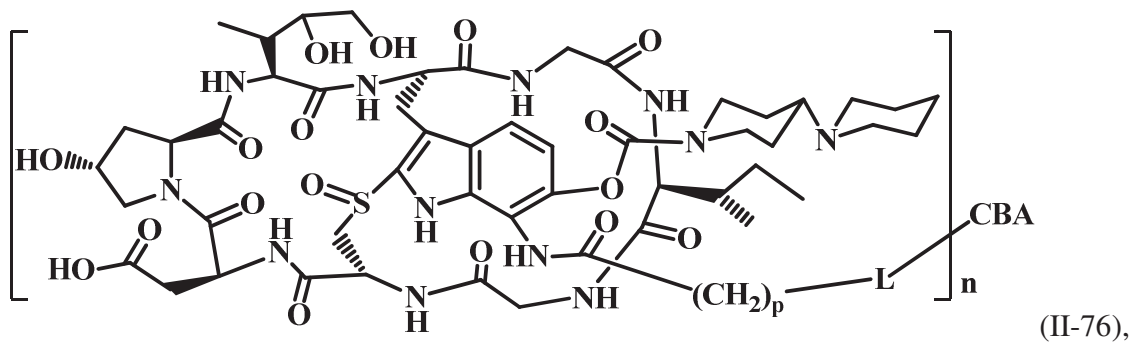
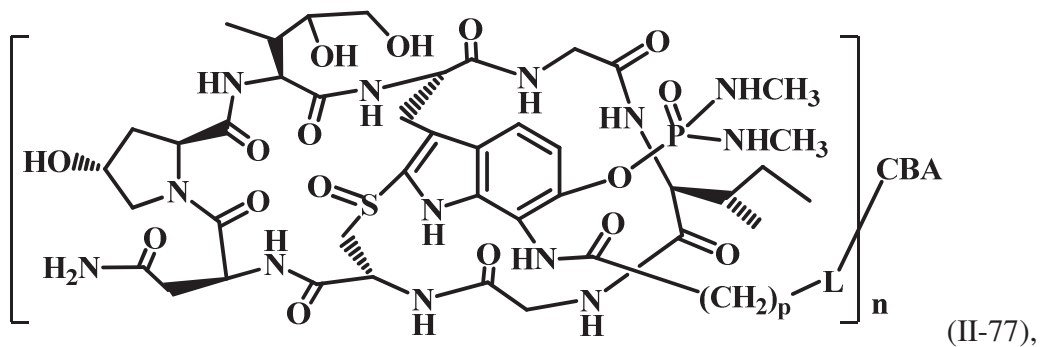
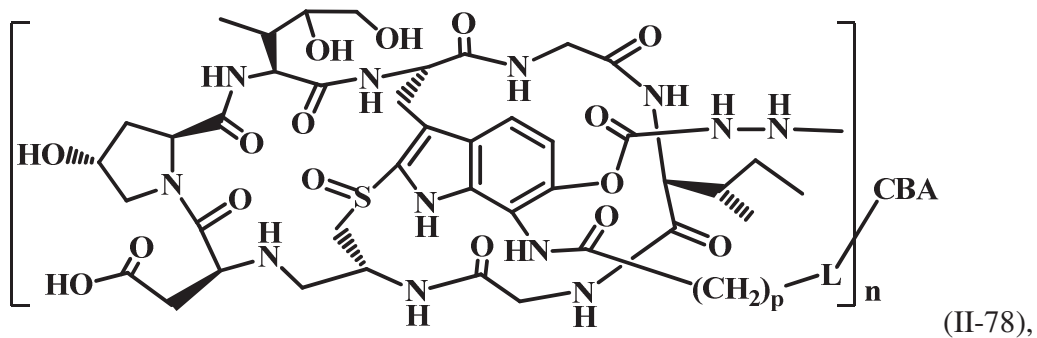
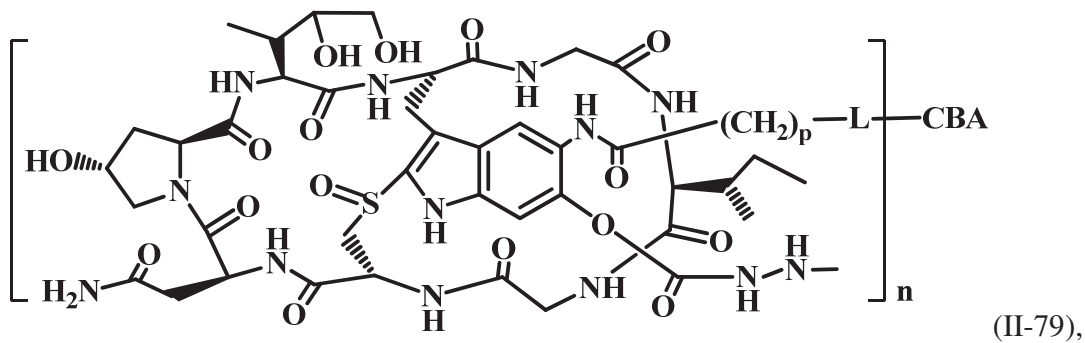
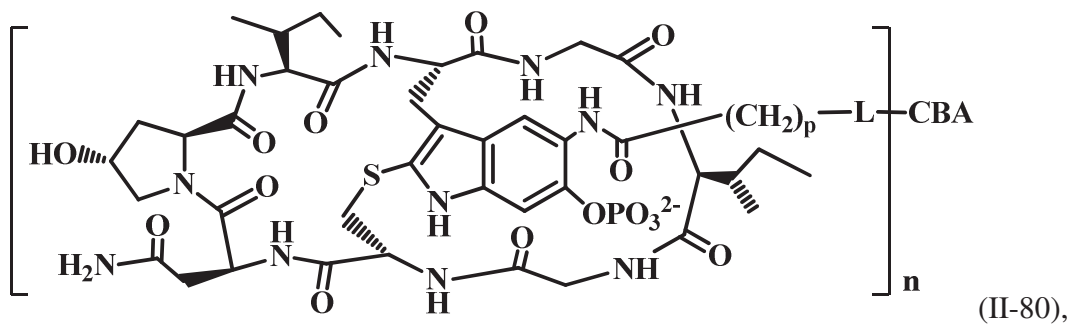


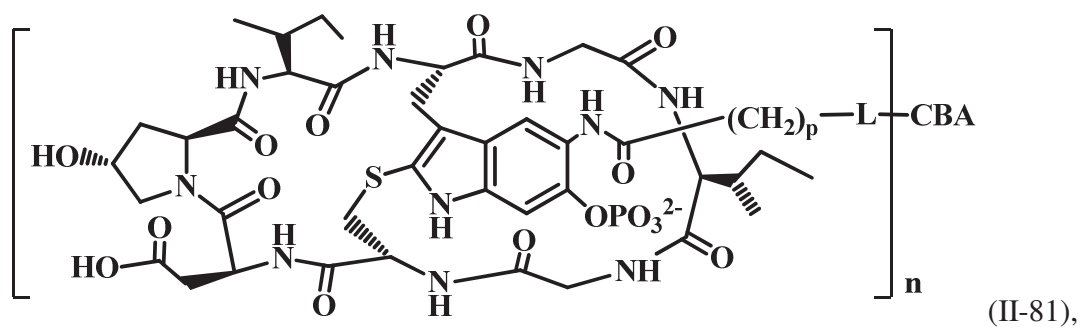
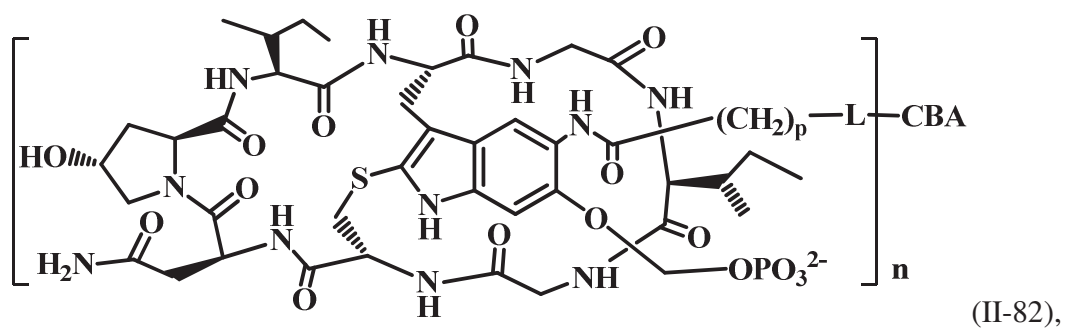
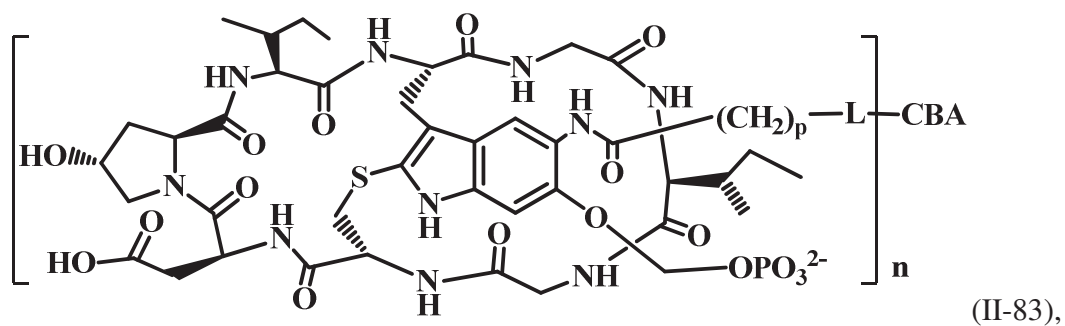
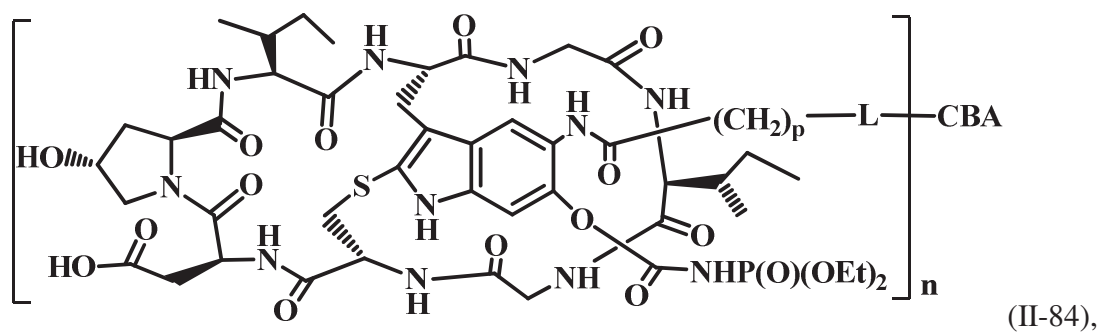
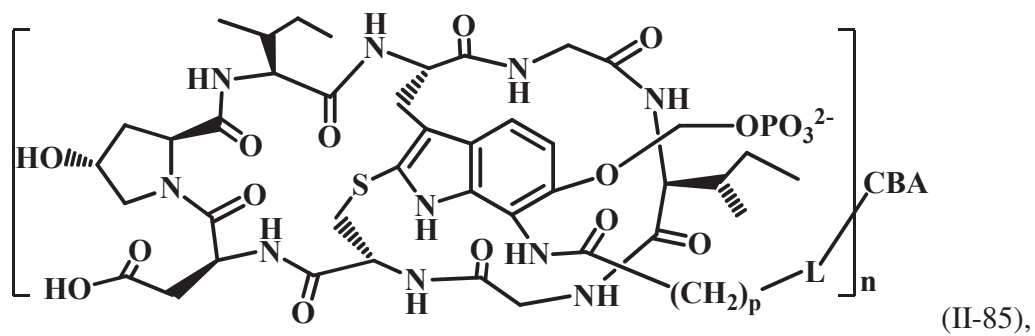


