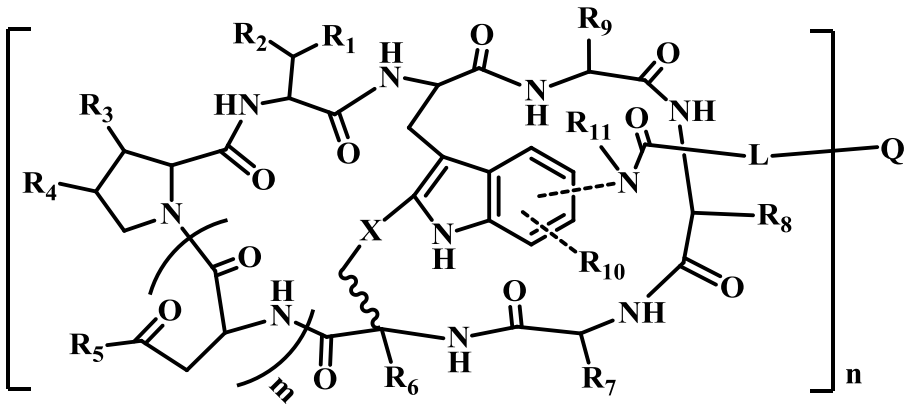
CLAIMS

1. A compound of Formula (I)



(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_1 and R_2 are independently selected from H, OH, CH_2OH, $CH(OH)CH_2OH$, $CH(CH_3)CH_2OH$, $CH(OH)CH_3$, C_1-C_8 alkyl,–OR_{12} (ether), C_2-C_8 alkenyl, alkynyl, heteroalkyl, –$OCOR_{12}$ (ester), –$OC(=O)OR_{12}$.(carbonate), –$OC(=O)NHR_{12}$ (carbamate); C_3-C_8 aryl, heterocyclic, carbocyclic, cycloalkyl, heterocycloalkyl, heteroaralkyl, and alkylcarbonyl;

R_3 and R_4 are independently selected from H, OH, –OR_{12} (ether), –$OCOR_{12}$ (ester), –$OCOCH_3$ (acetate), –$OCOOR_{12}$ (carbonate), –$OC(=O)NHR_{12}$ (carbamate), -$OP(O)(OR_{12})(OR_{12}')$ (phosphate), $OP(O)(NHR_{12})(NHR_{12}')$ (phosphamide), O-SO_3^-, and O-glycoside;

R_5 is selected from H, OH, NH_2, NHOH, $NHNH_2$, –OR_{12}, –NHR_{12}, $NHNHR_{12}$, –$NR_{12}R_{12}'$, and $N(H)(R_{12})R_{13}CO(Aa)_p$, (an amino acid or peptide, wherein Aa is an amino acid or a polypeptide, p represents 0 - 6);

R_6 is selected from H, OH, CH_2OH, $CH(OH)CH_2OH$, $CH(CH_2OH)_2$, $CH(CH_3)OH$, CH_2CH_2OH, PrOH, BuOH, C_1~C_8 alkyl,–OR_{12} (ether), C_2~C_8 alkenyl, alkynyl, heteroalkyl, –$OCOR_{12}$ (ester); C_3~C_8 aryl, heterocyclic, or carbocyclic;

R_7, R_8 and R_9 are independently selected from H, OH, CH_3, $CH(CH_3)_2$, $CH(CH_3)CH_2CH_3$, CH_2OH, $CH(OH)CH_2OH$, $CH_2CH(OH)CH_2OH$, $CH(CH_2OH)_2$, $CH_2C(OH)(CH_2OH)_2$, $CH_2C(OH)(CH_3)(CH_2OH)$, $CH_2C(OH)(CH(CH_3)_2)(CH_2OH)$, CH_2CH_2OH, PrOH, BuOH,

CH_2COOH, CH_2CH_2COOH, $CH(OH)COOH$, CH_2CONH_2, $CH_2CH_2CONH_2$, $CH_2CH_2CH_2CH_2NH_2$, $CH_2CH_2CH_2NHC(=NH)NH_2$, C_1~C_8 alkyl, CH_2Ar, CH_2SH, CH_2SR_{12}, CH_2SSR_{12}, CH_2SSAr, $CH_2CH_2SCH_3$, $–OR_{12}$ (ether), C_2~C_8 alkenyl, alkynyl, heteroalkyl, $–OCOR_{12}$ (ester); C_3~C_8 aryl, heterocyclic, and carbocyclic;

R_{10} is selected from H, NH_2, OH, SH, NO_2, halogen, -NHOH, $–N_3$ (azido); -CN (cyano); C_1~C_8 alkyl, C_2~C_8 alkenyl, alkynyl, heteroalkyl; C_3~C_8 aryl, heterocyclic, or carbocyclic; $–OR_{12}$ (ether), $–OCOR_{12}$ (ester), $–OCOCH_3$ (acetate), $–OC(O)OR_{12}$ (carbonate), $-OC(O)CH(R_{12})NHAa$ (Aa is an amino acid group), $-NR_{12}R_{12}$'(amine), $-NR_{12}COR_{12}$'(amine), $-NR_{12}NR_{12}'NR_{12}''$ (amine) ; $–OCONR_{12}R_{12}$'(carbamate); $–NR_{12}(C=NH)NR_{12}'R_{12}''$ (guanidinum); $–NR_{12}CO(Aa)_p$, (an amino acid or peptide, wherein Aa is an amino acid or a polypeptide, p represents 0 - 6); $–N(R_{12})CONR_{12}'R_{12}''$ (urea); $–OCSNHR_{12}$ (thiocarbamate); -SH (thiol); $–SR_{12}$ (sulfide); $–S(O)R_{12}$ (sulfoxide); $–S(O_2)R_{12}$ (sulfone); $–SO_3$, HSO_3, HSO_2, or a salt of HSO_3^-, SO_3^{2-} or $-HSO_2^-$ (sulphite); $–OSO_3^-$; $-N(R_{12})SOOR_{12}$' (sulfonamide); $H_2S_2O_5$ or a salt of $S_2O_5^{2-}$(metabisulfite); PO_3SH_3, $PO_2S_2H_2$, POS_3H_2, PS_4H_2 or a salt of PO_3S^{3-}, $PO_2S_2^{3-}$, POS_3^{3-}, PS_4^{3-}(mono-, di-, tri-, and tetra-thiophosphate); $(R_{12}O)_2POSR_{12}$' (thiophosphate ester); HS_2O_3 or a salt of $S_2O_3^{2-}$(thiosulfate); HS_2O_4 or a salt of $S_2O_4^{2-}$ (dithionite); $(P(=S)(OR_{12})(S)(OH)$ or a salt formed with a cation (phosphorodithioate); $-N(R_{12})OR_{12}$' (hydroxylamine derivative); $R_{12}C(=O)NOH$ or a salt formed with a cation (hydroxamic acid); $(HOCH_2SO_2^-$, or its salts (formaldehyde sulfoxylate); $–N(R_{12})COR_{12}$' (amide); $R_{12}R_{12}'R_{12}''NPO_3H$ (trialkylphosphor-amidate or phosphoramidic acid); or $ArAr'Ar''NPO_3H$ (triarylphosphonium); $OP(O)(OM_1)(OM_2)$, $OCH_2OP(O)(OM_1)(OM_2)$, OSO_3M_1; O-glycoside, NH-glycoside, S-glycoside and CH_2-glycoside;

M_1 and M_2 are independently selected from H, Na, K, Ca, Mg, NH_4, and $NR_1'R_2'R_3'$;

R_1', R_2' and R_3' are independently selected from H, C_1~C_8 alkyl;

Ar, Ar', and Ar'' are C_3-C_8 aryl or heteroaromatic group;

R_{11} is H, C_1~C_8 alkyl; C_2~C_8 alkenyl, alkynyl, heteroalkyl; or C_3~C_8 aryl, heteroaryl;

R_{12}, R_{12}', and R_{12}'' are independently selected from H, C_1~C_8 alkyl; C_2~C_8 alkenyl, alkynyl, heteroalkyl; and C_3~C_8 aryl, heteroaryl, heterocyclic, or carbocyclic;

X is S, O, NH, SO, SO_2, or CH_2;

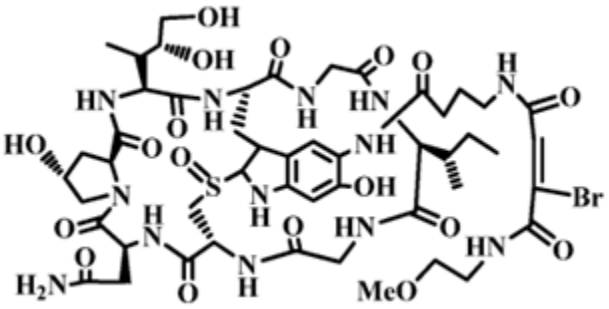
m is 0 or 1;

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;

excluding the following compounds:



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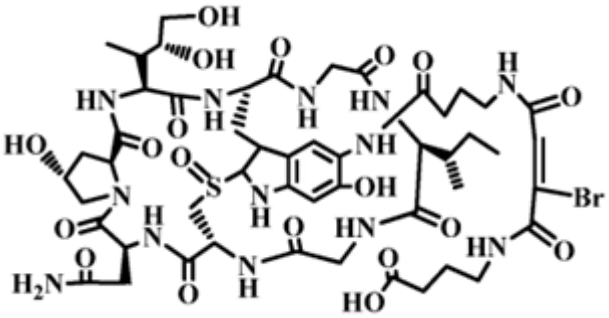

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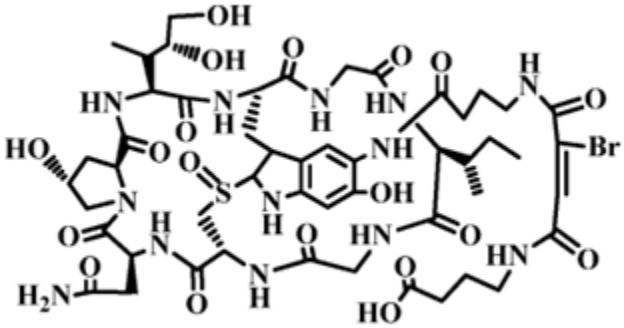
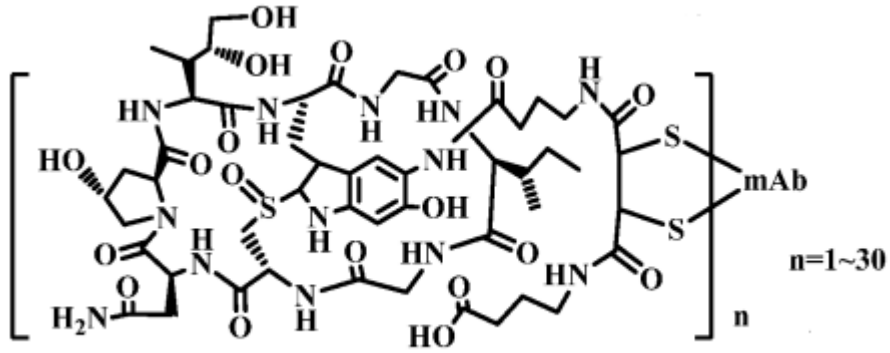


; and



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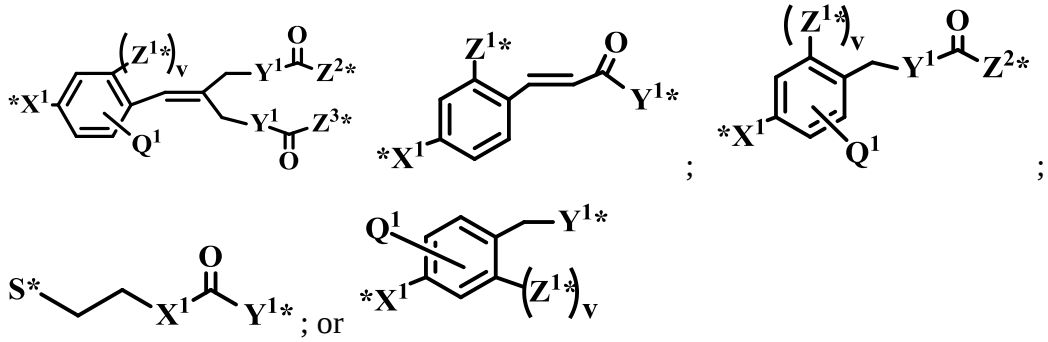
2. The compound according to Claim 1, wherein L is a releasable linker, which has the formula of: —Ww—(Aa)r—Tt—; or —Ww—(Aa)r—Tt—Q; or Q—Ww—(Aa)r—Tt—; wherein W is a Stretcher unit; w is 0 or 1; Aa is an Amino Acid unit comprising independent amino acids; r is an integer ranging from 0 to 100.

3. The compound according to Claim 2, wherein the Stretcher unit W contains a self-immolative or a non-self-immolative component, peptidyl units, a hydrazone bond, a disulfide, an ester, an oxime, an amide, or a thioether bond.

4. The compound according to Claim 3, wherein the self-immolative unit includes aromatic compounds that are electronically similar to the para-aminobenzylcarbamoyl (PAB) groups, 2-aminoimidazol-5-methanol derivatives, heterocyclic PAB analogs, beta-glucuronide, and ortho or para-aminobenzylacetals

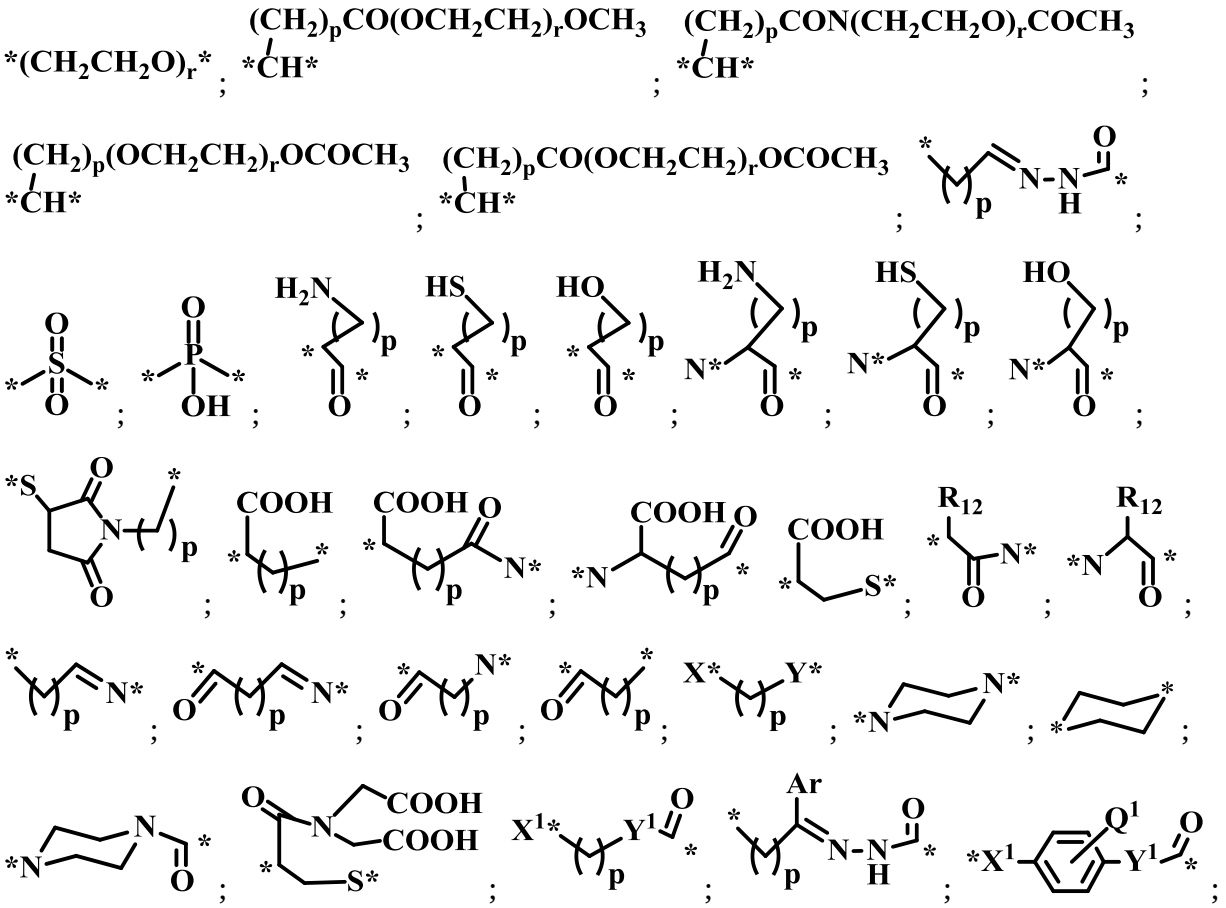
5. The compound according to Claim 4, wherein the self-immolative linker component has one of the following structures:

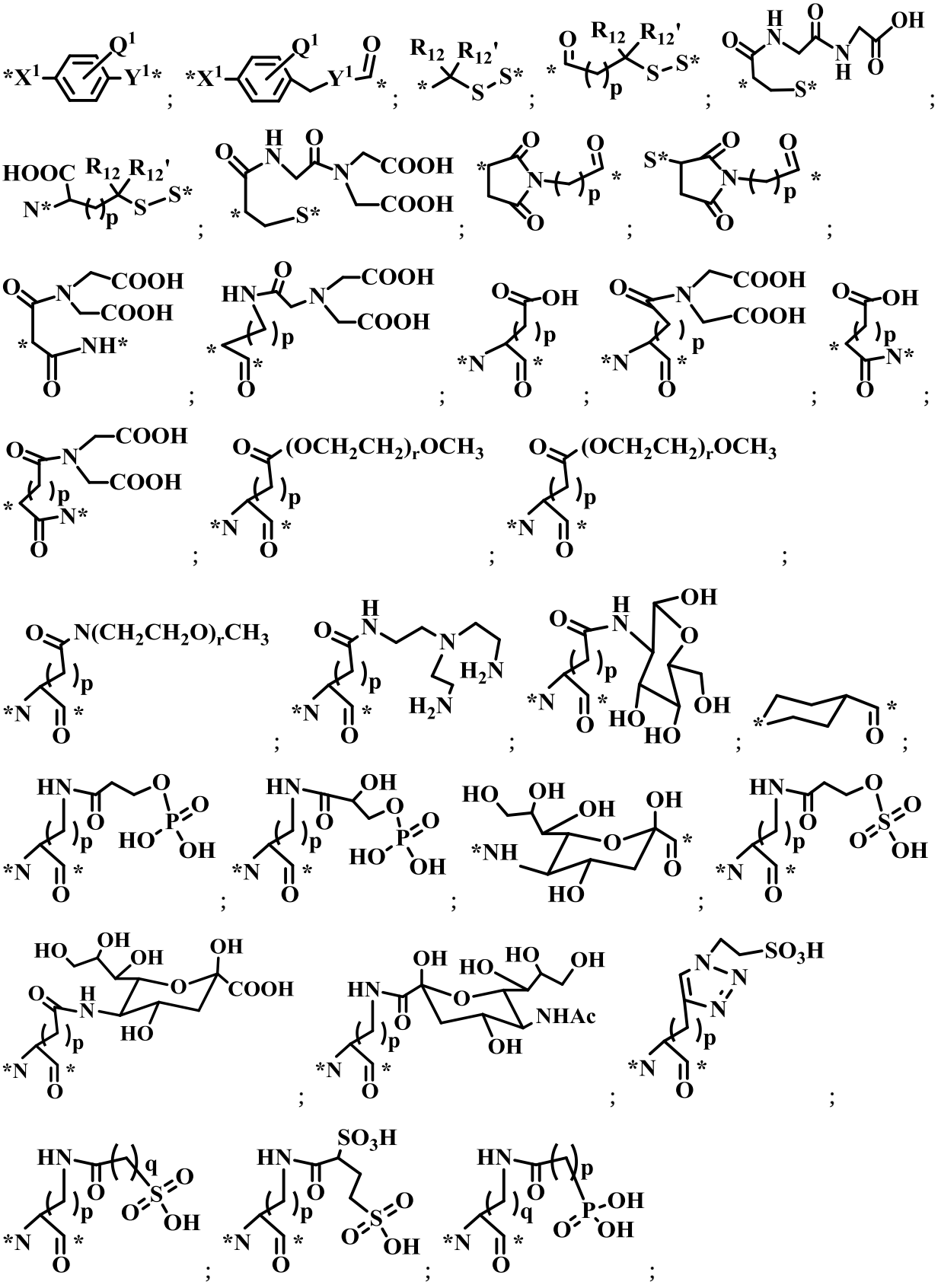

; ; ; or

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.

6. The compound according to Claim 5, wherein R_{12} and R_{12}' are independently selected from H, C_1~C_8 alkyl; C_2~C_8 alkenyl, alkynyl, heteroalkyl; C_3~C_8 aryl, heterocyclic, carbocyclic, cycloalkyl, heterocycloalkyl, heteroaralkyl, alkylcarbonyl; or pharmaceutical cation salts.

7. The compound according to Claim 4, wherein the 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; r is 0~100; p and q is independently selected from 0, 1, 2, 3, 4, 5 or 6;

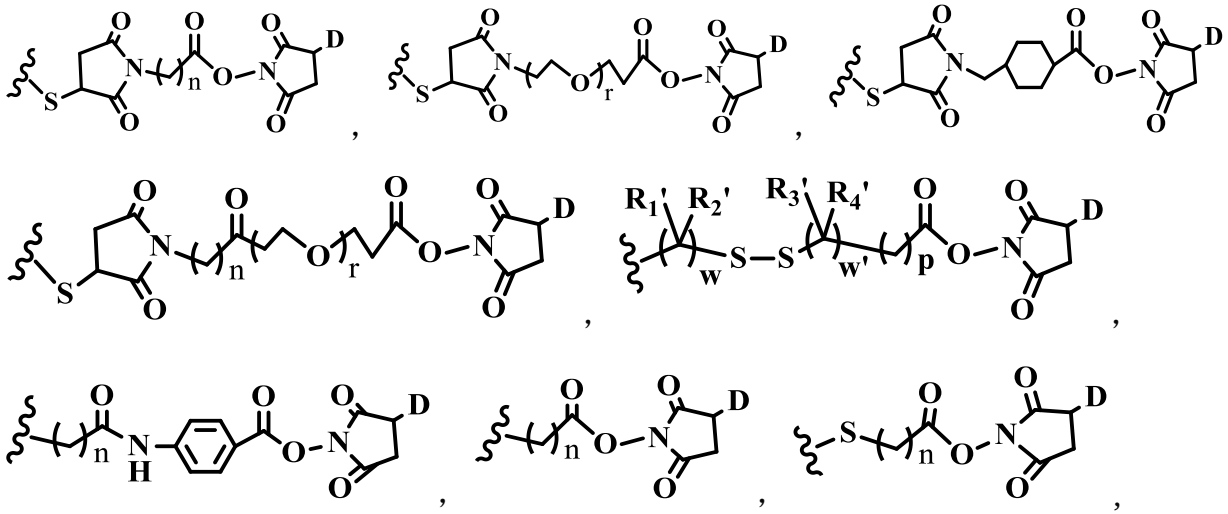
spacer (T) is a linear, branched or cyclic alkyl, alkenyl, alkynyl or aryl having from 1 to 10 carbon atoms, or T can be a polyethylene glycol (-CH_2CH_2O-) spacer; t is 0, or 1~100.

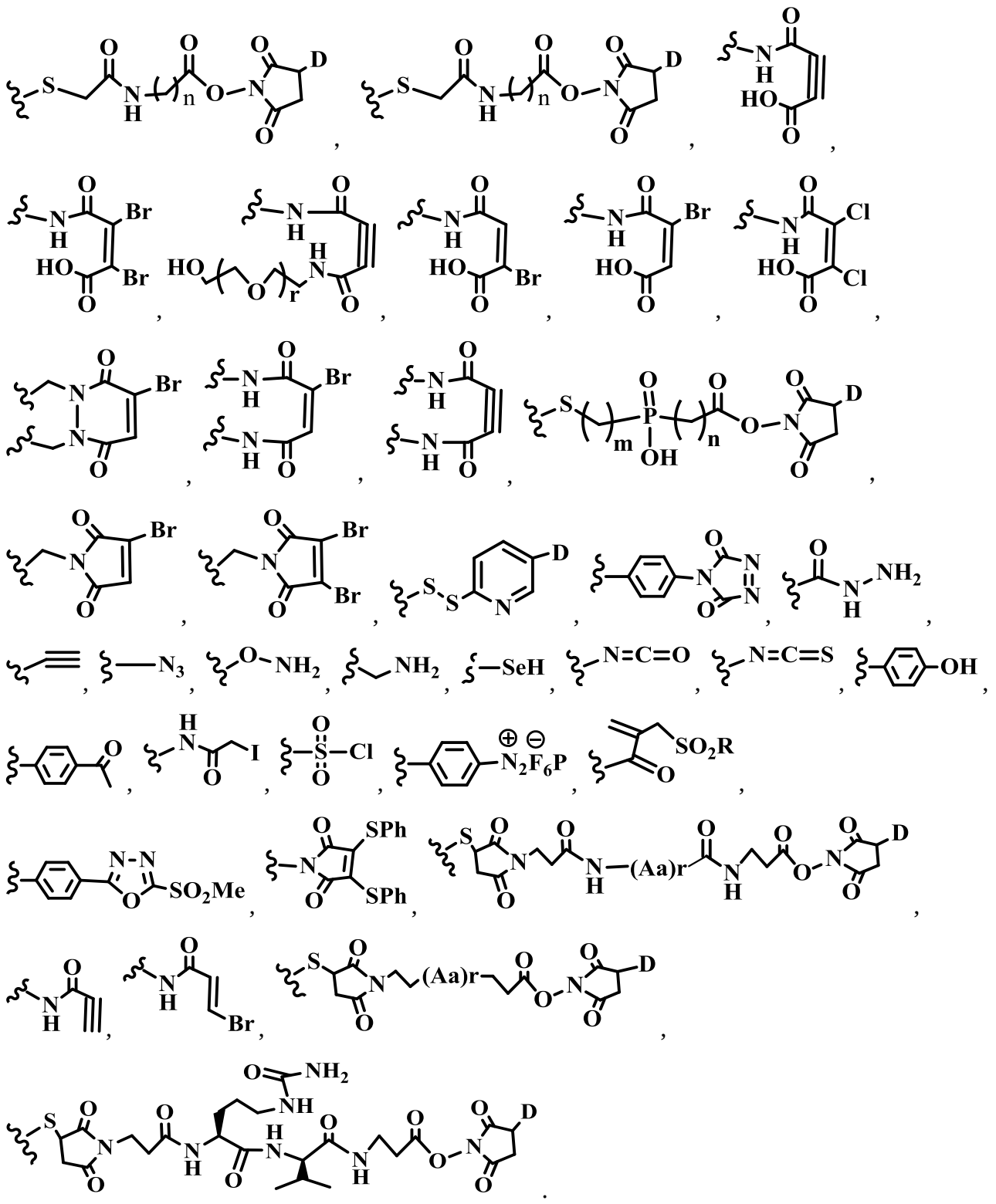
8. The compound according to Claim 7, wherein T undergoes cyclization upon amide bond hydrolysis.

9. The compound according to Claim 8, 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.

10. The compound according to any preceding Claim, wherein the functional group of Q is chosen from a thiol, an amine, a hydrazine, an alkoxylamino, 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_1 or SSAr; wherein Ar is an aromatic group or hetero aromatic group.

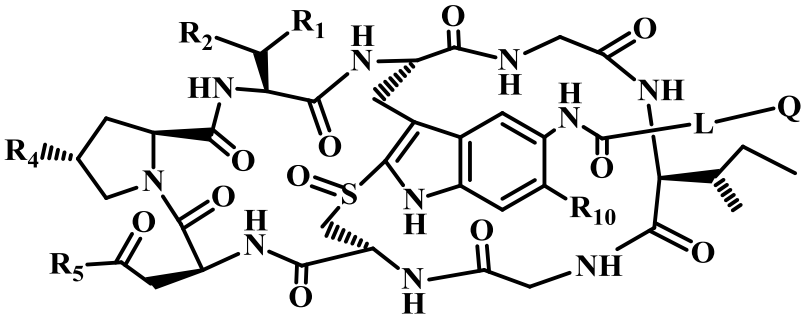
11. The compound according to any preceding Claim, wherein Q is one of the following formulas:



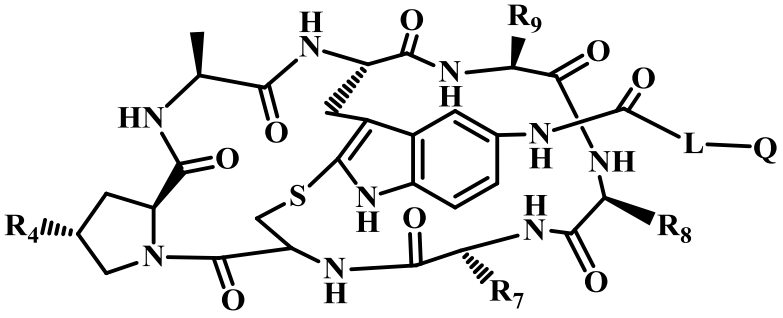


wherein D is H, -NO_2, SO_3^-, CN, or F; Aa, r, p, q, m, and n are described above); w and w' are 0 or 1 independently; and R_1', R_2', R_3', and R_4' are independently H, CH_3, C_2H_5, C_3H_7, CH_2OH, CH_2CH_2OH.

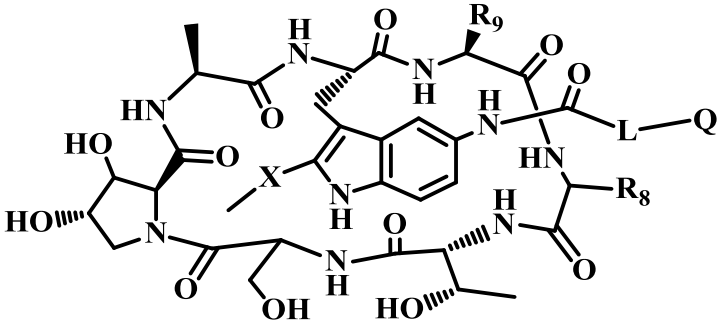
12. The compound according to any preceding Claim having the following Formula (Ia), (Ib), (Ic), or (Id):



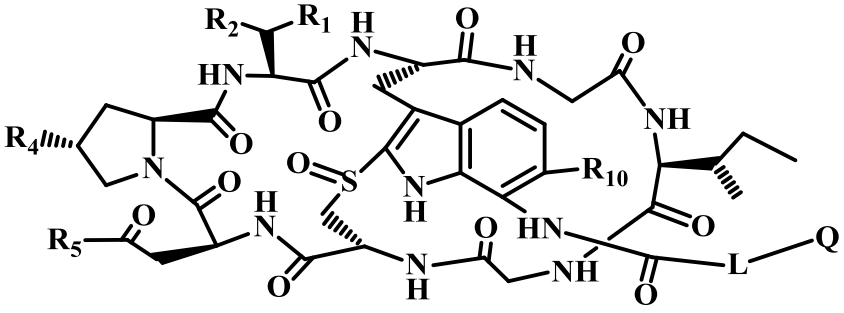
(Ia),



(Ib),



(Ic),



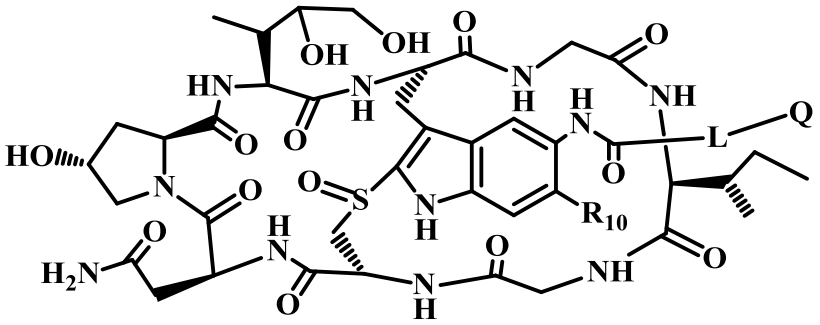
(Id),

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

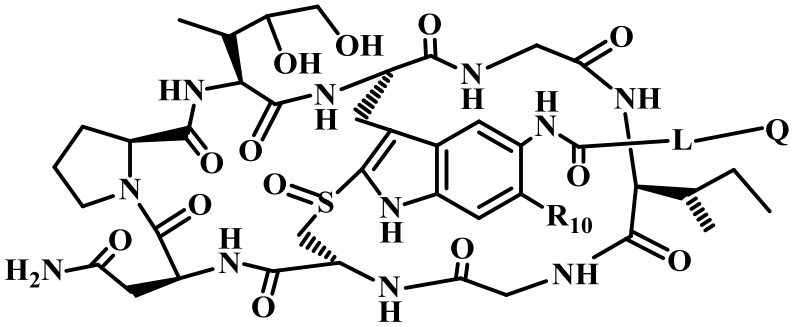
or an optical isomer, racemate, diastereomer or enantiomer thereof,

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

13. 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), (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-69), (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), (I-97), or (II-1) – (II-91):



(Ia-1),



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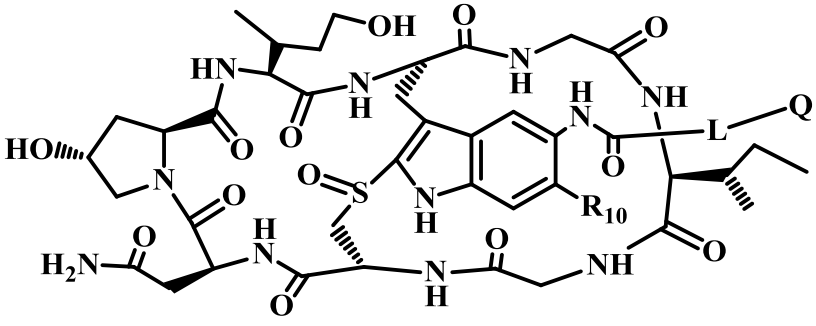
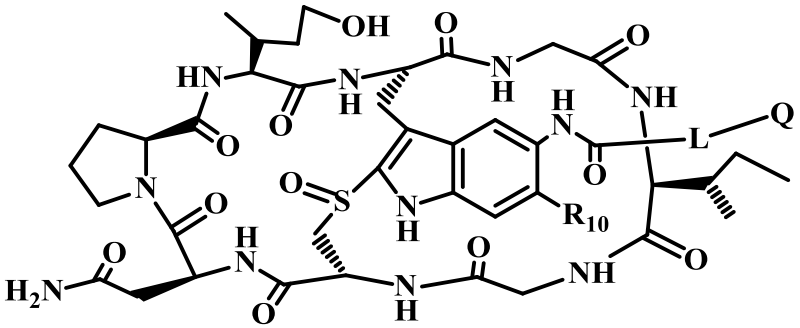


(Ia-3),



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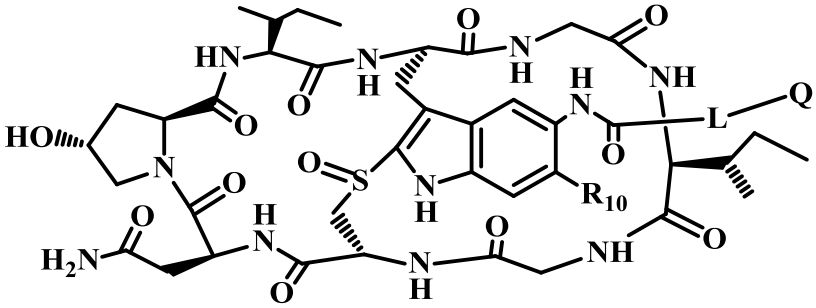

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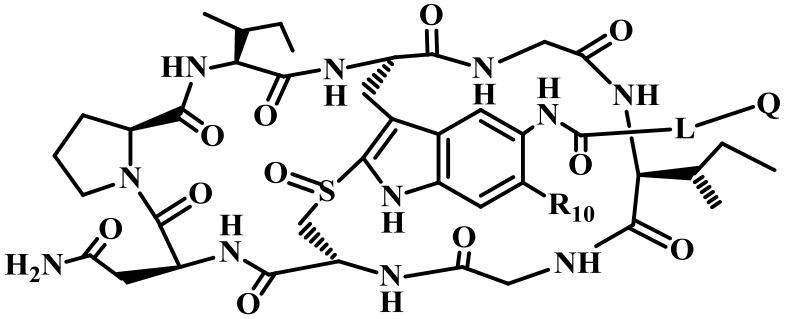
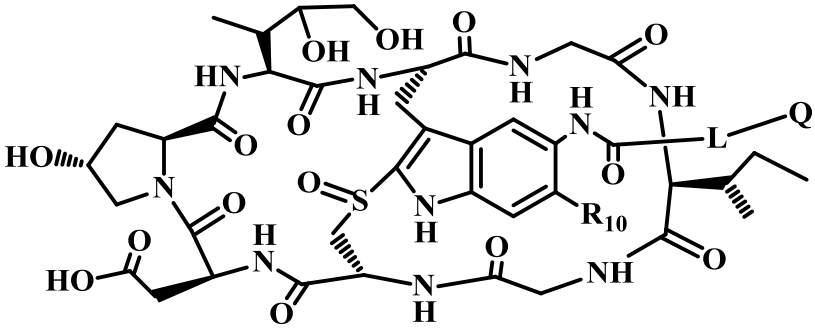
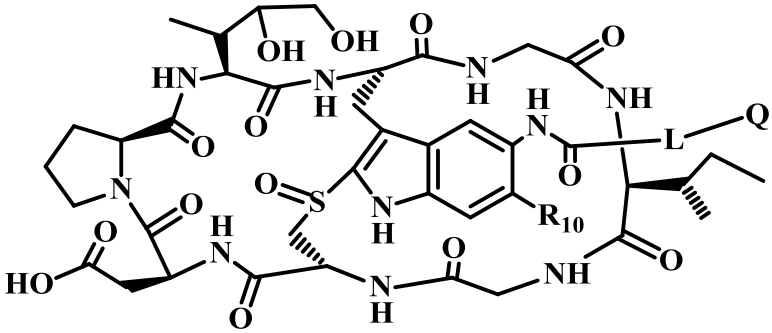


(Ia-6),



(Ia-7),



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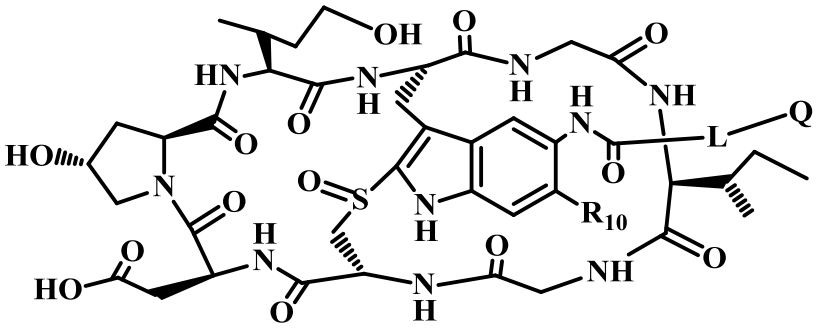
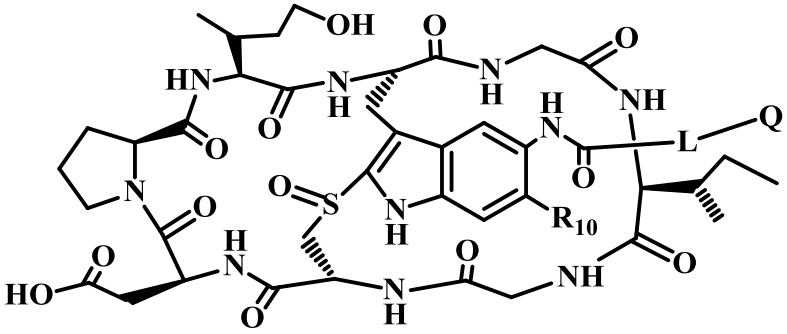
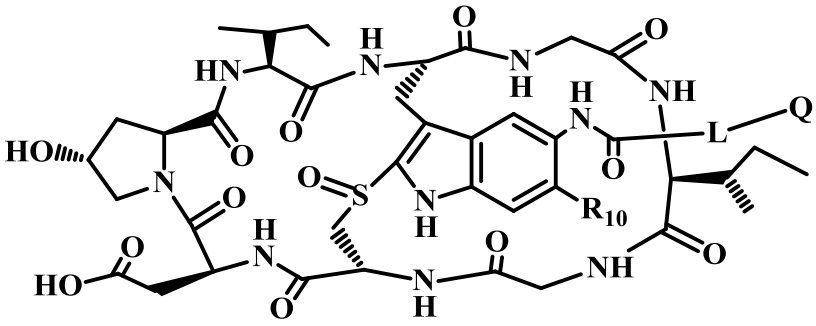