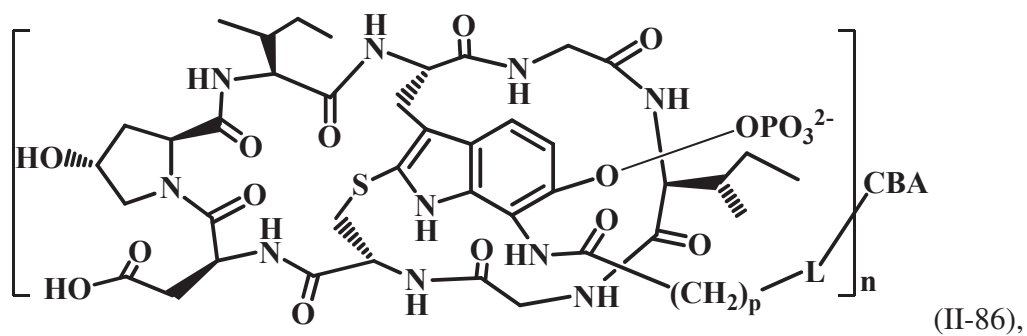
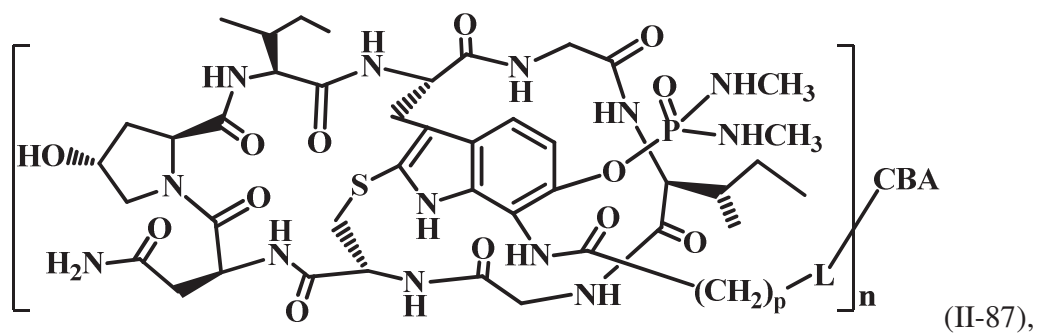
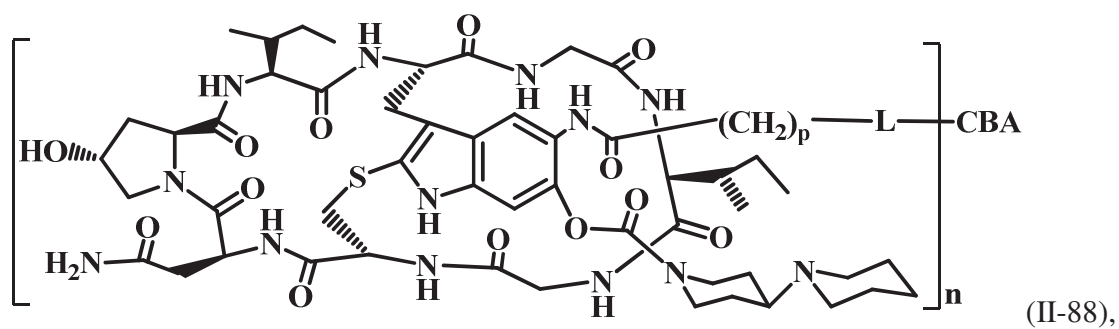
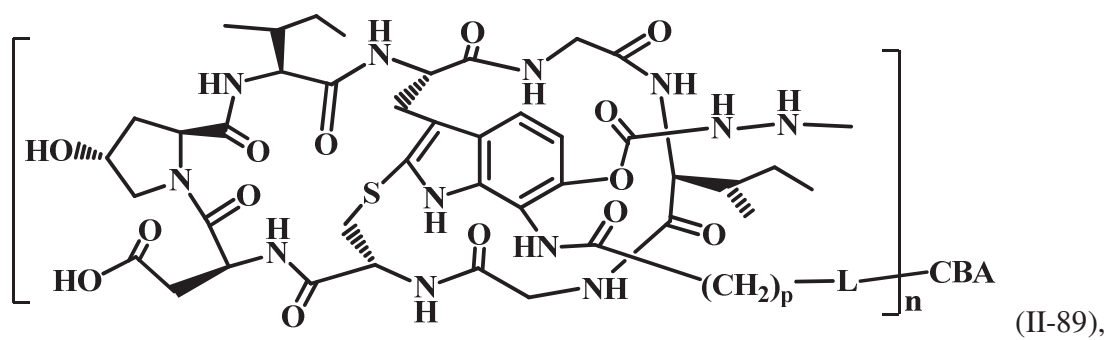
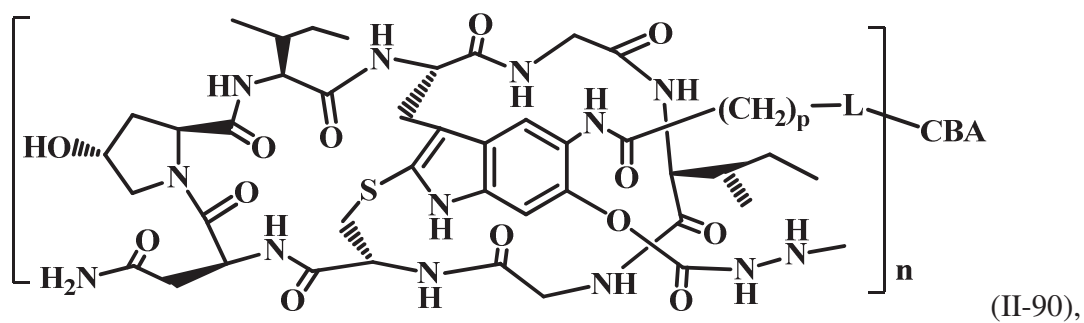


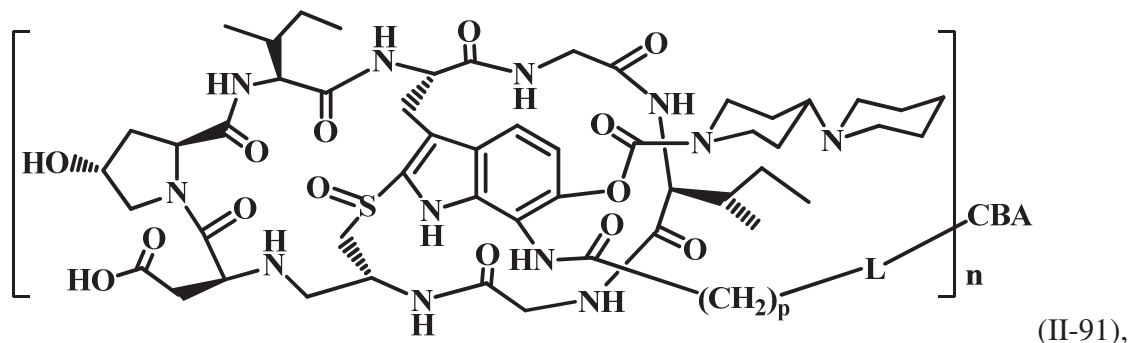












or a pharmaceutically acceptable salt, hydrate, or hydrated salt thereof, or the polymorphic crystalline structure thereof,

or an optical isomer, racemate, diastereomer or enantiomer thereof;

5 wherein n, R<sub>10</sub>, L and Q are defined the same as in Claim 1;

wherein Aa is an Amino Acid unit comprising independent amino acids; r is an integer ranging from 0 to 100; and p and q are independently selected from 0, 1, 2, 3, 4, 5 or 6

10 wherein R<sub>14</sub> is H, PO<sub>3</sub><sup>2-</sup>, SO<sub>3</sub><sup>-</sup>, R<sub>12</sub>, -COR<sub>12</sub>, -COCH<sub>3</sub>, -COOR<sub>12</sub>, -CONR<sub>12</sub>R<sub>12</sub>', -C(=O)R<sub>12</sub>NH(Aa)<sub>t</sub>, (an amino acid or peptide, wherein Aa is an amino acid or a polypeptide, t represents 0 - 100); -CSNHR<sub>12</sub> (thiocarbamate);- P(O)(OM<sub>1</sub>)(OM<sub>2</sub>), CH<sub>2</sub>OP(O)(OM<sub>1</sub>)(OM<sub>2</sub>), SO<sub>3</sub>M<sub>1</sub>; glycoside, where R<sub>12</sub>, and R<sub>12</sub>' are independently selected from H, C<sub>1</sub>~C<sub>8</sub> alkyl; C<sub>2</sub>~C<sub>8</sub> alkenyl, alkynyl, heteroalkyl; and C<sub>3</sub>~C<sub>8</sub> aryl, heteroaryl, heterocyclic, or carbocyclic;

M<sub>1</sub> and M<sub>2</sub> are independently selected from H, Na, K, Ca, Mg, NH<sub>4</sub>, and NR<sub>1</sub>'R<sub>2</sub>'R<sub>3</sub>';

R<sub>1</sub>', R<sub>2</sub>' and R<sub>3</sub>' are independently selected from H, and C<sub>1</sub>~C<sub>8</sub> alkyl;

15 PEG is polyethylene glycol with the formula of -(OCH<sub>2</sub>CH<sub>2</sub>)<sub>r</sub>,

CBA is a cell-binding agent/molecule;

20 409. The compound according to Claim 89, wherein in (I-1), (I-2), (I-3), (I-4), (I-5), (I-6), (I-7), (I-8), (I-9), (I-10), (I-11), (I-12), (I-13), (I-14), (I-15), (I-16), (I-17), (I-18), (I-19), (I-20), (I-21), (I-22), (I-23), (I-24), (I-25), (I-26), (I-27), (I-28), (I-29), (I-30), (I-31), (I-32), (I-33), (I-34), (I-35), (I-36), (I-37), (I-38), (I-39), (I-40), (I-41), (I-42), (I-43), (I-44), (I-45), (I-46), (I-47), (I-48), (I-49), (I-50), (I-51), (I-52), (I-53), (I-54), (I-55), (I-56), (I-57), (I-58), (I-59), (I-60), (I-61), (I-62), (I-63), (I-64), (I-65), (I-66), (I-67), (I-68), (I-69), (I-70), (I-71), (I-72), (I-73), (I-74), (I-75), (I-76), (I-77), (I-78), (I-79), (I-80), (I-81), (I-82), (I-83), (I-84), (I-85), (I-86), (I-87), (I-88), (I-89), (I-90), (I-91), (I-92), (I-93), (I-94), (I-95), (I-96) or (I-97), Q is H, C<sub>1</sub>~C<sub>8</sub> of alkyl, alkenyl,

alkynyl, ~~aryl, cyclic, cyclohetero, haloalkyl, alkoxy, haloalkoxy~~-alkylamino; ~~halogen~~; ~~NO<sub>2</sub>~~; ~~CN~~; -SH; -SSCH<sub>3</sub>; -SSAc; -SSAr; -SS-Pyridine; -SS-Ar(-NO<sub>2</sub>); -S-cell binding agent; or a function group of NHS ester, pentafluorophenyl ester; alkyloxyamine; aldehyde; ketone; carboxyl acid; hydrazine; or amine; ~~or thio~~lactone; or linked to a cell binding agent via Stretcher units (Ww) or via Spacer units (Tt), wherein W, w, T, and t are defined the same as in the Claim 1, and wherein Ar is an aromatic or hetero aromatic group, composed of one or several rings, comprising three to fourteen carbon atoms wherein one or several H atoms are optionally replaced independently by alkyl, F, Cl, Br, I, OR<sub>5</sub>, or SR<sub>5</sub>, NR<sub>5</sub>R<sub>5</sub>', N=NR<sub>5</sub>, N=R<sub>5</sub>, NR<sub>5</sub>R<sub>5</sub>', NO<sub>2</sub>, SOR<sub>5</sub>R<sub>5</sub>, SO<sub>2</sub>R<sub>5</sub>, SO<sub>3</sub>R<sub>5</sub>, OSO<sub>3</sub>R<sub>5</sub>, PR<sub>5</sub>R<sub>5</sub>', POR<sub>5</sub>R<sub>5</sub>', PO<sub>5</sub>R<sub>5</sub>, OPO<sub>3</sub>R<sub>5</sub>R<sub>5</sub>', or PO<sub>3</sub>R<sub>5</sub>R<sub>5</sub>' wherein R<sub>5</sub> and R<sub>5</sub>' are independently H, alkyl, alkenyl, alkynyl, heteroalkyl, aryl, arylalkyl, or carbonyl;.

1044. The compound according to Claim 1 wherein the linker L is selected from the group consisting

of: , -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(Aa)<sub>r</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>(OCH<sub>2</sub>CH<sub>2</sub>)<sub>t</sub>, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>(Aa)<sub>r</sub>(OCH<sub>2</sub>CH<sub>2</sub>)<sub>t</sub>-  
 , -(Aa)<sub>r</sub>(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>(OCH<sub>2</sub>CH<sub>2</sub>)<sub>t</sub>, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>n</sub>(OCH<sub>2</sub>CH<sub>2</sub>)<sub>t</sub>(Aa)<sub>r</sub>-, -(C  
 R<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(CH=CH) (CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>(Aa)<sub>r</sub>(OCH<sub>2</sub>CH<sub>2</sub>)<sub>t</sub>, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(NR<sub>12</sub>'CO)(Aa)<sub>r</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>-  
 (OCH<sub>2</sub>CH<sub>2</sub>)<sub>t</sub>, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(Aa)<sub>t</sub>(NHCO)(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>(OCH<sub>2</sub>CH<sub>2</sub>)<sub>r</sub>-, (CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(OCO)(Aa)<sub>r</sub>-  
 (CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>(OCH<sub>2</sub>CH<sub>2</sub>)<sub>t</sub>, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(OCNR<sub>7</sub>)(Aa)<sub>r</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub> (OCH<sub>2</sub>CH<sub>2</sub>)<sub>t</sub>, -  
 (CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(CO)-(Aa)<sub>r</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>(OCH<sub>2</sub>CH<sub>2</sub>)<sub>t</sub>, -  
 (CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(NR<sub>11</sub>CO)(Aa)<sub>r</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>(OCH<sub>2</sub>CH<sub>2</sub>)<sub>t</sub>, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(OCO)(Aa)<sub>r</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>-  
 (OCH<sub>2</sub>CH<sub>2</sub>)<sub>t</sub>, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(OCNR<sub>7</sub>)(Aa)<sub>r</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>(OCH<sub>2</sub>CH<sub>2</sub>)<sub>t</sub>, -  
 (CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(CO)(Aa)<sub>r</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>(OCH<sub>2</sub>CH<sub>2</sub>)<sub>t</sub>, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>-phenyl-CO(Aa)<sub>r</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>, -  
 (CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>-furyl-CO-(Aa)<sub>t</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>-oxazolyl-CO(Aa)<sub>r</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>, -  
 (CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>-thiazolyl-CO(Aa)<sub>r</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>-thienyl-CO(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>-  
 imidazolyl-CO-(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>-, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>-morpholino-CO(Aa)<sub>r</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>-, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>-  
 piperazino-CO(Aa)<sub>r</sub>-(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>-, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>-N-methylpiperazin-CO(Aa)<sub>r</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>-, -  
 (CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(Aa)<sub>r</sub>-phenyl-, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>-(Aa)<sub>r</sub>-furyl-, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>-oxazolyl(Aa)<sub>r</sub>-, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>-  
 thiazolyl-(Aa)<sub>r</sub>-, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>-thienyl-(Aa)<sub>t</sub>-, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>-imidazolyl(Aa)<sub>r</sub>-, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>-  
 morpholino-(Aa)<sub>r</sub>-, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>-piperazino-(Aa)<sub>r</sub>-, -(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>-N-methylpiperazino-(Aa)<sub>r</sub>-  
 , -K(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(Aa)<sub>r</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub> (OCH<sub>2</sub>CH<sub>2</sub>)<sub>t</sub>, -K(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>(Aa)<sub>r</sub>(OCH<sub>2</sub>CH<sub>2</sub>)<sub>t</sub>-  
 , -K(Aa)<sub>r</sub>(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>-  
 (OCH<sub>2</sub>CH<sub>2</sub>)<sub>t</sub>, -K(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(CR<sub>12</sub>'R<sub>12</sub>'')<sub>q</sub>(OCH<sub>2</sub>CH<sub>2</sub>)<sub>r</sub>(Aa)<sub>t</sub>, -K(CR<sub>11</sub>R<sub>12</sub>)<sub>p</sub>(CR<sub>7</sub>=CR<sub>8</sub>)(CR<sub>12</sub>'R<sub>12</sub>'')

$q-(Aa)_r(OCH_2CH_2)_t-$

,  $-K(CR_{11}R_{12})_p(NR_7CO)(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$ ,  $-K(CR_{11}R_{12})_p(Aa)_t(NR_7-$

$CO)(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$ ,  $-K(CR_{11}R_{12})_p(OCO)(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$ ,  $-K(CR_{11}R_{12})_p(OCNR_7)(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$

5 ,  $-K(CR_{11}R_{12})_p(CO)(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$ ,  $-K(-$

$CR_{11}R_{12})_p(NR_{11}CO)(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$ ,  $-K(CR_{11}R_{12})_p(OCO)(Aa)_r(CR_{12}'R_{12}'')_q(OC$   
 $H_2CH_2)_t$ ,  $-K(CR_{11}R_{12})_p(OCNR_7)(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$ ,  $-K(CR_{11}R_{12})_p(CO)(Aa)_r(CR_{12}'R_{12}'')$   
 $q(OCH_2CH_2)_rQ$ ,  $-K(CR_{11}R_{12})_p-phenyl-CO-(Aa)_r(CR_{12}'R_{12}'')_q-$ ,  $-K(CR_{11}R_{12})_p-furyl-CO(Aa)_t-$   
 $(CR_{12}'R_{12}'')_q$ ,  $-K(CR_{11}R_{12})_p-oxazolyl-CO(Aa)_r(CR_{12}'R_{12}'')_q-$ ,  $-K(CR_{11}R_{12})_p-thiazolyl-CO(Aa)_r-$

10  $(CR_{12}'R_{12}'')_q$ ,  $-K(CR_{11}R_{12})_p-thienyl-CO(CR_{12}'R_{12}'')_q-$ ,  $-K(CR_{11}R_{12})_p-imidazolyl-CO-$

$(CR_{12}'R_{12}'')_q$ ,  $-K(CR_{11}R_{12})_p-morpholino-CO(Aa)_t(CR_{12}'R_{12}'')_q-$ ,  $-K(CR_{11}R_{12})_p-piperazino-CO-$   
 $(Aa)_r(CR_{12}'R_{12}'')_q-$ ,  $-K(CR_{11}R_{12})_p-N-methylpiperazin-CO(Aa)_r(CR_{12}'R_{12}'')_q$ ,  $-K(CR_{11}R_{12})_p-$   
 $(Aa)_r-phenyl-$ ,  $-K(CR_{11}R_{12})_m-(Aa)_r-furyl-$ ,  $-K(CR_{11}R_{12})_p-oxazolyl(Aa)_r-$ ,  $-K(CR_{11}R_{12})_m-thiazolyl-$   
 $(Aa)_r-$ ,  $-K(CR_{11}R_{12})_p-thienyl-(Aa)_r$ ,  $-K(CR_{11}R_{12})_p-imidazolyl(Aa)_r-$ ,  $-K((CR_{11}R_{12})_m-$

15  $morpholino-(Aa)_r$ ,  $-K(CR_{11}R_{12})_p-piperazino-(Aa)_t$ , and  $-K(CR_{11}R_{12})_mN-methylpiperazino-(Aa)_r$ ;

wherein K is  $NR_{12}$ , O, S, Se, B,  $C_3\sim C_{10}$  of Ar or Heterocyclic; wherein m, n,  $R_7$ ,  $R_{11}$ ,  $R_{12}$ ,  
 $R_{12}'$ ,  $R_{12}''$  are as defined in Claim 1, and wherein Ar is an aromatic or hetero aromatic group,  
composed of one or several rings, comprising three to fourteen carbon atoms wherein one or  
several H atoms are optionally replaced independently by alkyl, F, Cl, Br, I,  $OR_5$ , or  $SR_5$ ,  $NR_5R_5'$ ,  
20  $N=NR_5$ ,  $N=R_5$ ,  $NR_5R_5'$ ,  $NO_2$ ,  $SOR_5R_5$ ,  $SO_2R_5$ ,  $SO_3R_5$ ,  $OSO_3R_5$ ,  $PR_5R_5'$ ,  $POR_5R_5'$ ,  $PO_5R_5$ ,  
 $OPO_3R_5R_5'$ , or  $PO_3R_5R_5'$  wherein  $R_5$  and  $R_5'$  are independently H, alkyl, alkenyl, alkynyl,  
heteroalkyl, aryl, arylalkyl, or carbonyl;

112. The compound of any preceding claim, wherein the cell binding agent (CBA) is a full-  
25 length antibody (polyclonal and monoclonal antibody); a single chain antibody; a diabody, a  
triabody, a fragments of antibody (Fab, Fab',  $F(ab')_2$ ,  $F_v$ , a fragment produced by a Fab  
expression library, an anti-idiotypic (anti-Id) antibody, CDR's, and an epitope-binding fragment  
of any of the above which immuno-specifically bind to cancer cell antigens, viral antigens or  
microbial antigens; interferon (type I, II, III); a peptide; a lymphokine IL-2, IL-3, IL-4, IL-6,  
30 GM-CSF, interferon-gamma (IFN- $\gamma$ ); a hormone, insulin, TRH (thyrotropin releasing hormone),  
MSH (melanocyte-stimulating hormone), a steroid hormone, androgens, estrogens, melanocyte-  
stimulating hormone (MSH); a growth factor and a colony-stimulating factor, an epidermal

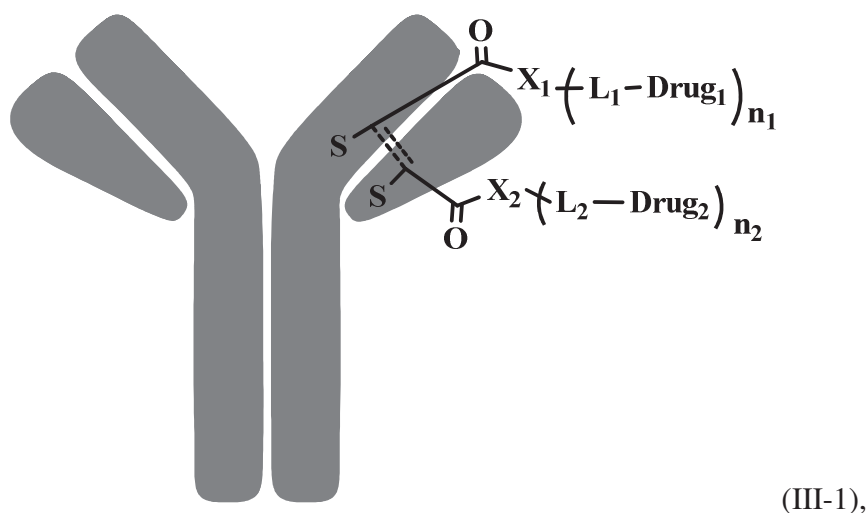
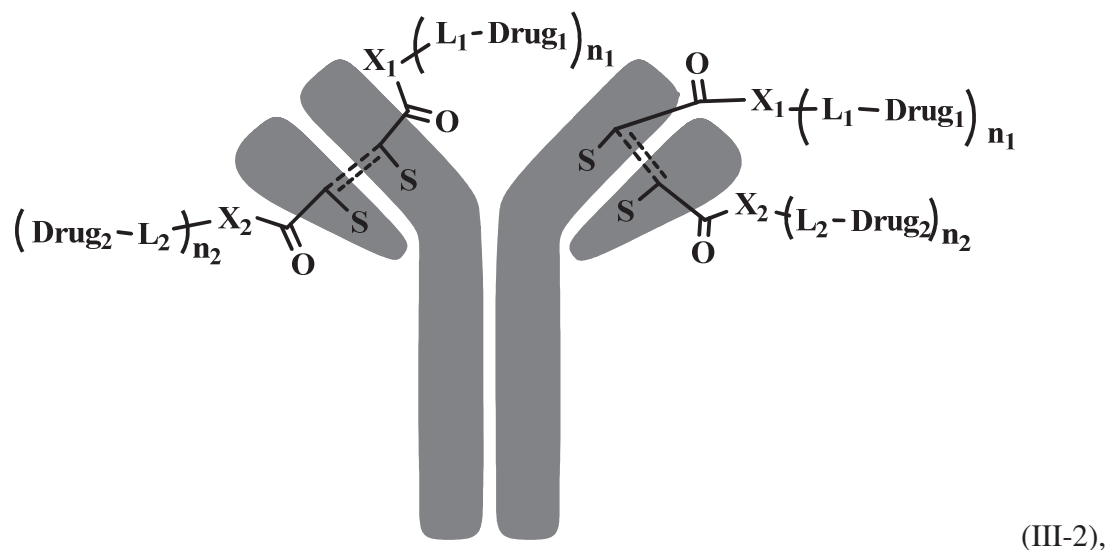
growth factors (EGF), a granulocyte-macrophage colony-stimulating factor (GM-CSF), a transforming growth factors (TGF), TGF $\alpha$ , TGF $\beta$ ; a insulin and insulin like growth factor (IGF-I, IGF-II) G-CSF, M-CSF and GM-CSF; a vaccinia growth factor (VGF); a fibroblast growth factor (FGFs); a smaller molecular weight protein, poly-peptide, peptides and peptide hormones, bombesin, gastrin, gastrin-releasing peptide; a platelet-derived growth factor; an interleukin and a cytokine, interleukin-2 (IL-2), interleukin-6 (IL-6), a leukemia inhibitory factor, a granulocyte-macrophage colony-stimulating factor (GM-CSF); a vitamin, folate; an apoprotein and a lycoproteis; transferrin; a sugar-binding protein or a lipoproteins, a lectin; a cell nutrient-transport molecule (transferrin); and a small molecular inhibitor, prostate-specific membrane antigen (PSMA) inhibitor and small molecular tyrosine kinase inhibitors (TKI); peptides, or peptide analogs, proteins including conjugated proteins that are able to bind targeted cells; a non-peptides or any other cell binding molecule or substance in forms of bioactive polymer, bioactive dendrimer, nanoparticle, liposome, or viral capsid, or wherein the cell binding agent (CBA) is an antibody, an antibody fragment, a diabody, a tri(a)body, an epidermal growth factor (EGF), a prostate specific membrane antigen (PSMA) inhibitor, a melanocyte stimulating hormone (MSH), a thyroid stimulating hormone (TSH), a polyclonal antibody, a somatostatin, a folate, a matriptase inhibitor, an estrogen, an estrogen analogue, a designed ankyrin repeat proteins (DARPin), an androgen, and an androgen analogue.

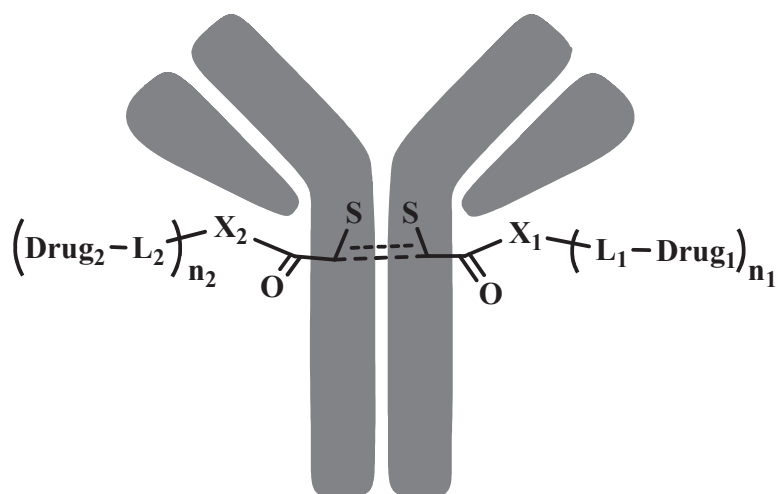
123. The compound of any one of Claims 1 to 98, wherein the linker L is composed of one or more linker components of 6-maleimidocaproyl ("MC"), maleimidopropanoyl ("MP"), valine-citrulline ("val-cit" or "vc"), alanine-phenylalanine ("ala-phe" or "af"), glycine-glycine, a nature peptides containing up to 6 the same or different natural amino acids (dipeptide, tripeptide, tetrapeptide, pentapeptide, hexapeptide), p-aminobenzyloxycarbonyl ("PAB"), N-succinimidyl 4-(2-pyridylthio)pentanoate ("SPP"), N-succinimidyl 4-(N-maleimidomethyl)cyclohexane-1-carboxylate ("SMCC"), N-Succinimidyl (4-iodo-acetyl)aminobenzoate ("SIAB"), ethyleneoxy (-CH<sub>2</sub>CH<sub>2</sub>O-) as one or up to 100 repeating units ("EO" or "PEO"), or one or more components that are illustrated below:



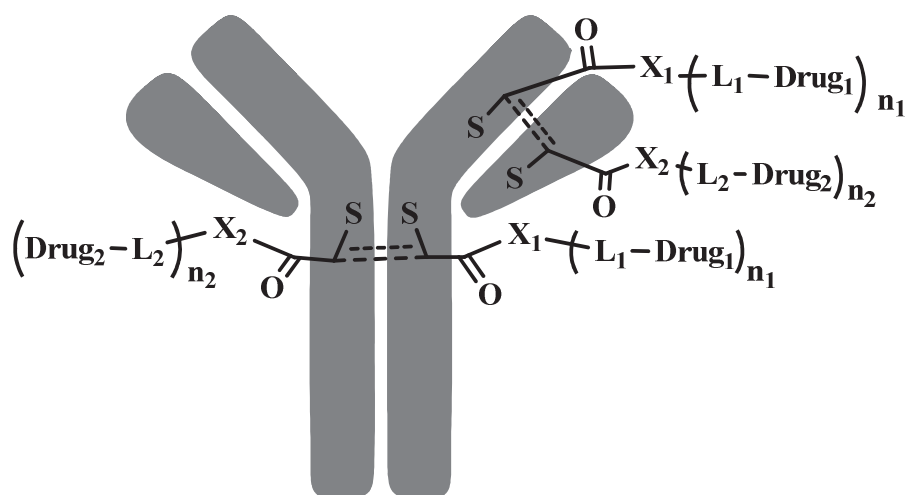
alkylene-, -- C<sub>1</sub>~C<sub>7</sub> carbocyclo-, -O-(C<sub>1</sub>~C<sub>8</sub> alkyl)-, -NH-(C<sub>1</sub>~C<sub>8</sub> alkyl)-, -arylene-, -C<sub>1</sub>~C<sub>8</sub> alkylene-arylene-, -arylene-, -C<sub>1</sub>~C<sub>8</sub> alkylene-, -C<sub>1</sub>~C<sub>8</sub> alkylene-(C<sub>1</sub>~C<sub>8</sub> carbocyclo)-, -(C<sub>3</sub>~C<sub>7</sub> carbocyclo)-C<sub>1</sub>~C<sub>8</sub> alkylene-, -C<sub>3</sub>~C<sub>8</sub> heterocyclo-, -C<sub>1</sub>~C<sub>8</sub> alkylene-(C<sub>3</sub>~C<sub>8</sub> heterocyclo)-, -(C<sub>3</sub>~C<sub>8</sub> heterocyclo)-C<sub>1</sub>~C<sub>9</sub> alkylene-, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>k</sub>-, -(CH(CH<sub>3</sub>)CH<sub>2</sub>O)<sub>k</sub>-, and -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>k</sub>-CH<sub>2</sub>-; k is an integer ranging from 1-50; and X''', Y''' and Z''' are independently selected from NH, O or S.