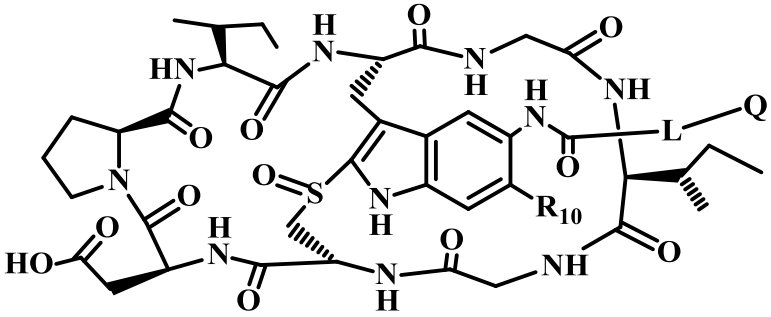
(Ia-9),



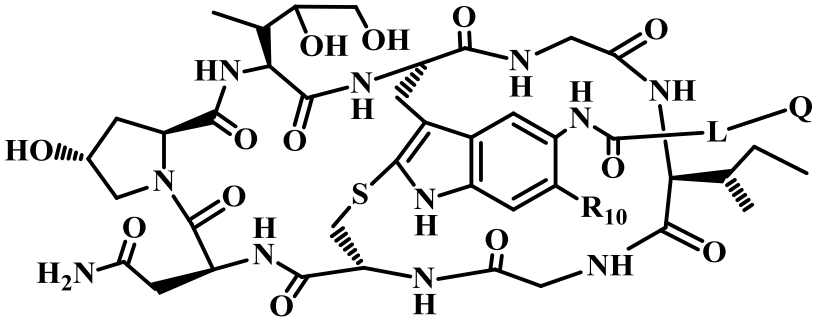
(Ia-10),



(Ia-11),



(Ia-12),



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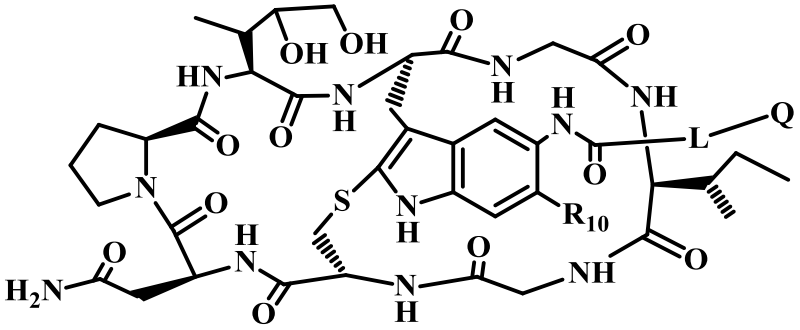

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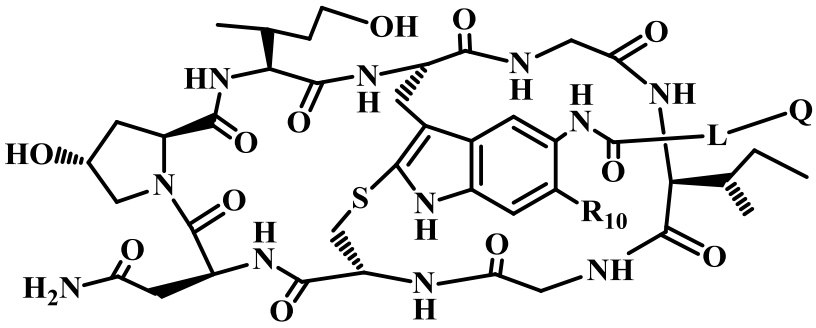

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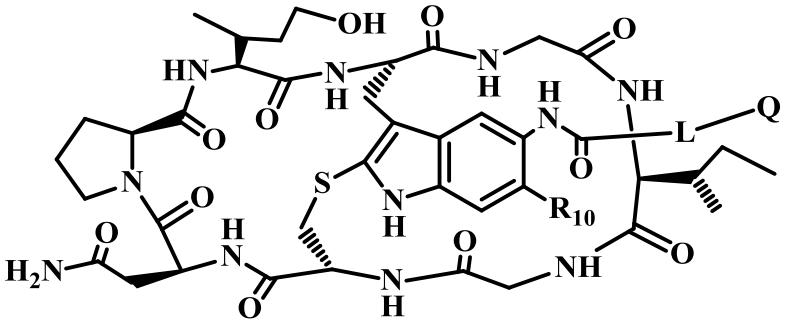

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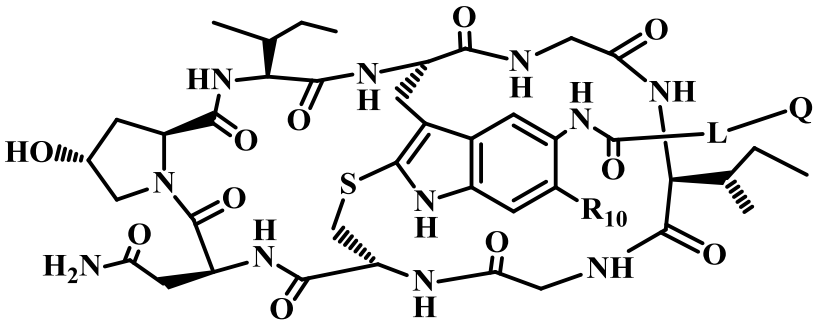

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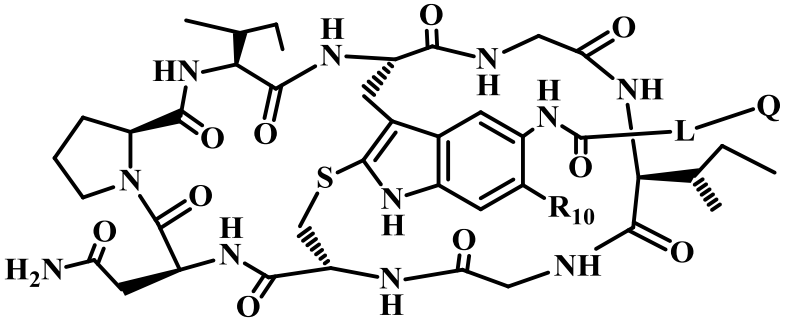

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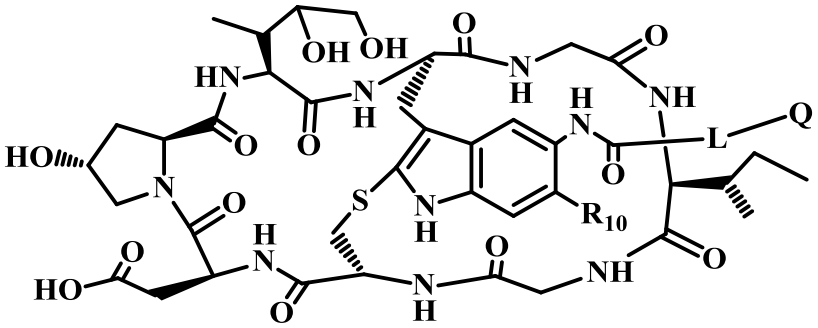

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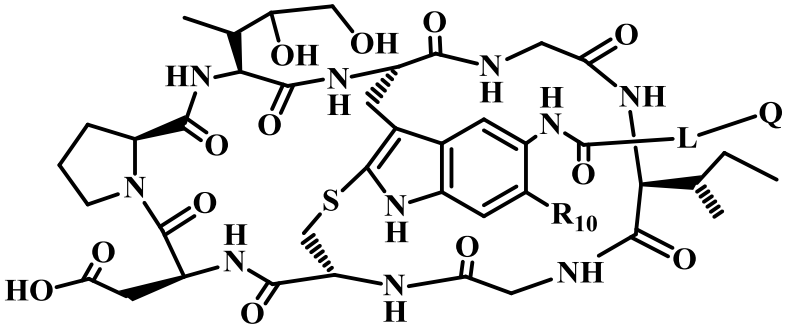

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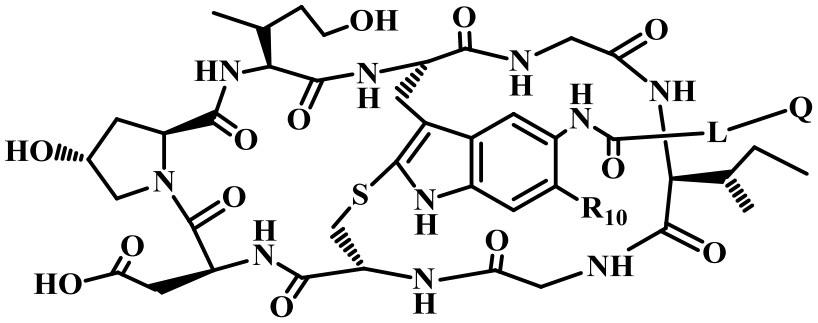

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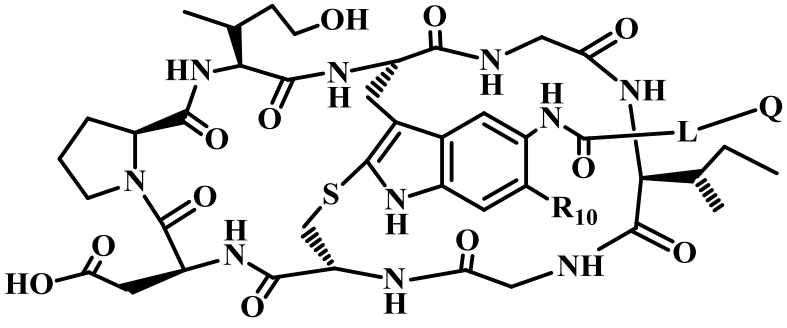

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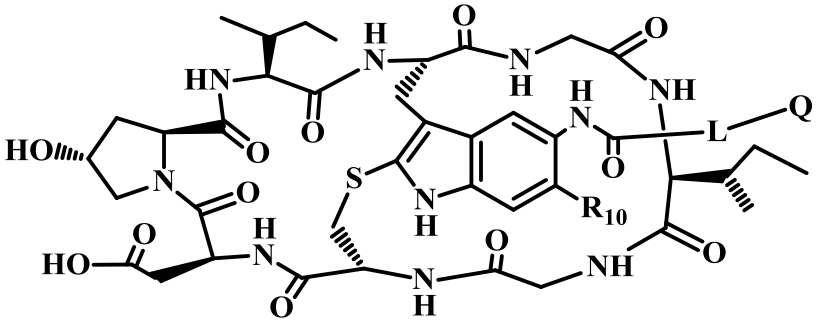

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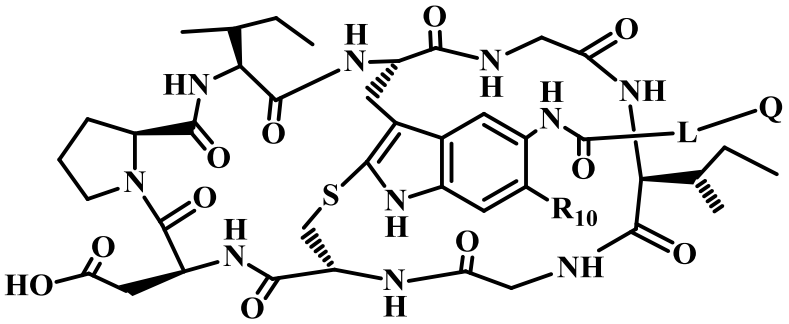

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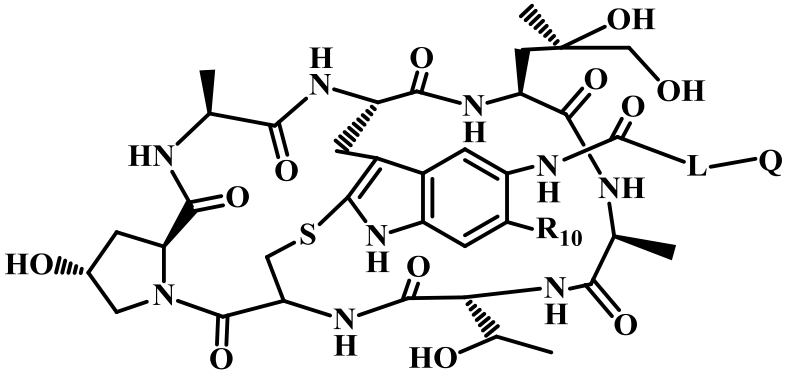

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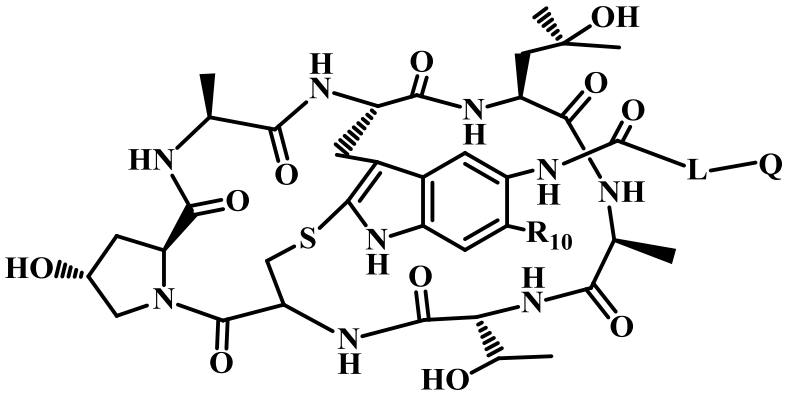

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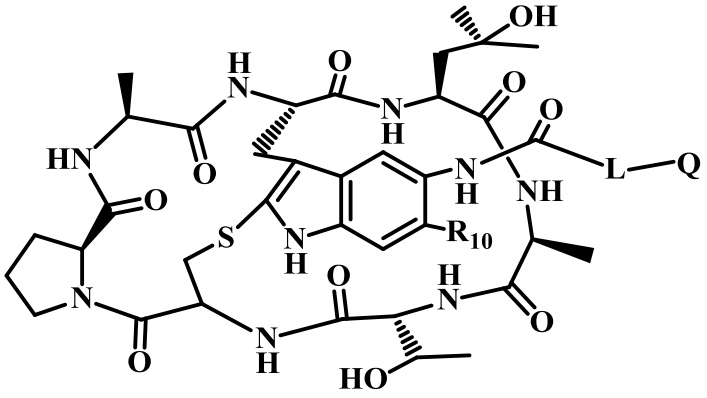

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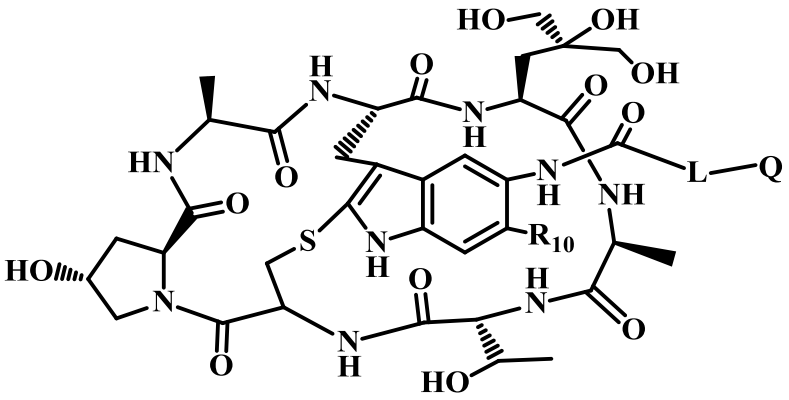

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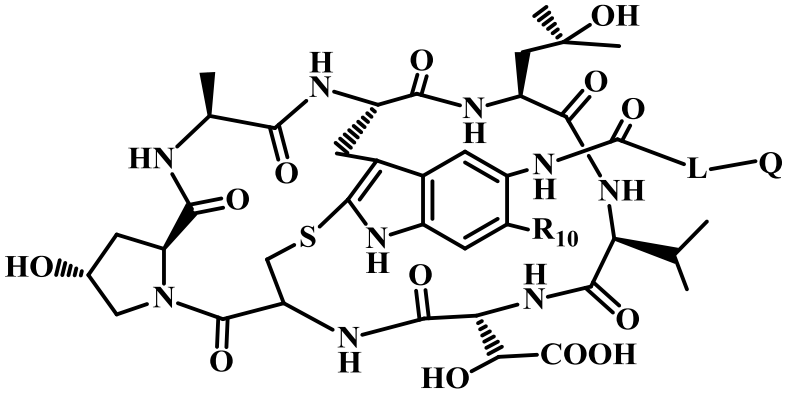

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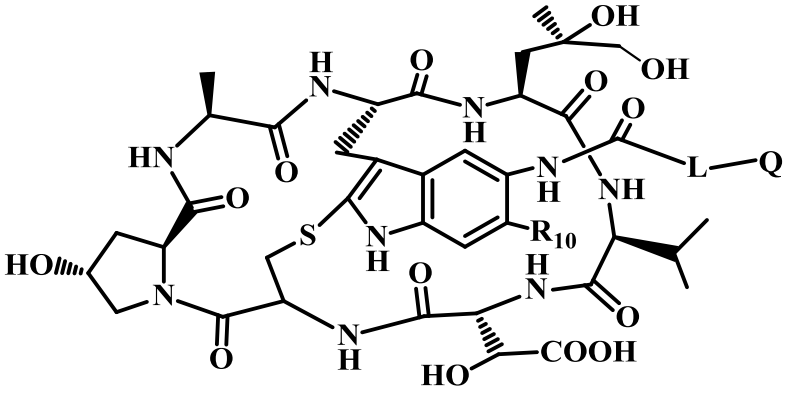

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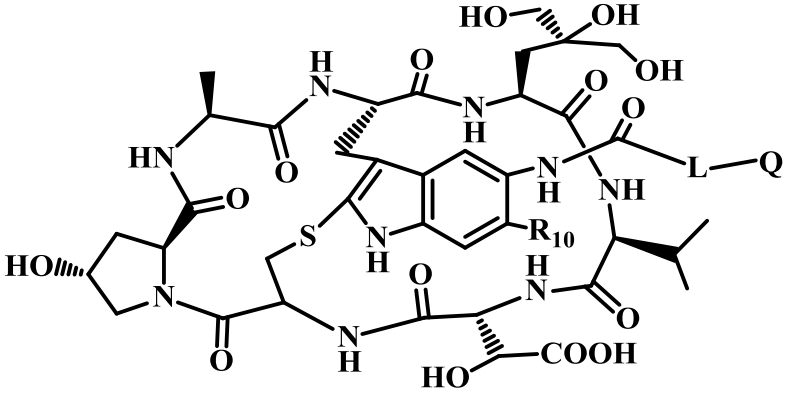

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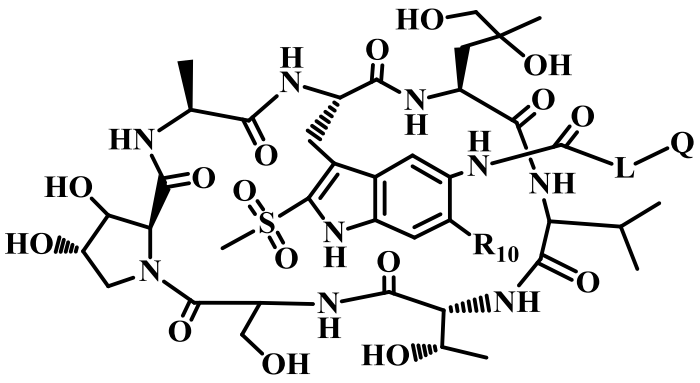

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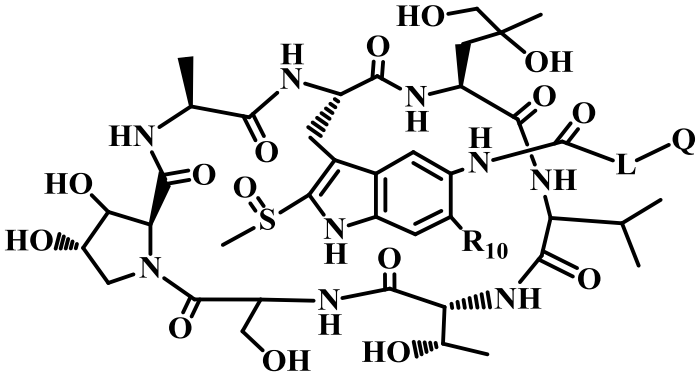

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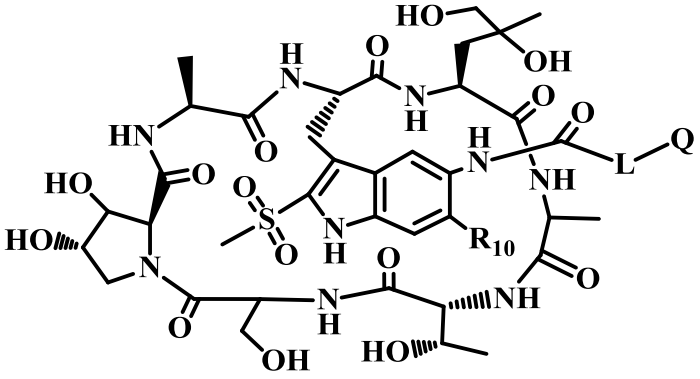

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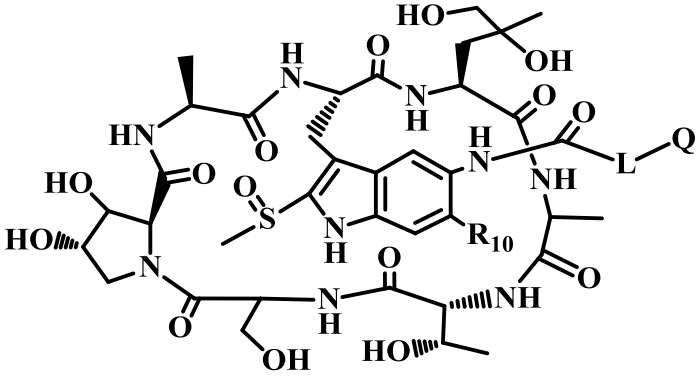

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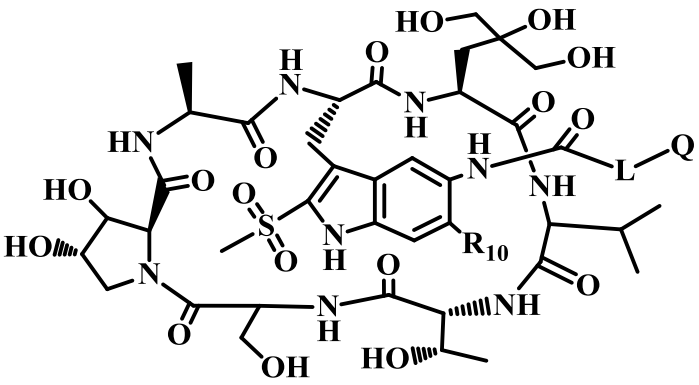

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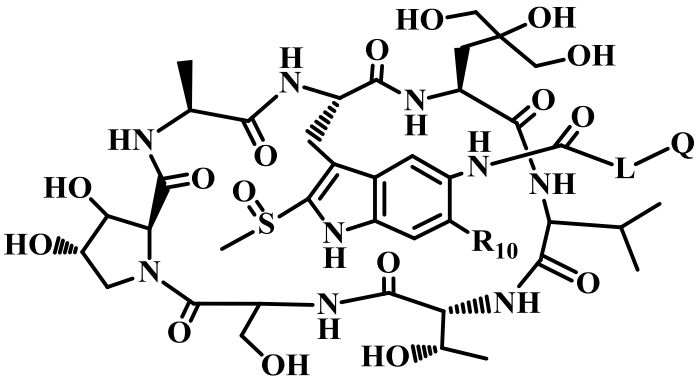

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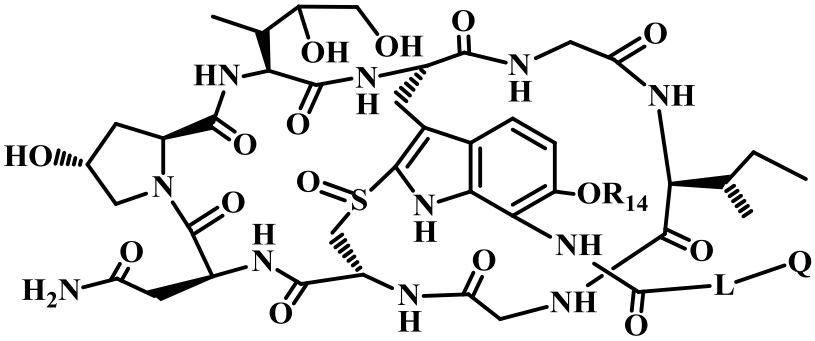

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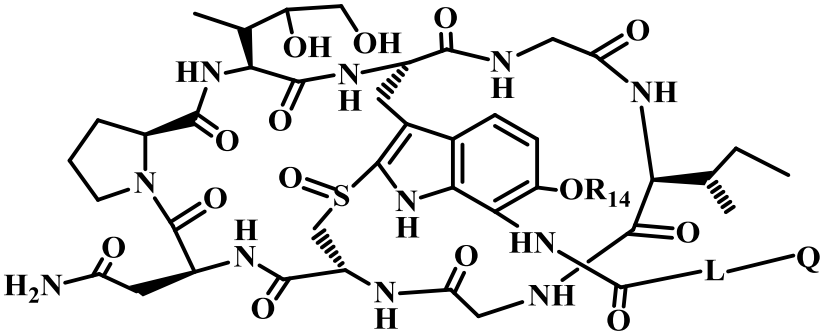

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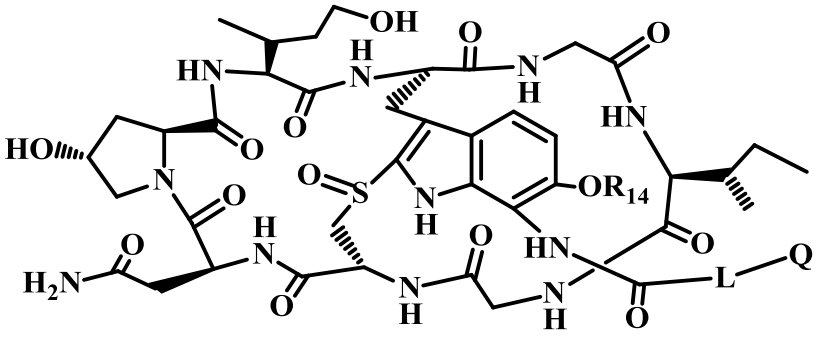

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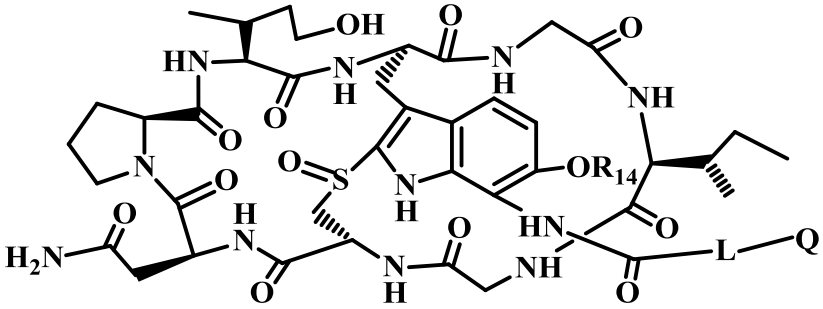

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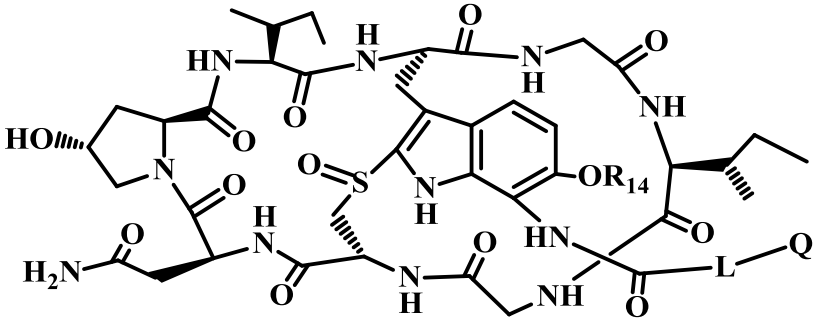

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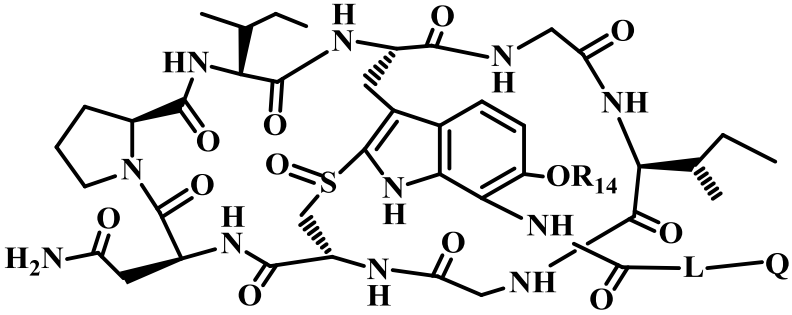

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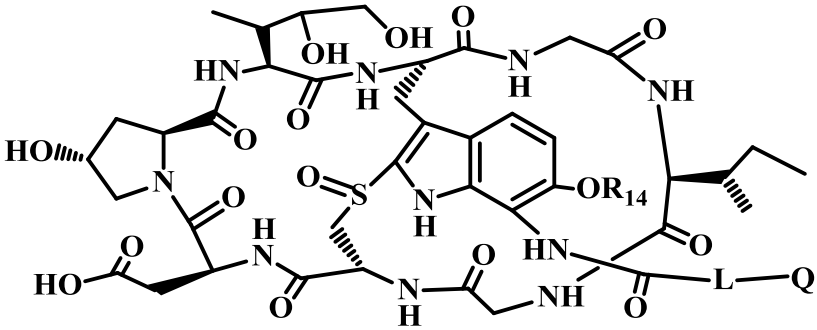

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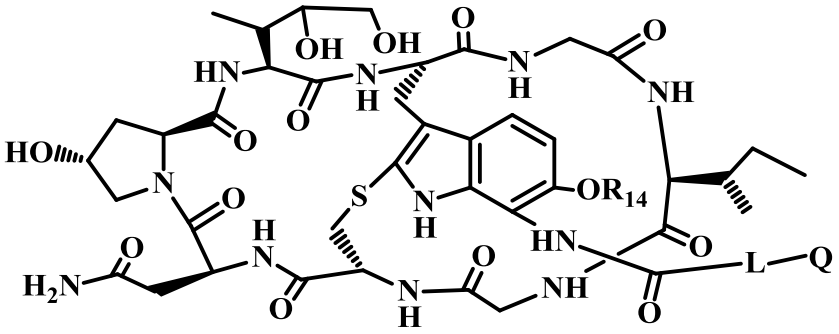

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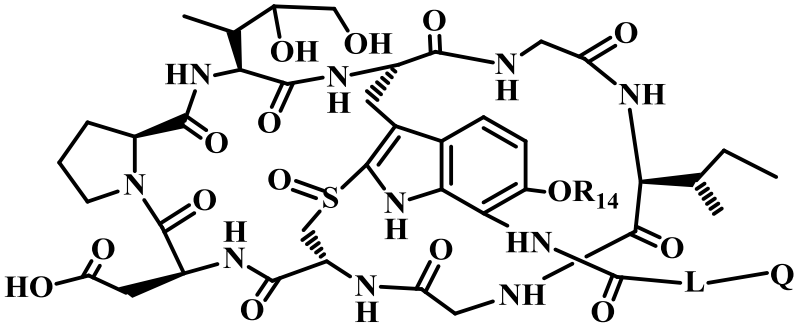

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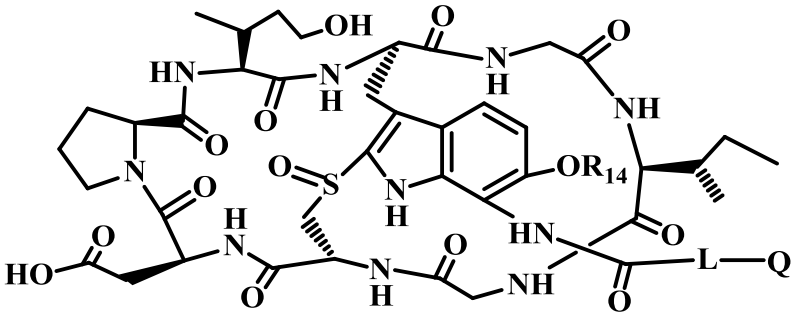

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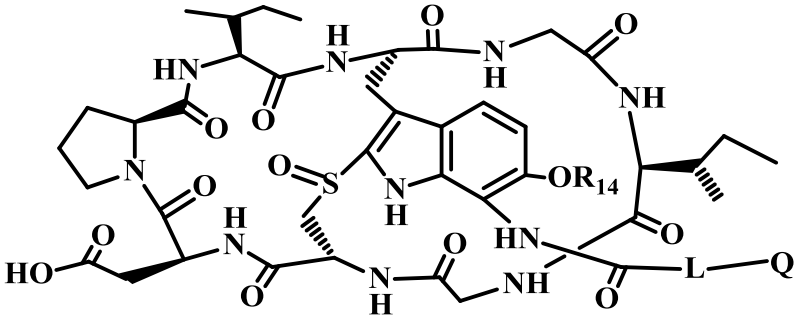

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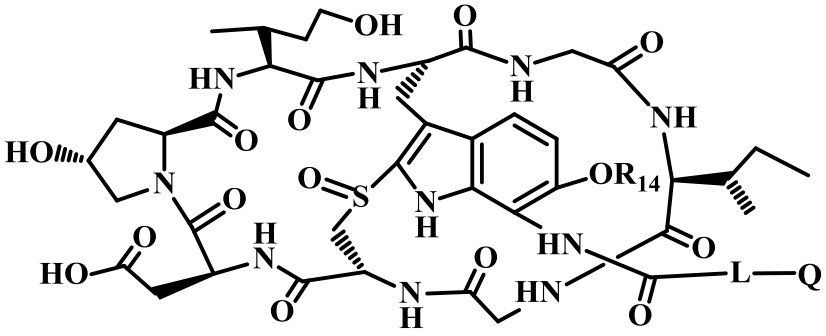

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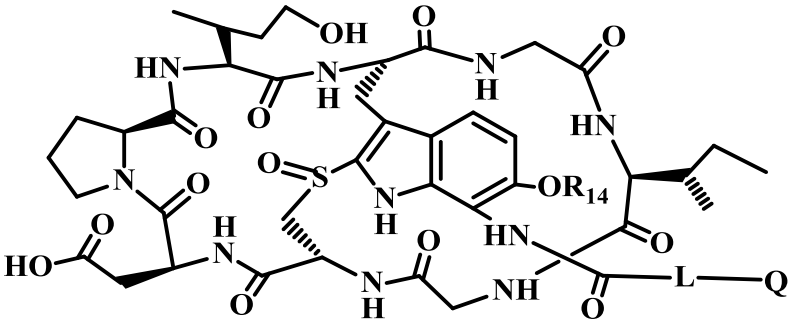

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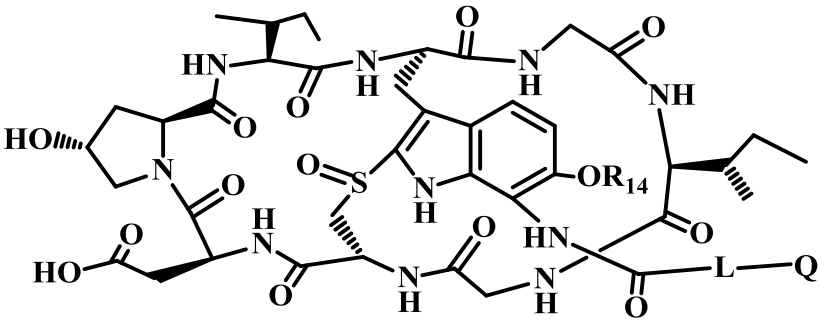

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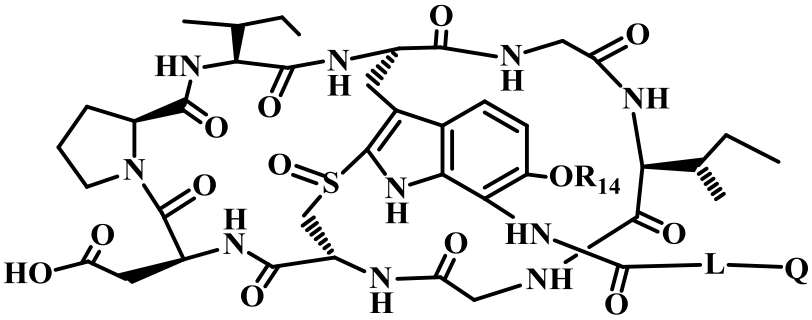

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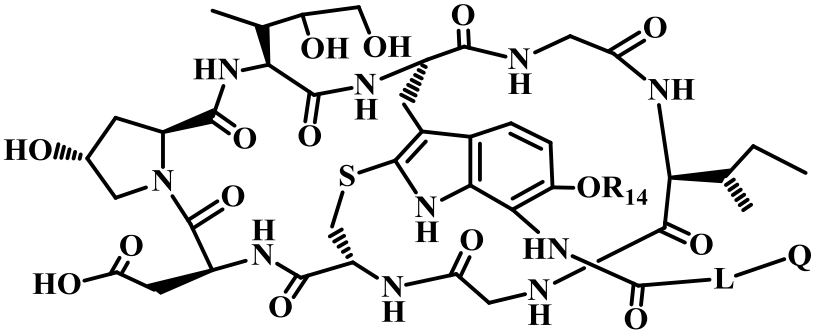

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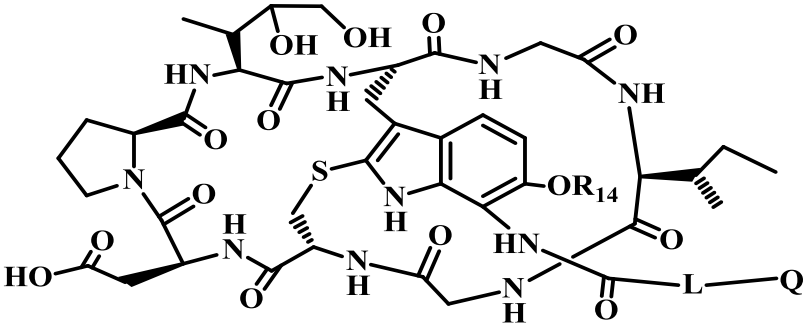

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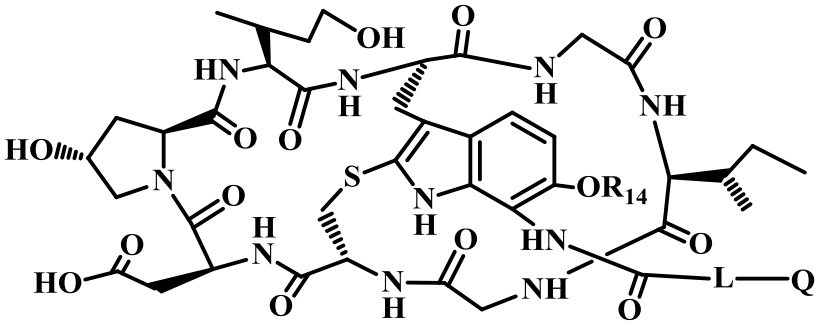

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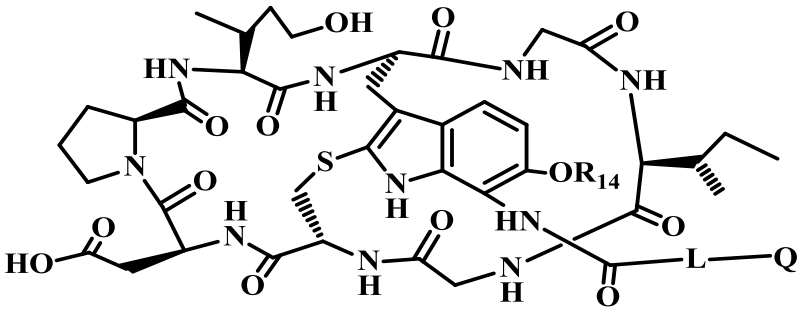