134. The compound according to any one of Claims 1 to 912, wherein the compound according to any one of Claims 1 to 13 is conjugated to an IgG antibody through a bridge linker to a pair of thiols of an IgG antibody, and having any one of the following specific conjugation structures of (III-1), (III-2), (III-3), (III-4), (III-5), (III-6), (III-7), (III-8), (III-9), (III-10), (III-11), or (III-12):

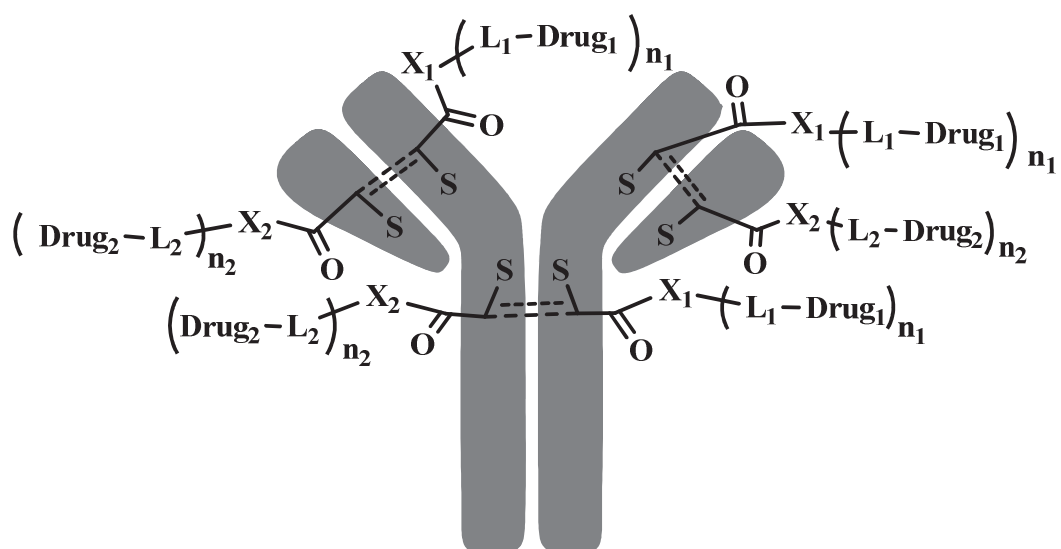




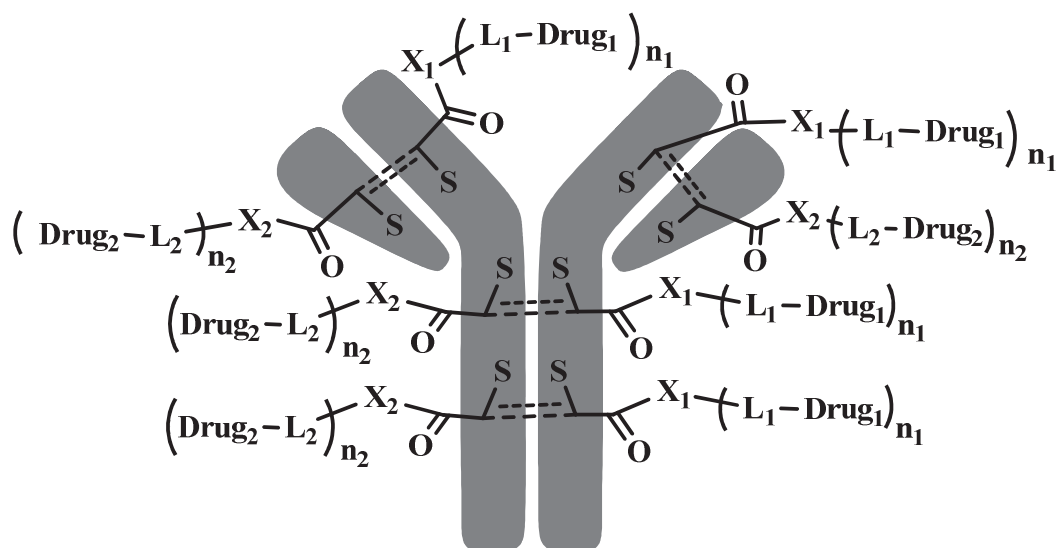
(III-3),



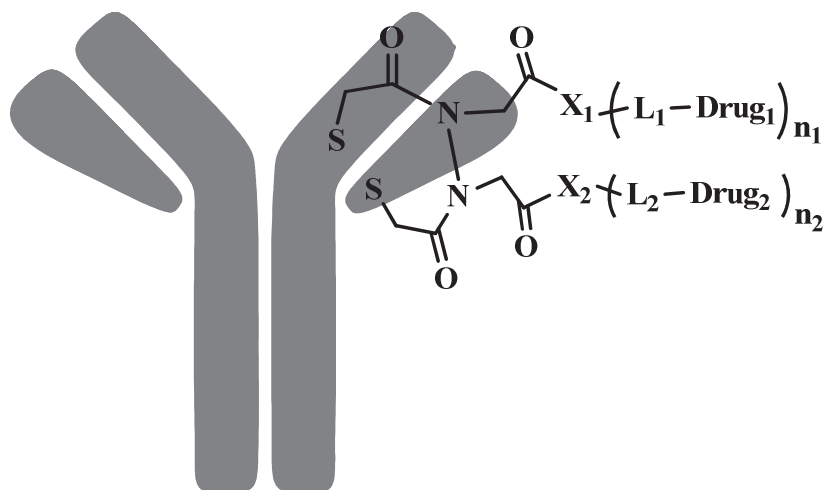
(III-4),



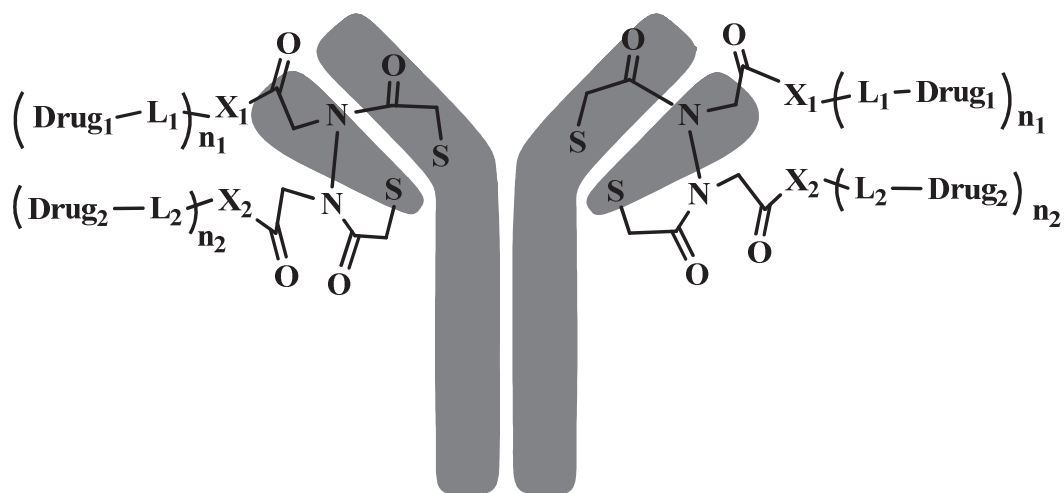
(III-5),



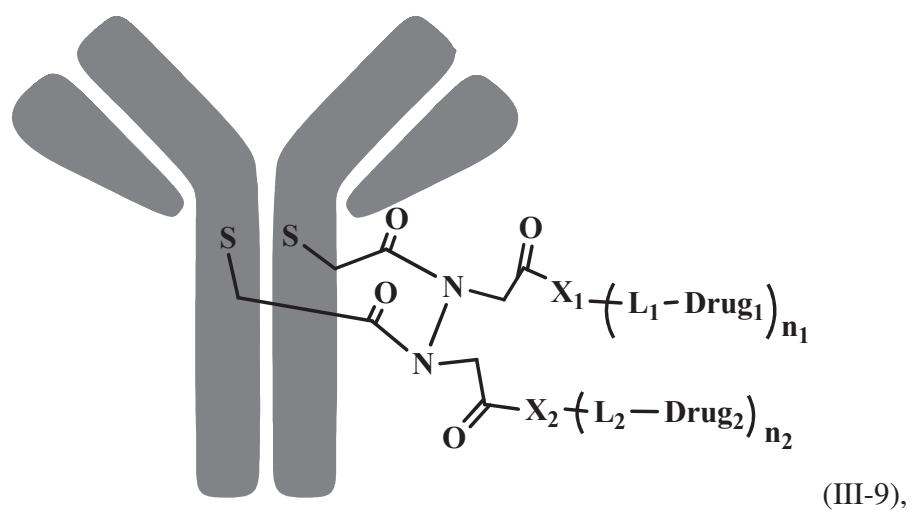
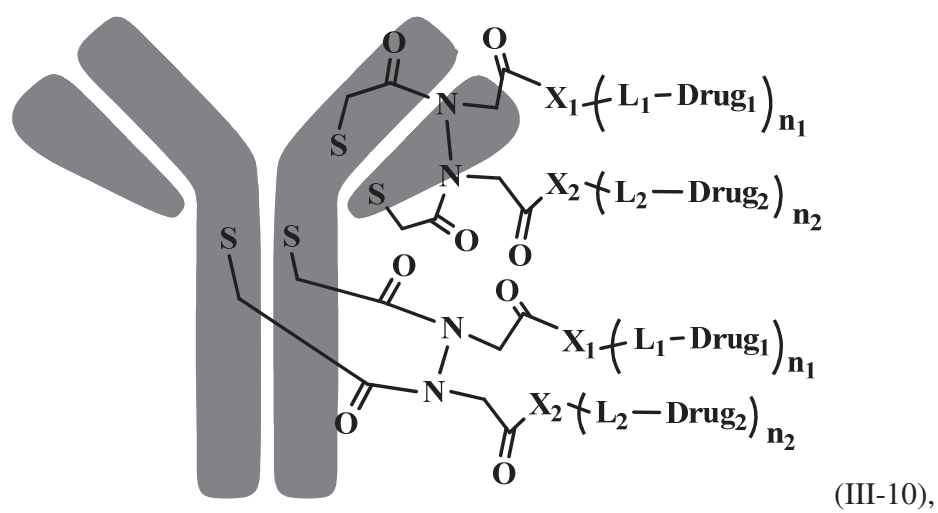
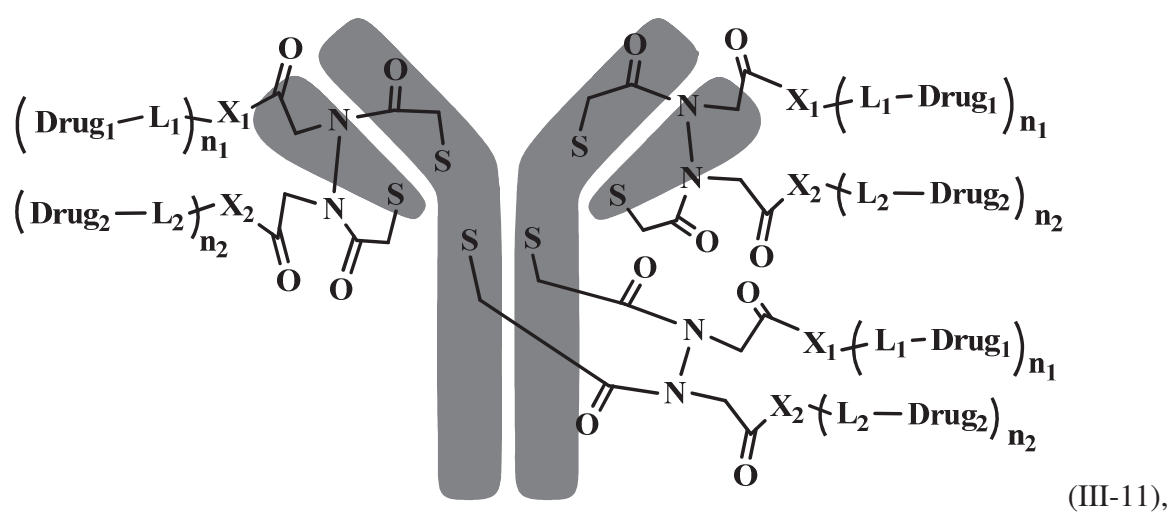
(III-6),