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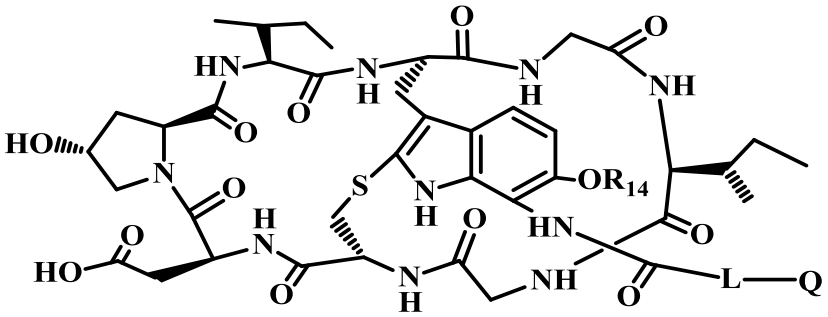

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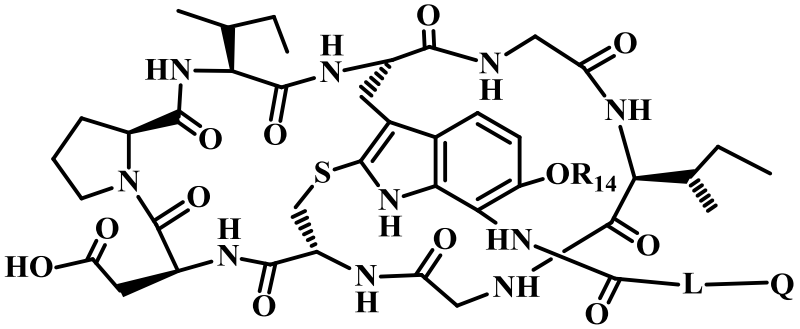

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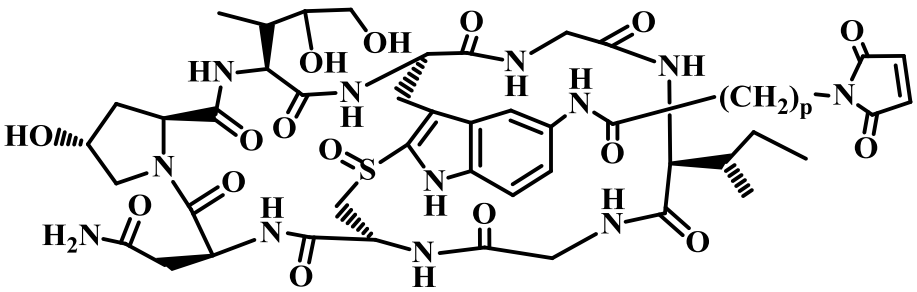

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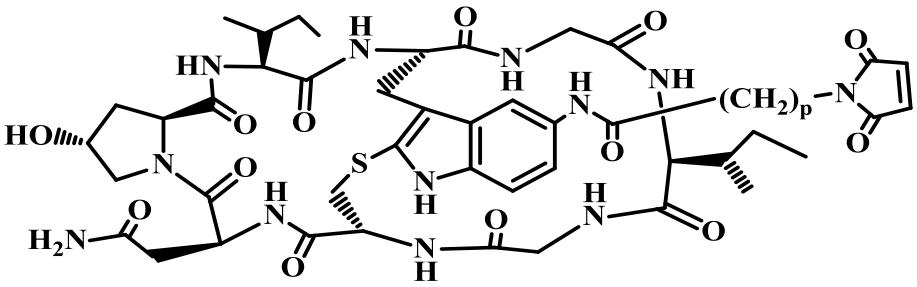

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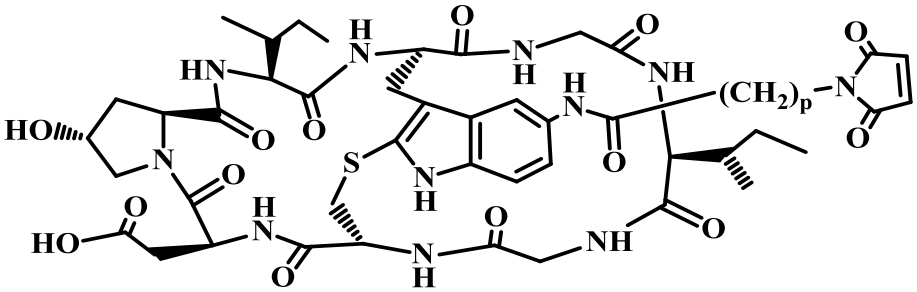

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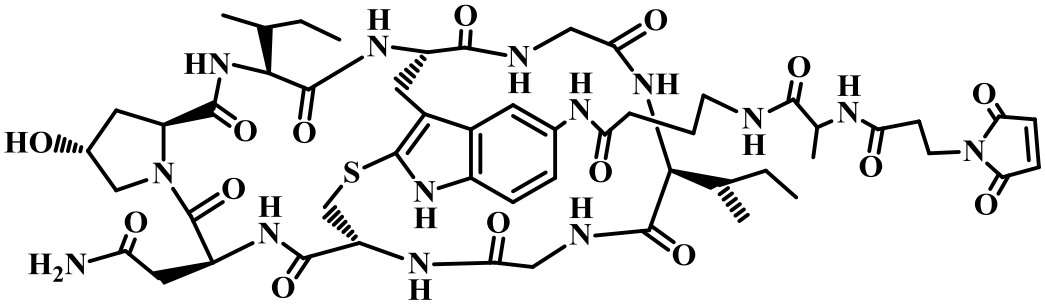

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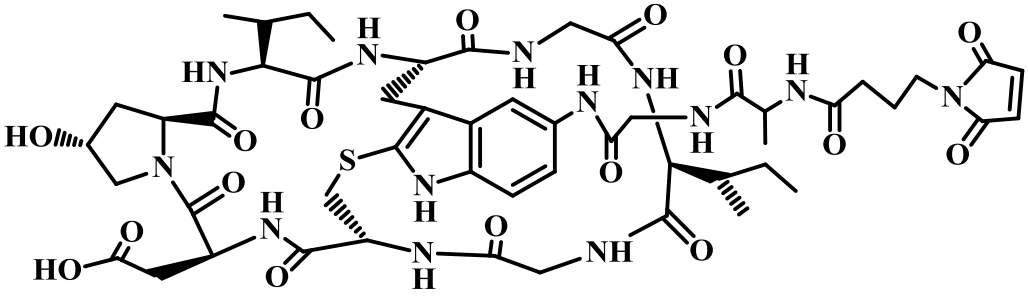

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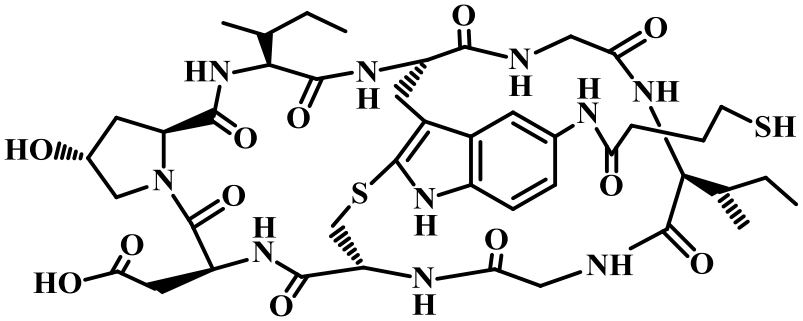

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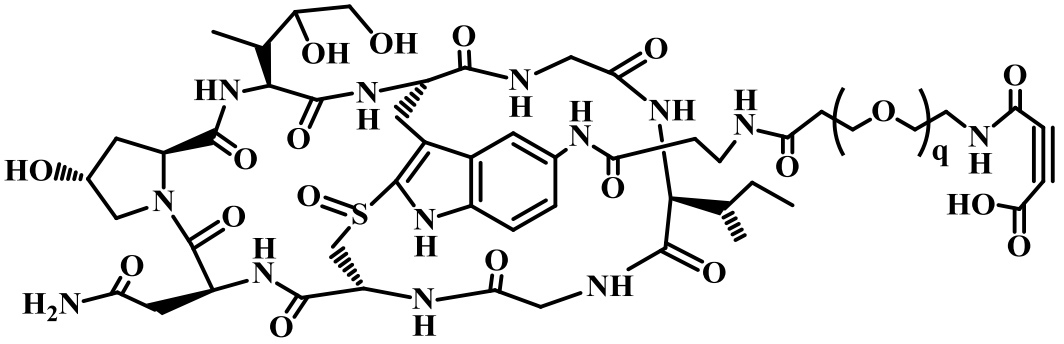

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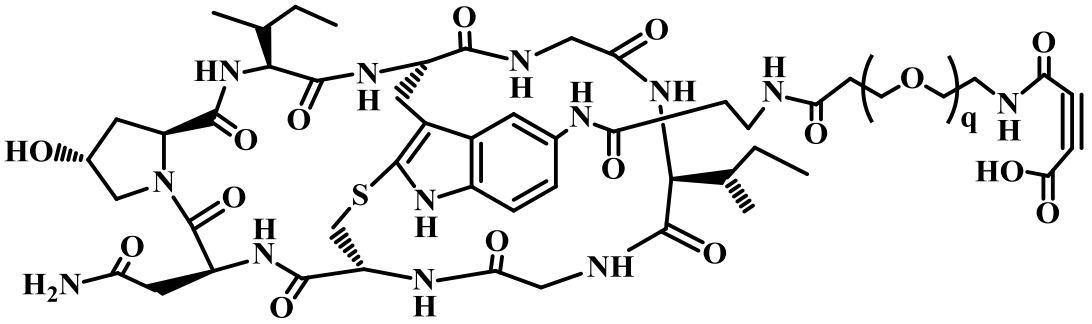

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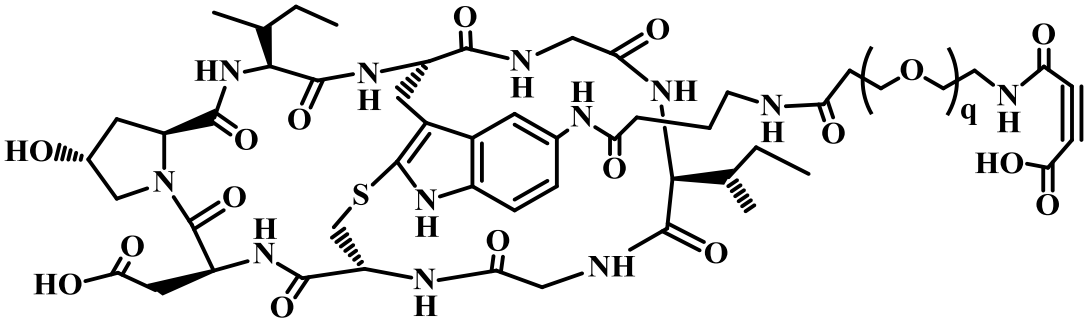

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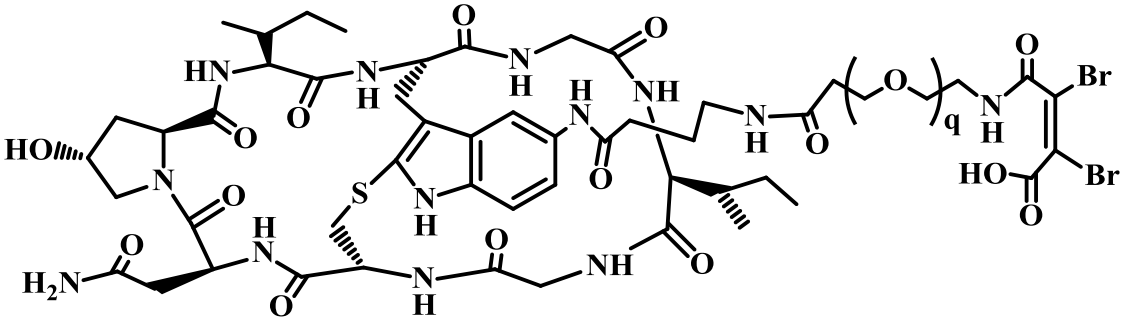

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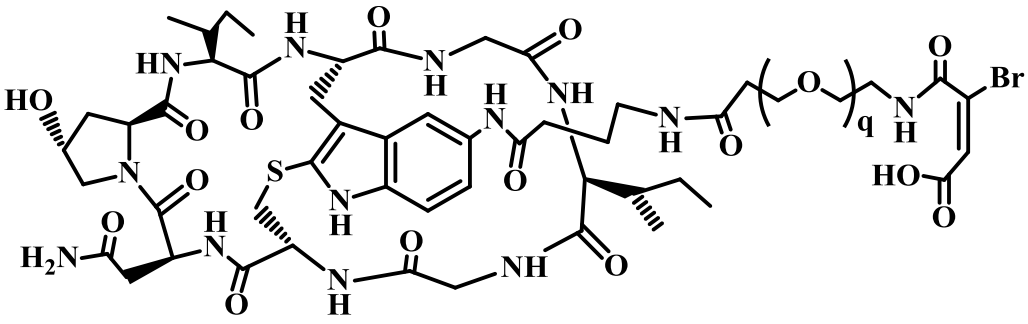

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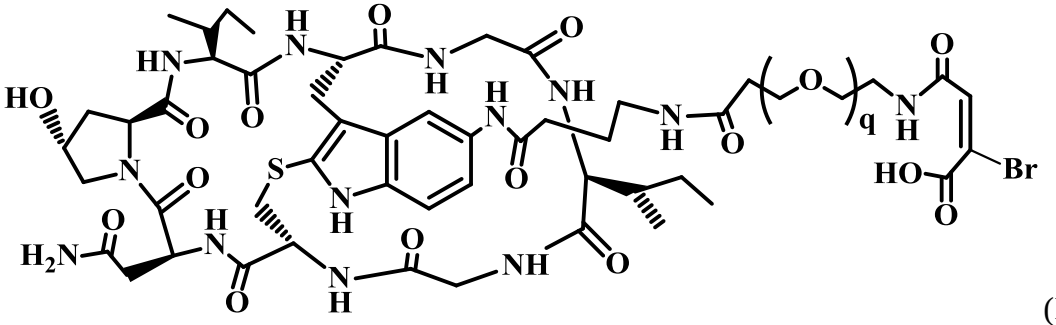

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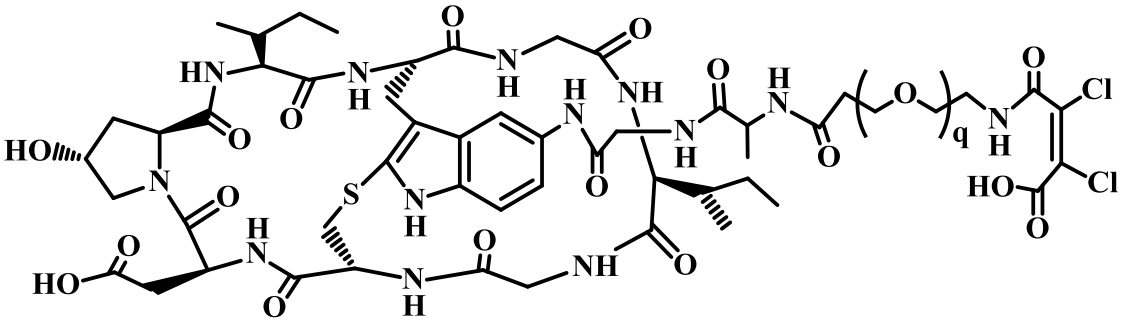

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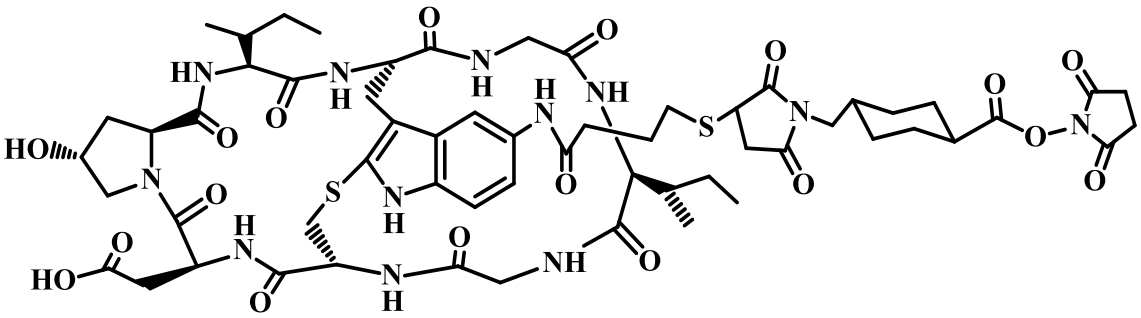

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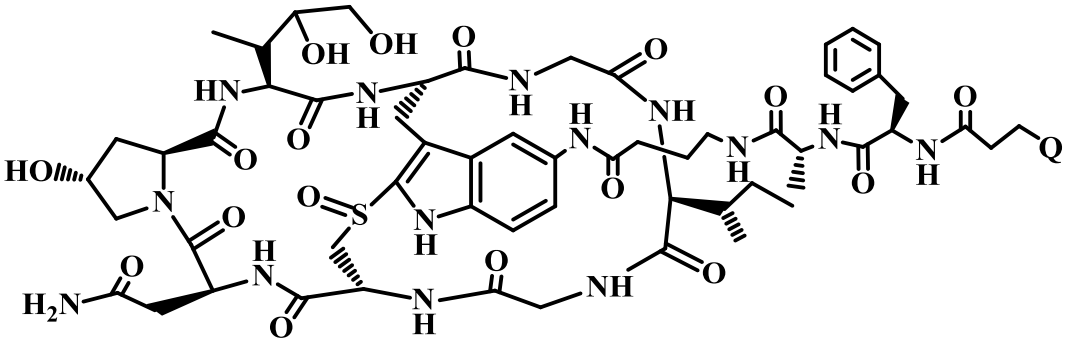

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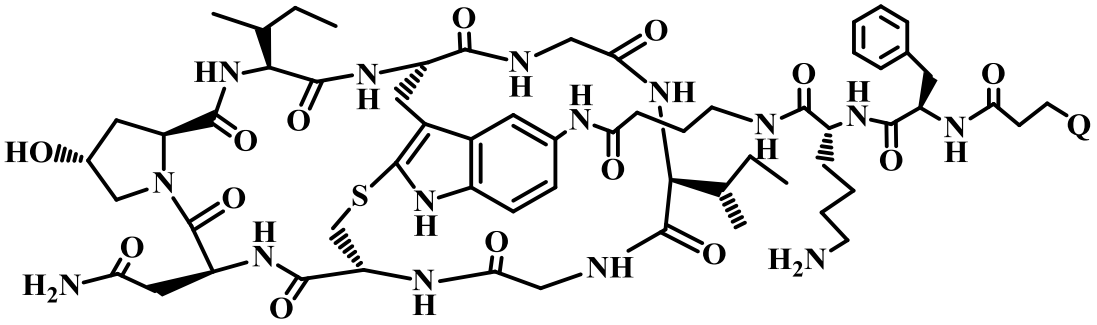

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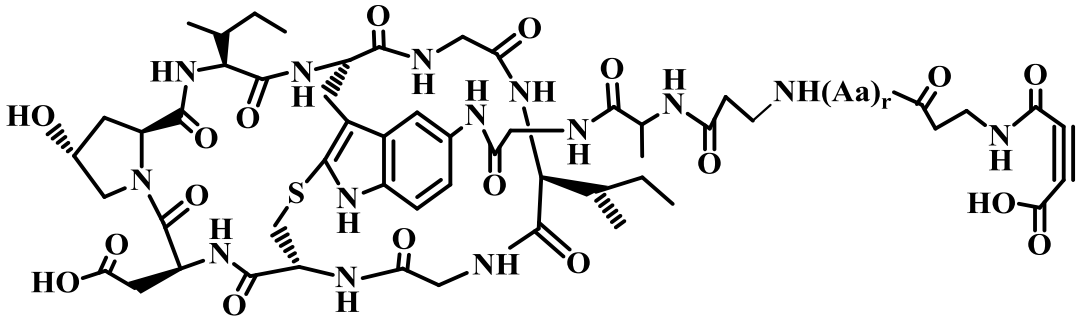

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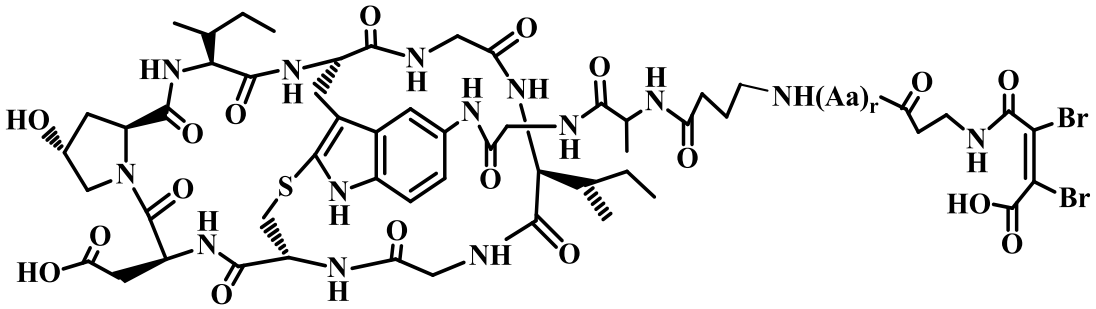

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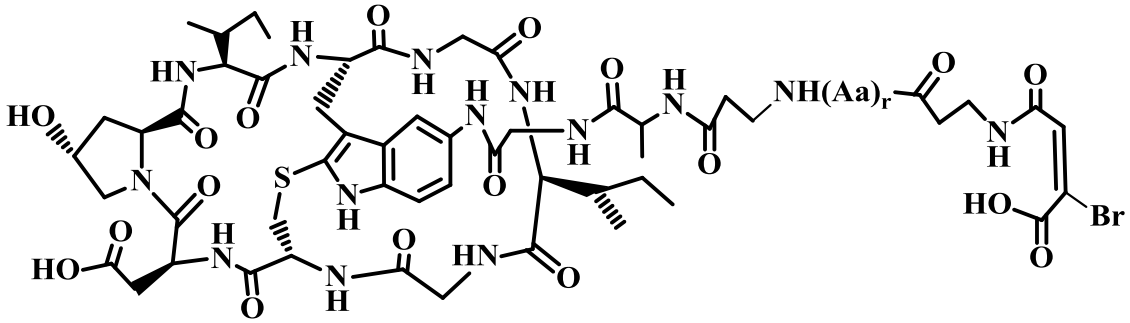

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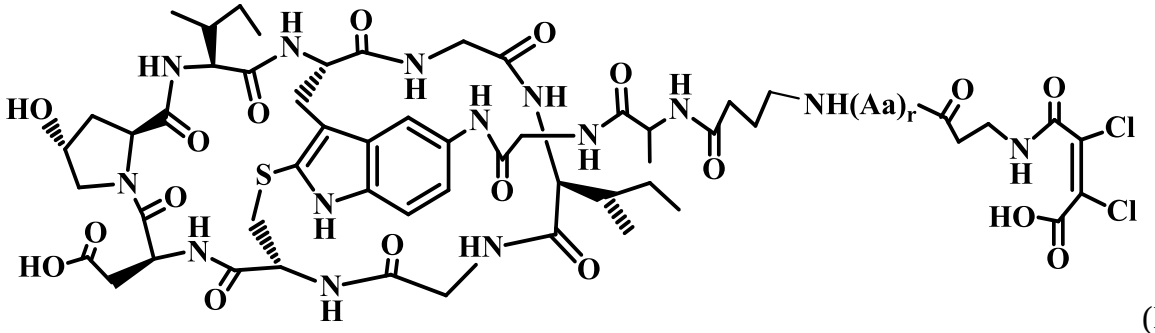

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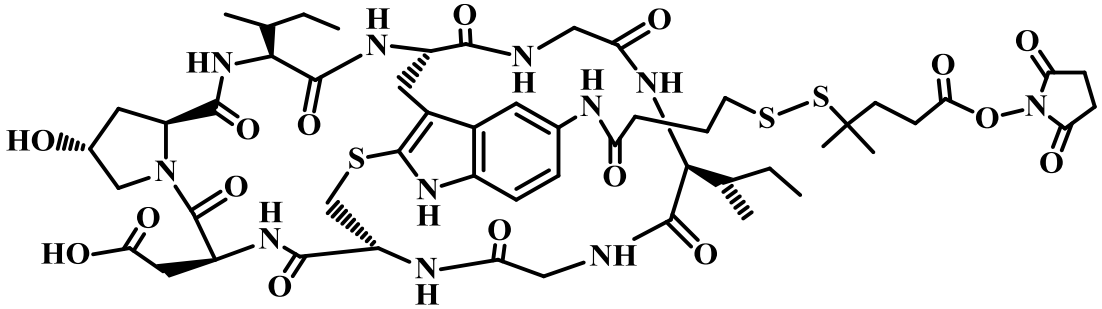

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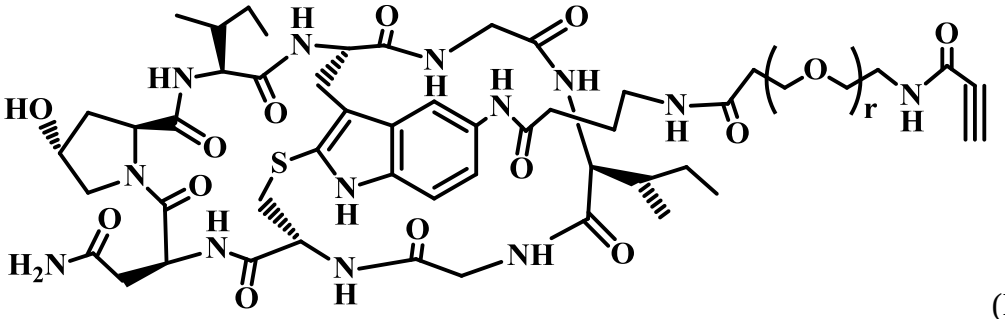

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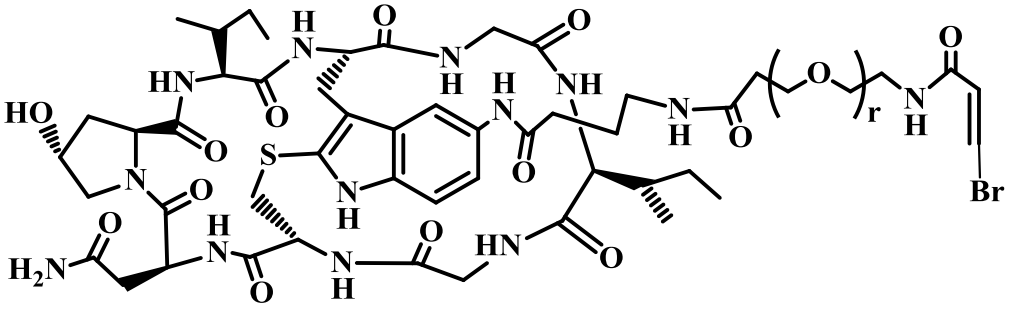

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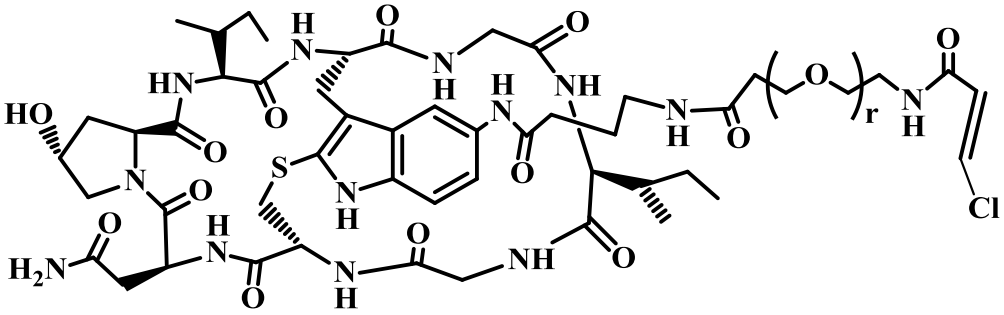

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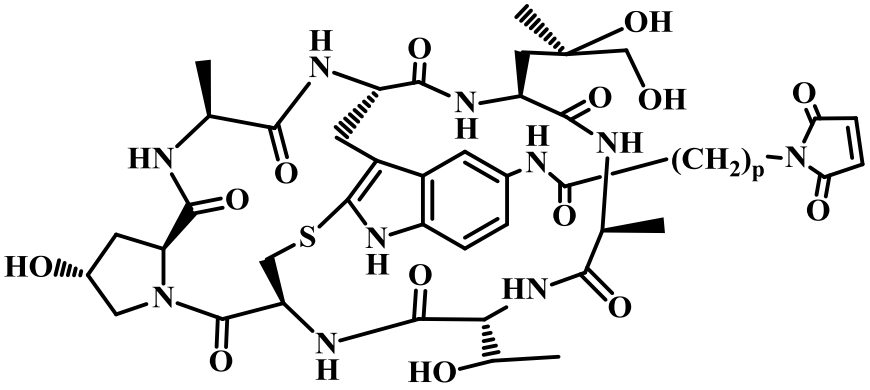

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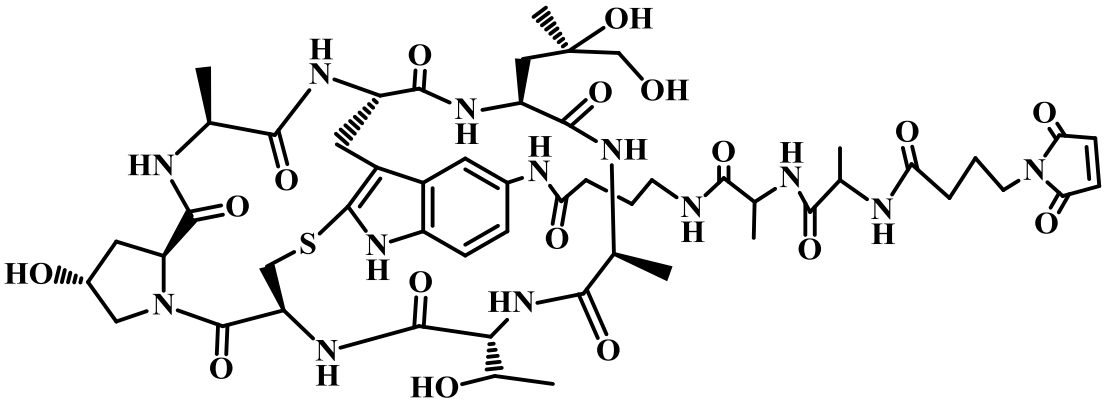

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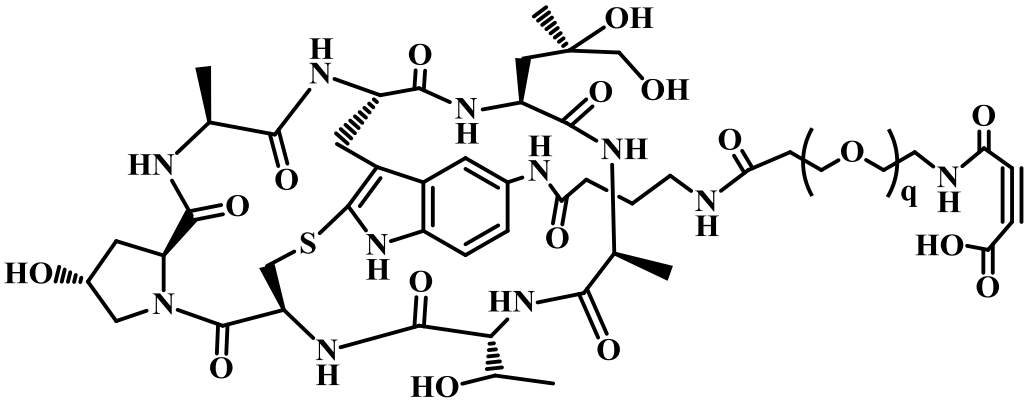

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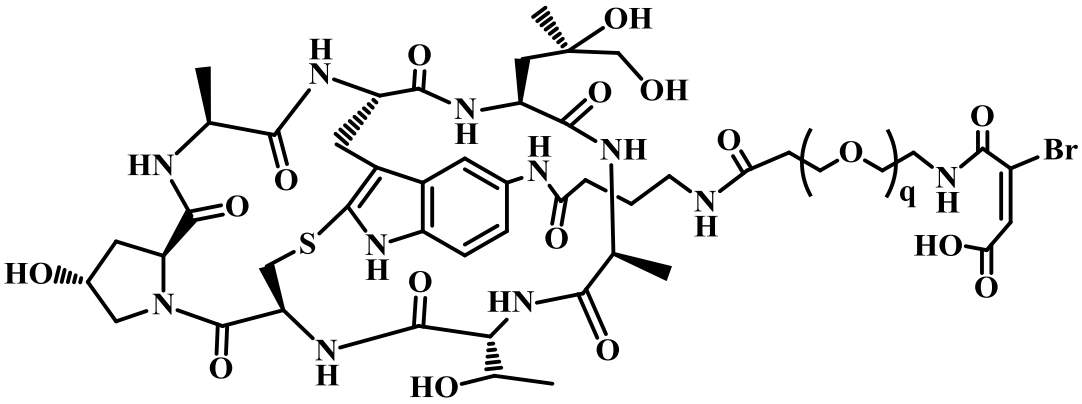

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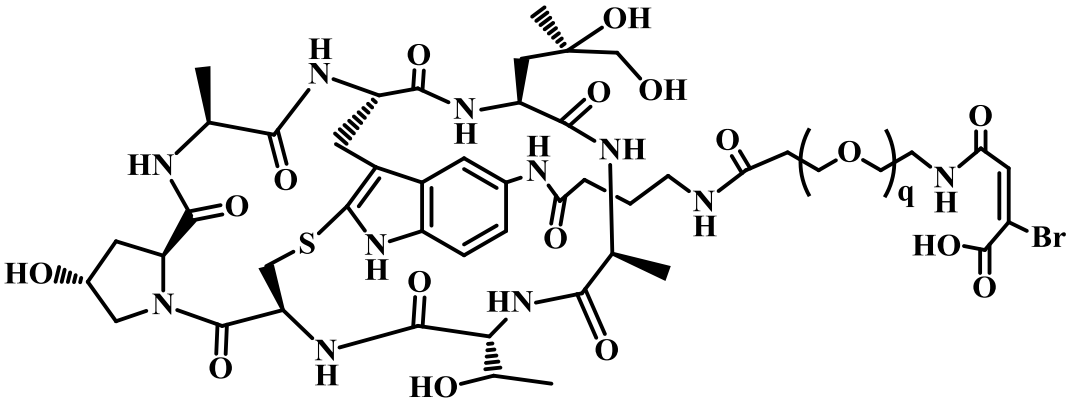

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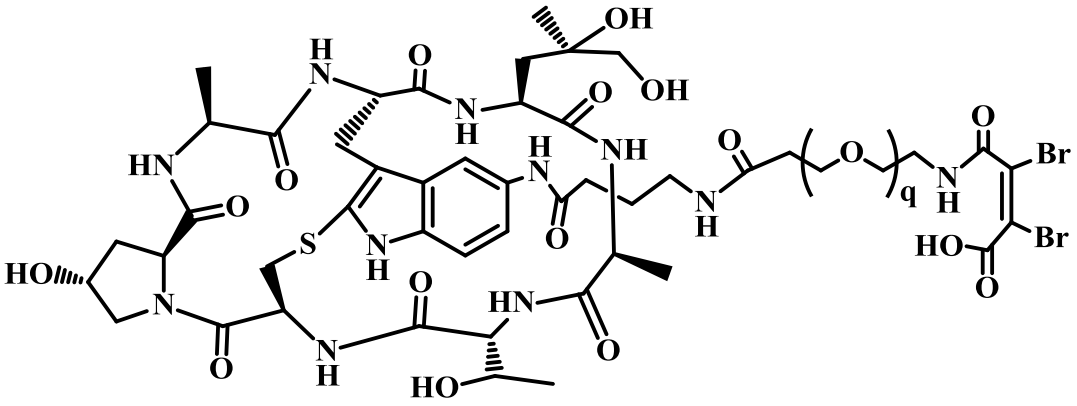

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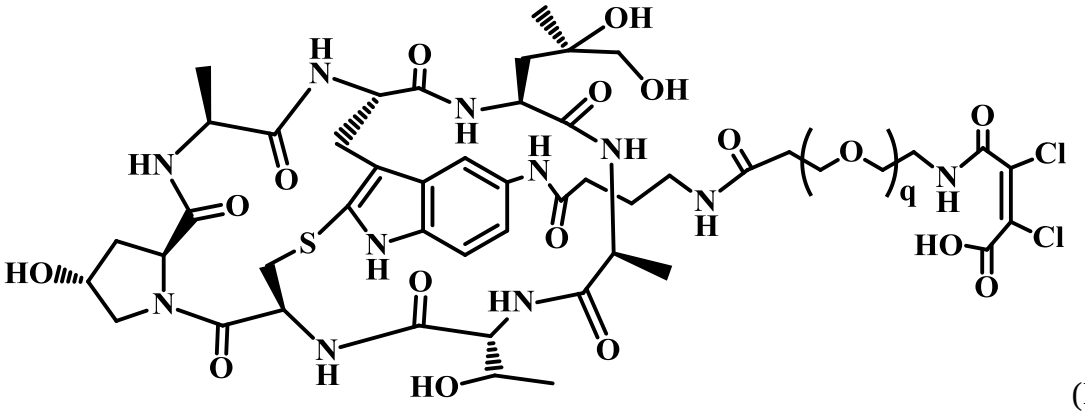

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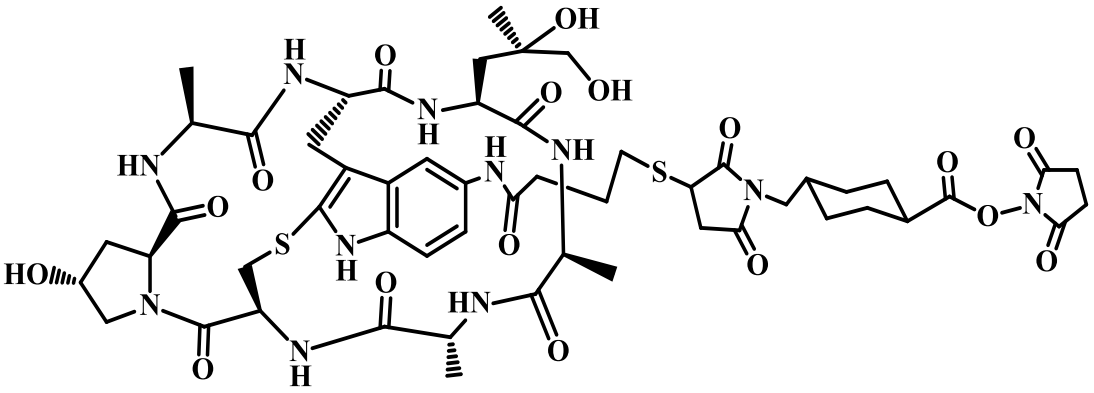

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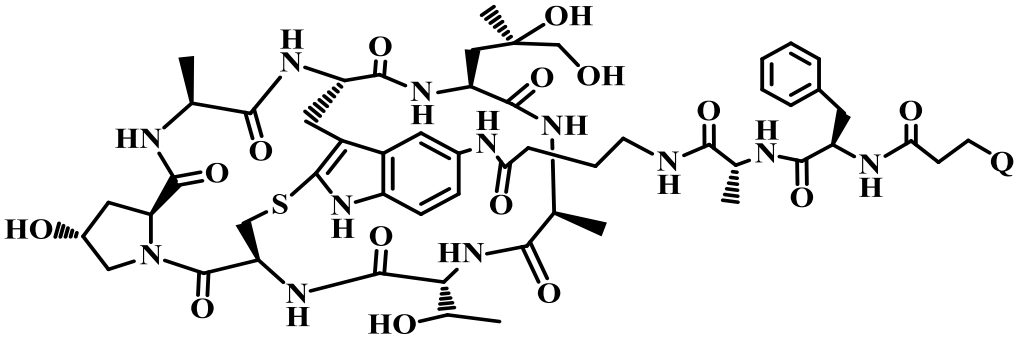