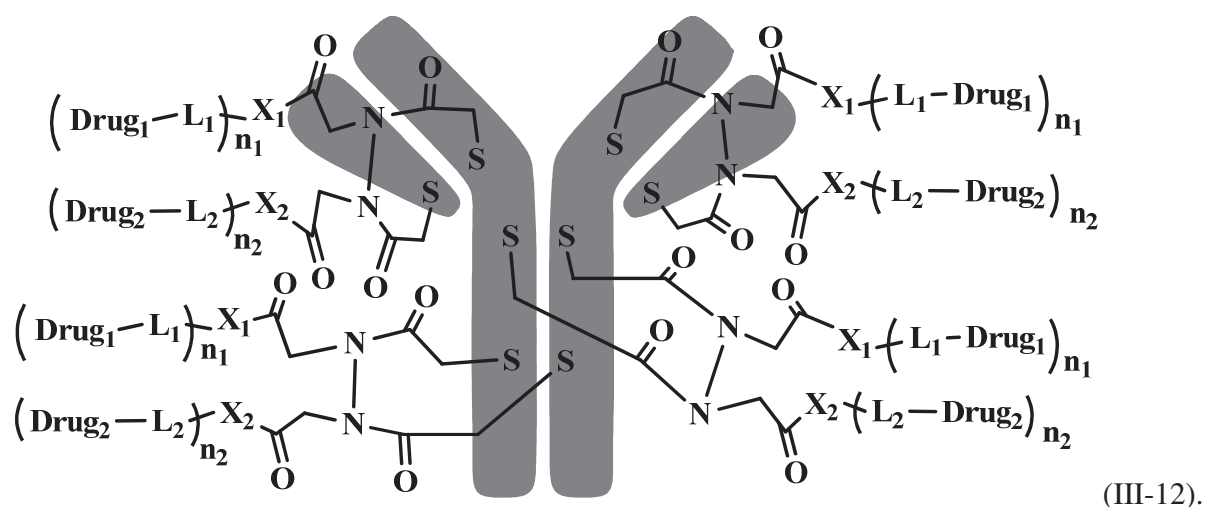


(III-7),



(III-8),



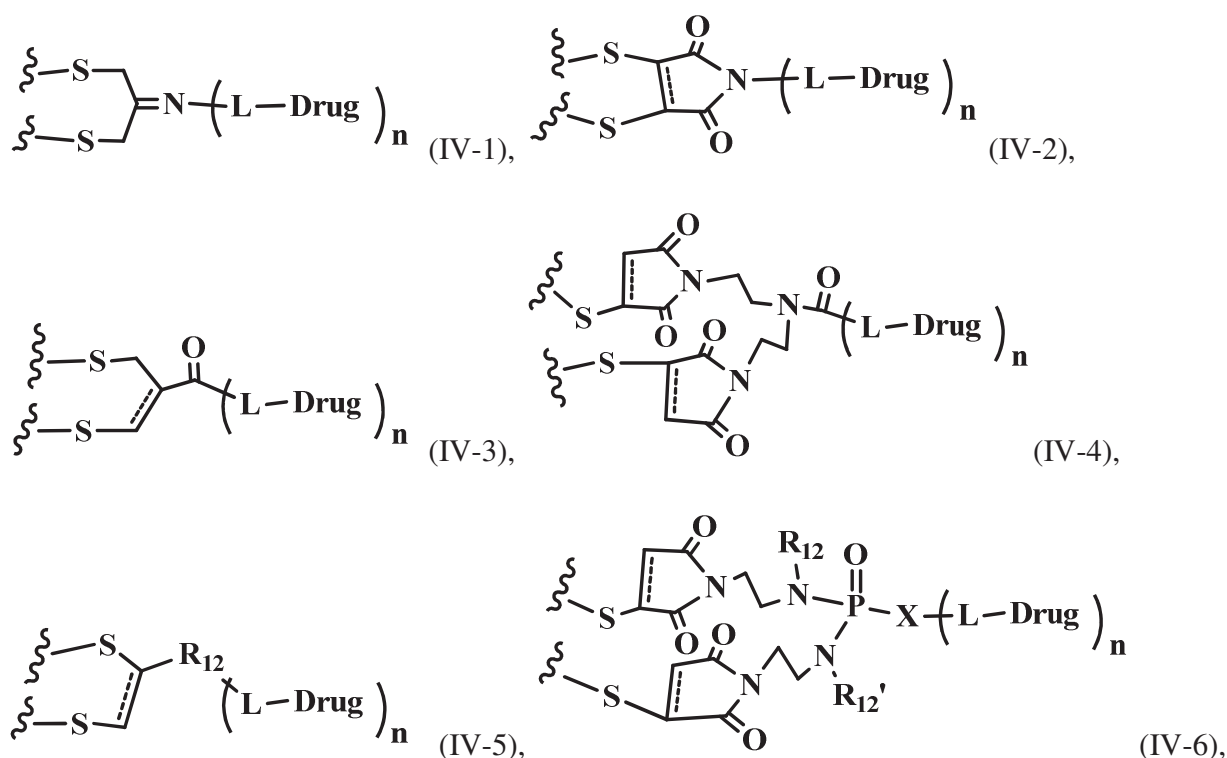


wherein the bold structure  is an IgG antibody; “**=====**” represents either a single bond or a double bond; L<sub>1</sub> and L<sub>2</sub> are, the same or different, independently defined the same as L in Claim 1; X<sub>1</sub> and X<sub>2</sub>, are, the same or different, independently selected from NH, N(R<sub>1</sub>), O, S, CH<sub>2</sub>, or Ar, wherein R<sub>1</sub> is C<sub>1</sub>-C<sub>6</sub> alkyl and Ar is aromatic or heteroaromatic ring; wherein Drug<sub>1</sub> and Drug<sub>2</sub> are the same or different, the derivative of the amanita toxins of any one of Claims 1 to 98, ; or wherein either Drug<sub>1</sub> or Drug<sub>2</sub> is absent, but Drug<sub>1</sub> and Drug<sub>2</sub> are not absent at the same time, or wherein any single one of either Drug<sub>1</sub> or Drug<sub>2</sub> is the derivative of the amanita toxin of any one of Claims 1 to 98, the other one of either Drug<sub>1</sub> or Drug<sub>2</sub> can be selected from (OCH<sub>2</sub>CH<sub>2</sub>)<sub>r</sub>OR<sub>10</sub>, or (OCH<sub>2</sub>CH(CH<sub>3</sub>))<sub>p</sub>OR<sub>10</sub>, or NH(CH<sub>2</sub>CH<sub>2</sub>O)<sub>p</sub>R<sub>10</sub>, or NH(CH<sub>2</sub>CH(CH<sub>3</sub>)O)<sub>p</sub>R<sub>10</sub>, or N[(CH<sub>2</sub>CH<sub>2</sub>O)<sub>p</sub>R<sub>10</sub>][(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>R<sub>5</sub>], or (OCH<sub>2</sub>CH<sub>2</sub>)<sub>p</sub>COOR<sub>10</sub>, or CH<sub>2</sub>CH<sub>2</sub>(OCH<sub>2</sub>CH<sub>2</sub>)<sub>p</sub>COOR<sub>10</sub>, wherein p, r, R<sub>10</sub> are defined as in Claim 1, or wherein, both Drug<sub>2</sub> and L<sub>2</sub> can be absent when Drug<sub>1</sub> is not absent, thus X<sub>2</sub> is NH<sub>2</sub> or OH.

145. The compound according to Claim 143, wherein the compound is conjugated to the IgG antibody through a bridge linker to a pair of thiols of an IgG antibody via a bridge linker specifically at the pair of thiols (through reduction of the disulfide bonds) between the light chain and heavy chain, or/and the upper disulfide bonds between the two heavy chains, or/and the lower disulfide bonds between the two heavy chains.

165. The compound according to Claim 143 or 154, wherein the bold structure  is an IgG1, IgG2, IgG3 or IgG4 antibody.

167. The compound according to any one of Claims 1 to 98, ~~wherein the compound of any one~~  
 5 ~~of and~~ Claims 110 to 131, wherein the compound is conjugated to an IgG antibody through a bridge linker, wherein the bridge linker has any one of the following linkage structures of (IV-1), (IV-2), (IV-3), (IV-4), (IV-5), and (IV-6):



wherein “ $\equiv$ ” represents either a single bond or a double bond; Drug is the derivative of Amanita toxin of Formula (I) of claim 1, (Ia) of claim 87, (Ib) of claim 78, (Ic) of claim 87 or (Id) of claim 87;  $\xi$ — represents the sites on an antibody; L, X, n and R<sub>12</sub> are defined the same as in Formula (I) in claim 1.

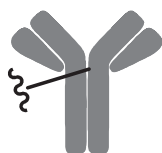
178. The compound of any of claims 132 to 176, wherein the pairs of thiols are reduced by one or more reducing agent selected from dithiothreitol (DTT), dithioerythritol (DTE), L-glutathione

(GSH), tris (2-carboxyethyl) phosphine (TCEP), 2-mercaptoethylamine ( $\beta$ -MEA), or/and beta mercaptoethanol ( $\beta$ -ME, 2-ME).

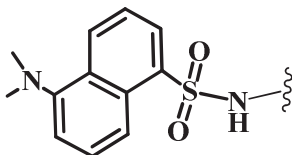
189. The compound according to Claim 187, wherein the reducing agent is loaded or covalently bonded to a solid polymer or a solid particle, wherein the polymer or the particle is selected from polyethene, polyacrylate, silican, crossed-linked silica (2-mercaptoethyl)silica, (aminoethyl)silica, (aminopropyl)silica), polyethylene terephthalate, polyethylene glycol, polystyrene, poly(isopropyl acrylate), dextrans, isopropylacrylamide butyl methacrylate copolymer, and polysaccharide polymer.

1920. The compound according to Claim 143, wherein any single one of either Drug<sub>1</sub> or Drug<sub>2</sub> of Formula (III-1), (III-2), (III-3), (III-4), (III-5), (III-6), (III-7), (III-8), (III-9), (III-10), (III-11), or (III-12) is the derivative of the Amanita toxin of any one of Claims 1 to 79, and the other one of either Drug<sub>1</sub> or Drug<sub>2</sub> is selected from a protein, an antibody, a chromophore molecule, a tubulysin derivative, a maytansinoid, a taxanoid (taxane), a CC-1065 analog, a daunorubicin or doxorubicin compound, a benzodiazepine dimer (e.g., dimers of pyrrolobenzodiazepine (PBD), tomaymycin, anthramycin, indolinobenzodiazepines, imidazobenzothiadiazepines, or oxazolidinobenzodiazepines), a calicheamicin, a dolastatin or auristatin derivative (monomethyl auristatin E, MMAE, MMAF, auristatin PYE, auristatin TP, Auristatins 2-AQ, 6-AQ, EB (AEB), and EFP (AEFP)), a duocarmycin, a siRNA, or an enzyme.

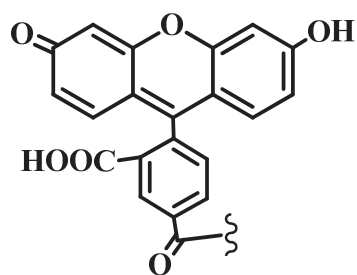
2024. The compound according to Claim 2019, wherein the structure of the function molecules or cytotoxic drugs are illustrated below:



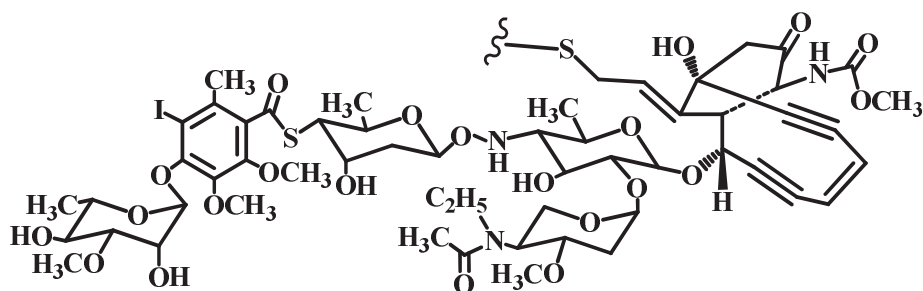
(V-1, an antibody),



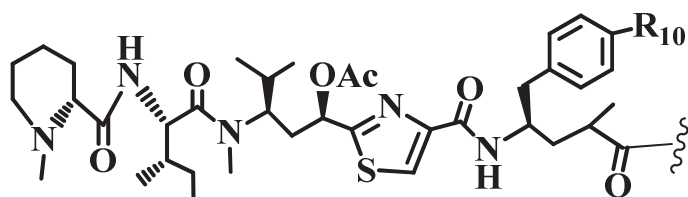
(V-2, a chromophore molecule),



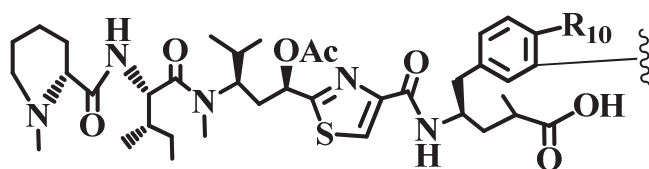
(V-3, a chromophore molecule),



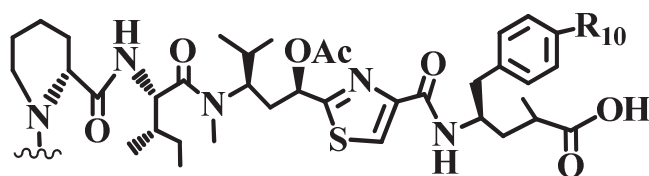
(V-4, a calicheamicin),



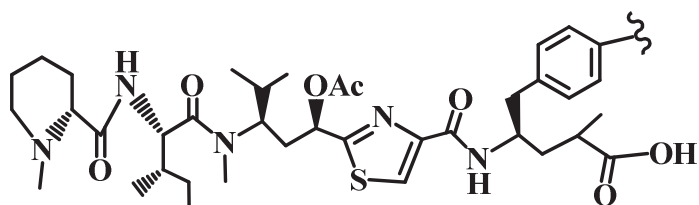
(V-5, a tubulysin derivative),



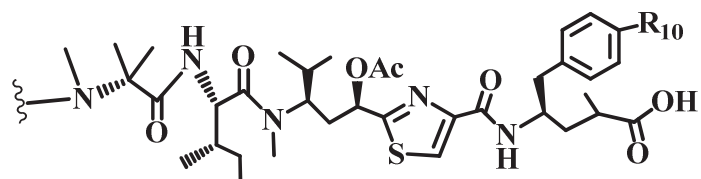
(V-6, a tubulysin derivative),



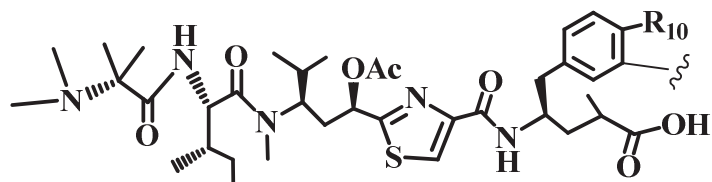
(V-7, a tubulysin derivative),



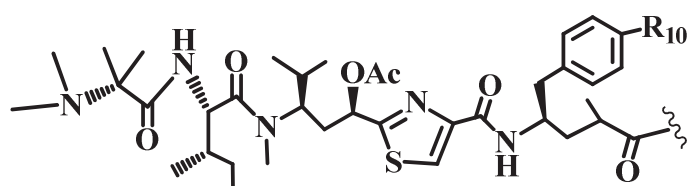
(V-8, a tubulysin derivative),



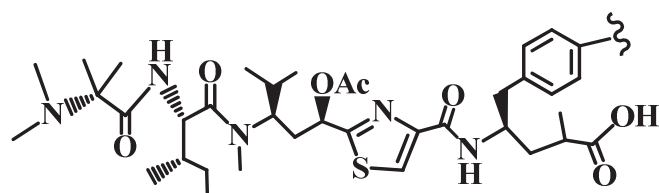
(V-9, a tubulysin derivative),



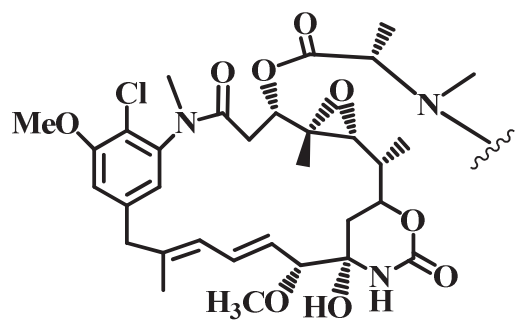
(V-10, a tubulysin derivative),



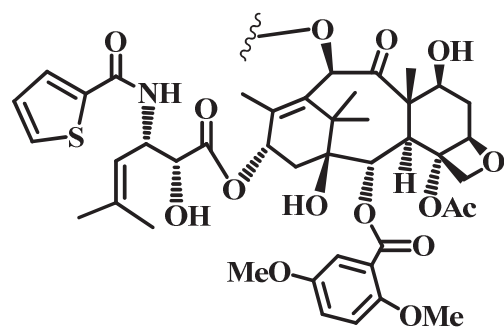
(V-11, a tubulysin derivative),



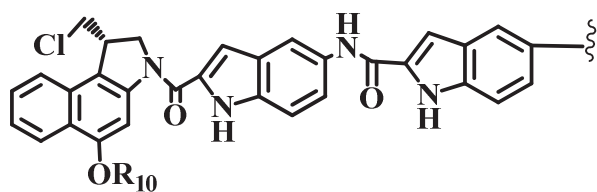
(V-12, a tubulysin derivative),



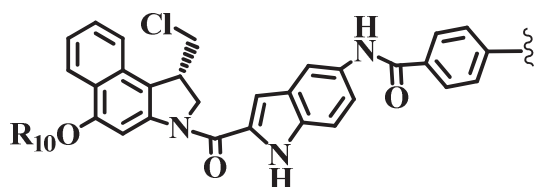
(V-13, a maytansinoid),



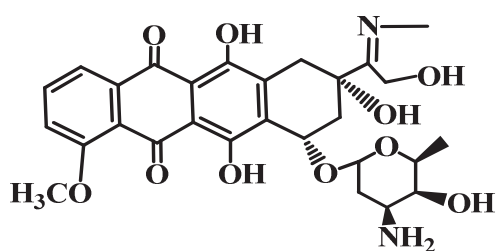
(V-14, a taxanoid),



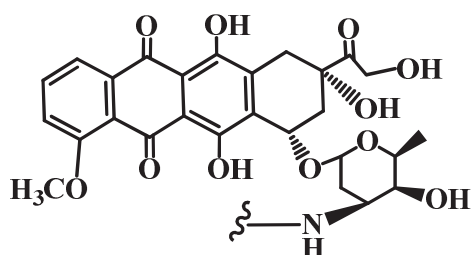
(V-15, a CC-1065 analog),



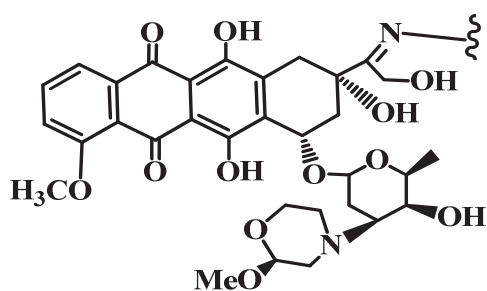
(V-16, a duocarmycin analog),



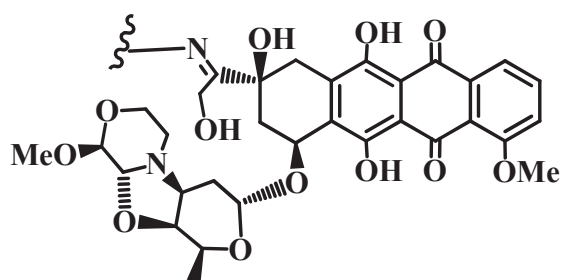
(V-17, a daunorubicin compound),



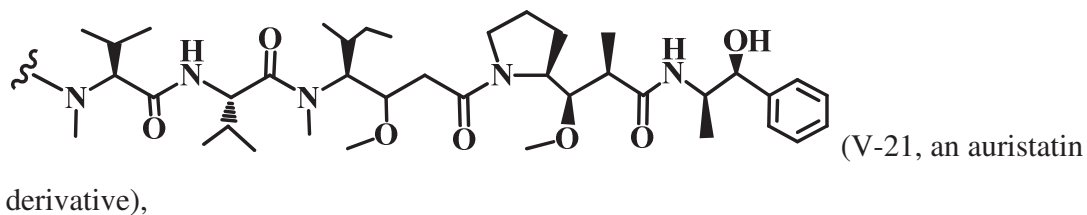
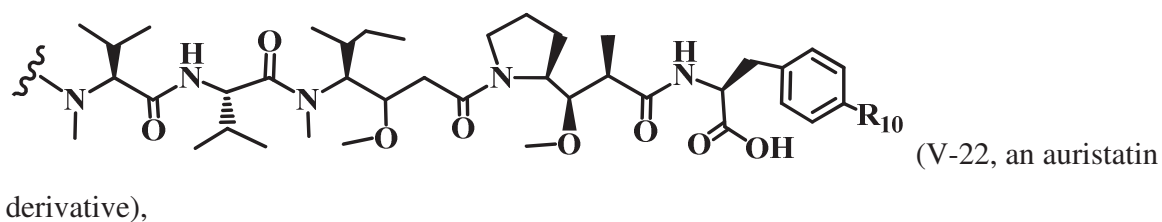
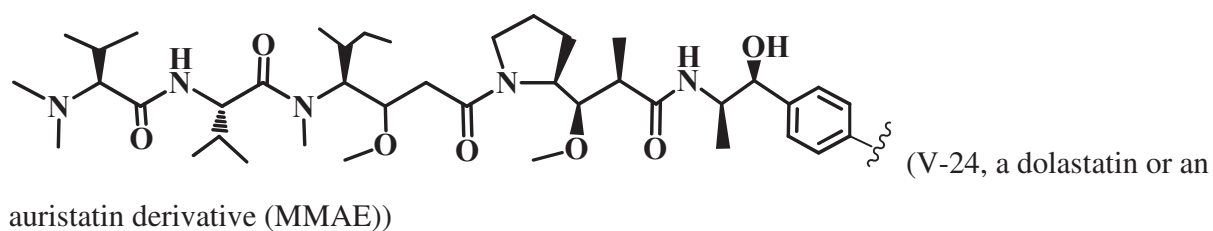
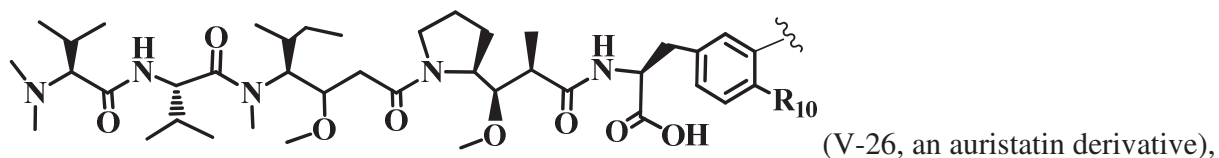
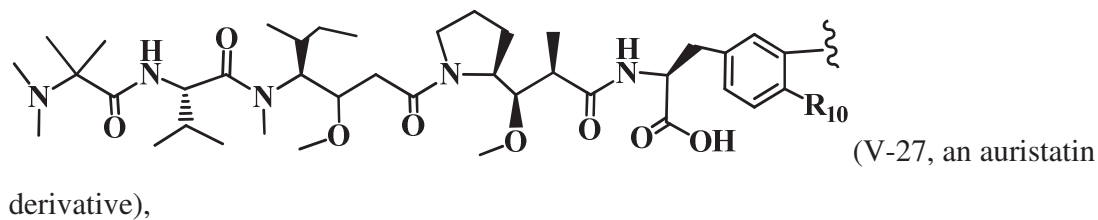
(V-18, a doxorubicin compound),

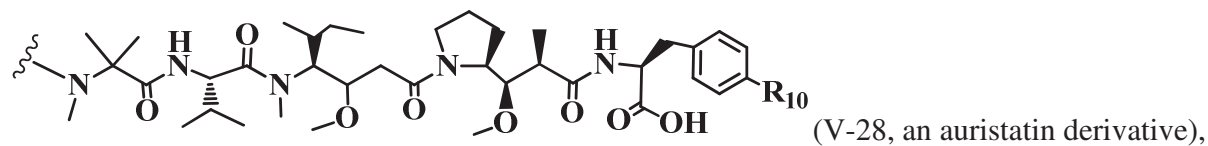
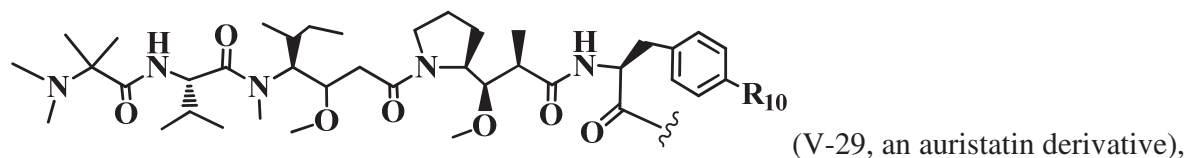
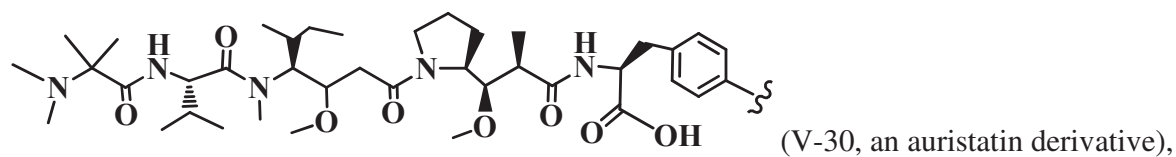
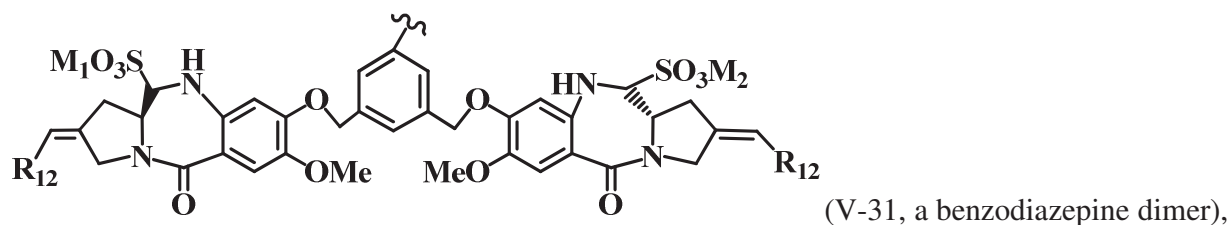
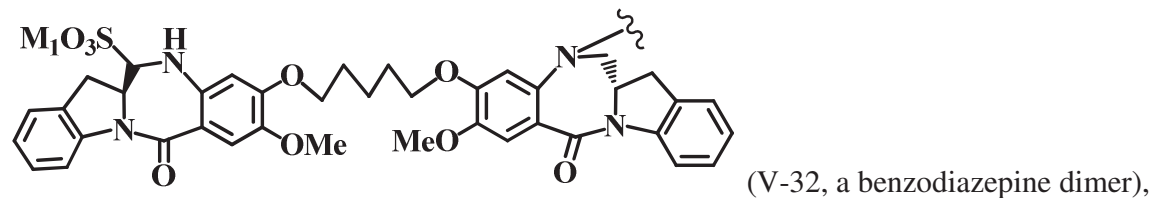
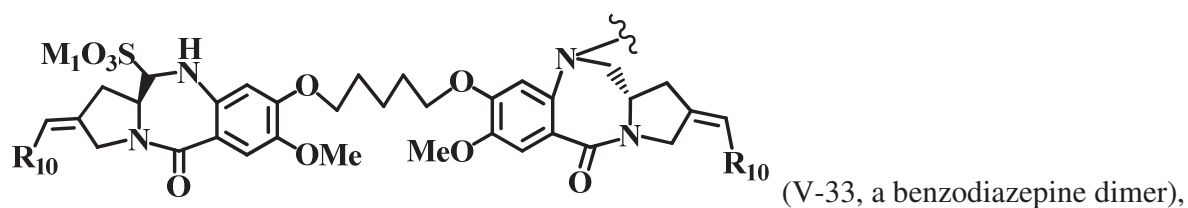
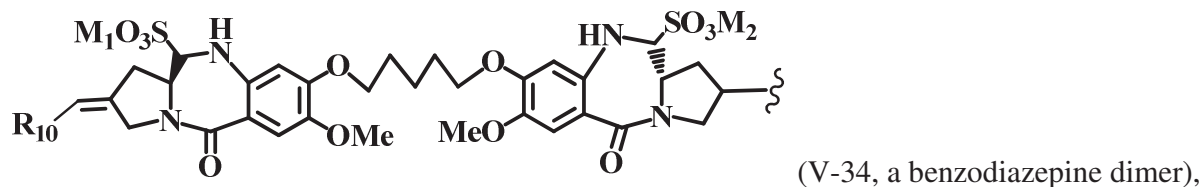
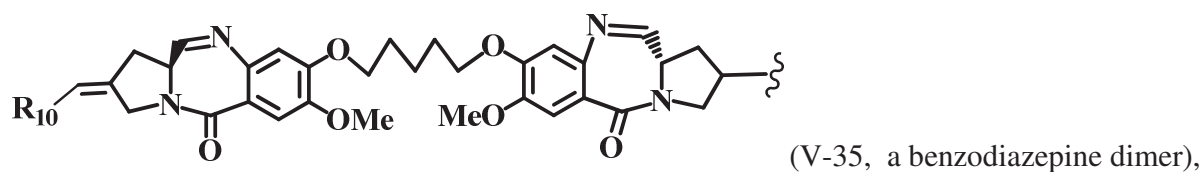