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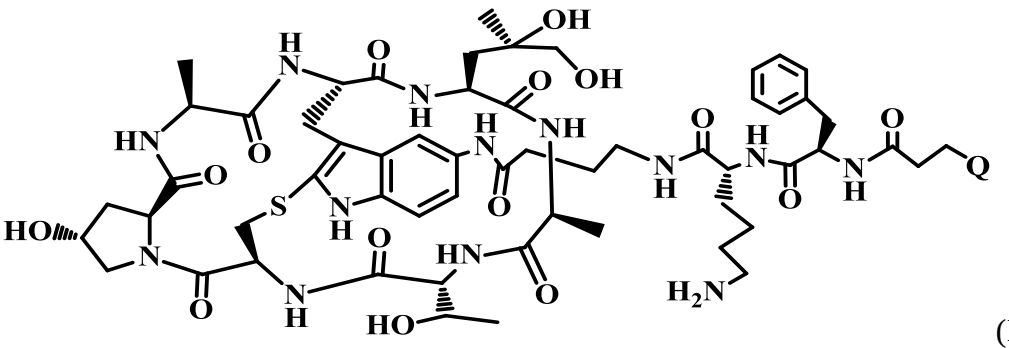

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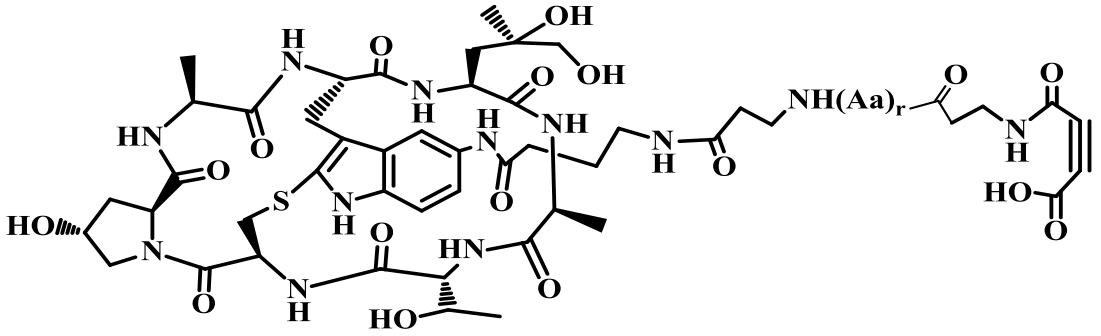

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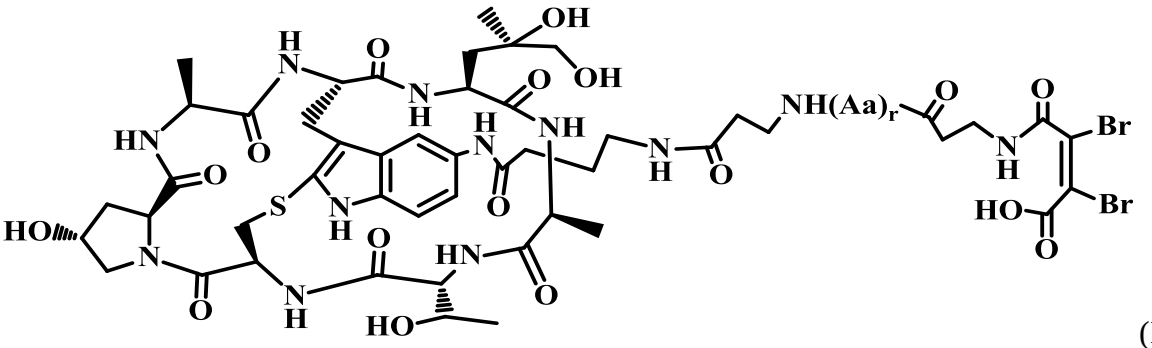

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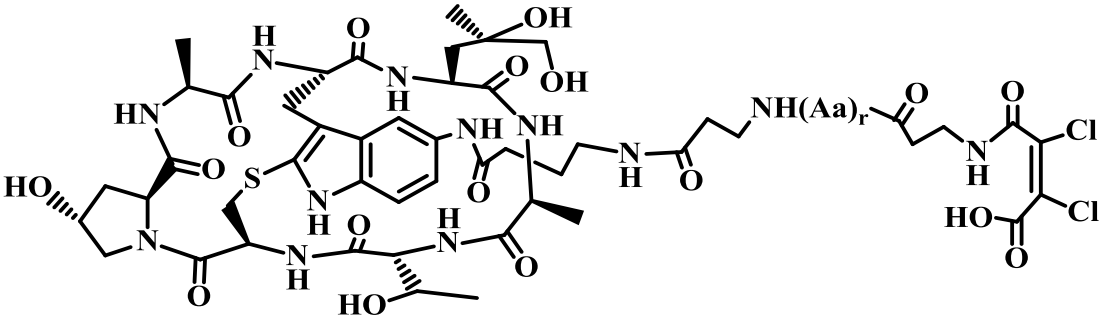

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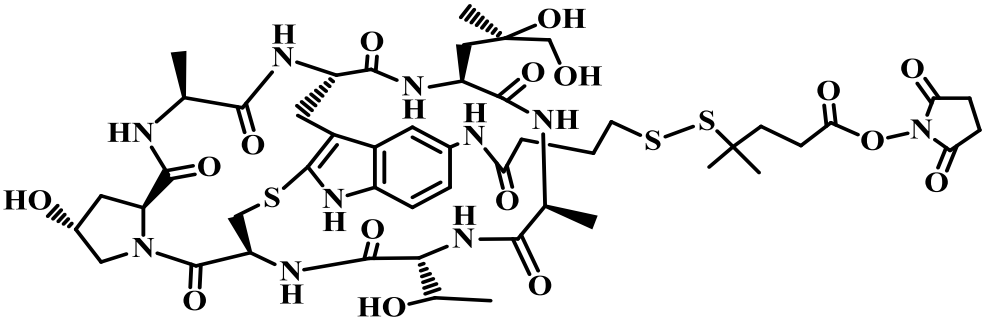

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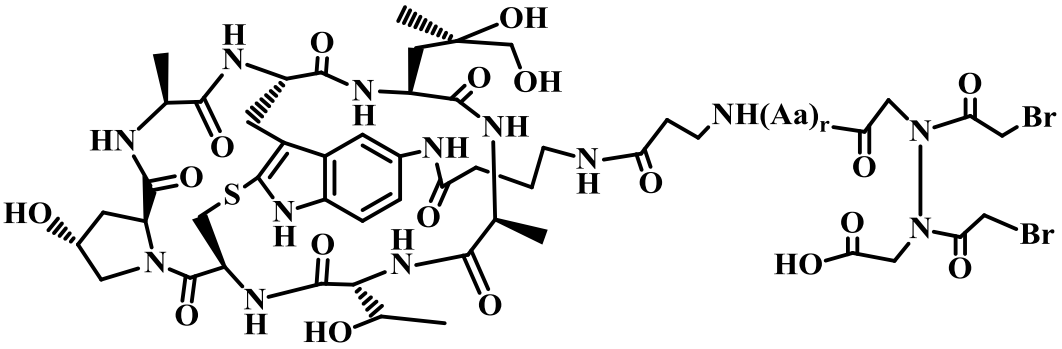

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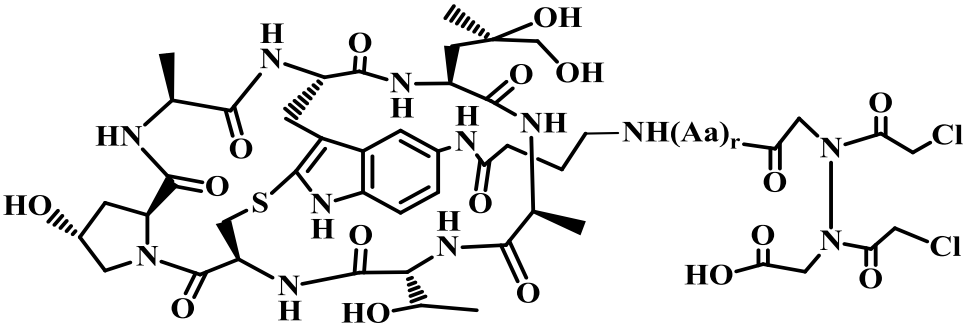

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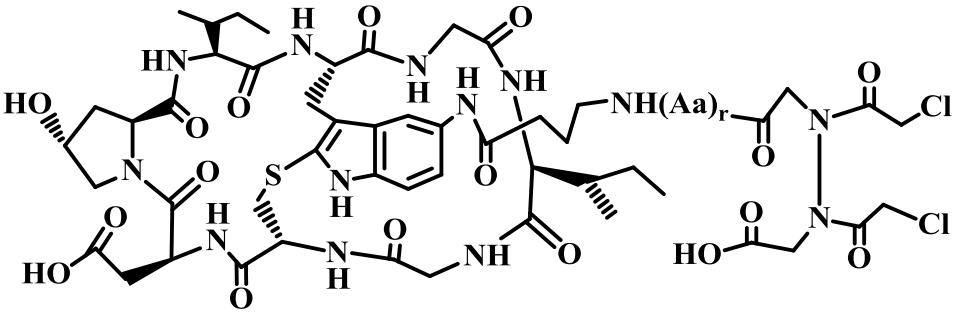

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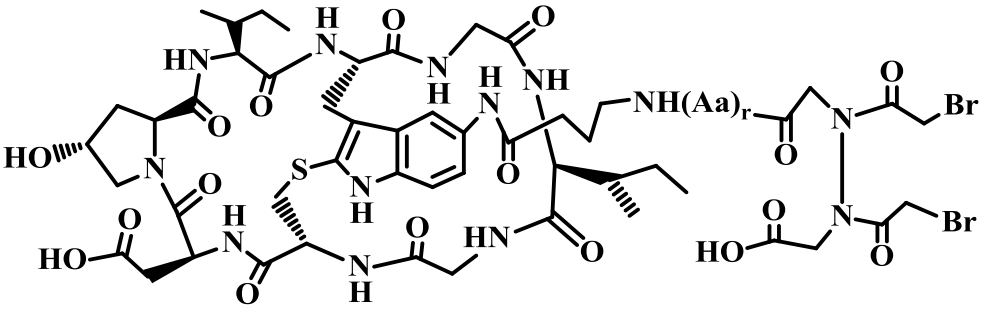

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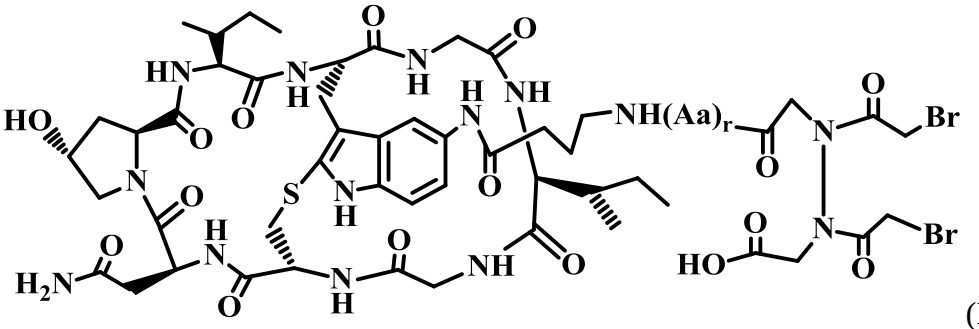

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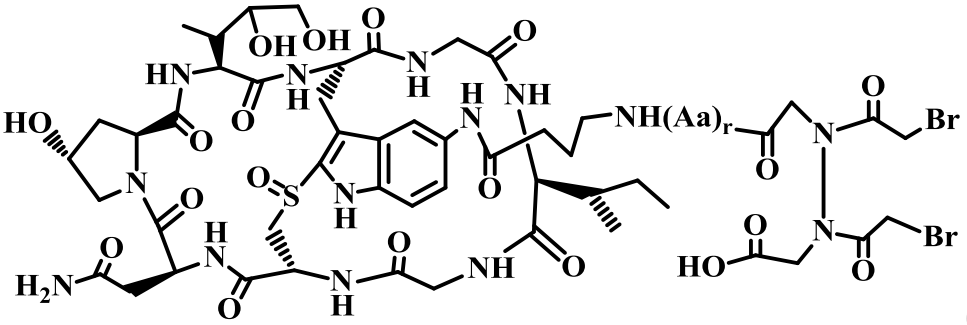

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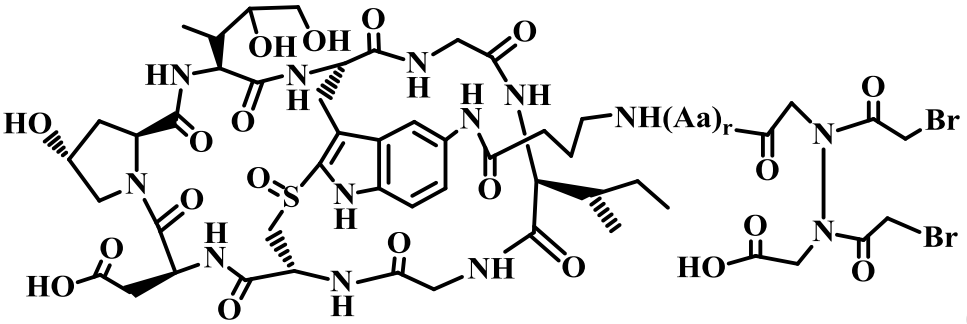

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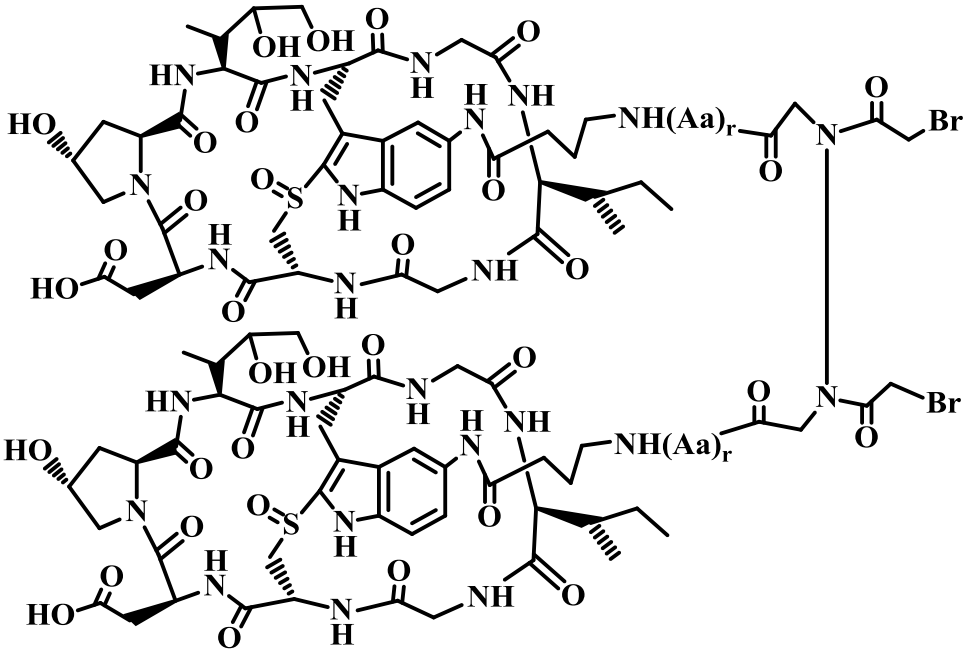

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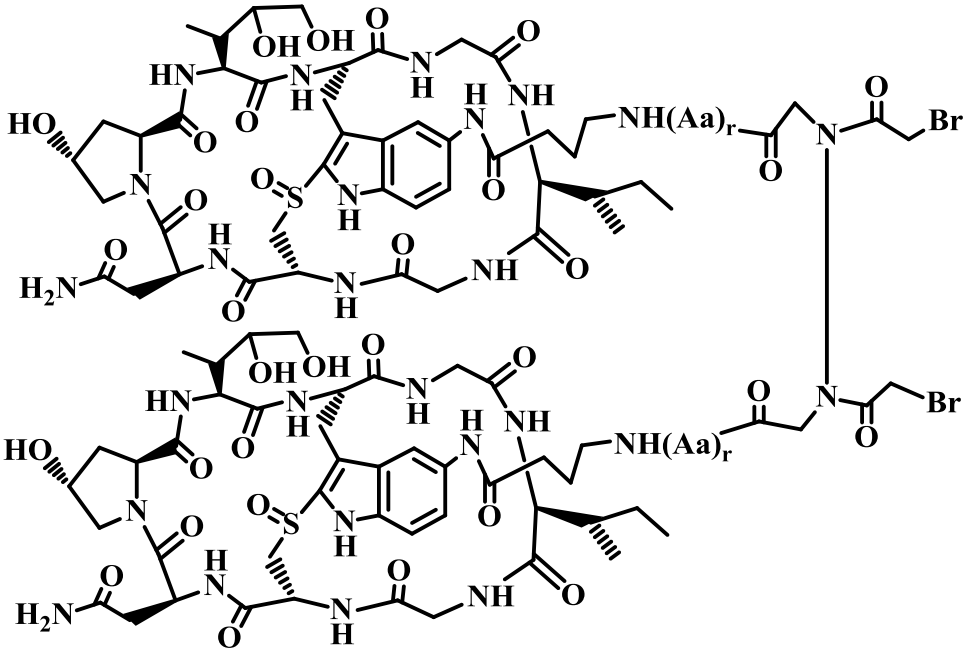

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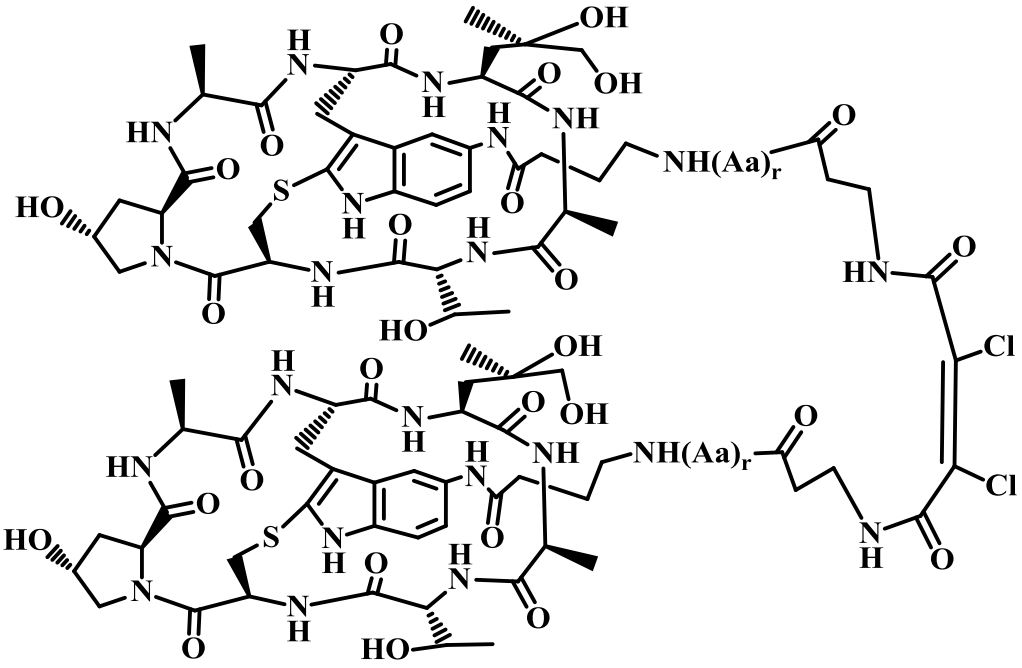

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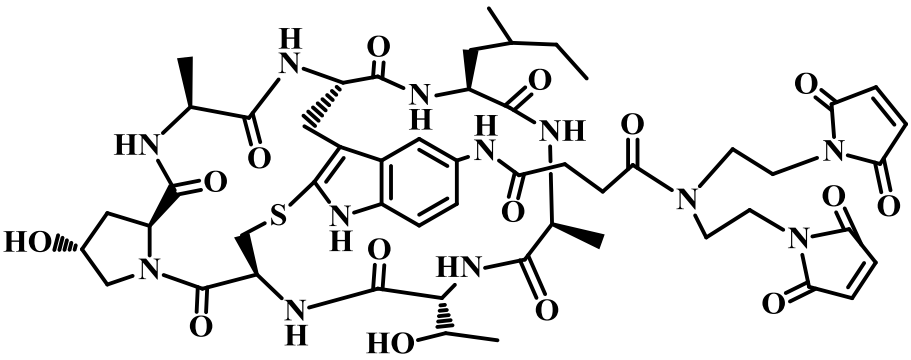

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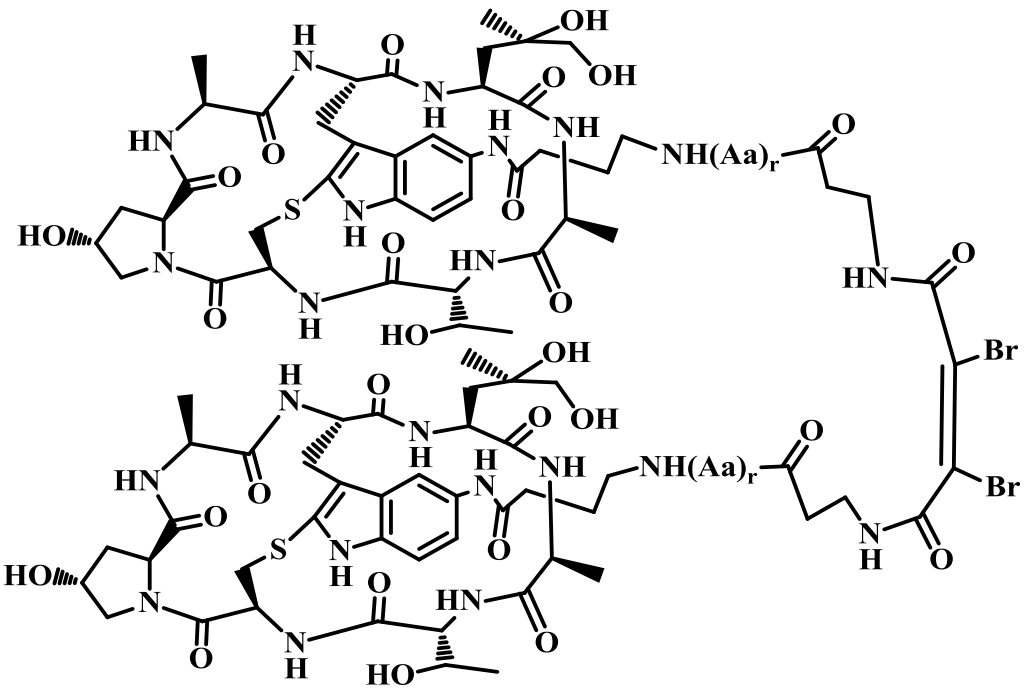

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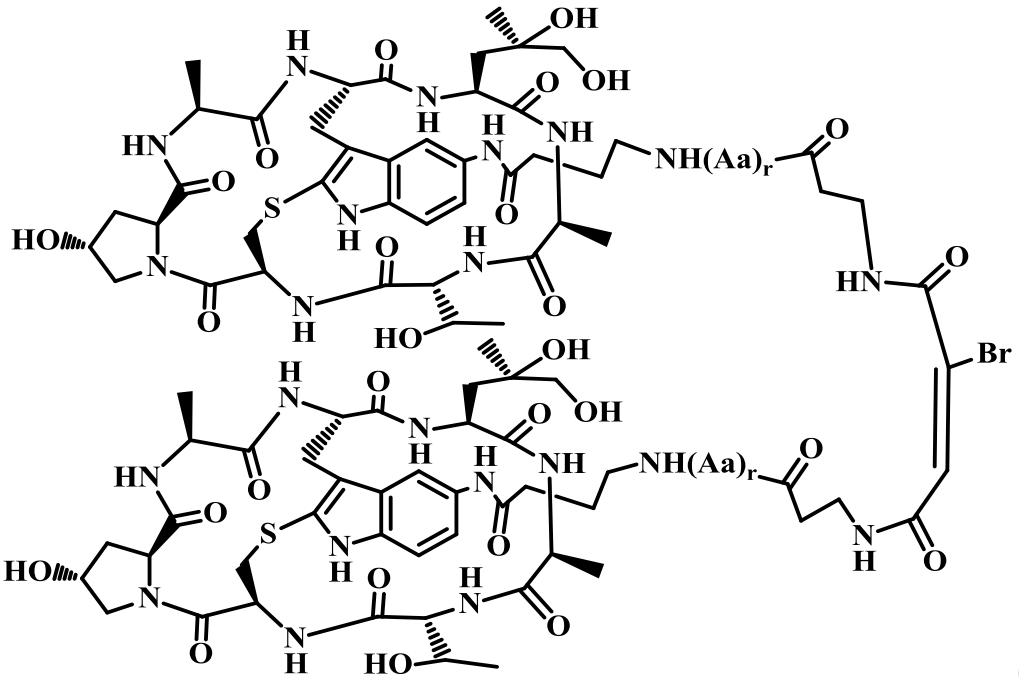

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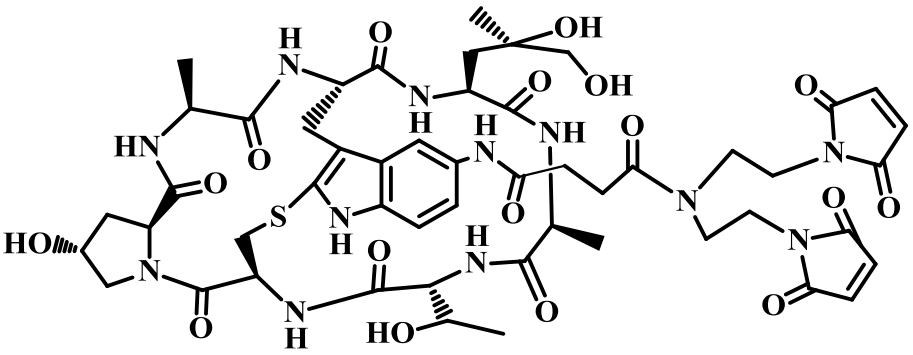

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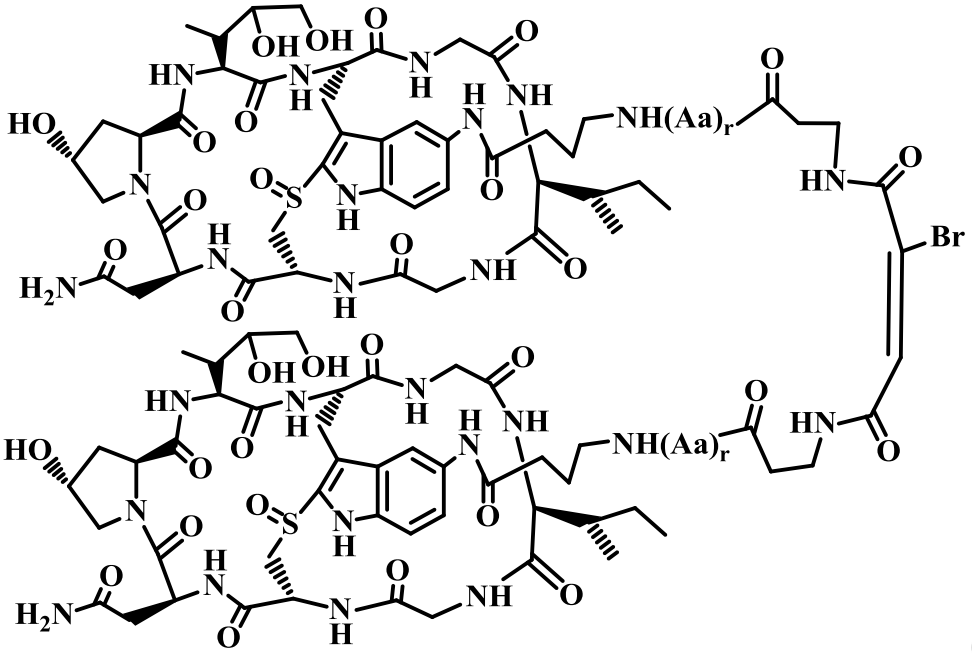

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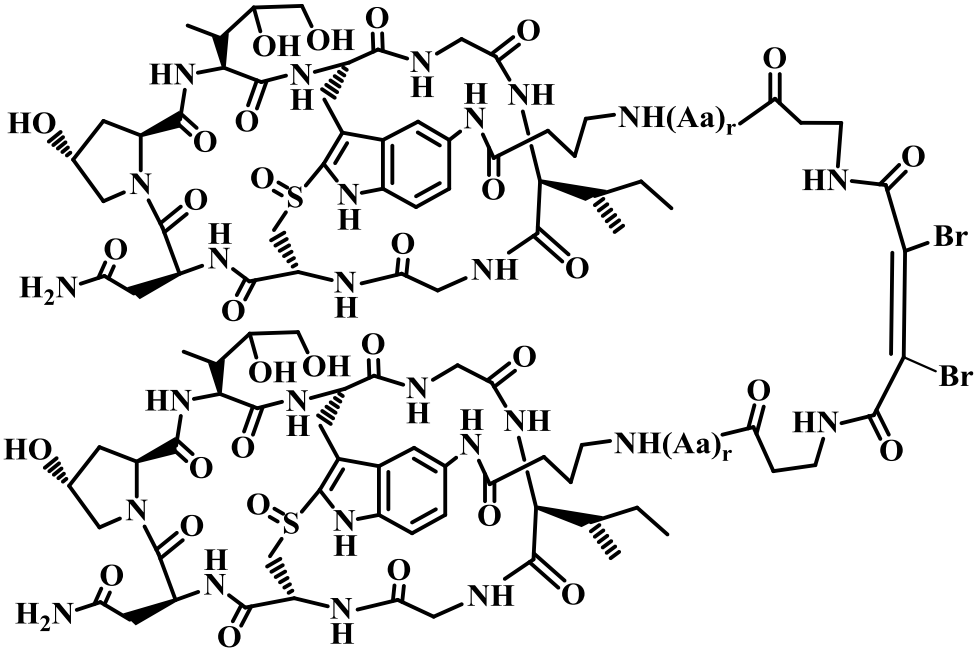

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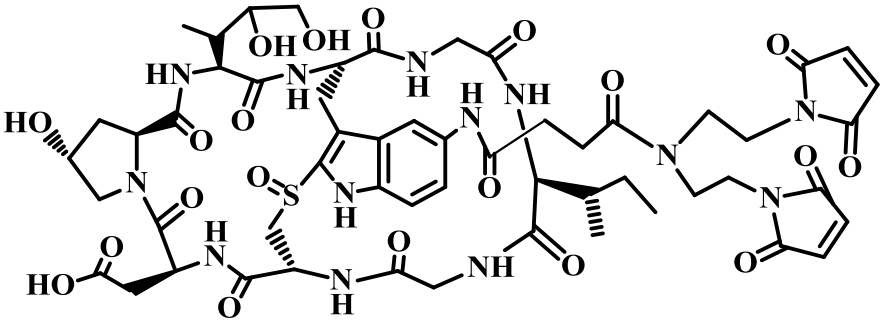

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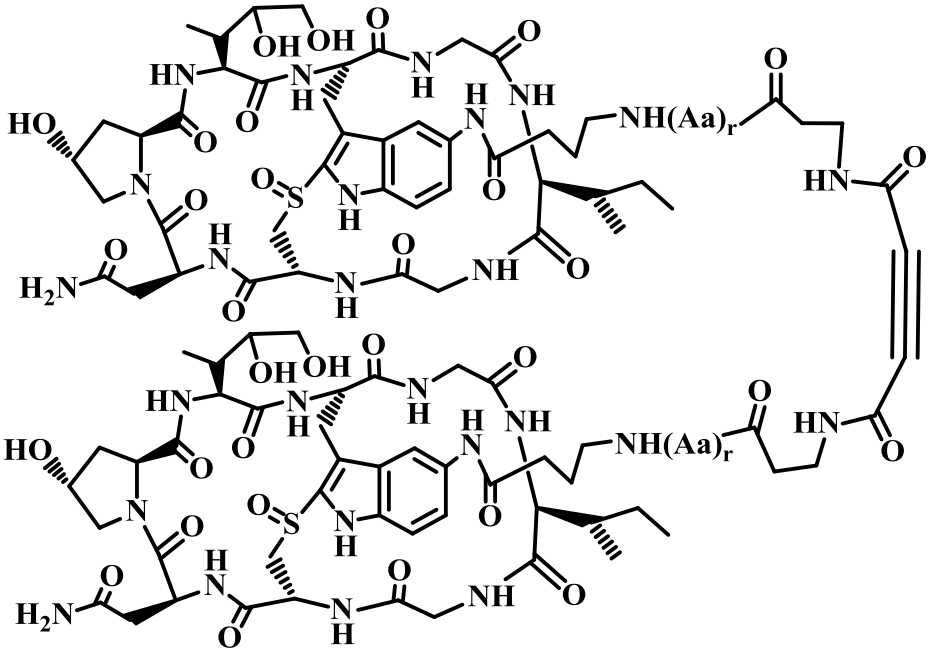

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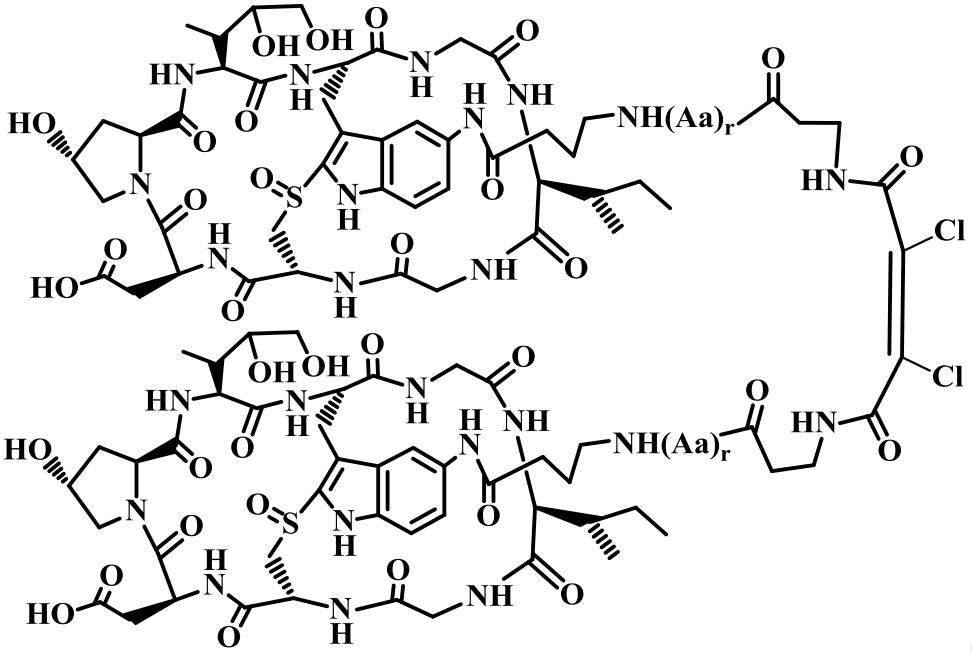

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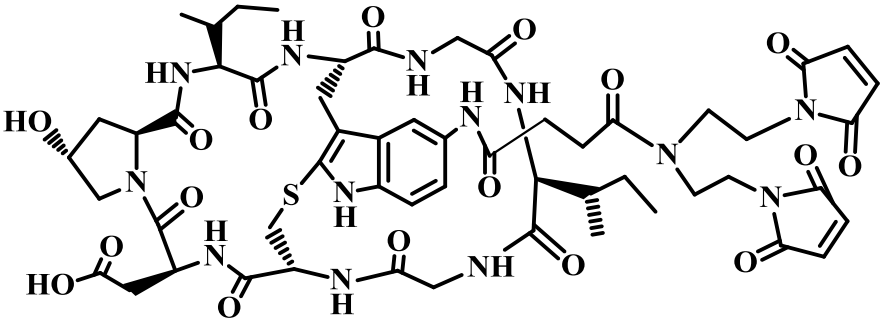

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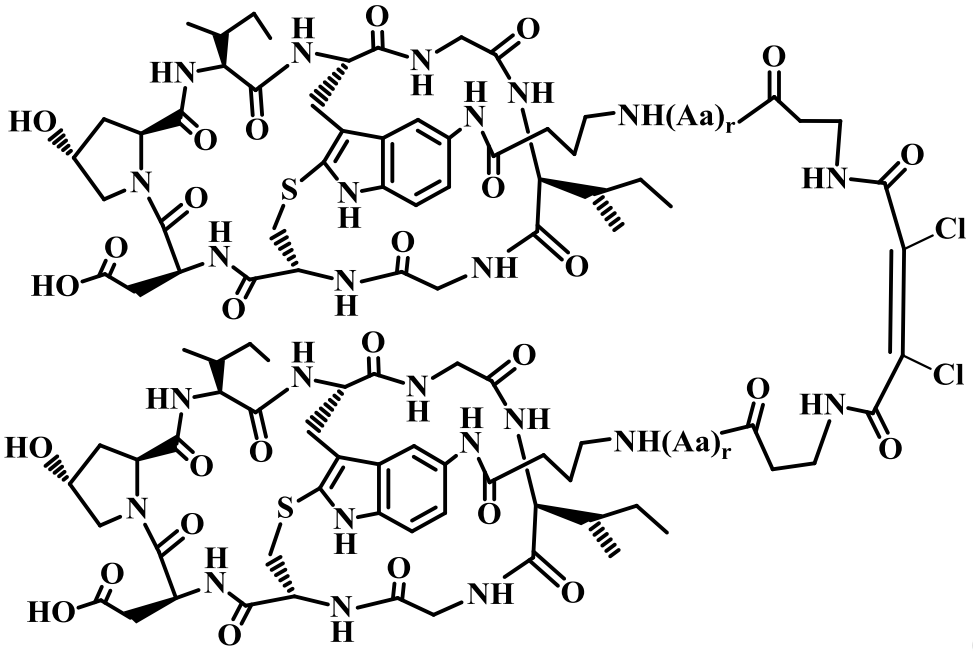

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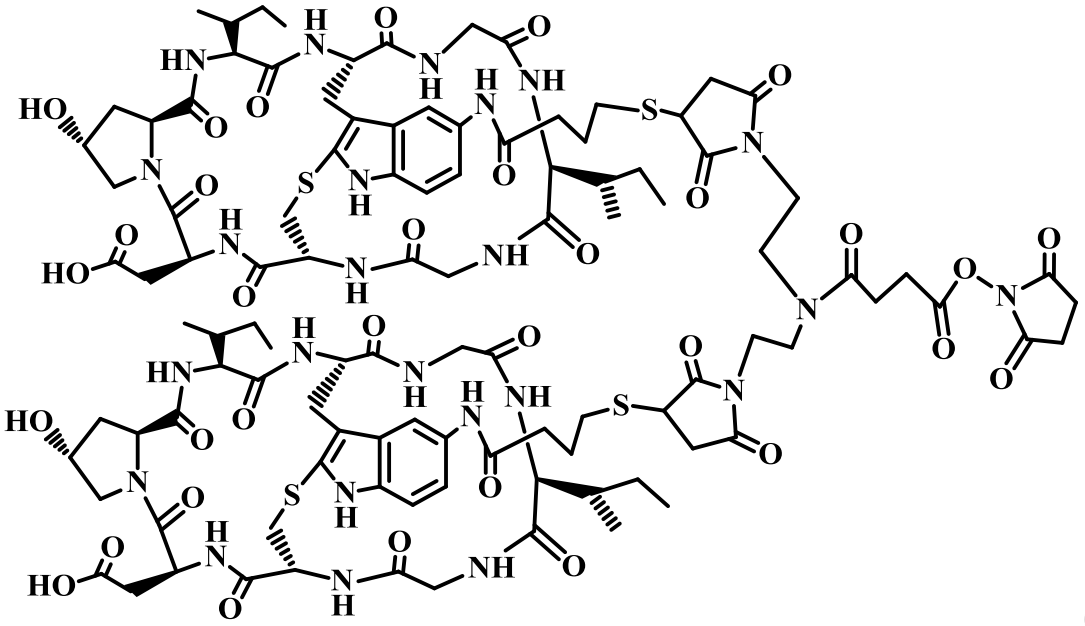

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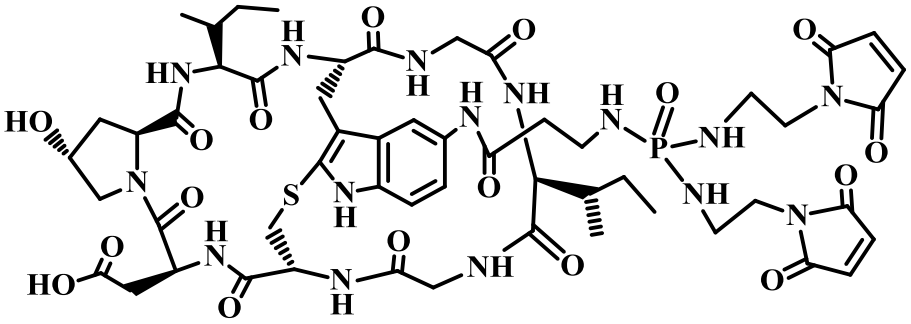

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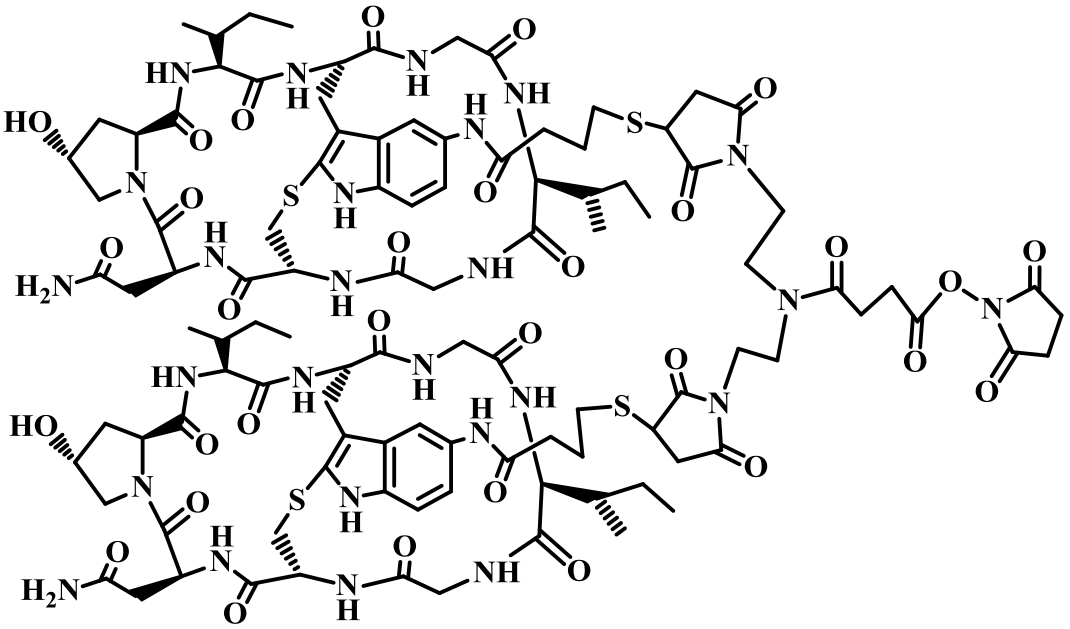

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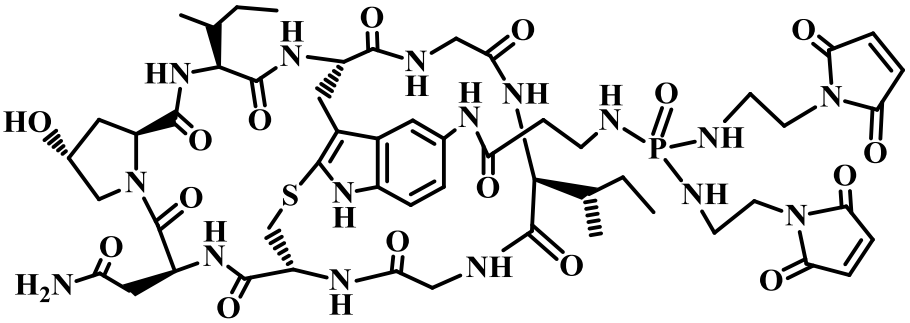

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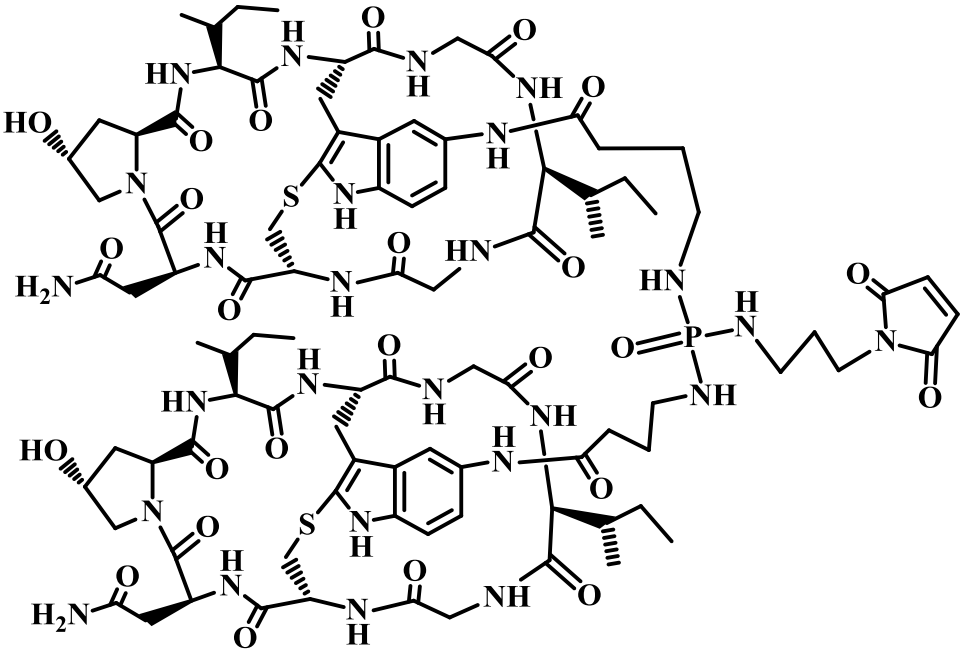

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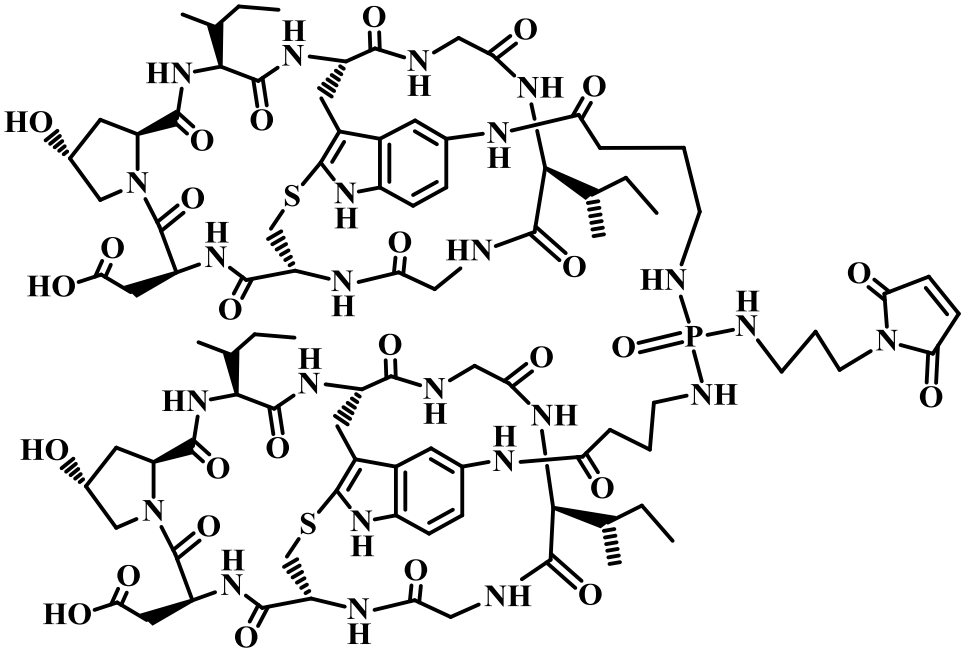

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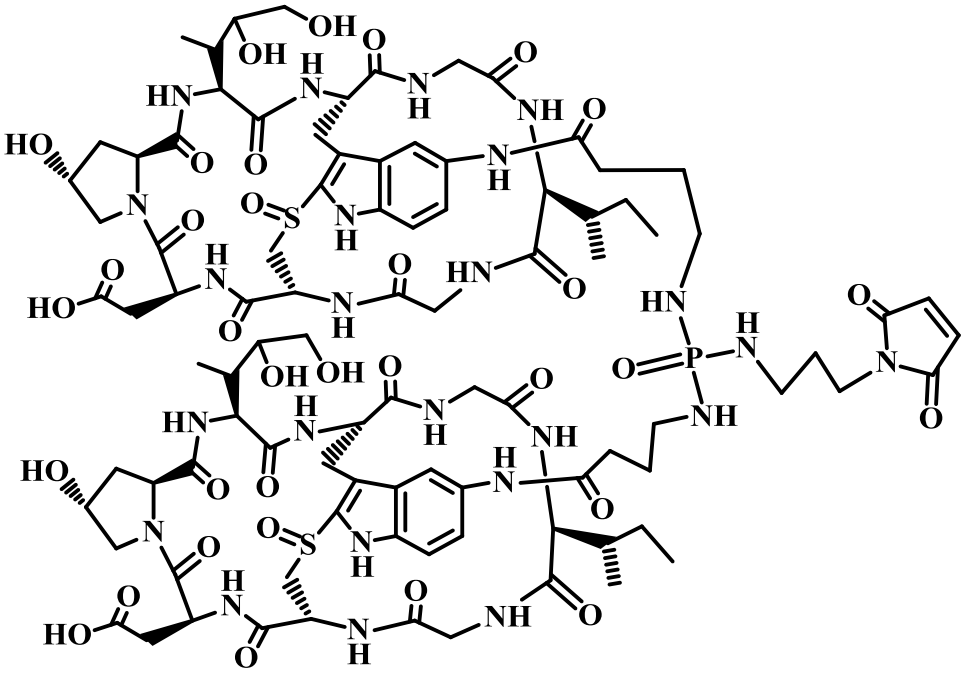

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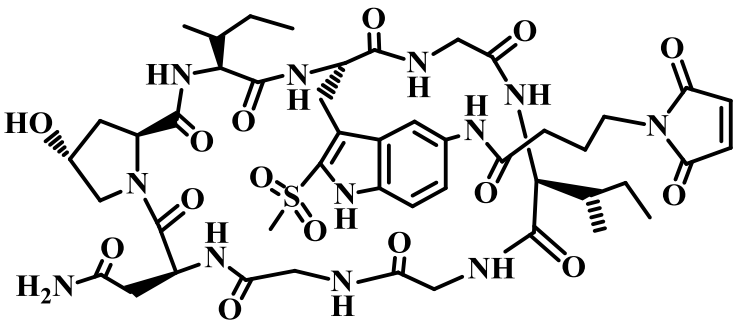

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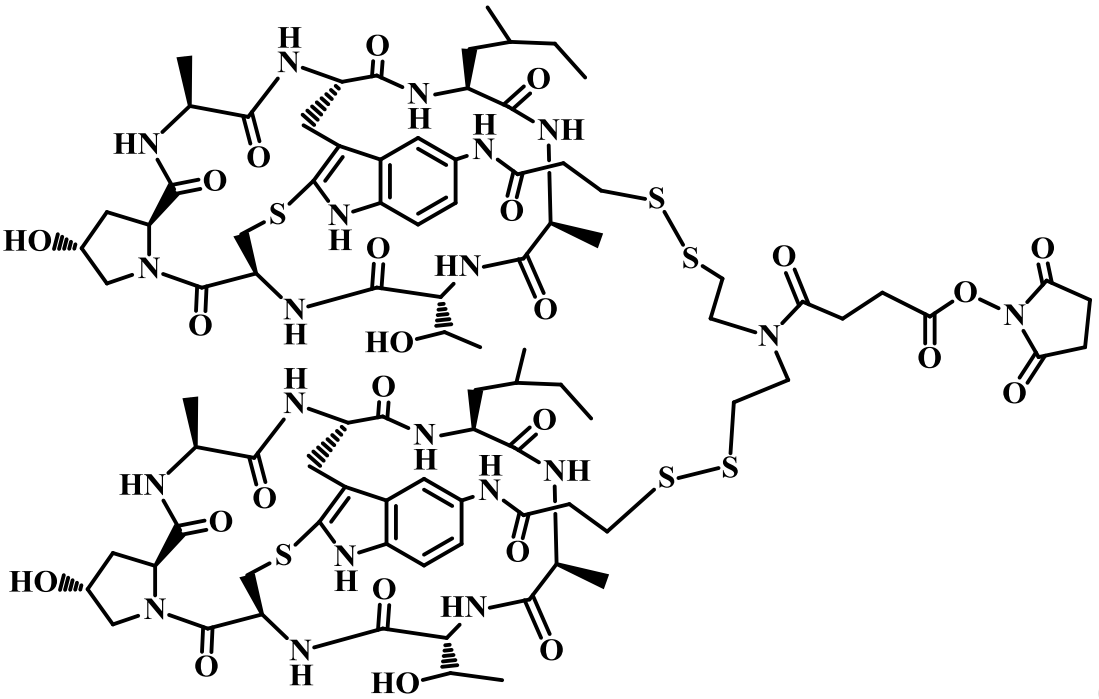

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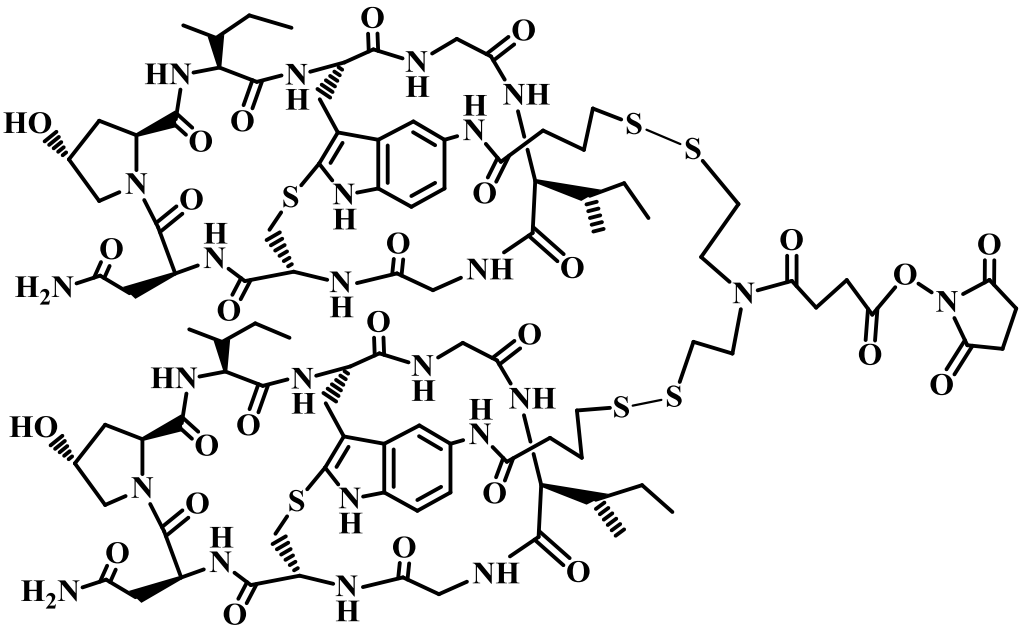

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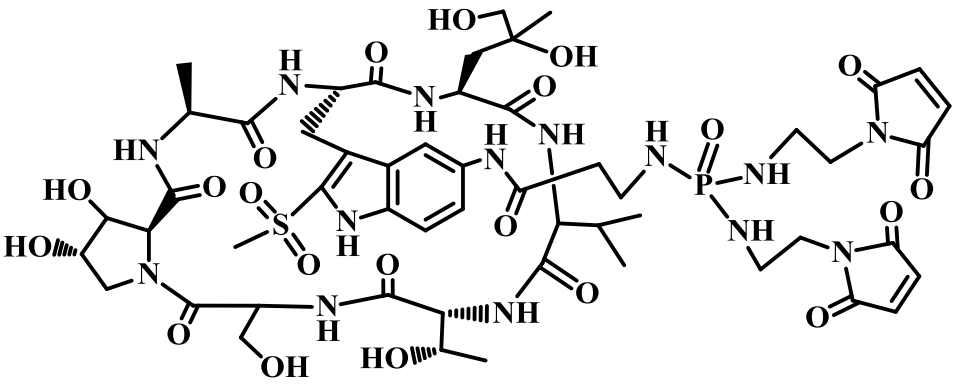

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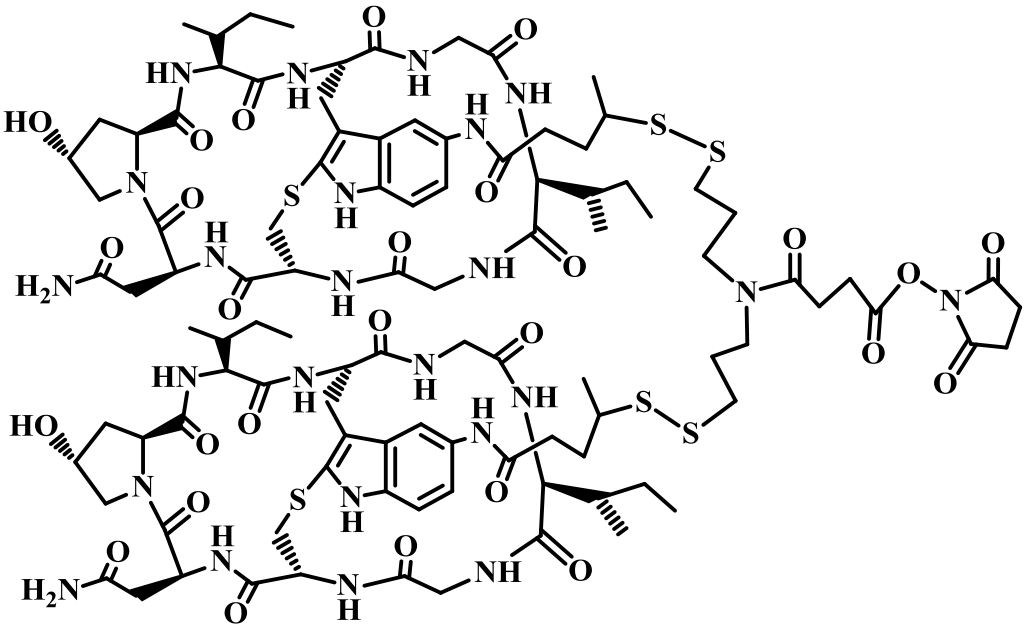

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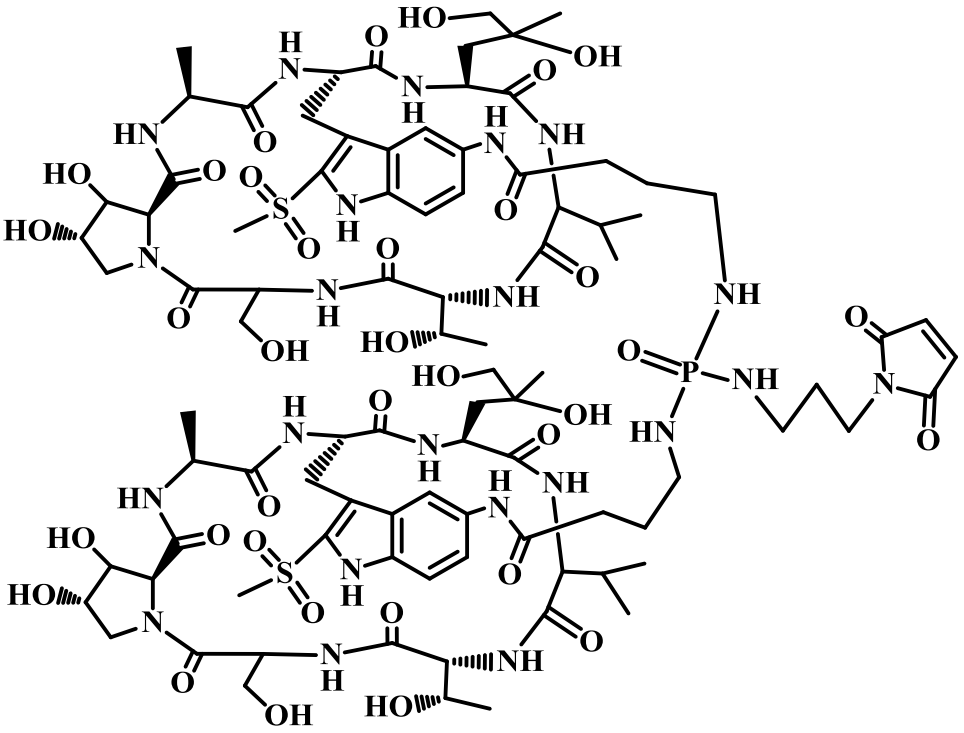

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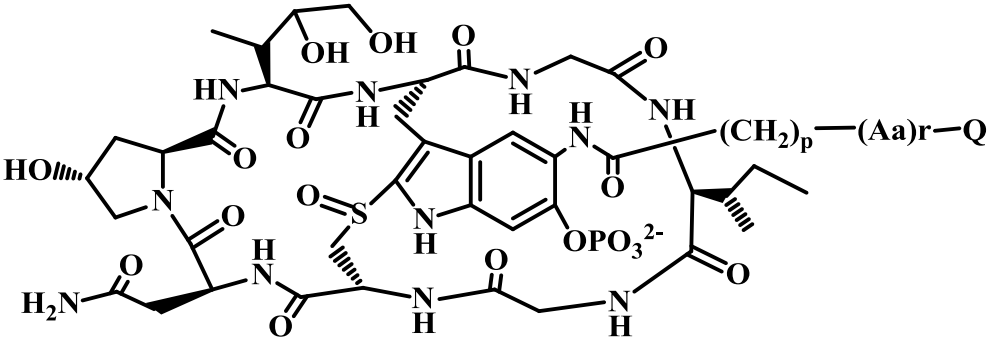

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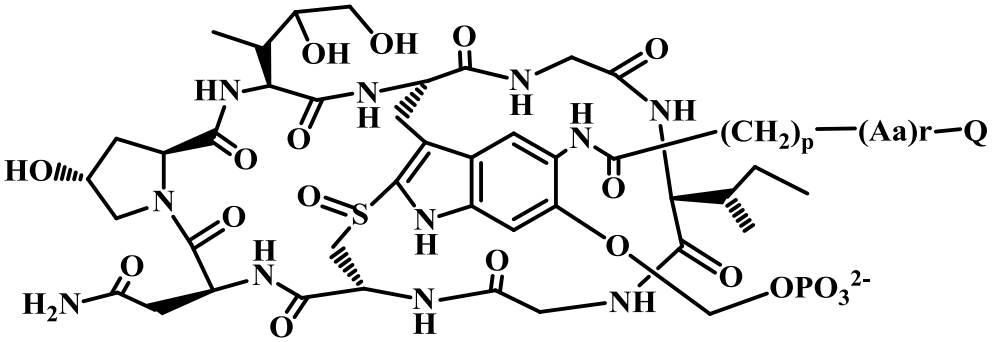

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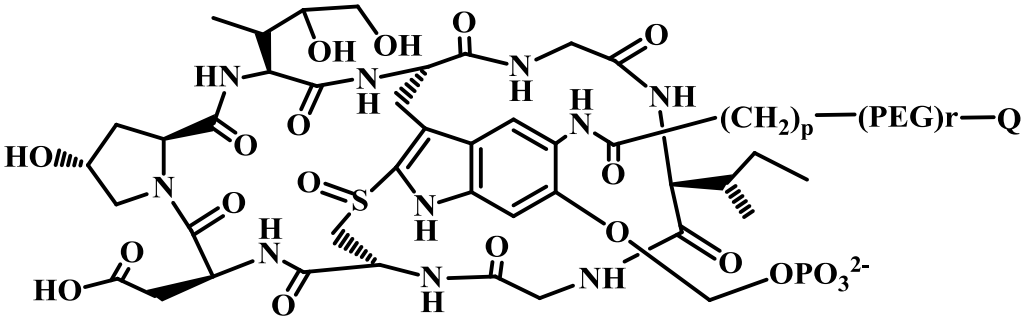

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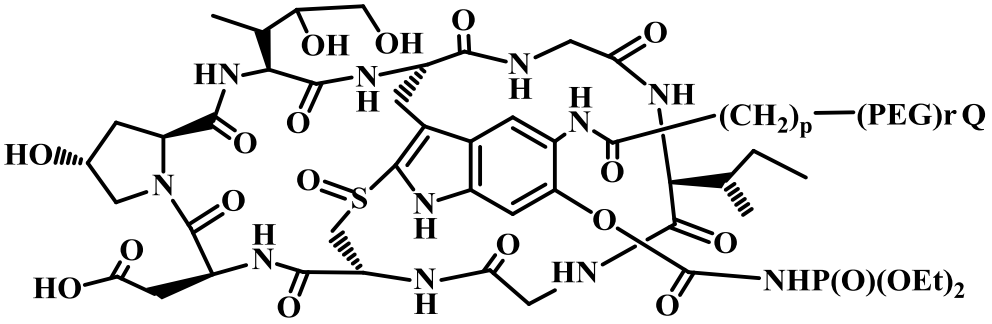

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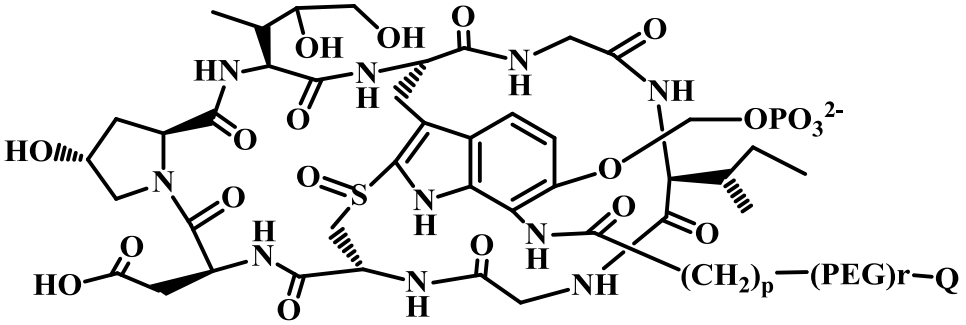

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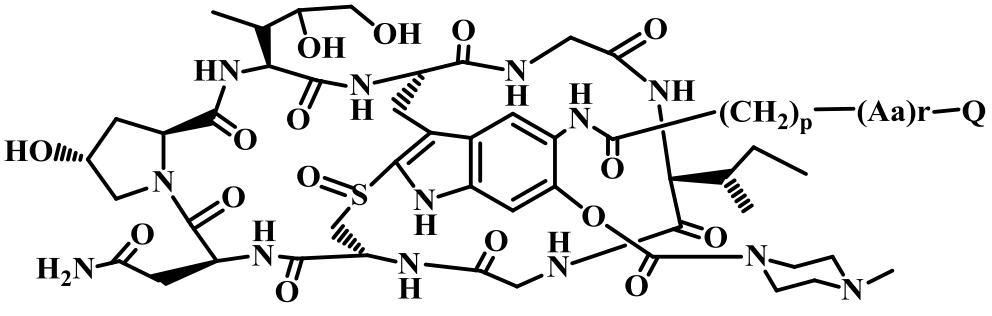

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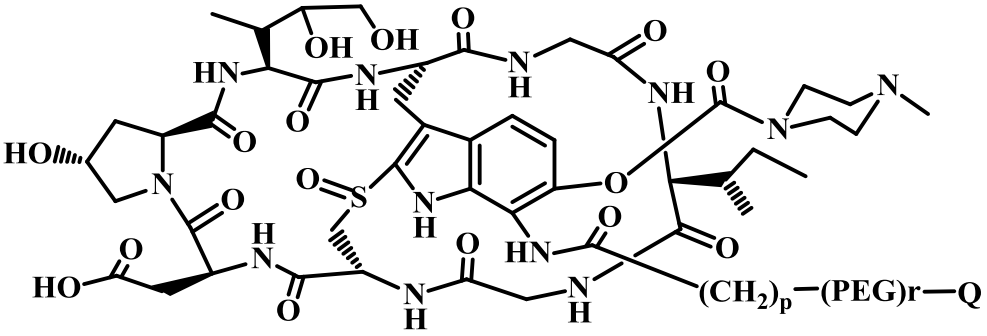

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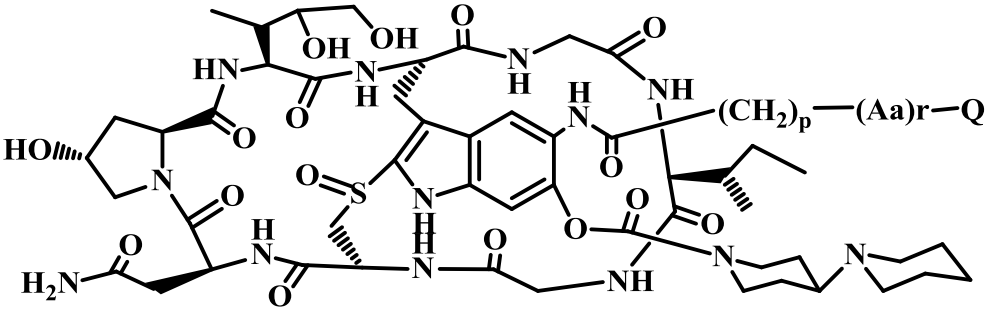

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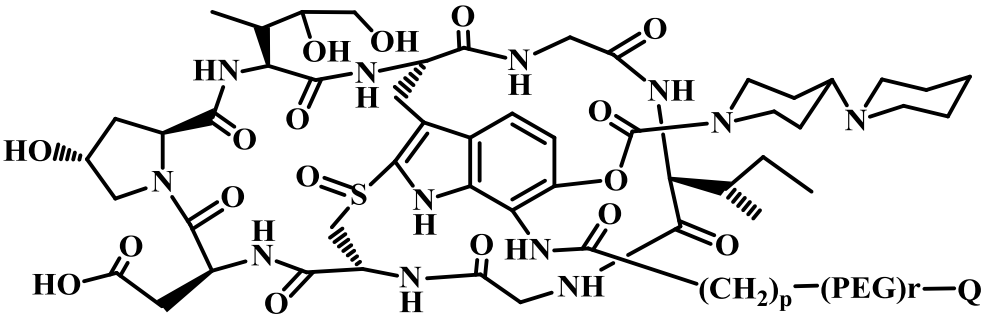

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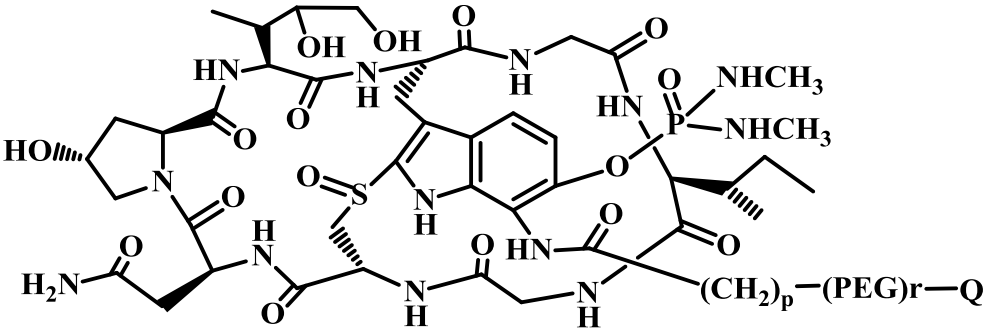

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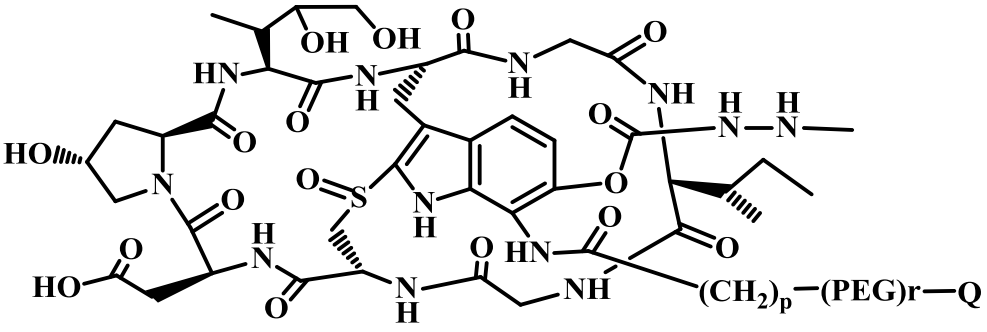

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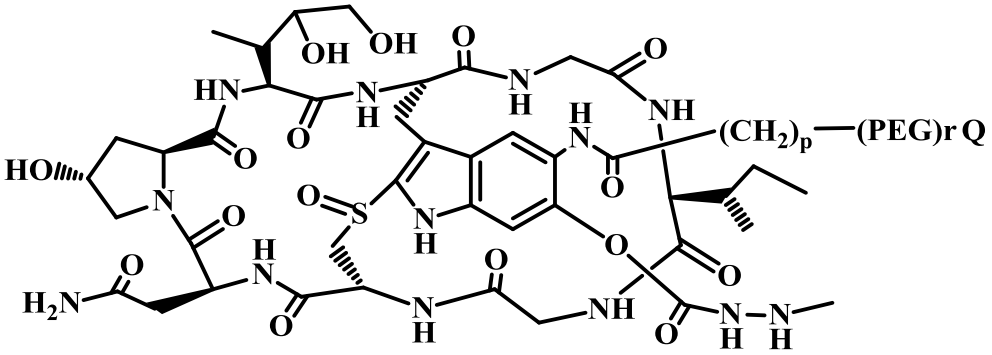

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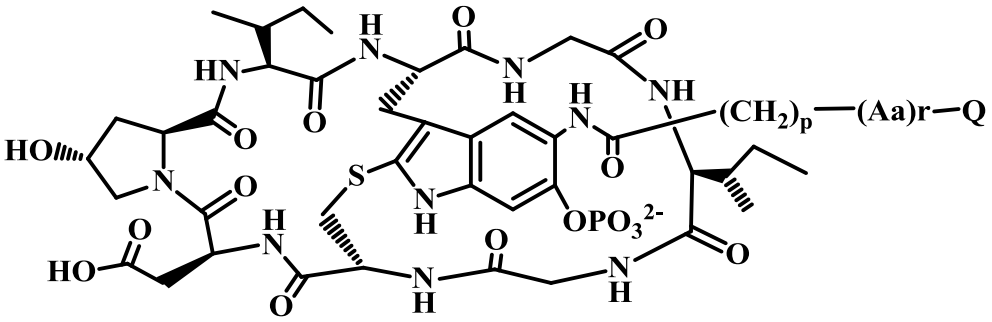

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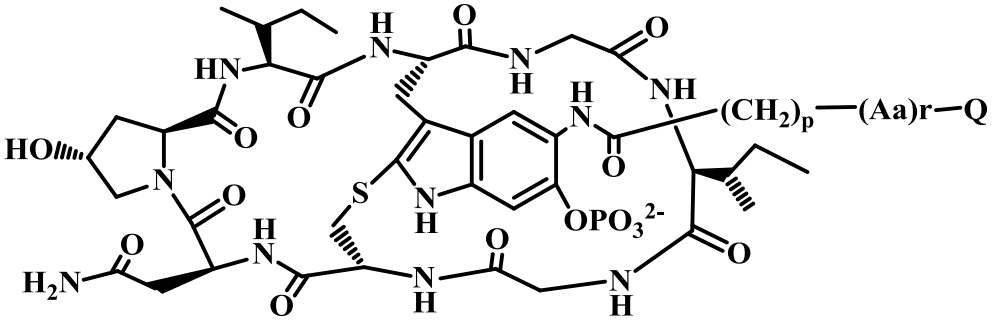

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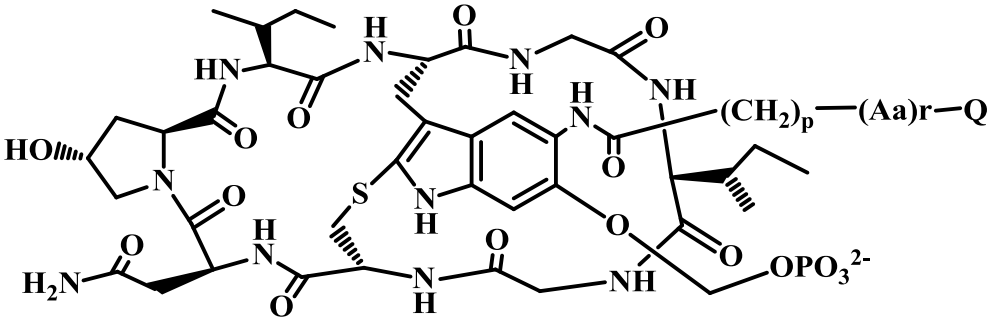

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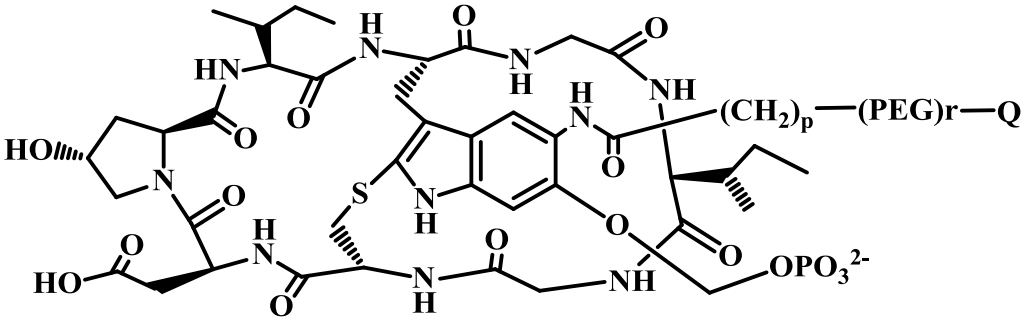

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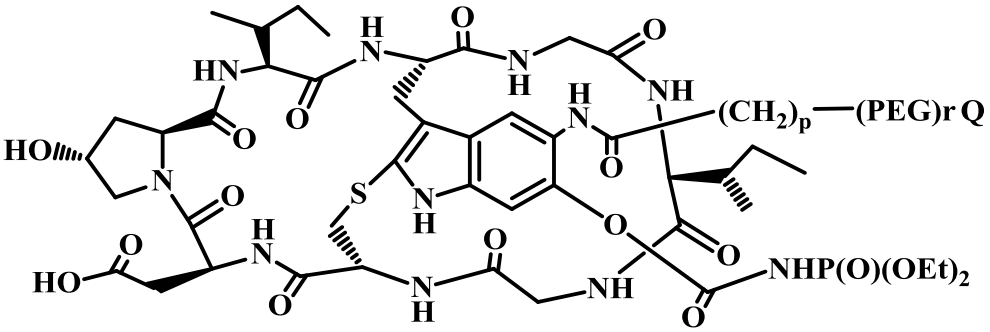

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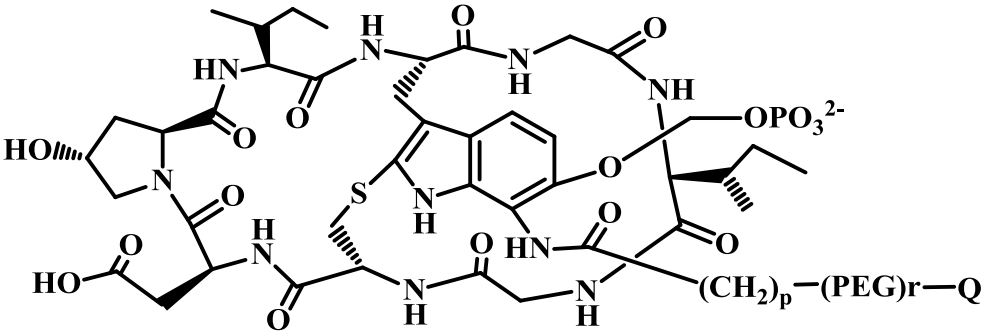

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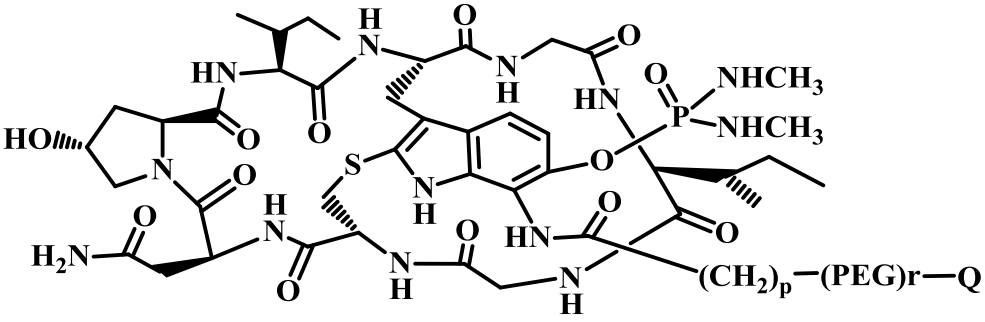