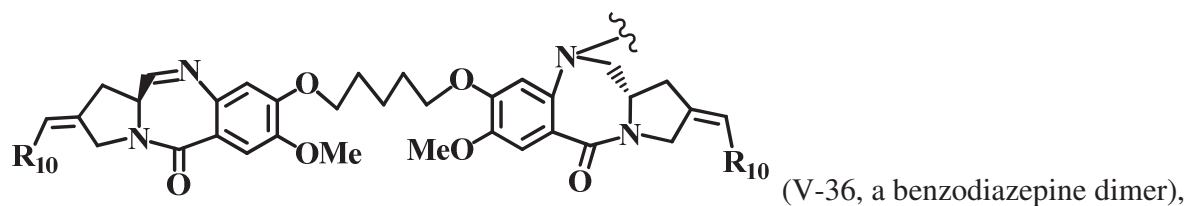
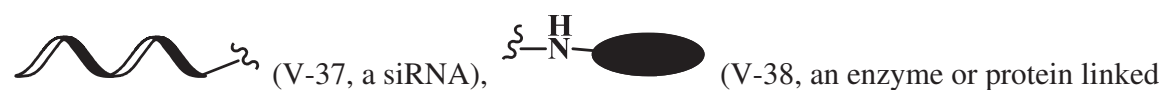
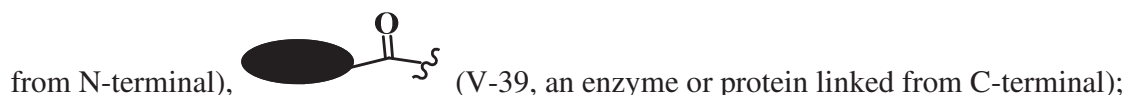
(V-19, a daunorubicin compound),



(V-20, a daunorubicin or doxorubicin compound),







wherein  $M_1$ ,  $M_2$ ,  $R_{10}$  and  $R_{12}$  are defined as in Claim 1 and  is the site to link either linker  $L_1$  or linker  $L_2$  as defined in Claim 183.

221. A pharmaceutical composition comprising a therapeutically effective amount of a compound of any one of Claims 1 to 97, or 142 to 219, and a pharmaceutically acceptable excipient selected from one or more components of 0.002% -1% of polysorbate or sodium lauryl sulfate, triton X-100; and/or 0.01% ~ 10% of binders, and 0.01% ~10% of pharmaceutical buffering agents at a certain pH in the range of pH 4.5 -9.5.

232. A compound according to any one of Claims 1 to 98, or 143 to 221 for use in: (a) a therapeutic method of inhibiting abnormal cell growth; (b) treating a proliferative disorder in a mammal; or (c) a therapeutic method of targeting cells selected from tumor cells; virus infected cells; microorganism infected cells; parasite infected cells; autoimmune cells; activated cells; myeloid cells; activated T-cells, B cells, or melanocytes; or cells expressing the antigen of CD3, CD4, CD5, CD6, CD7, CD8, CD9, CD10, CD11a, CD11b, CD11c, CD12w, CD14, CD15, CD16, CDw17, CD18, CD19, CD20, CD21, CD22, CD23, CD24, CD25, CD26, CD27, CD28, CD29, CD30, CD31, CD32, CD33, CD34, CD35, CD36, CD37, CD38, CD39, CD40, CD41, CD42, CD43, CD44, CD45, CD46, CD47, CD48, CD49b, CD49c, CD51, CD52, CD53, CD54, CD55, CD56, CD58, CD59, CD61, CD62E, CD62L, CD62P, CD63, CD66, CD68, CD69, CD70, CD72, CD74, CD79, CD79a, CD79b, CD80, CD81, CD82, CD83, CD86, CD87, CD88, CD89, CD90, CD91, CD95, CD96, CD98, CD100, CD103, CD105, CD106, CD109, CD117, CD120, CD125, CD126, CD127, CD133, CD134, CD135, CD137, CD138, CD141, CD142, CD143, CD144, CD147, CD151, CD147, CD152, CD154, CD156, CD158, CD163, CD166, .CD168,

CD174, CD180, CD184, CDw186, CD194, CD195, CD200, CD200a, CD200b, CD209, CD221,  
 CD227, CD235a, CD240, CD262, CD271, CD274, CD276 (B7-H3), CD303, CD304, CD309,  
 CD326, 4-1BB, 5AC, 5T4 (Trophoblast glycoprotein, TPBG, 5T4, Wnt-Activated Inhibitory  
 Factor 1 or WAIF1), Adenocarcinoma antigen, AGS-5, AGS-22M6, Activin receptor-like kinase  
 1, AFP, AKAP-4, ALK, Alpha intergrin, Alpha v beta6, Amino-peptidase N, Amyloid beta,  
 5 Androgen receptor, Angiopoietin 2, Angiopoietin 3, Annexin A1, Anthrax toxin protective  
 antigen, Anti-transferrin receptor, AOC3 (VAP-1), B7-H3, Bacillus anthracis anthrax, BAFF (B-  
 cell activating factor), BCMA, B-lymphoma cell, bcr-abl, Bombesin, BORIS, C5, C242 antigen,  
 CA125 (carbohydrate antigen 125, MUC16), CA-IX (or CAIX, carbonic anhydrase 9), CALLA,  
 10 CanAg, Canis lupus familiaris IL31, Carbonic anhydrase IX, Cardiac myosin, CCL11(C-C motif  
 chemokine 11), CCR4 (C-C chemokine receptor type 4, CD194), CCR5, CD3E (epsilon), CEA  
 (Carcinoembryonic antigen), CEACAM3, CEACAM5 (carcino-embryonic antigen), CFD  
 (Factor D), Ch4D5, Cholecystokinin 2 (CCK2R), CLDN18 (Claudin-18), Clumping factor A,  
 cMet, CRIPTO, FCSF1R (Colony stimulating factor 1 receptor, CD115), CSF2 (colony  
 15 stimulating factor 2, Granulocyte-macrophage colony-stimulating factor (GM-CSF)), CSP4,  
 CTLA4 (cytotoxic T-lymphocyte-associated protein 4), CTAA16.88 tumor antigen, CXCR4  
 (CD184), C-X-C chemokine receptor type 4, cyclic ADP ribose hydrolase, Cyclin B1, CYP1B1,  
 Cytomegalovirus, Cytomegalovirus glycoprotein B, Dabigatran, DLL4 (delta-like-ligand 4),  
 DPP4 (Dipeptidyl-peptidase 4), DR5 (Death receptor 5), E. coli shiga toxin type-1, E. coli shiga  
 20 toxin type-2, ED-B, EGFL7 (EGF-like domain-containing protein 7), EGFR, EGFRII, EGFRvIII,  
 Endoglin (CD105), Endothelin B receptor, Endotoxin, EpCAM (epithelial cell adhesion  
 molecule), EphA2, Episialin, ERBB2 (Epidermal Growth Factor Receptor 2), ERBB3, ERG  
 (TMPRSS2 ETS fusion gene), Escherichia coli, ETV6-AML, FAP (Fibroblast activation protein  
 alpha), FCGR1, alpha-Fetoprotein, Fibrin II, beta chain, Fibronectin extra domain-B, FOLR  
 25 (folate receptor), Folate receptor alpha, Folate hydrolase, Fos-related antigen 1F protein of  
 respiratory syncytial virus, Frizzled receptor, Fucosyl GM1, GD2 ganglioside, G-28 (a cell  
 surface antigen glycolipid), GD3 idio type, GloboH, Glypican 3, N-glycolylneuraminic acid,  
 GM3, GMCSF receptor  $\alpha$ -chain, Growth differentiation factor 8, GP100, GPNMB (Trans-  
 membrane glycoprotein NMB), GUCY2C (Guanylate cyclase 2C, guanylyl cyclase C(GC-  
 30 C), intestinal Guanylate cyclase, Guanylate cyclase-C receptor, Heat-stable enterotoxin  
 receptor (hSTAR)), Heat shock proteins, Hemagglutinin, Hepatitis B surface antigen, Hepatitis  
 B virus, HER1 (human epidermal growth factor receptor 1), HER2, HER2/neu, HER3 (ERBB-

3), IgG4, HGF/SF (Hepatocyte growth factor/scatter factor), HHGFR, HIV-1, Histone complex, HLA-DR (human leukocyte antigen), HLA-DR10, HLA-DRB , HMWMAA, Human chorionic gonadotropin, HNGF, Human scatter factor receptor kinase, HPV E6/E7, Hsp90, hTERT, ICAM-1 (Intercellular Adhesion Molecule 1), Idiotype, IGF1R (IGF-1, insulin-like growth factor 1 receptor), IGHE, IFN- $\gamma$ , Influenza hemagglutinin, IgE, IgE Fc region, IGHE, IL-1, IL-2 5 receptor (interleukin 2 receptor), IL-4, IL-5, IL-6, IL-6R (interleukin 6 receptor), IL-9, IL-10, IL-12, IL-13, IL-17, IL-17A, IL-20, IL-22, IL-23, IL31RA, ILGF2 (Insulin-like growth factor 2), Integrins ( $\alpha 4$ ,  $\alpha_{IIb}\beta 3$ ,  $\alpha v\beta 3$ ,  $\alpha 4\beta 7$ ,  $\alpha 5\beta 1$ ,  $\alpha 6\beta 4$ ,  $\alpha 7\beta 7$ ,  $\alpha_{IIb}\beta 3$ ,  $\alpha 5\beta 5$ ,  $\alpha v\beta 5$ ), Interferon gamma-induced protein, ITGA2, ITGB2, KIR2D, Kappa Ig, LCK, Le, Legumain, Lewis-Y antigen, 10 LFA-1 (Lymphocyte function-associated antigen 1, CD11a), LHRH, LINGO-1, Lipoteichoic acid, LIV1A, LMP2, LTA, MAD-CT-1, MAD-CT-2, MAGE-1, MAGE-2, MAGE-3, MAGE A1, MAGE A3, MAGE 4, MART1, MCP-1, MIF (Macrophage migration inhibitory factor, or glycosylation-inhibiting factor (GIF)), MS4A1 (membrane-spanning 4-domains subfamily A member 1), MSLN (mesothelin), MUC1(Mucin 1, cell surface associated (MUC1) or 15 polymorphic epithelial mucin (PEM)), MUC1-KLH, MUC16 (CA125), MCP1(monocyte chemotactic protein 1), MelanA/MART1, ML-IAP, MPG, MS4A1 (membrane-spanning 4-domains subfamily A), MYCN, Myelin-associated glycoprotein, Myostatin, NA17, NARP-1, NCA-90 (granulocyte antigen), Nectin-4 (ASG-22ME), NGF, Neural apoptosis-regulated proteinase 1, NOGO-A, Notch receptor, Nucleolin, Neu oncogene product, NY-BR-1, NY-ESO- 20 1, OX-40, OxLDL (Oxidized low-density lipoprotein), OY-TES1, P21, p53 nonmutant, P97, Page4, PAP, Paratope of anti-(N-glycolylneuraminic acid), PAX3, PAX5, PCSK9, PDCD1 (PD-1, Programmed cell death protein 1,CD279), PDGF-R $\alpha$  (Alpha-type platelet-derived growth factor receptor ), PDGFR- $\beta$ , PDL-1, PLAC1, PLAP-like testicular alkaline phosphatase, Platelet-derived growth factor receptor beta, Phosphate-sodium co-transporter, PMEL 17, Polysialic acid, 25 Proteinase3 (PR1), Prostatic carcinoma, PS (Phosphatidylserine), Prostatic carcinoma cells, Pseudomonas aeruginosa, PSMA, PSA, PSCA, Rabies virus glycoprotein, RHD (Rh polypeptide 1 (RhPI), CD240), Rhesus factor, RANKL, RhoC, Ras mutant, RGS5, ROBO4, Respiratory syncytial virus, RON, ROR1, Sarcoma translocation breakpoints, SART3, Sclerostin, SLAMF7 (SLAM family member 7), Selectin P, SDC1 (Syndecan 1), sLe(a), Somatomedin C, SIP 30 (Sphingosine-1-phosphate), Somatostatin, Sperm protein 17, SSX2, STEAP1 (six-transmembrane epithelial antigen of the prostate 1), STEAP2, STn, TAG-72 (tumor associated glycoprotein 72), Survivin, T-cell receptor, T cell transmembrane protein, TEM1 (Tumor

endothelial marker 1), TENB2, Tenascin C (TN-C), TGF- $\alpha$ , TGF- $\beta$  (Transforming growth factor beta), TGF- $\beta$ 1, TGF- $\beta$ 2 (Transforming growth factor-beta 2), Tie (CD202b), Tie2, TIM-1 (CDX-014), Tn, TNF, TNF- $\alpha$ , TNFRSF8, TNFRSF10B (tumor necrosis factor receptor superfamily member 10B), TNFRSF-13B (tumor necrosis factor receptor superfamily member 13B), TPBG (trophoblast glycoprotein), TRAIL-R1 (Tumor necrosis apoptosis Inducing ligand Receptor 1), TRAILR2 (Death receptor 5 (DR5)), tumor-associated calcium signal transducer 2, tumor specific glycosylation of MUC1, TWEAK receptor, TYRP1(glycoprotein 75), TRP-2, Tyrosinase, VCAM-1 (CD106), VEGF, VEGF-A, VEGF-2 (CD309), VEGFR-1, VEGFR2, or vimentin, WT1, XAGE 1, or cells expressing any insulin growth factor receptors, or any epidermal growth factor receptors.