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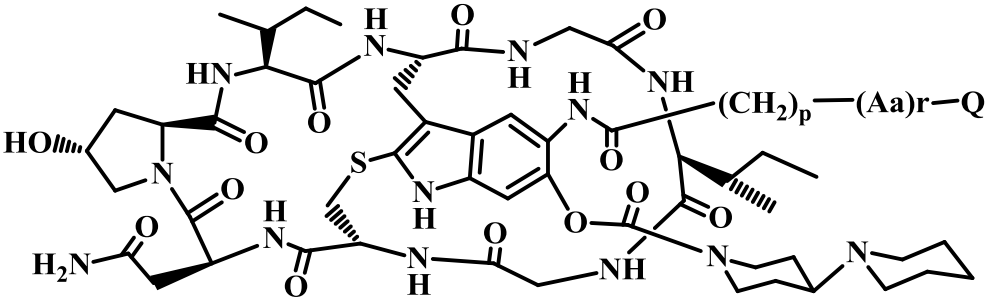

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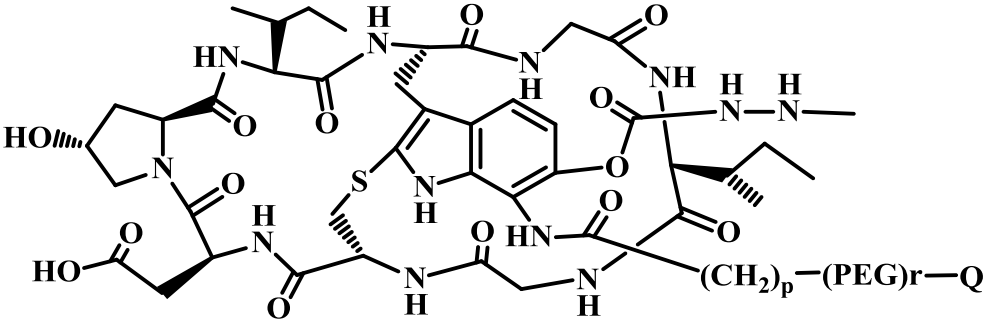

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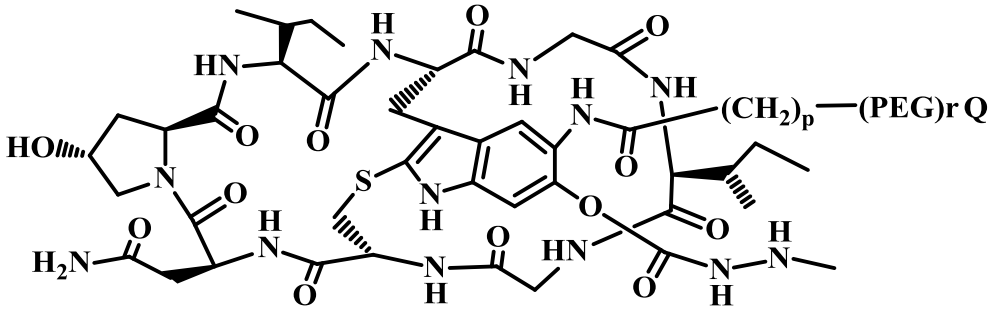

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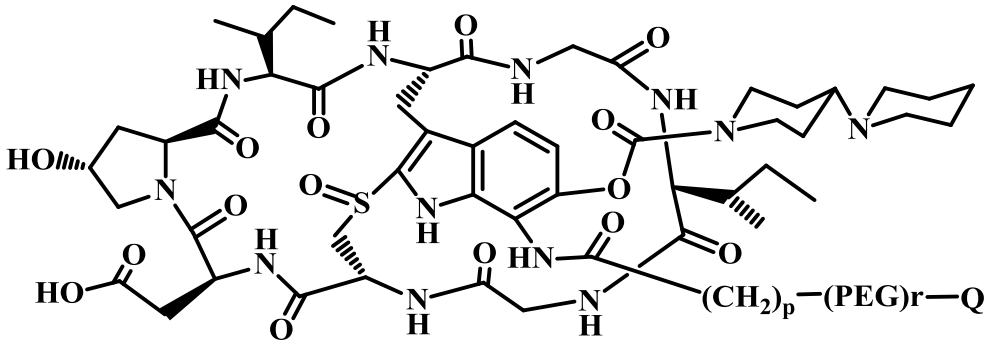

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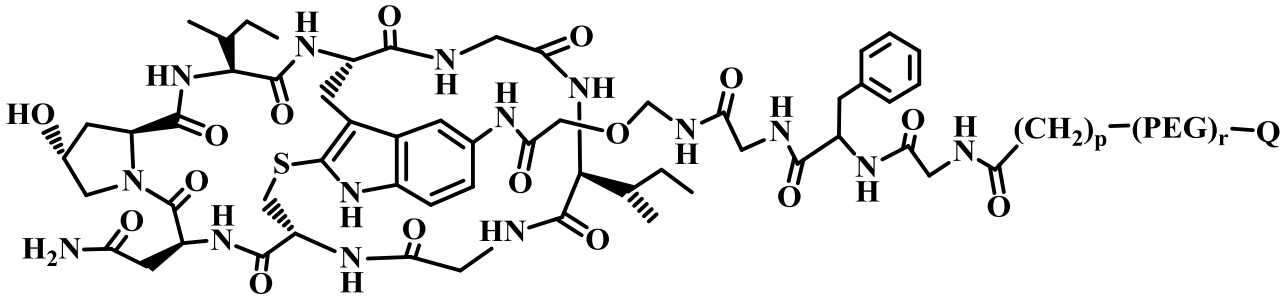

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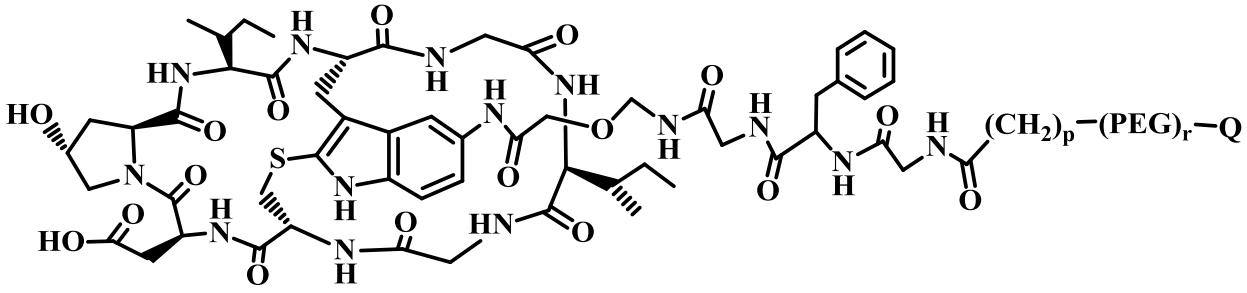

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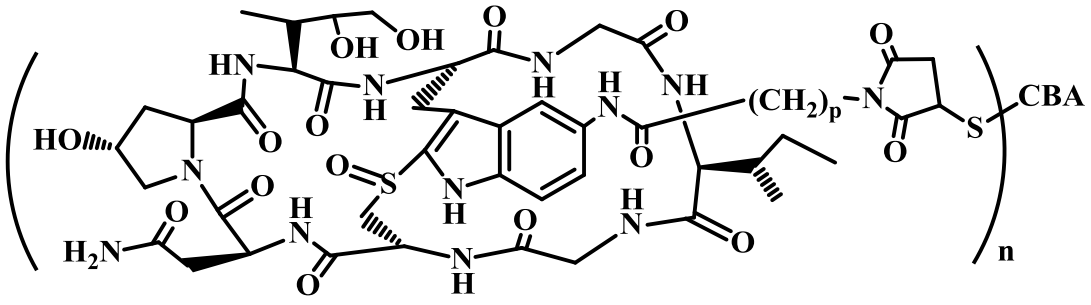

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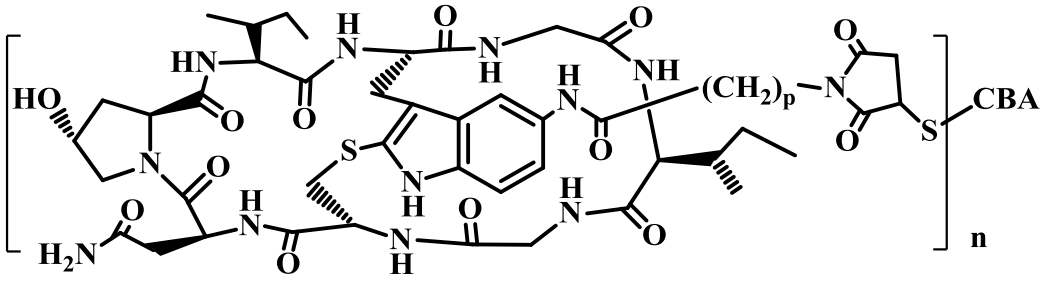

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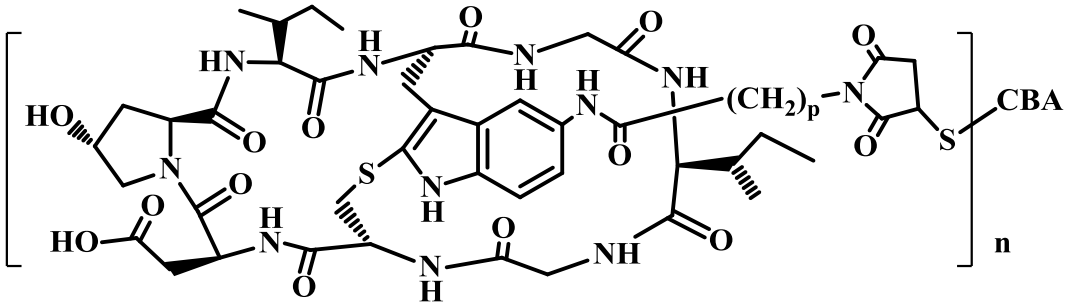

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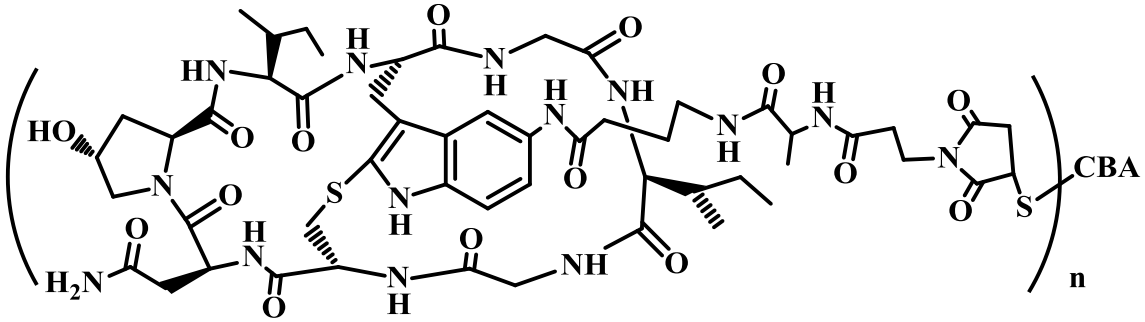

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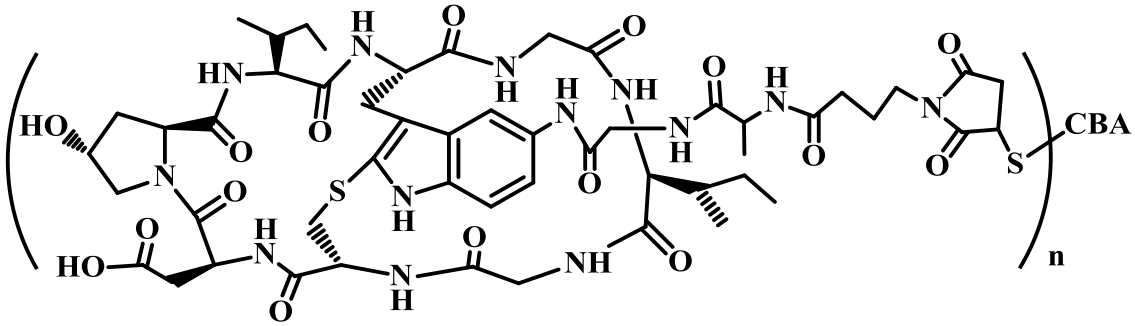

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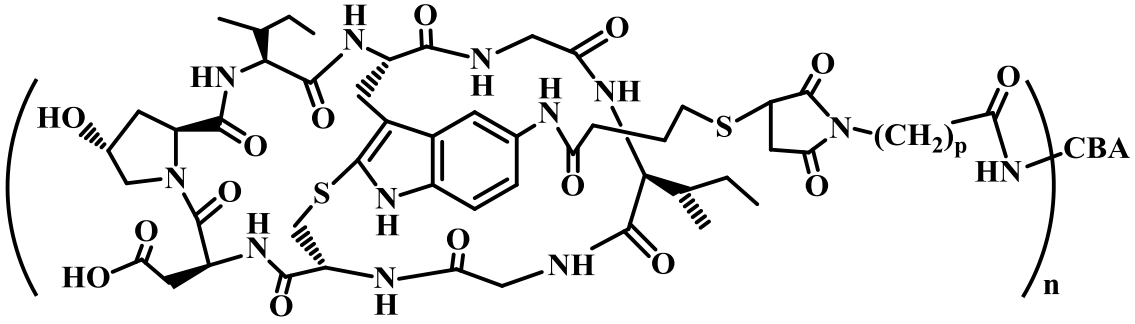

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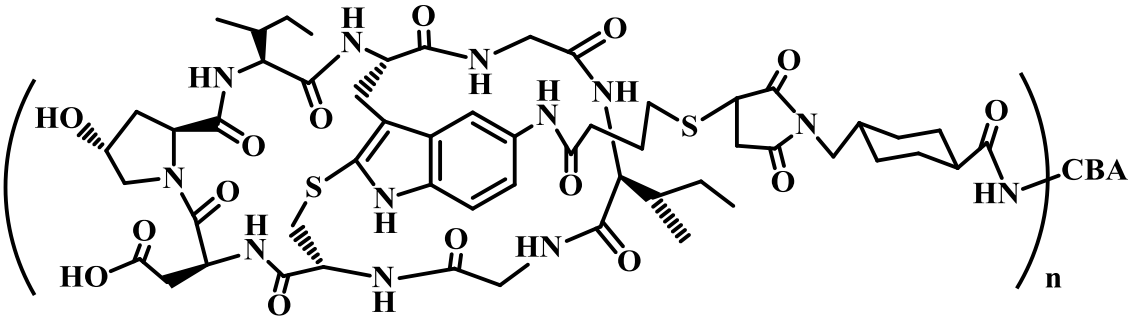

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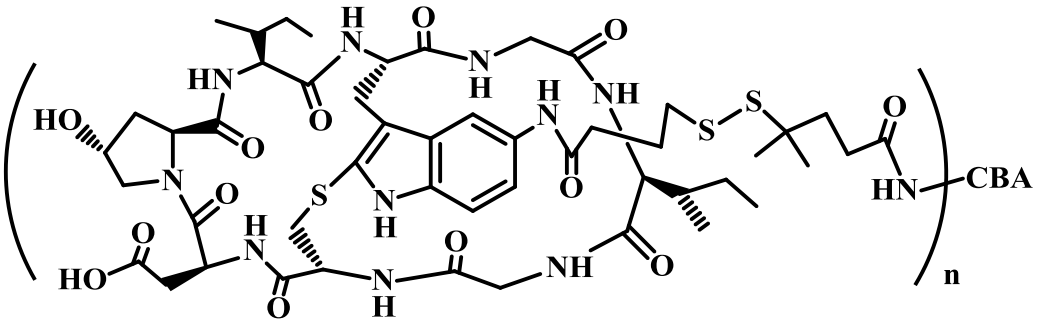

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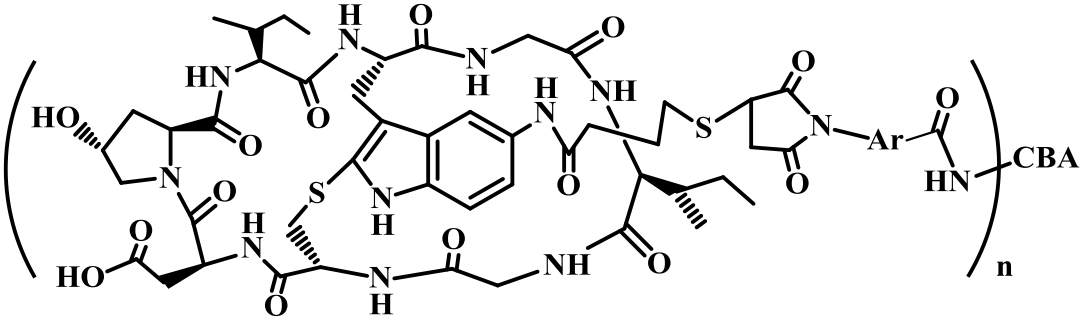

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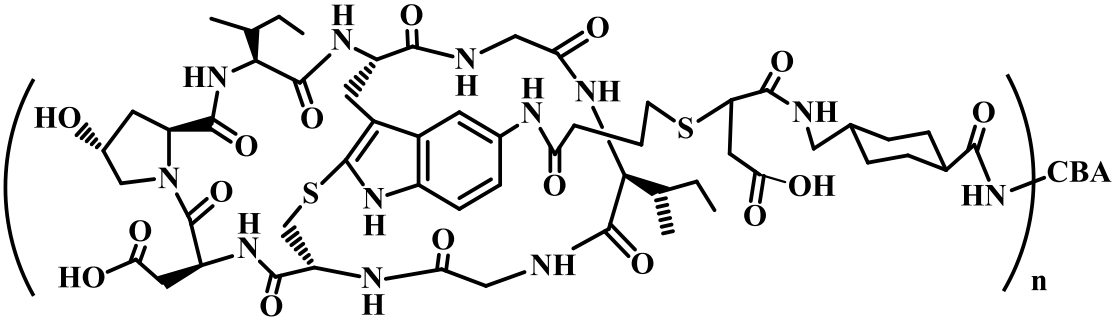

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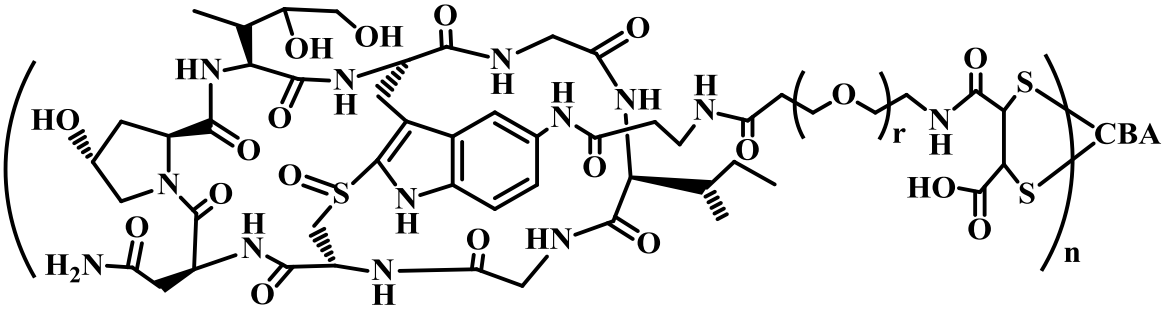

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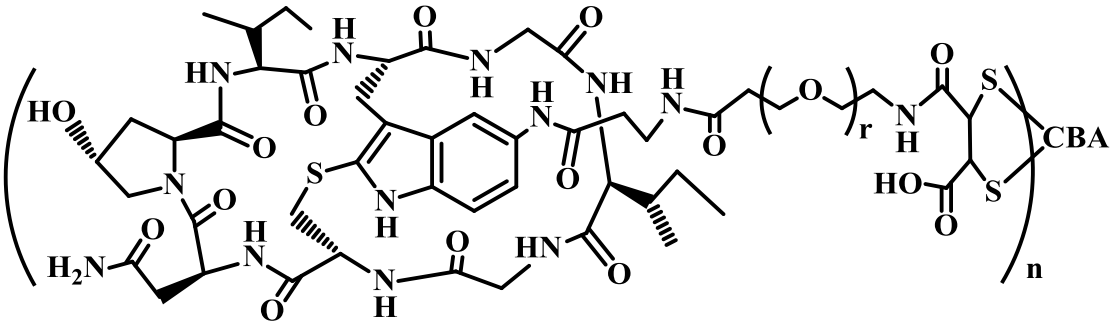

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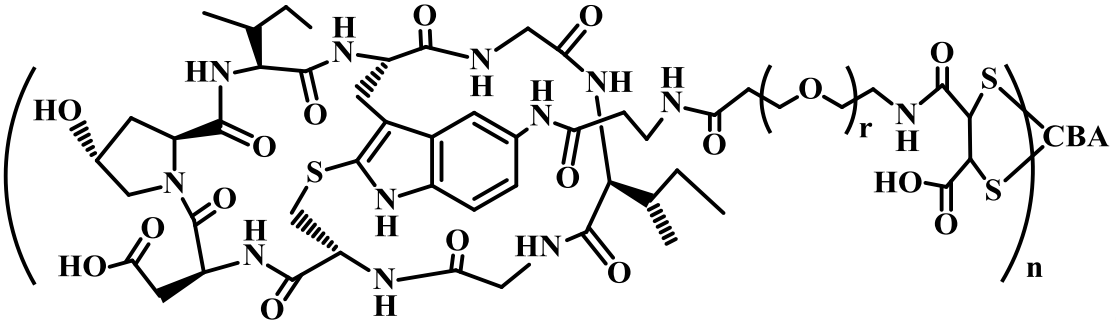

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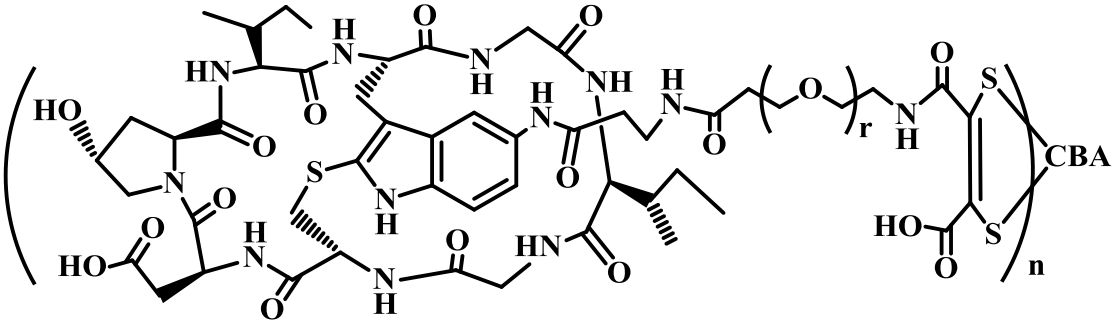

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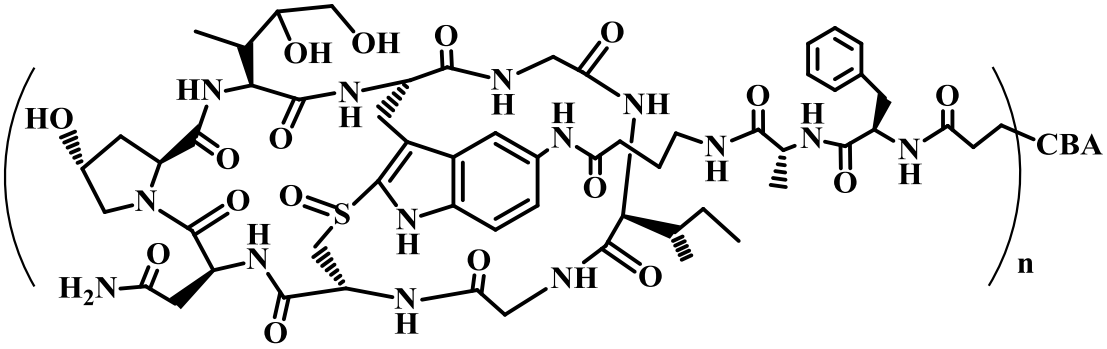

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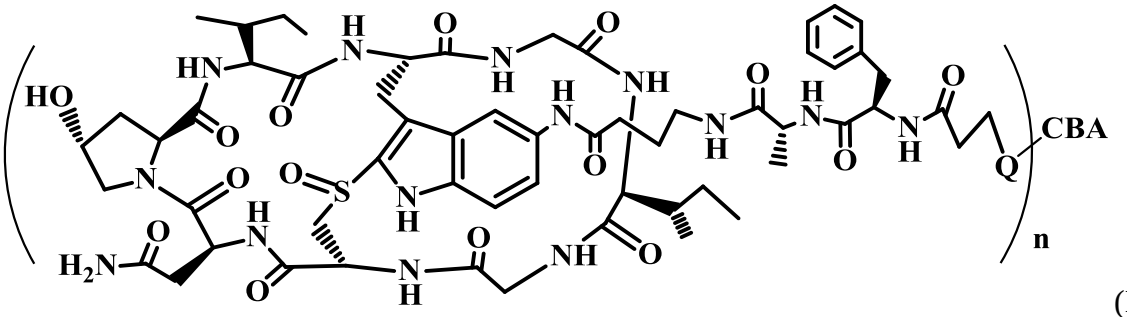

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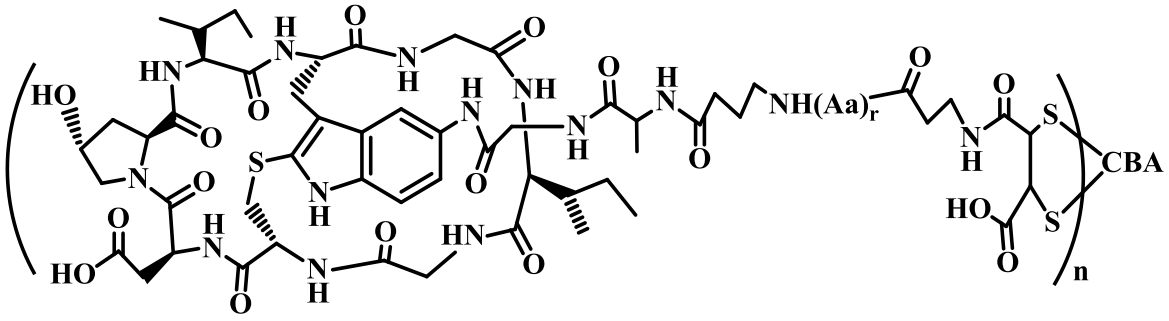

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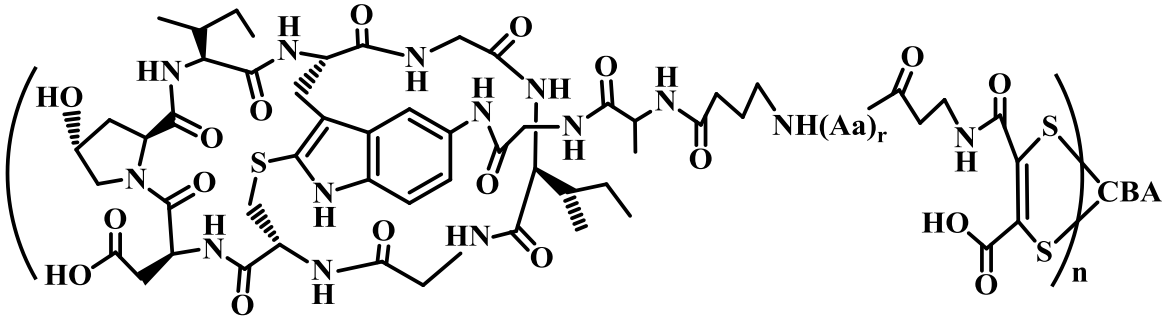

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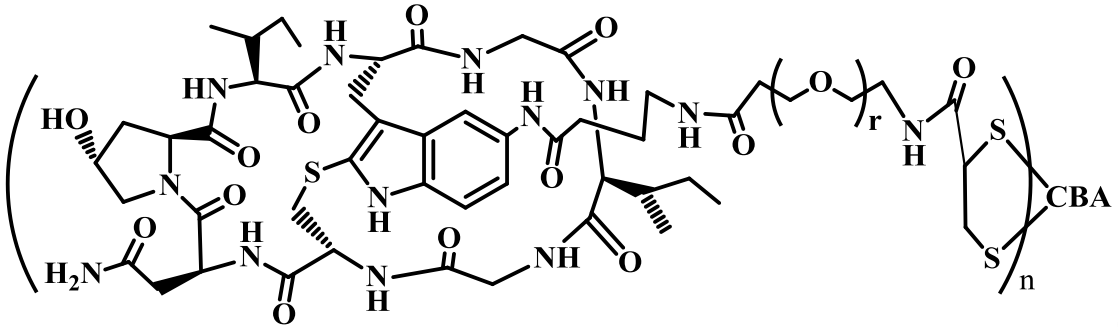

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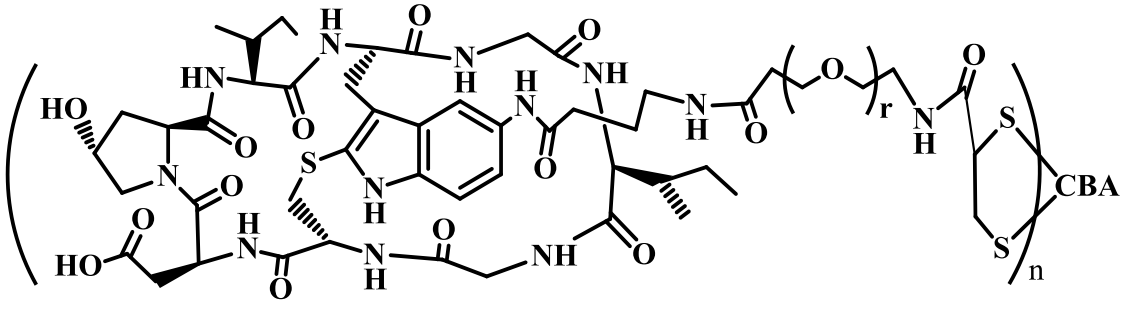

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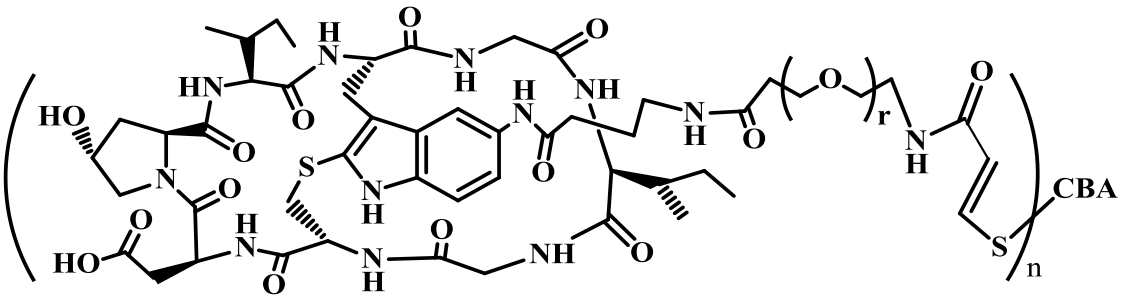

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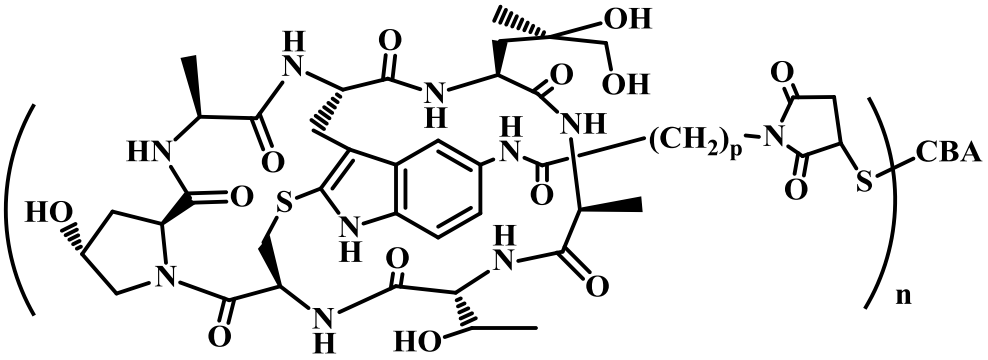

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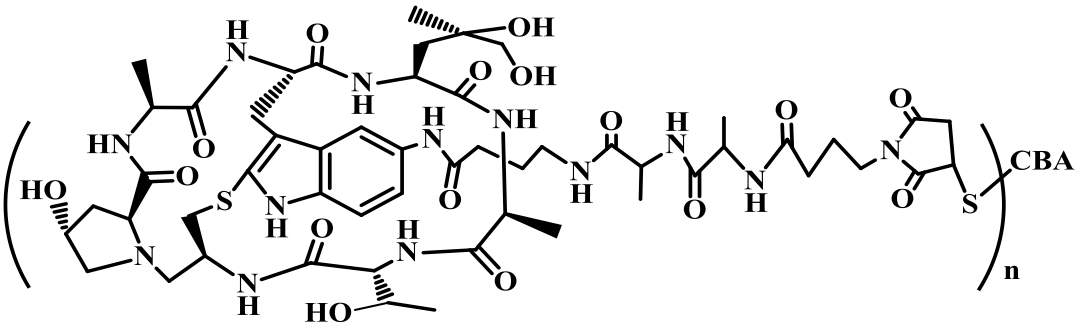

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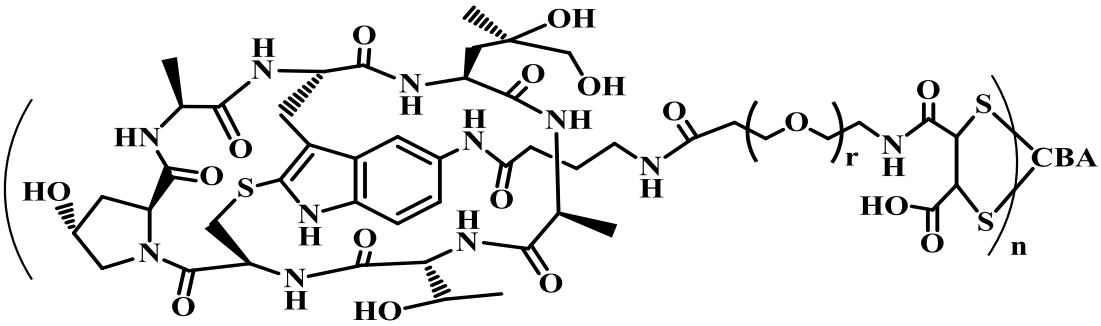

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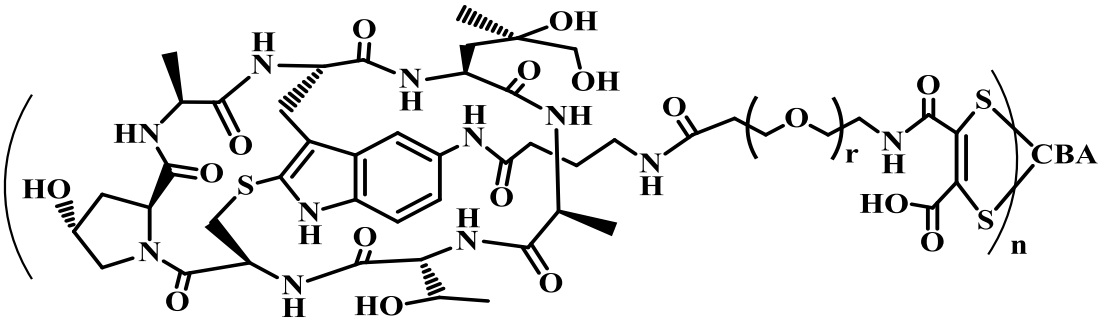

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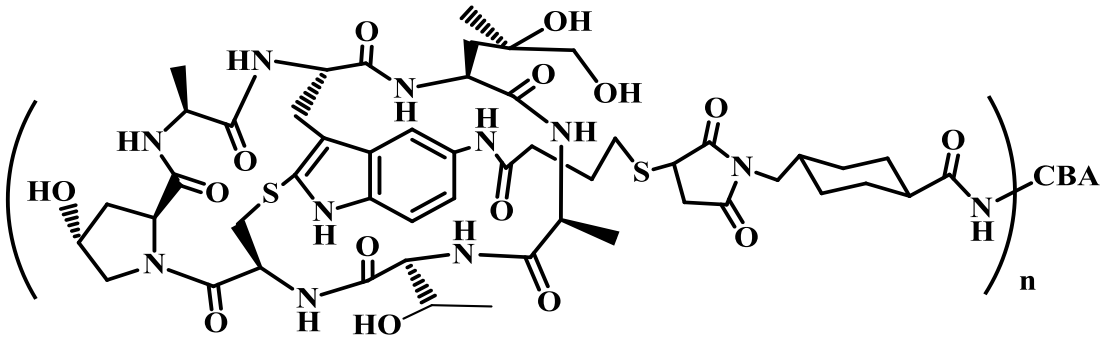

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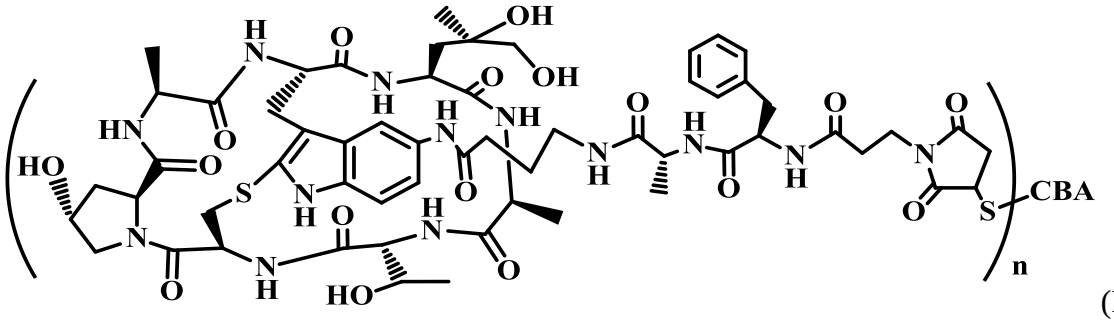

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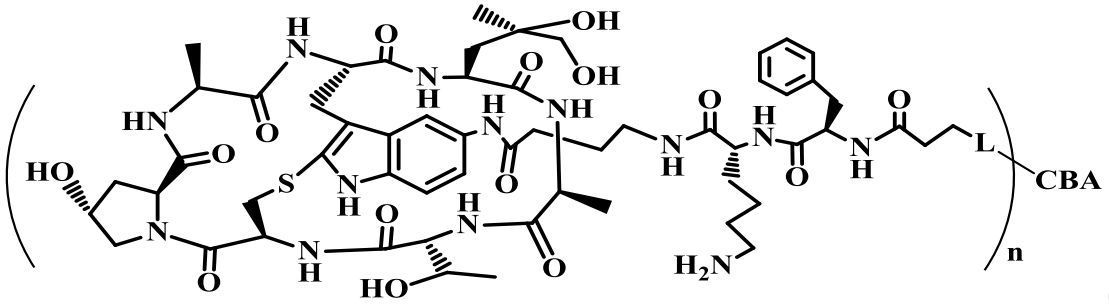

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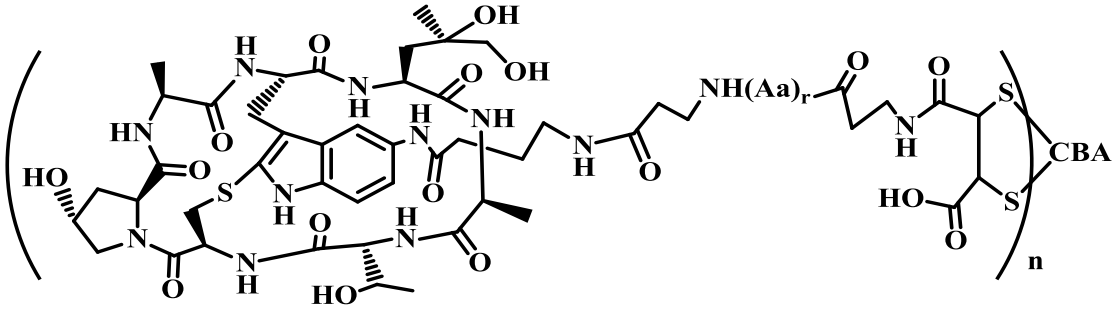

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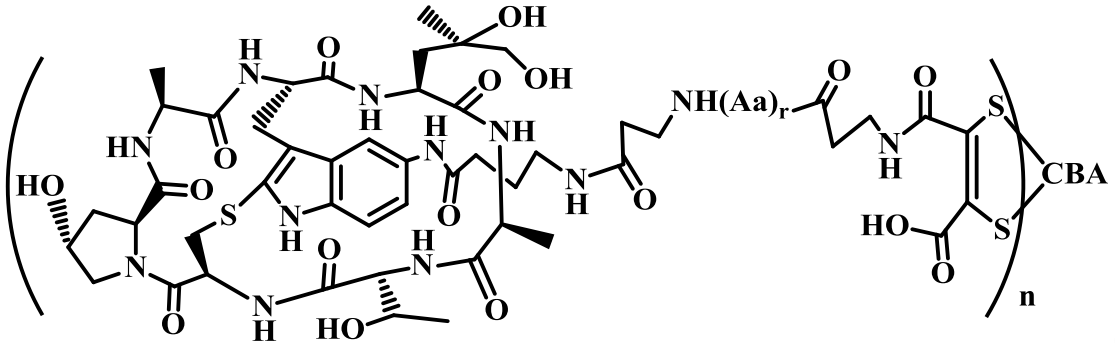

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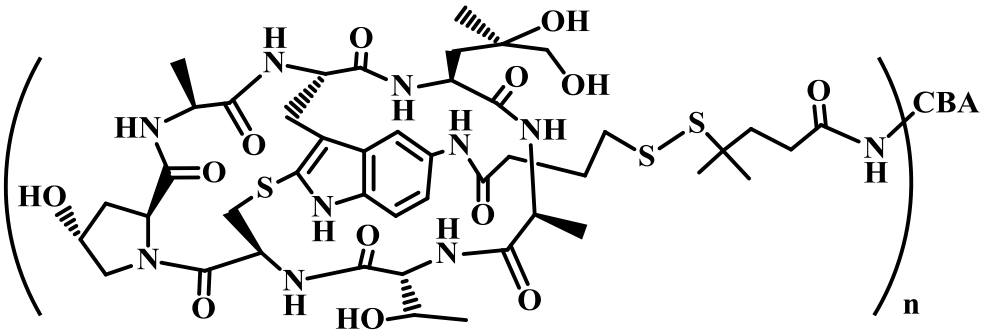

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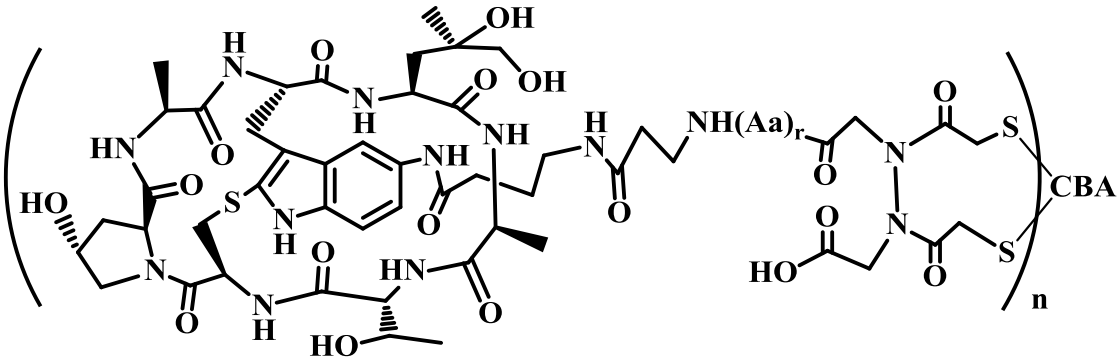

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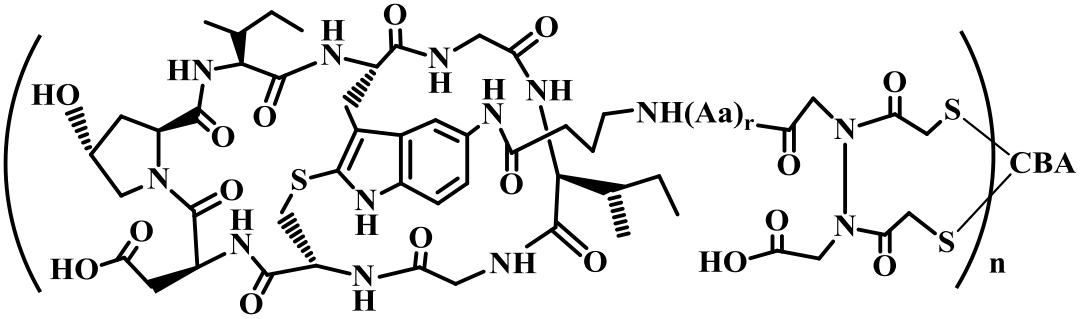

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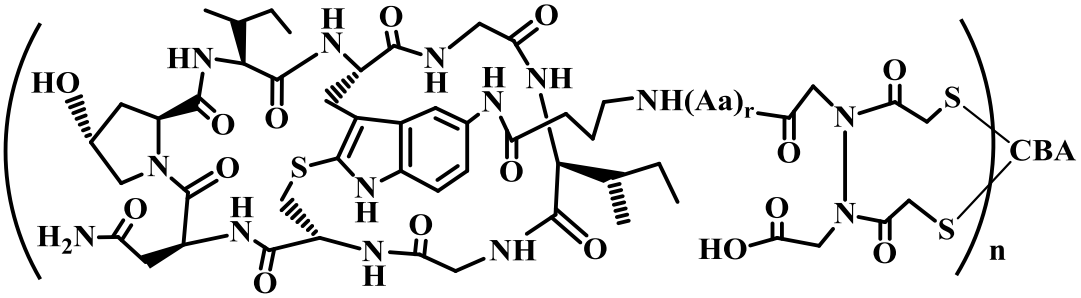

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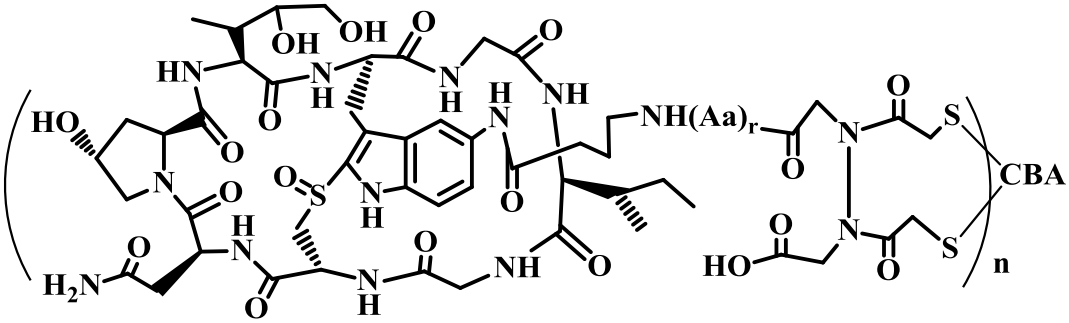

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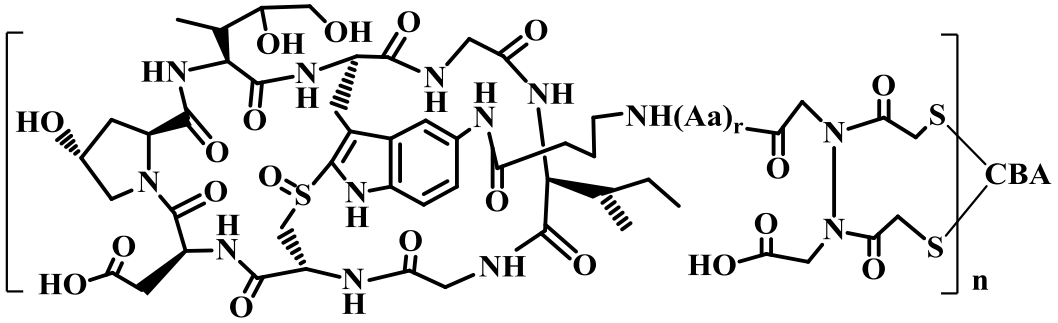

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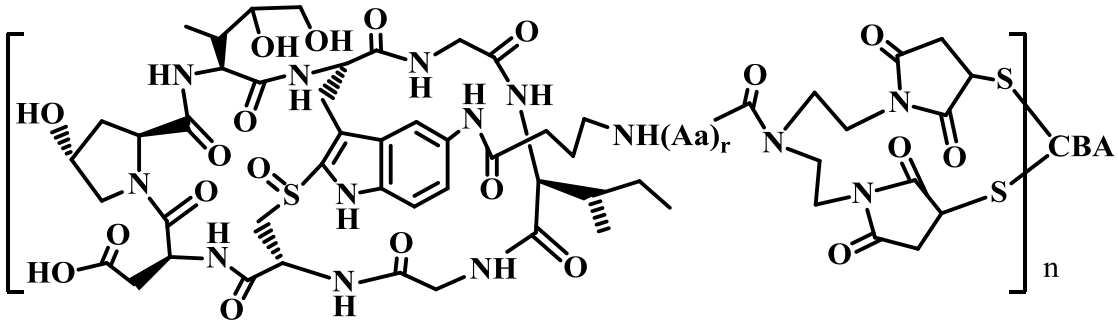

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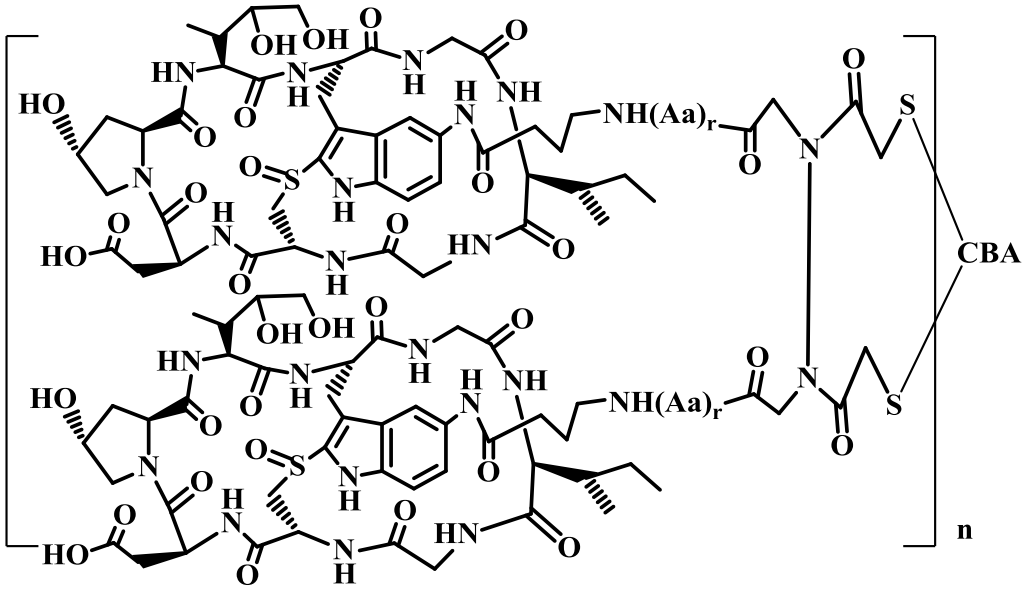

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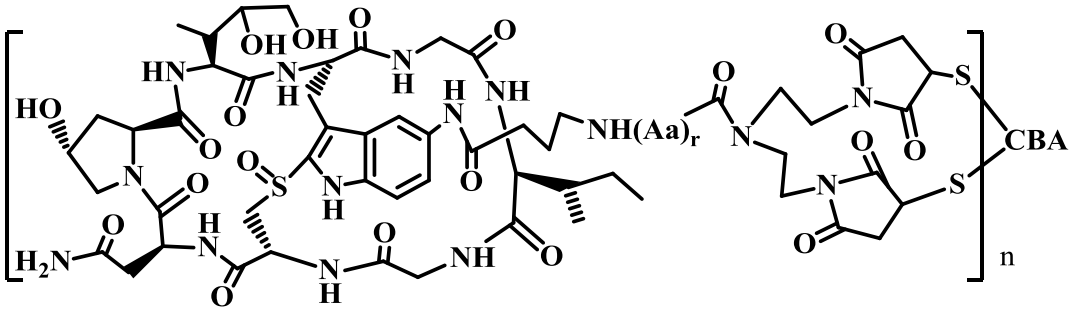

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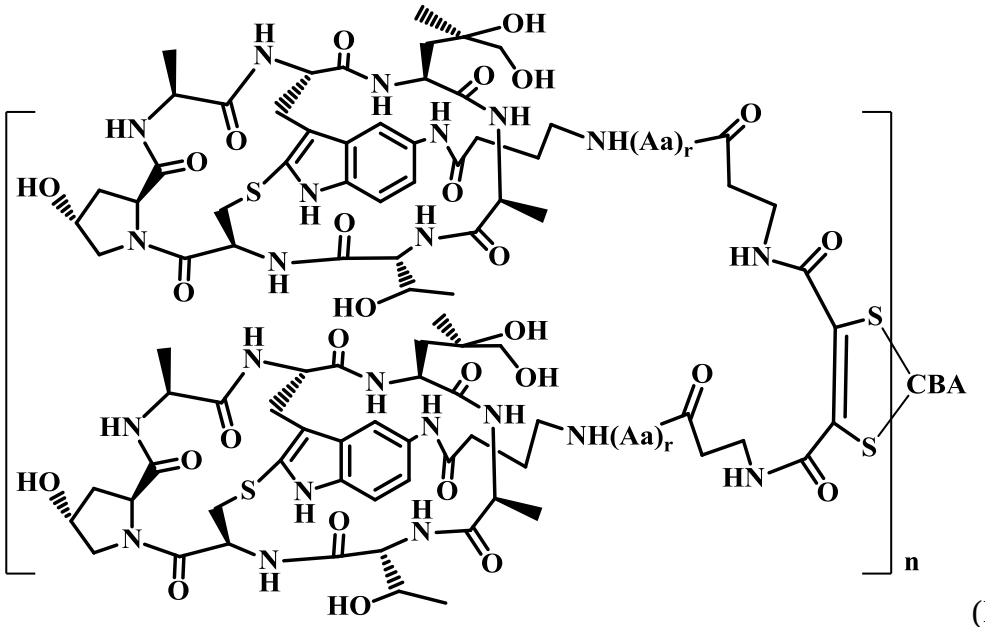

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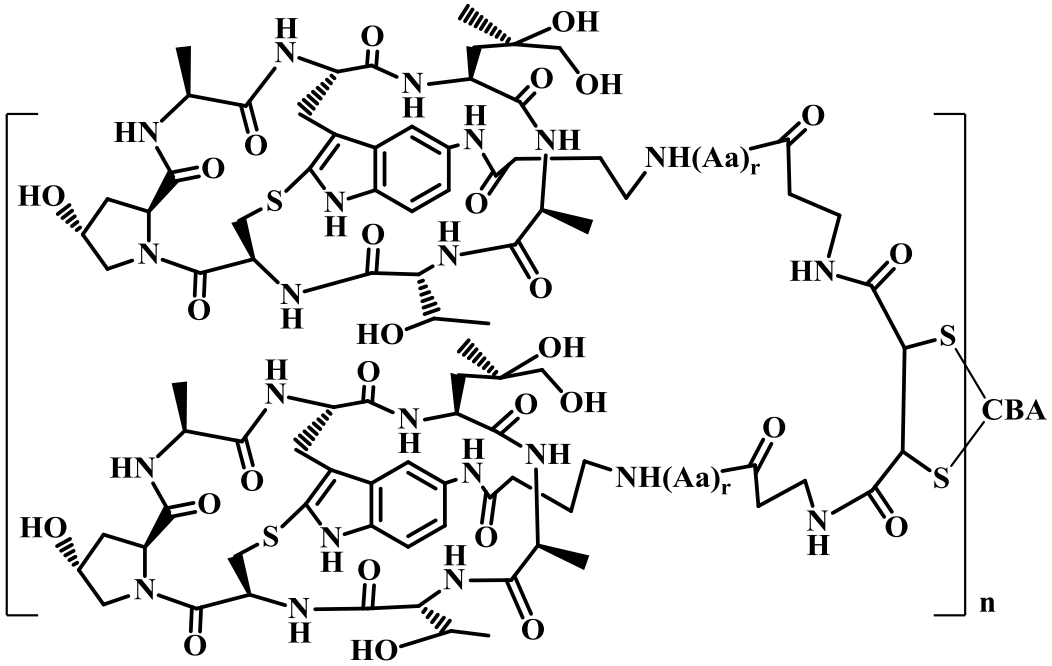

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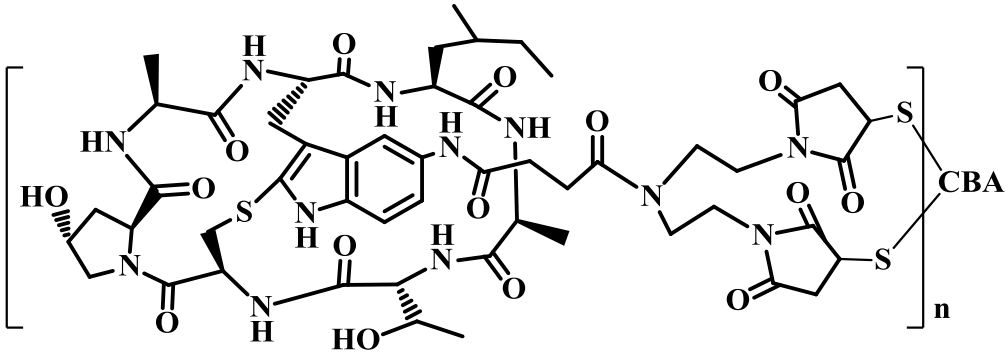

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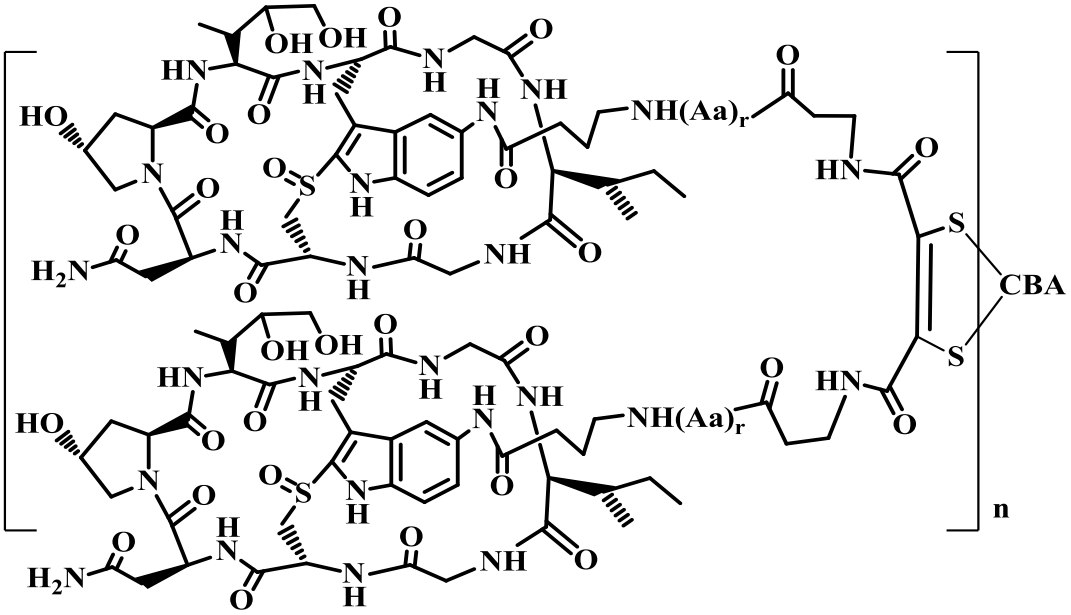
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N
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NH
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S
CBA
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n

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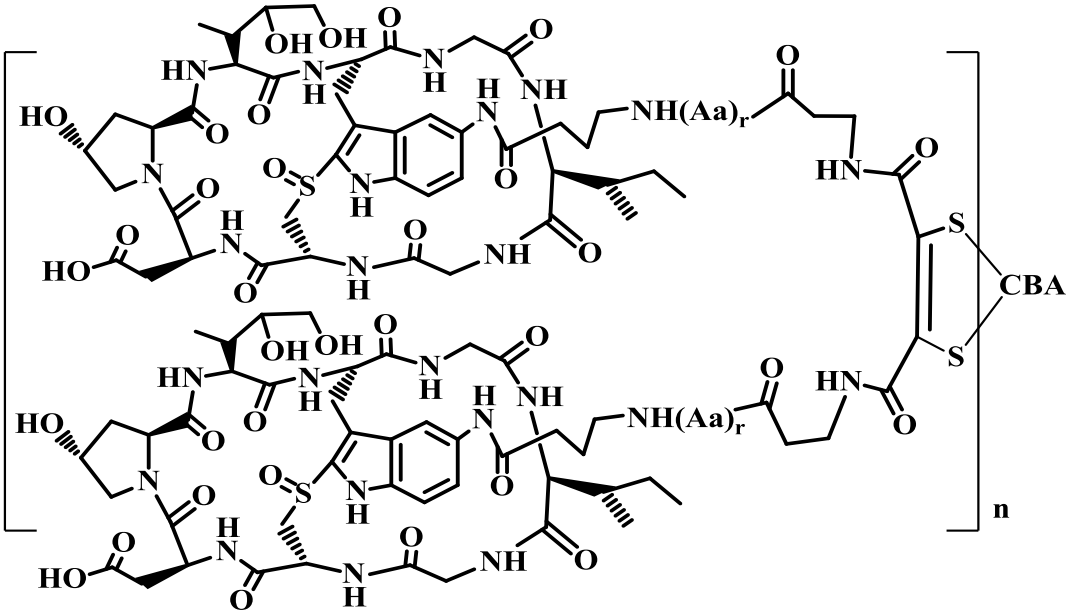
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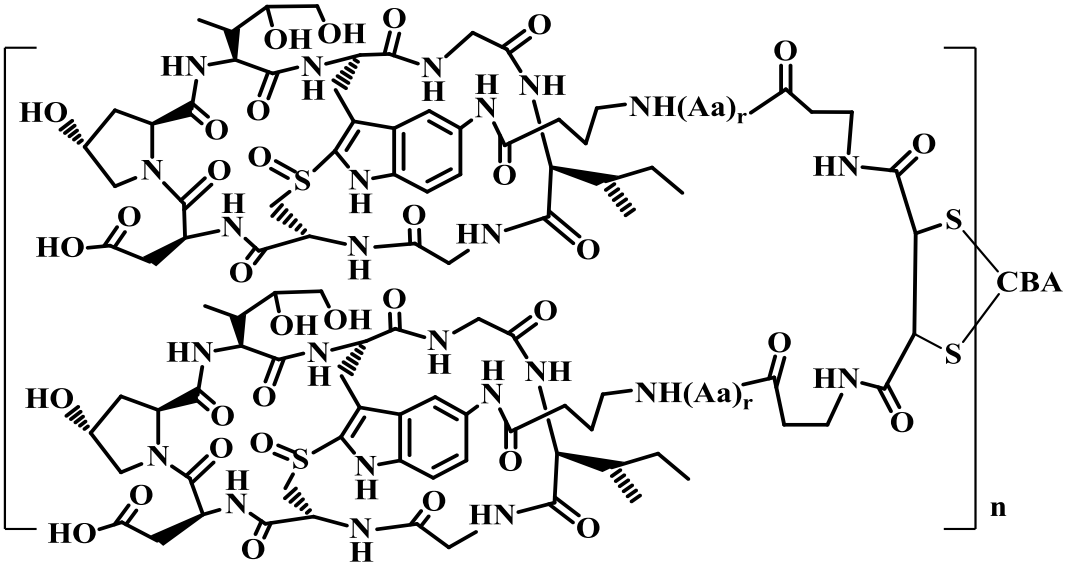

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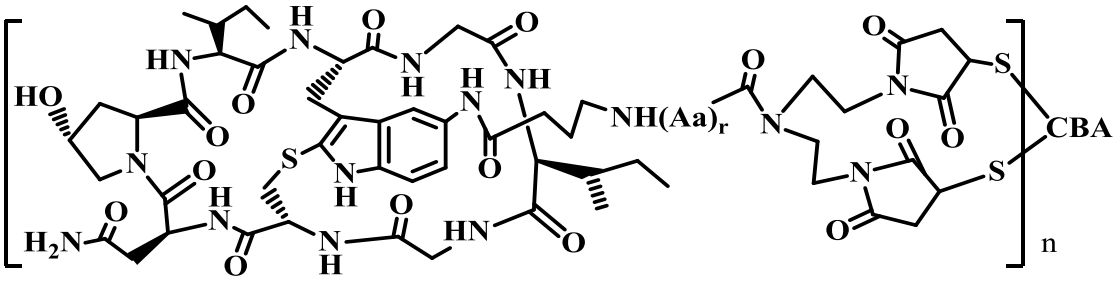

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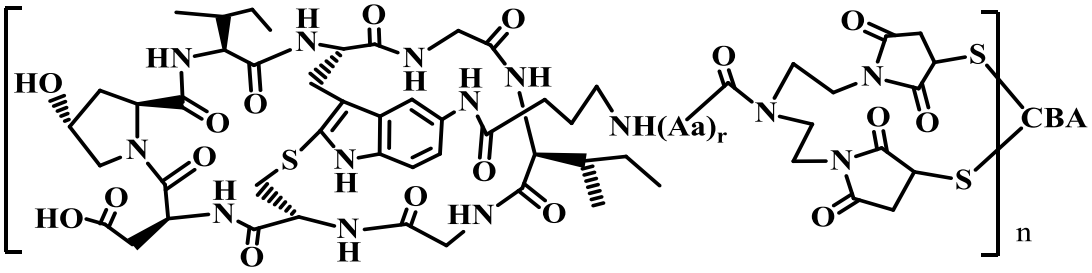

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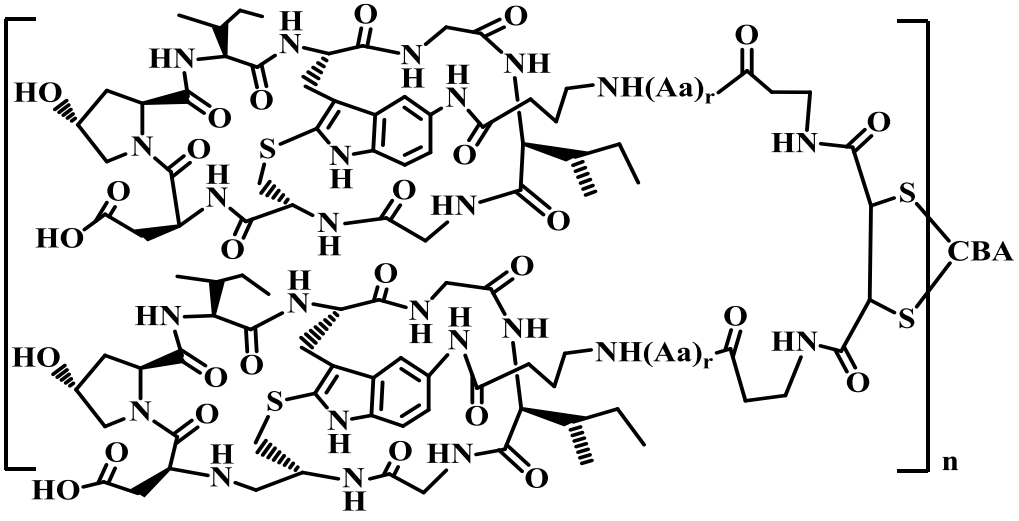

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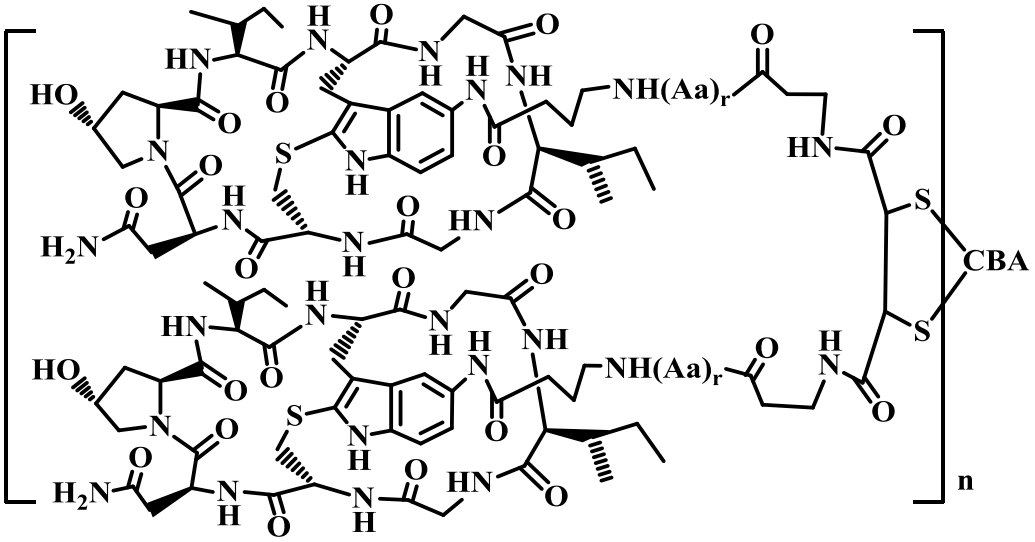

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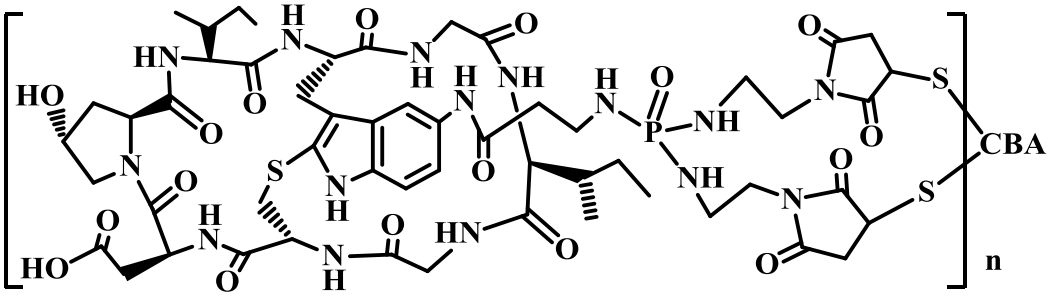

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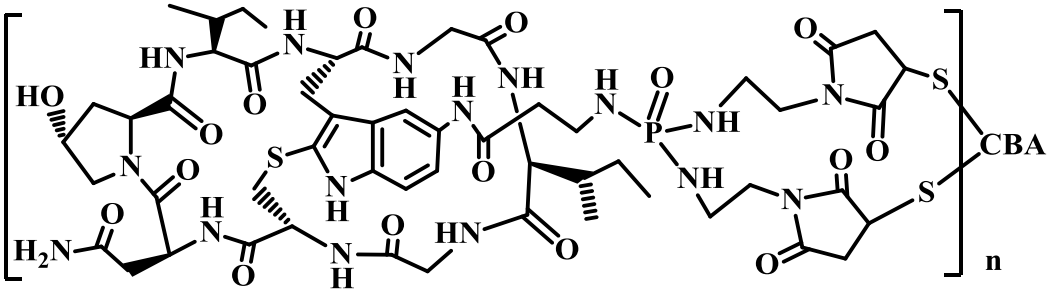

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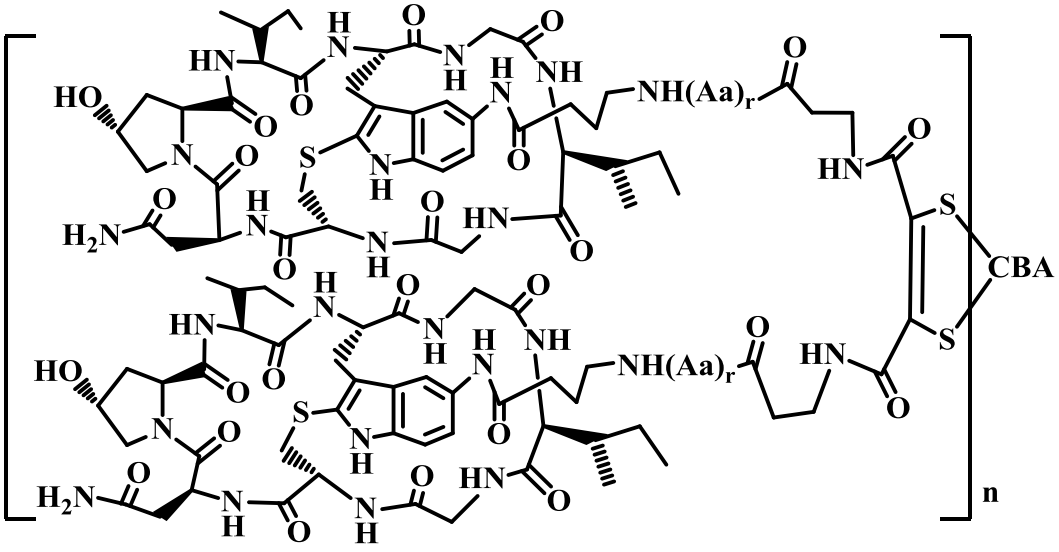

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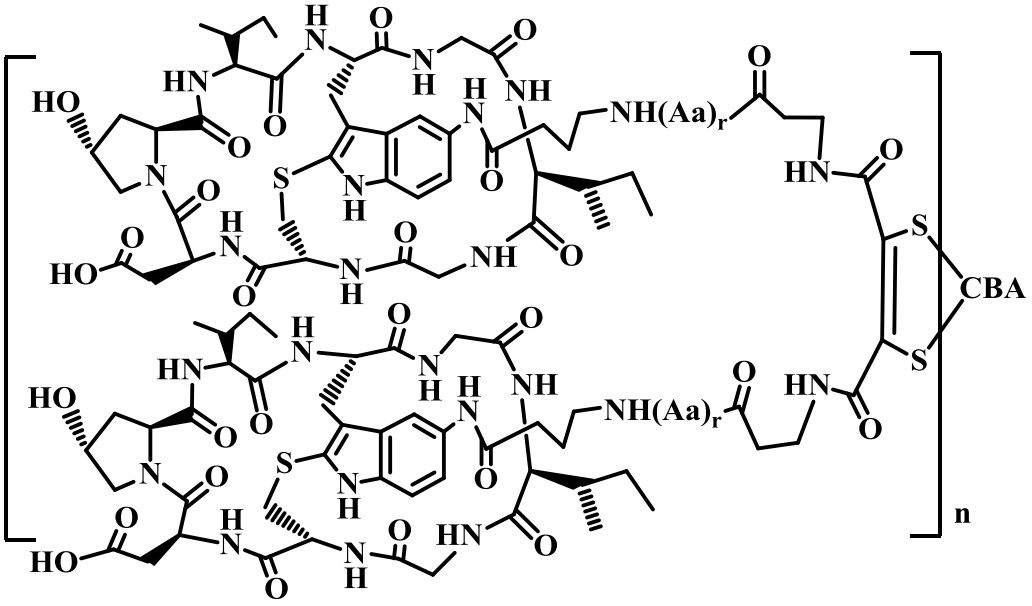

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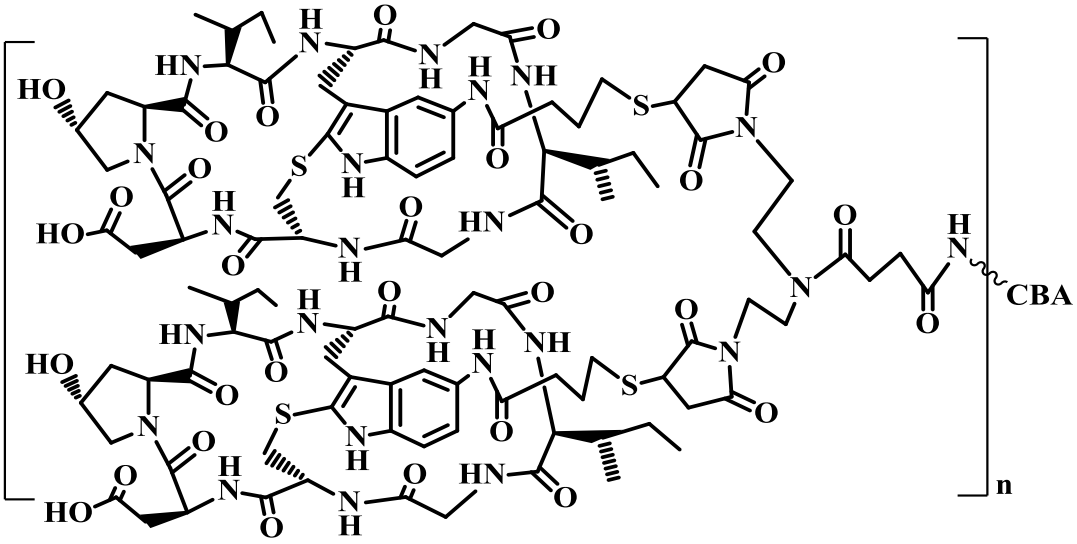

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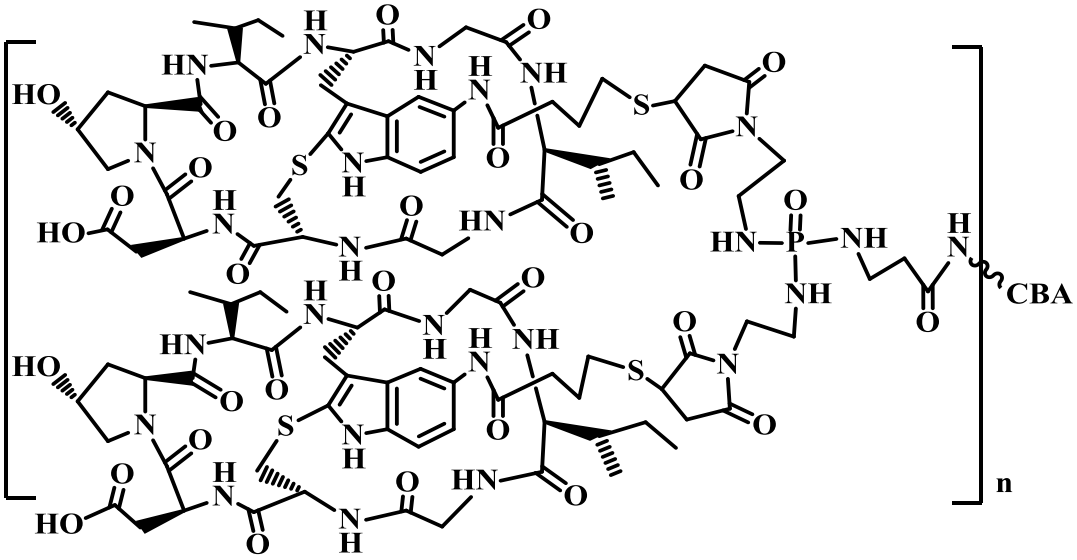

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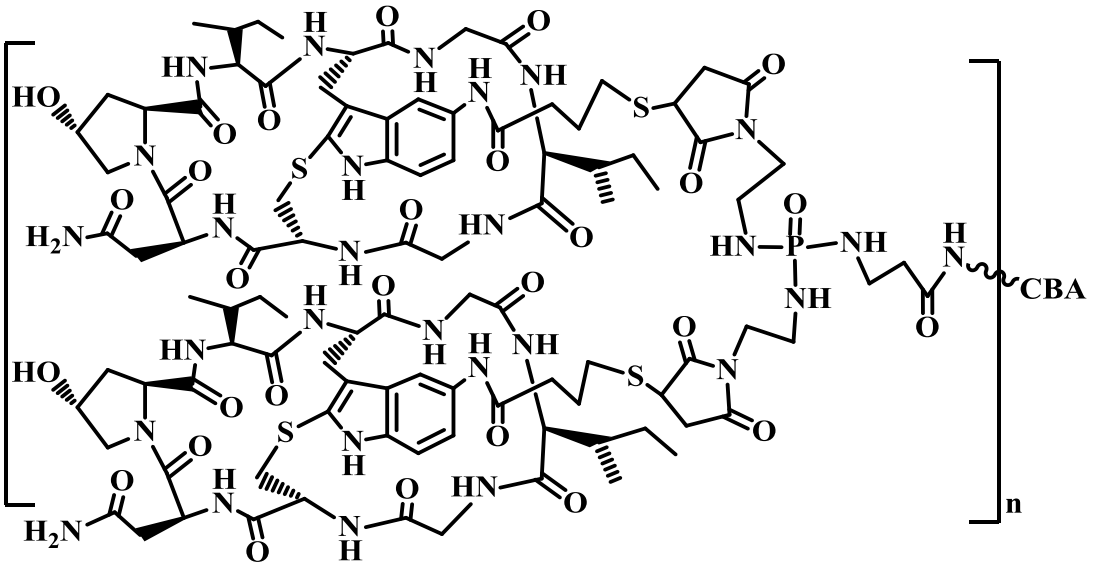