234. The compound for use of claim 232, wherein the proliferative disorder is selected from cancers, benign or malignant tumors; leukemia and lymphoid malignancies; neuronal, glial, astrocytal, hypothalamic, glandular, macrophagal, epithelial, stromal, blastocoelic, angiogenic and immunologic disorders; inflammatory; autoimmune disorders; destructive disorders; bone disorder; infectious disease; viral disease; fibrotic disease; neurodegenerative disorder; pancreatitis or kidney disease; in a mammal.

254. A non-therapeutic use of a compound according to any one of Claims 1 to 98, or 143 to 221 for (a) inhibiting abnormal cell growth; or (b) targeting cells selected from tumor cells; virus infected cells; microorganism infected cells; parasite infected cells; autoimmune cells; activated cells; myeloid cells; activated T-cells, B cells, or melanocytes; or cells expressing the antigen of CD3, CD4, CD5, CD6, CD7, CD8, CD9, CD10, CD11a, CD11b, CD11c, CD12w, CD14, CD15, CD16, CDw17, CD18, CD19, CD20, CD21, CD22, CD23, CD24, CD25, CD26, CD27, CD28, CD29, CD30, CD31, CD32, CD33, CD34, CD35, CD36, CD37, CD38, CD39, CD40, CD41, CD42, CD43, CD44, CD45, CD46, CD47, CD48, CD49b, CD49c, CD51, CD52, CD53, CD54, CD55, CD56, CD58, CD59, CD61, CD62E, CD62L, CD62P, CD63, CD66, CD68, CD69, CD70, CD72, CD74, CD79, CD79a, CD79b, CD80, CD81, CD82, CD83, CD86, CD87, CD88, CD89, CD90, CD91, CD95, CD96, CD98, CD100, CD103, CD105, CD106, CD109, CD117, CD120, CD125, CD126, CD127, CD133, CD134, CD135, CD137, CD138, CD141, CD142, CD143, CD144, CD147, CD151, CD147, CD152, CD154, CD156, CD158, CD163, CD166, CD168, CD174, CD180, CD184, CDw186, CD194, CD195, CD200, CD200a, CD200b, CD209,

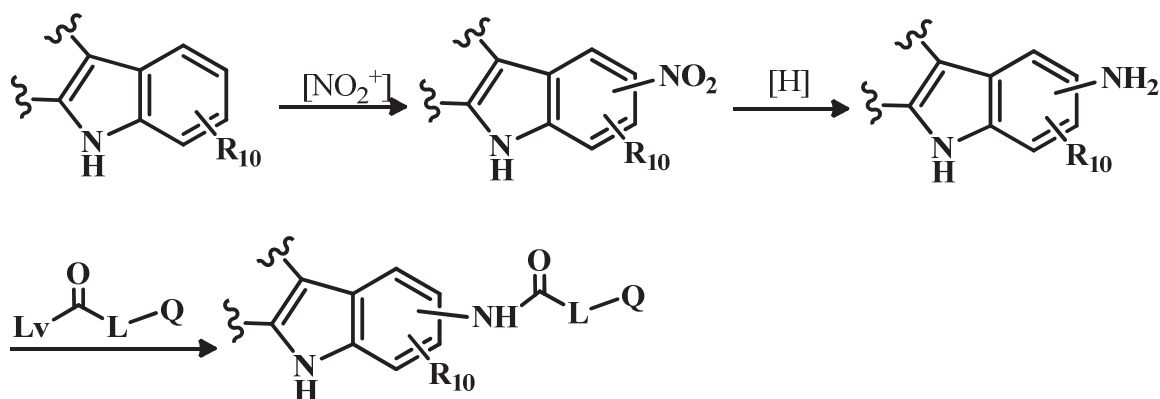
CD221, CD227, CD235a, CD240, CD262, CD271, CD274, CD276 (B7-H3), CD303, CD304,  
 CD309, CD326, 4-1BB, 5AC, 5T4 (Trophoblast glycoprotein, TPBG, 5T4, Wnt-Activated  
 Inhibitory Factor 1 or WAI1), Adenocarcinoma antigen, AGS-5, AGS-22M6, Activin receptor-  
 like kinase 1, AFP, AKAP-4, ALK, Alpha intergrin, Alpha v beta6, Amino-peptidase N,  
 5 Amyloid beta, Androgen receptor, Angiopoietin 2, Angiopoietin 3, Annexin A1, Anthrax toxin  
 protective antigen, Anti-transferrin receptor, AOC3 (VAP-1), B7-H3, Bacillus anthracis anthrax,  
 BAFF (B-cell activating factor), BCMA, B-lymphoma cell, bcr-abl, Bombesin, BORIS, C5,  
 C242 antigen, CA125 (carbohydrate antigen 125, MUC16), CA-IX (or CAIX, carbonic  
 anhydrase 9), CALLA, CanAg, Canis lupus familiaris IL31, Carbonic anhydrase IX,  
 10 Cardiac myosin, CCL11(C-C motif chemokine 11), CCR4 (C-C chemokine receptor type 4,  
 CD194), CCR5, CD3E (epsilon), CEA (Carcinoembryonic antigen), CEACAM3, CEACAM5  
 (carcino-embryonic antigen), CFD (Factor D), Ch4D5, Cholecystokinin 2 (CCK2R), CLDN18  
 (Claudin-18), Clumping factor A, cMet, CRIPTO, FCSF1R (Colony stimulating factor 1 receptor,  
 CD115), CSF2 (colony stimulating factor 2, Granulocyte-macrophage colony-stimulating factor  
 15 (GM-CSF)), CSP4, CTLA4 (cytotoxic T-lymphocyte-associated protein 4), CTAA16.88 tumor  
 antigen, CXCR4 (CD184), C-X-C chemokine receptor type 4, cyclic ADP ribose hydrolase,  
 Cyclin B1, CYP1B1, Cytomegalovirus, Cytomegalovirus glycoprotein B, Dabigatran, DLL4  
 (delta-like-ligand 4), DPP4 (Dipeptidyl-peptidase 4), DR5 (Death receptor 5), E. coli shiga toxin  
 type-1, E. coli shiga toxin type-2, ED-B, EGFL7 (EGF-like domain-containing protein 7),  
 20 EGFR, EGFR2, EGFRvIII, Endoglin (CD105), Endothelin B receptor, Endotoxin, EpCAM  
 (epithelial cell adhesion molecule), EphA2, EphA2, EphA2, ERBB2 (Epidermal Growth Factor  
 Receptor 2), ERBB3, ERG (TMPRSS2 ETS fusion gene), Escherichia coli, ETV6-AML, FAP  
 (Fibroblast activation protein alpha), FCGR1, alpha-Fetoprotein, Fibrin II, beta chain,  
 Fibronectin extra domain-B, FOLR (folate receptor), Folate receptor alpha, Folate hydrolase,  
 25 Fos-related antigen 1F protein of respiratory syncytial virus, Frizzled receptor, Fucosyl GM1,  
 GD2 ganglioside, G-28 (a cell surface antigen glycolipid), GD3 idio type, GloboH, Glypican 3,  
 N-glycolylneuraminic acid, GM3, GMCSF receptor  $\alpha$ -chain, Growth differentiation factor 8,  
 GP100, GPNMB (Trans-membrane glycoprotein NMB), GUCY2C (Guanylate cyclase 2C,  
 guanylyl cyclase C(GC-C), intestinal Guanylate cyclase, Guanylate cyclase-C receptor, Heat-  
 30 stable enterotoxin receptor (hSTAR)), Heat shock proteins, Hemagglutinin, Hepatitis B surface  
 antigen, Hepatitis B virus, HER1 (human epidermal growth factor receptor 1), HER2, HER2/neu,  
 HER3 (ERBB-3), IgG4, HGF/SF (Hepatocyte growth factor/scatter factor), HHGFR, HIV-1,

Histone complex, HLA-DR (human leukocyte antigen), HLA-DR10, HLA-DRB , HMWMAA,  
 Human chorionic gonadotropin, HNGF, Human scatter factor receptor kinase, HPV E6/E7,  
 Hsp90, hTERT, ICAM-1 (Intercellular Adhesion Molecule 1), Idiotype, IGF1R (IGF-1, insulin-  
 like growth factor 1 receptor), IGHE, IFN- $\gamma$ , Influenza hemagglutinin, IgE, IgE Fc region, IGHE,  
 5 IL-1, IL-2 receptor (interleukin 2 receptor), IL-4, IL-5, IL-6, IL-6R (interleukin 6 receptor), IL-9,  
 IL-10, IL-12, IL-13, IL-17, IL-17A, IL-20, IL-22, IL-23, IL31RA, ILGF2 (Insulin-like growth  
 factor 2), Integrins ( $\alpha 4$ ,  $\alpha_{IIb}\beta 3$ ,  $\alpha v\beta 3$ ,  $\alpha 4\beta 7$ ,  $\alpha 5\beta 1$ ,  $\alpha 6\beta 4$ ,  $\alpha 7\beta 7$ ,  $\alpha_{IIb}\beta 3$ ,  $\alpha 5\beta 5$ ,  $\alpha v\beta 5$ ),  
 Interferon gamma-induced protein, ITGA2, ITGB2, KIR2D, Kappa Ig, LCK, Le, Legumain,  
 Lewis-Y antigen, LFA-1 (Lymphocyte function-associated antigen 1, CD11a), LHRH, LINGO-1,  
 10 Lipoteichoic acid, LIV1A, LMP2, LTA, MAD-CT-1, MAD-CT-2, MAGE-1, MAGE-2, MAGE-  
 3, MAGE A1, MAGE A3, MAGE 4, MART1, MCP-1, MIF (Macrophage migration inhibitory  
 factor, or glycosylation-inhibiting factor (GIF)), MS4A1 (membrane-spanning 4-domains  
 subfamily A member 1), MSLN (mesothelin), MUC1(Mucin 1, cell surface associated (MUC1)  
 or polymorphic epithelial mucin (PEM)), MUC1-KLH, MUC16 (CA125), MCP1(monocyte  
 15 chemotactic protein 1), MelanA/MART1, ML-IAP, MPG, MS4A1 (membrane-spanning 4-  
 domains subfamily A), MYCN, Myelin-associated glycoprotein, Myostatin, NA17, NARP-1,  
 NCA-90 (granulocyte antigen), Nectin-4 (ASG-22ME), NGF, Neural apoptosis-regulated  
 proteinase 1, NOGO-A, Notch receptor, Nucleolin, Neu oncogene product, NY-BR-1, NY-ESO-  
 1, OX-40, OxLDL (Oxidized low-density lipoprotein), OY-TES1, P21, p53 nonmutant, P97,  
 20 Page4, PAP, Paratope of anti-(N-glycolylneuraminic acid), PAX3, PAX5, PCSK9, PDCD1 (PD-  
 1, Programmed cell death protein 1,CD279), PDGF-R $\alpha$  (Alpha-type platelet-derived growth  
 factor receptor ), PDGFR- $\beta$ , PDL-1, PLAC1, PLAP-like testicular alkaline phosphatase, Platelet-  
 derived growth factor receptor beta, Phosphate-sodium co-transporter, PMEL 17, Polysialic acid,  
 Proteinase3 (PR1), Prostatic carcinoma, PS (Phosphatidylserine), Prostatic carcinoma cells,  
 25 Pseudomonas aeruginosa, PSMA, PSA, PSCA, Rabies virus glycoprotein, RHD (Rh polypeptide  
 1 (RhPI), CD240), Rhesus factor, RANKL, RhoC, Ras mutant, RGS5, ROBO4, Respiratory  
 syncytial virus, RON, ROR1, Sarcoma translocation breakpoints, SART3, Sclerostin, SLAMF7  
 (SLAM family member 7), Selectin P, SDC1 (Syndecan 1), sLe(a), Somatomedin C, SIP  
 (Sphingosine-1-phosphate), Somatostatin, Sperm protein 17, SSX2, STEAP1 (six-  
 30 transmembrane epithelial antigen of the prostate 1), STEAP2, STn, TAG-72 (tumor associated  
 glycoprotein 72), Survivin, T-cell receptor, T cell transmembrane protein, TEM1 (Tumor  
 endothelial marker 1), TENB2, Tenascin C (TN-C), TGF- $\alpha$ , TGF- $\beta$  (Transforming growth

factor beta), TGF- $\beta$ 1, TGF- $\beta$ 2 (Transforming growth factor-beta 2), Tie (CD202b), Tie2, TIM-1 (CDX-014), Tn, TNF, TNF- $\alpha$ , TNFRSF8, TNFRSF10B (tumor necrosis factor receptor superfamily member 10B), TNFRSF-13B (tumor necrosis factor receptor superfamily member 13B), TPBG (trophoblast glycoprotein), TRAIL-R1 (Tumor necrosis apoptosis Inducing ligand Receptor 1), TRAILR2 (Death receptor 5 (DR5)), tumor-associated calcium signal transducer 2, tumor specific glycosylation of MUC1, TWEAK receptor, TYRP1(glycoprotein 75), TRP-2, Tyrosinase, VCAM-1 (CD106), VEGF, VEGF-A, VEGF-2 (CD309), VEGFR-1, VEGFR2, or vimentin, WT1, XAGE 1, or cells expressing any insulin growth factor receptors, or any epidermal growth factor receptors.

256. A pharmaceutical composition according to Claim 221 for use in the treatment of cancer, autoimmune disorders, infectious diseases or viral disease.

276. A method for making a compound according to any one of Claims 1 to 98, wherein the method comprises sequential aromatic nitration of the indole units, followed by reduction of the nitro group to an amine, and then condensation of the produced amine compounds with a linker having a reactive or a reactable carboxylic group to form an amide linkage as illustrate below:



wherein  $R_{10}$ , L and Q are defined the same as in the Formula (I) in claim 1, whereein Lv is a leaving group selected from OH, halogen, NHS (N-hydroxyl succinimide), nitrophenol, pentalfluorophenol, and an intermediate generated from peptide coupling or from Mitsunobu reaction.