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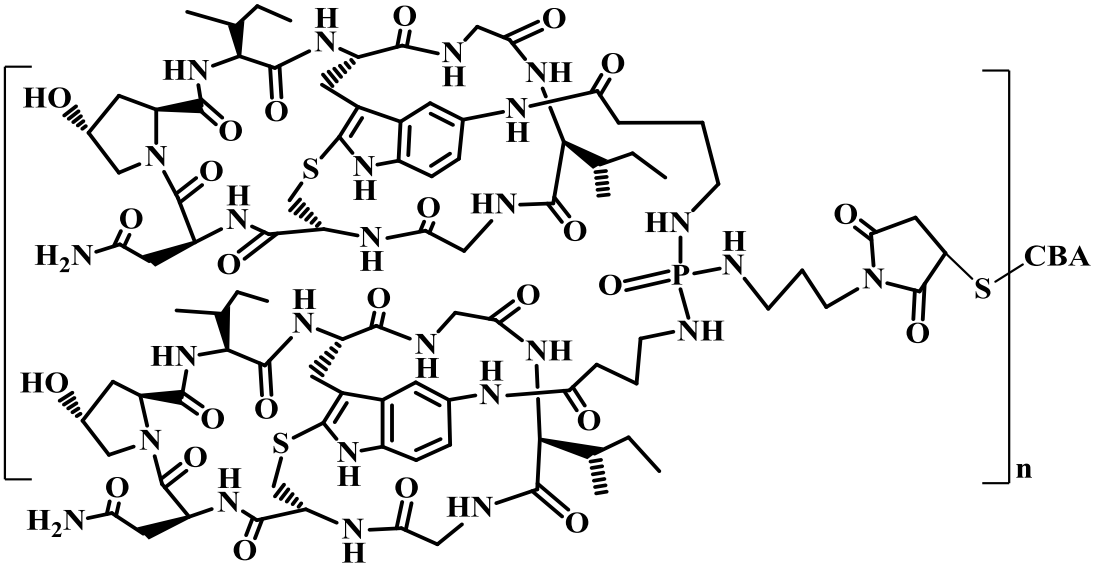

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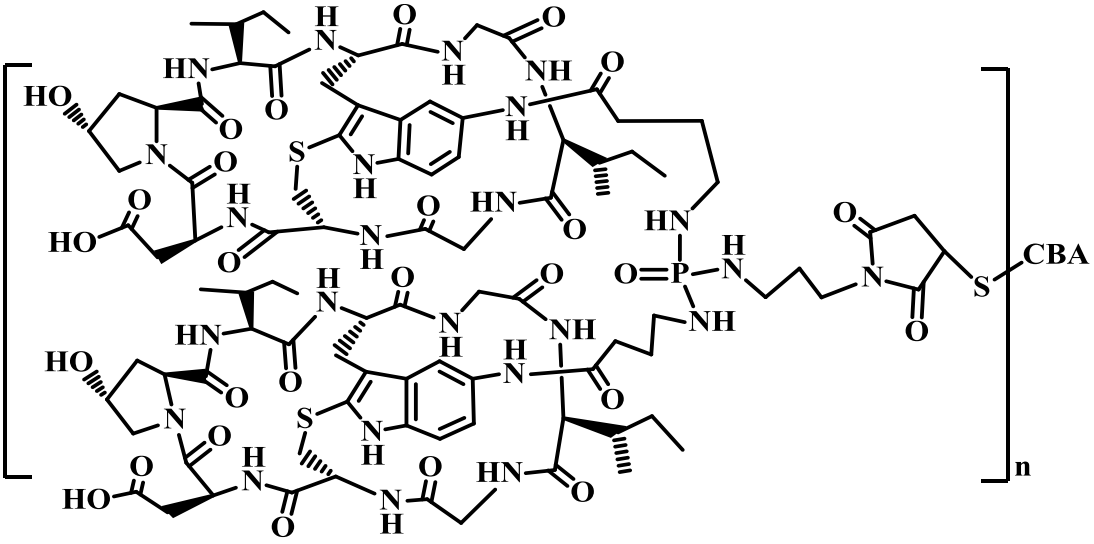

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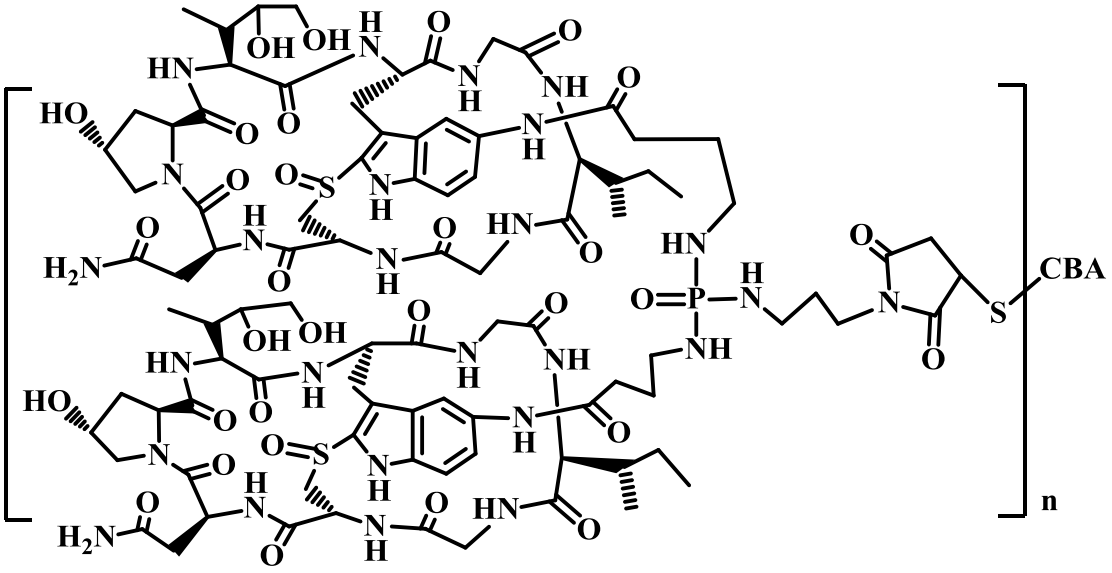

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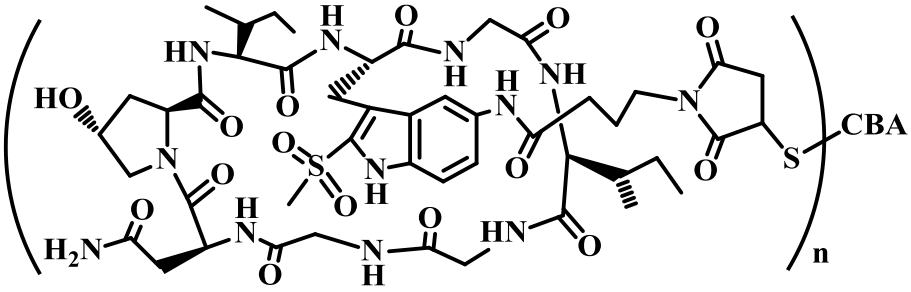

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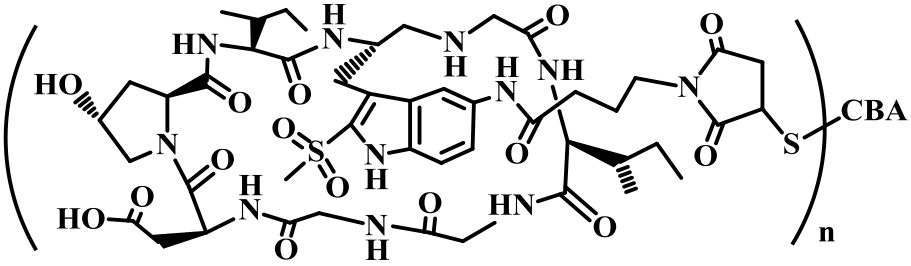

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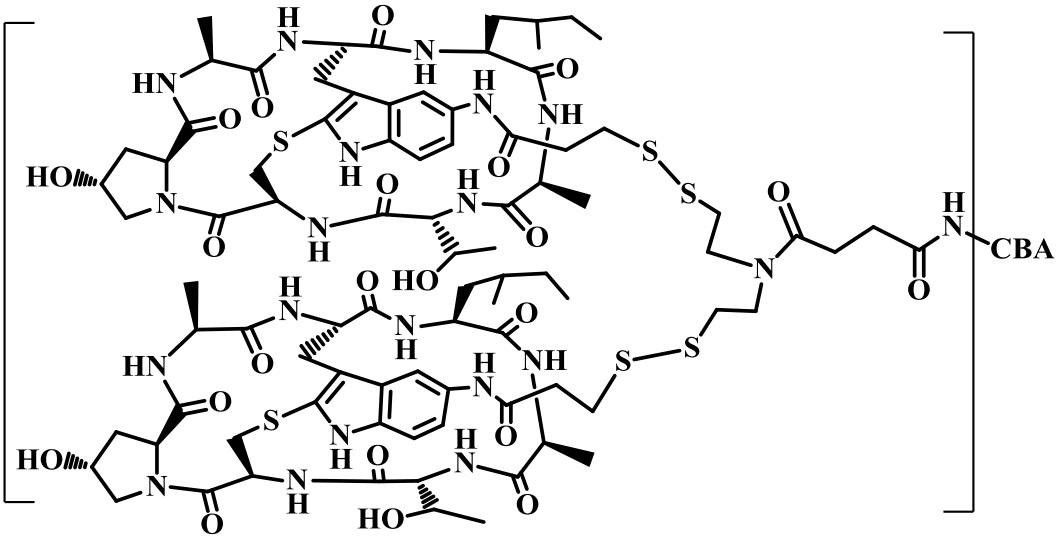

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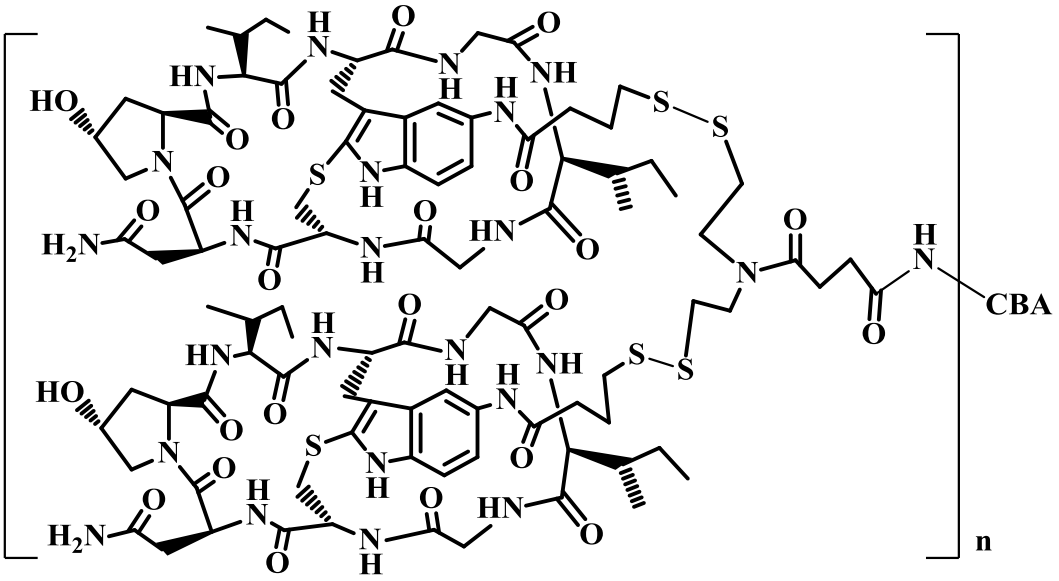

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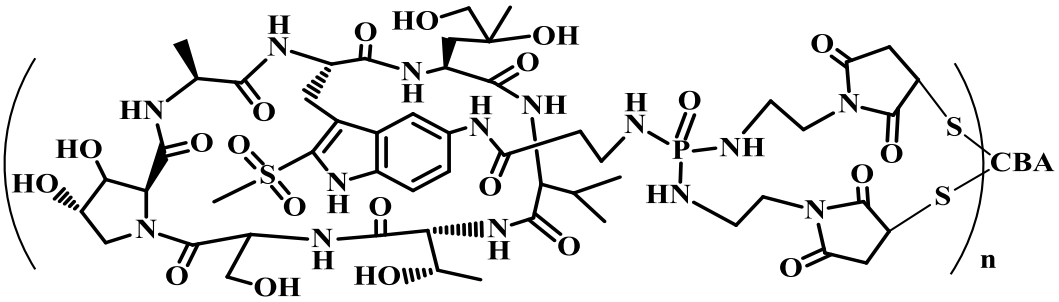

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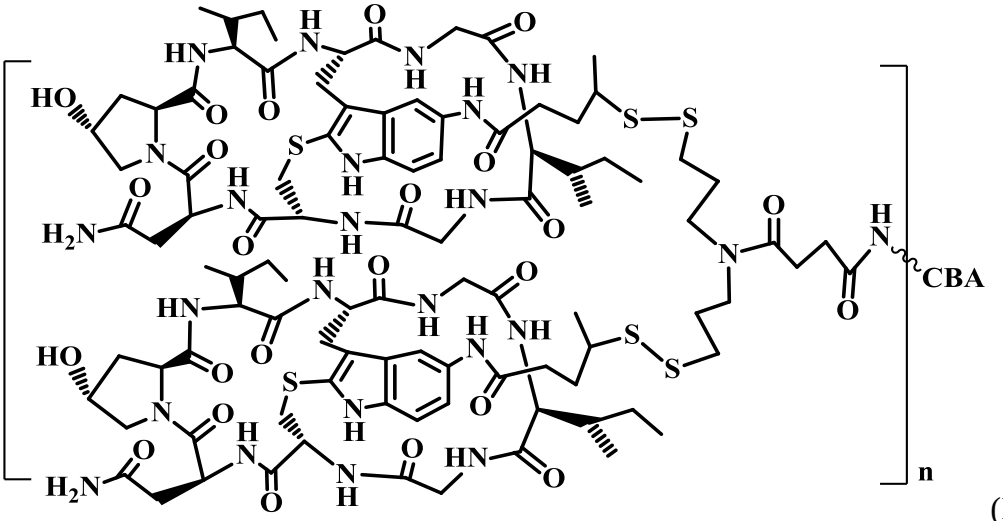

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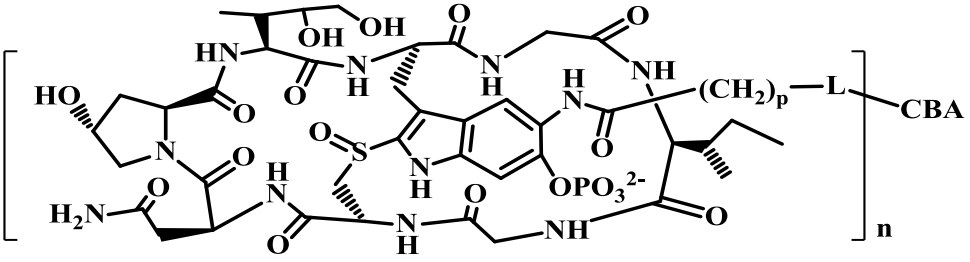

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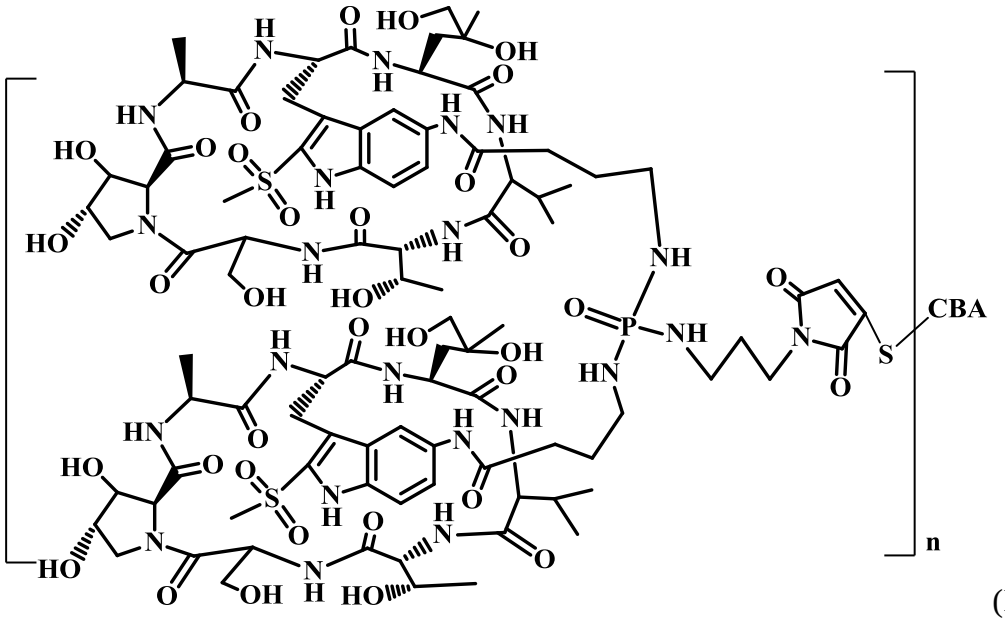

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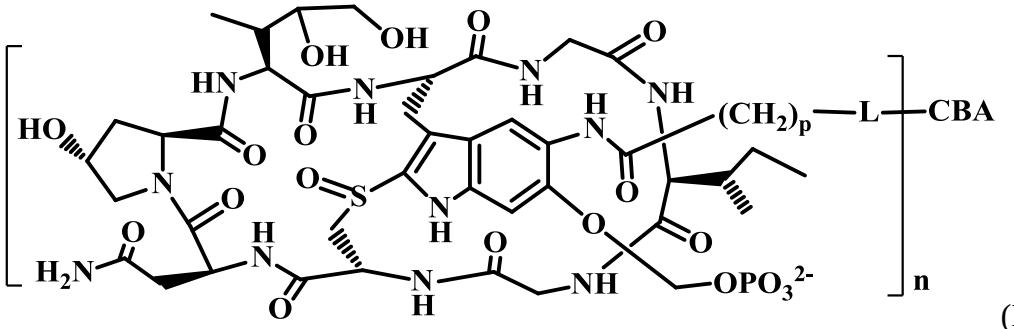

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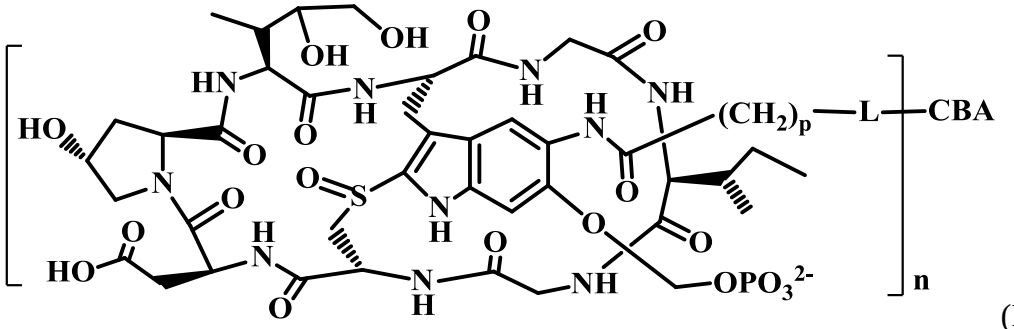

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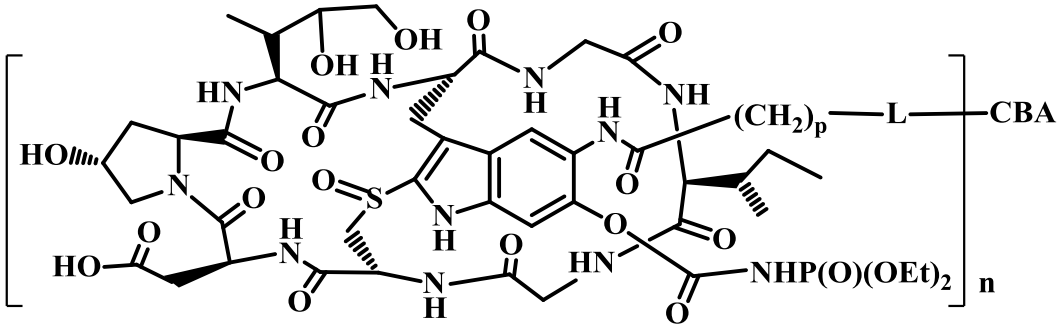

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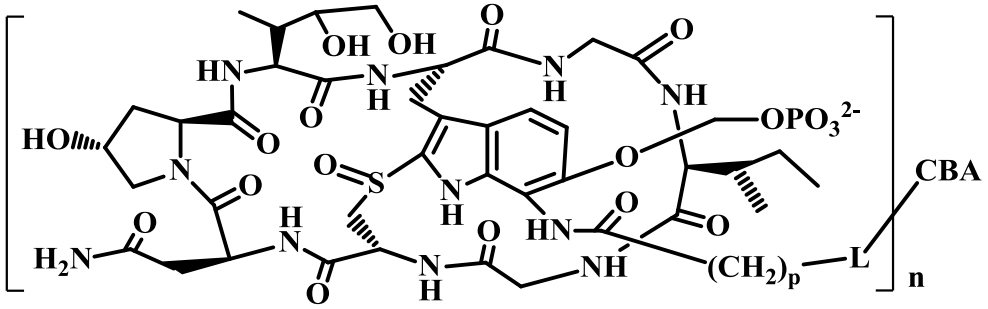
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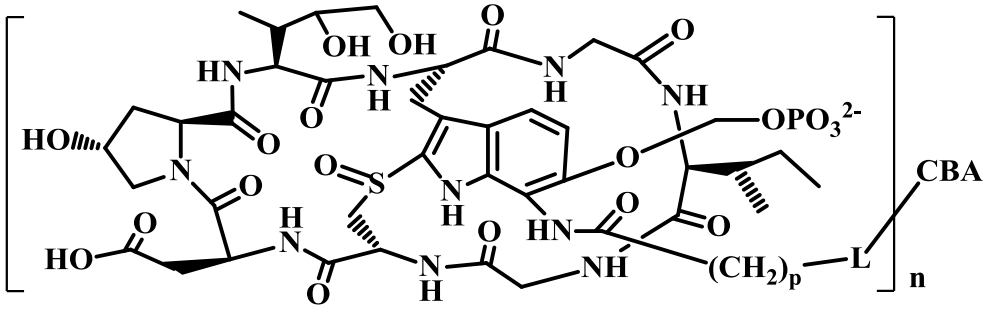
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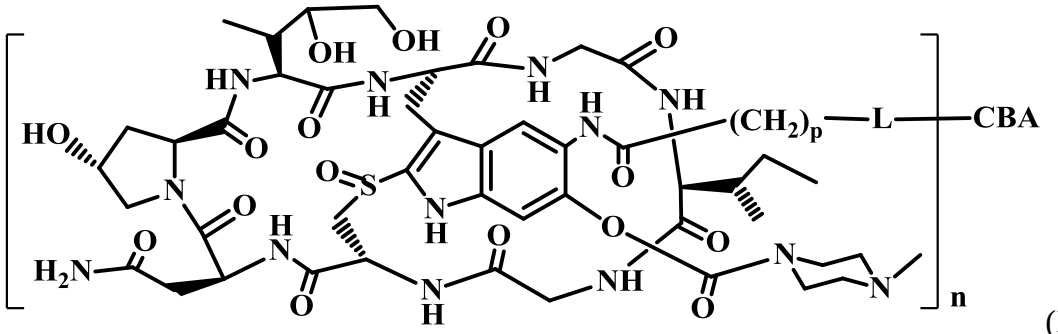
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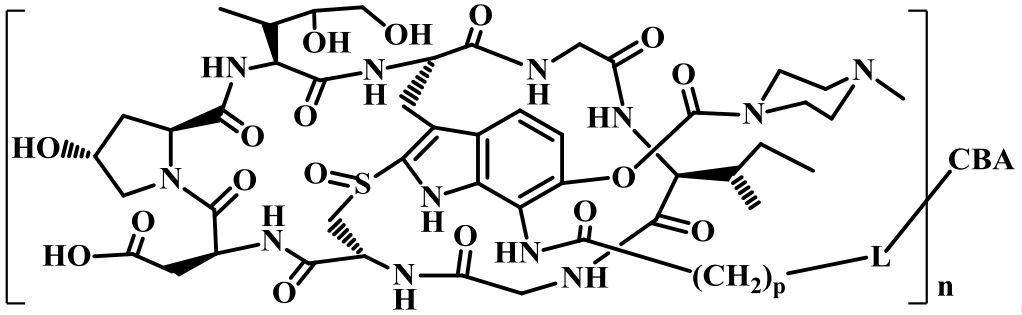
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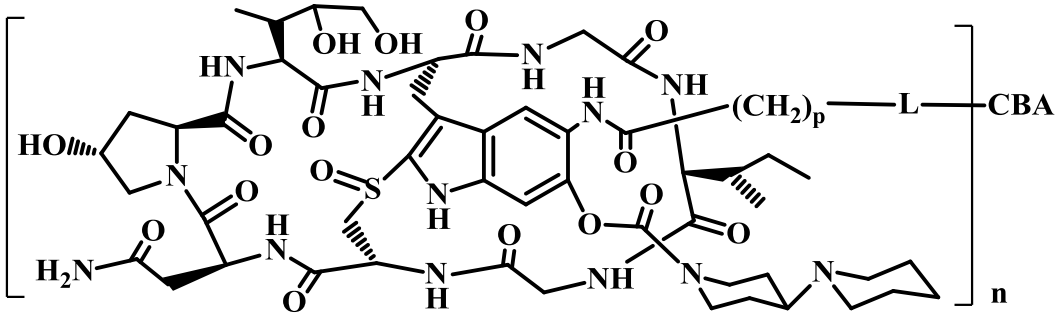
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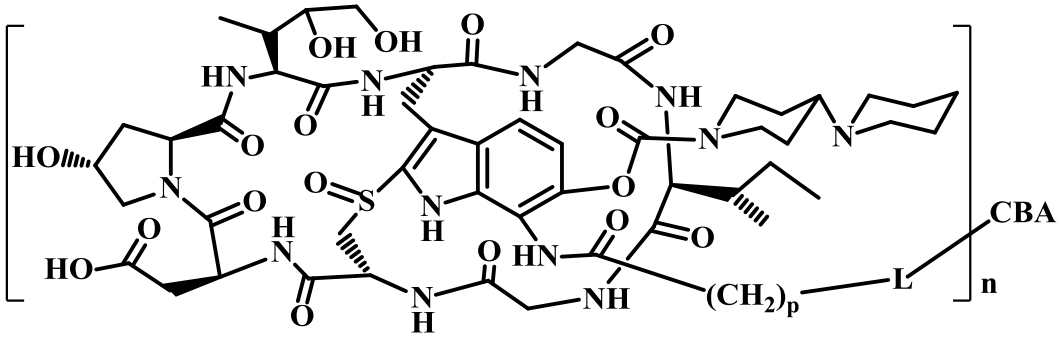

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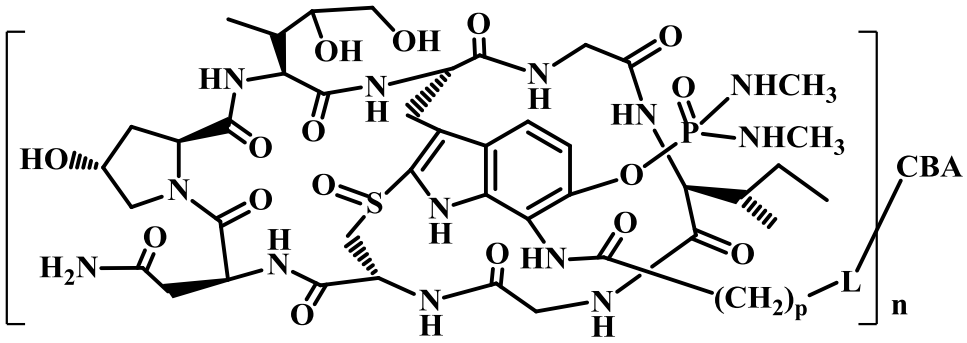

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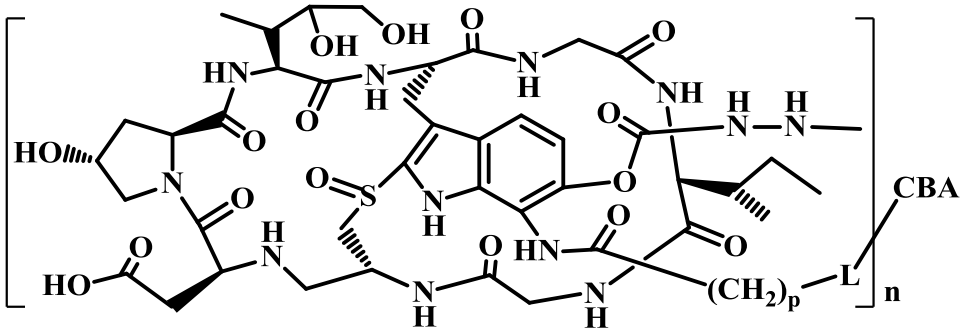

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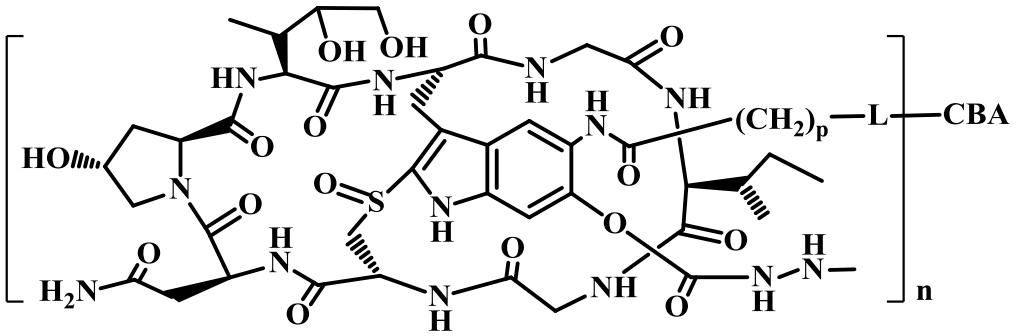

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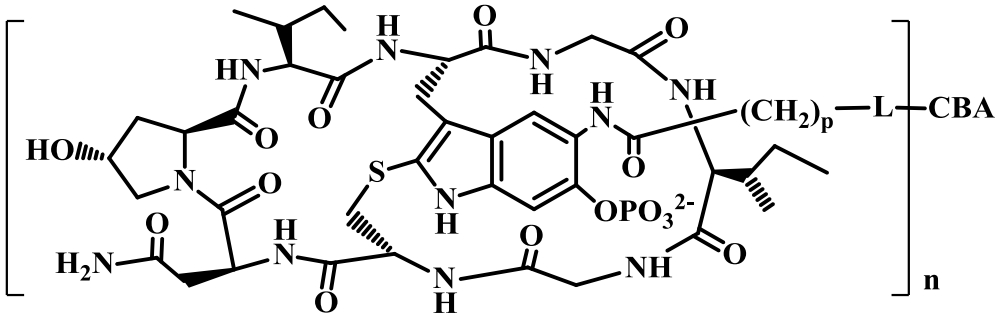

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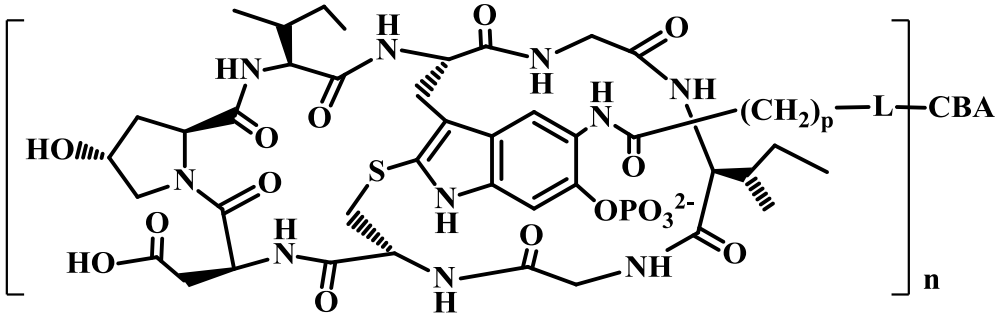

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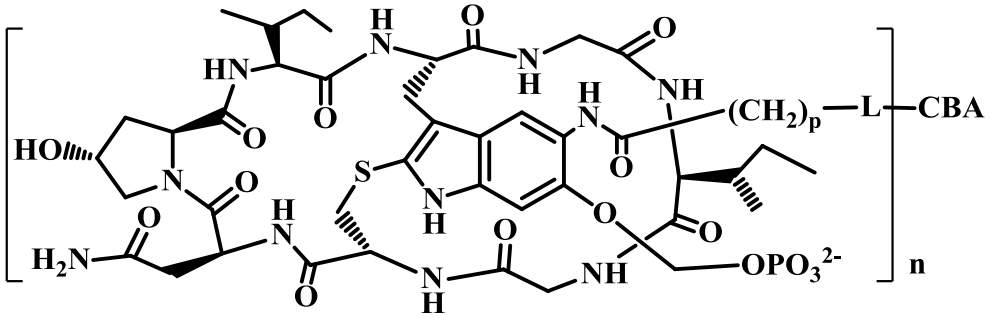

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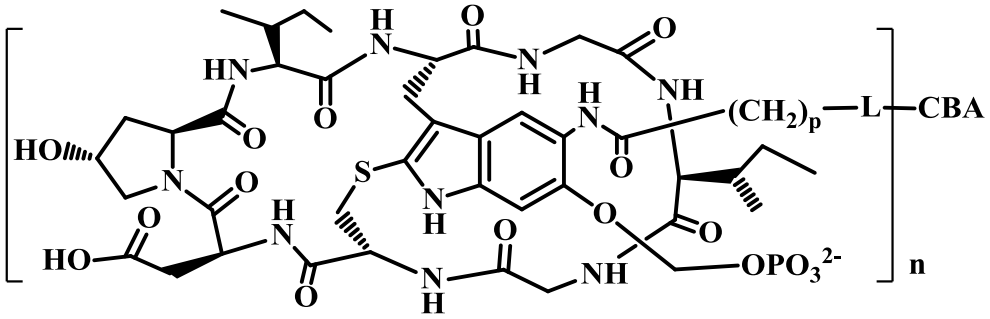

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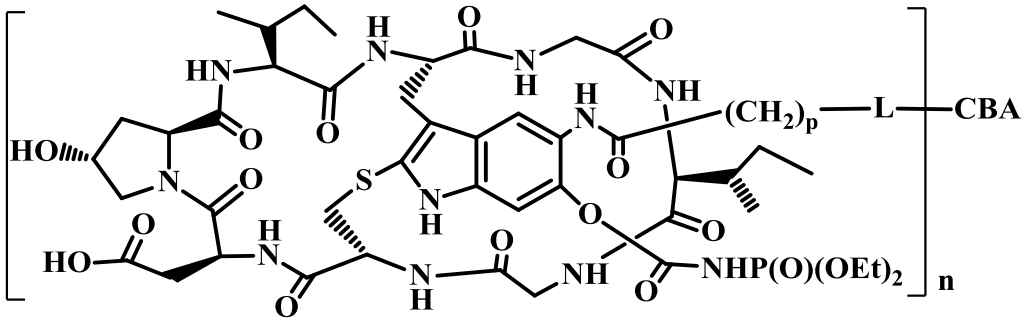

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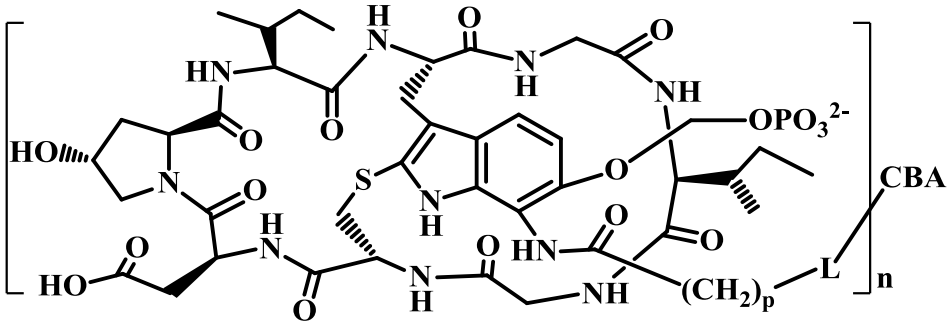

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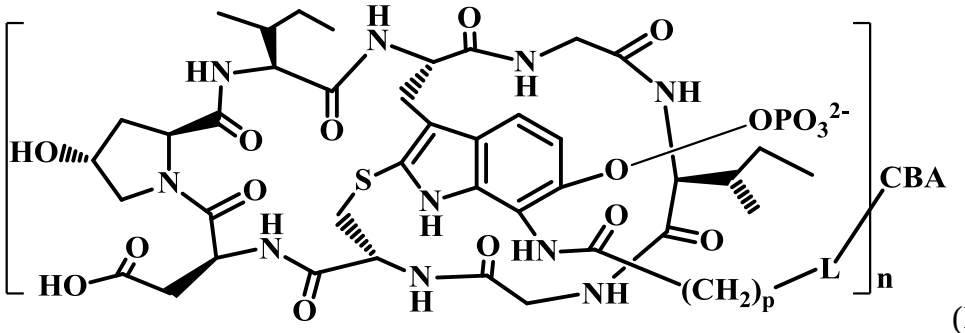

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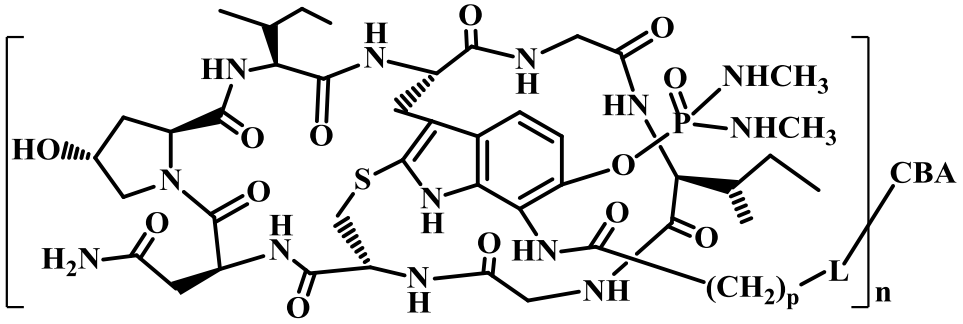

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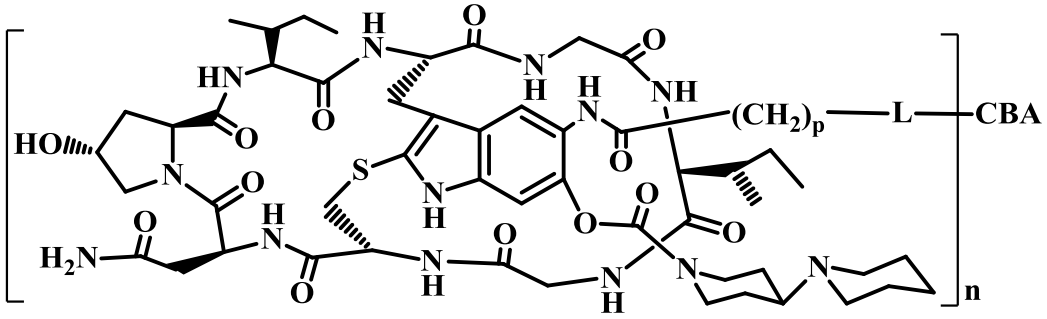
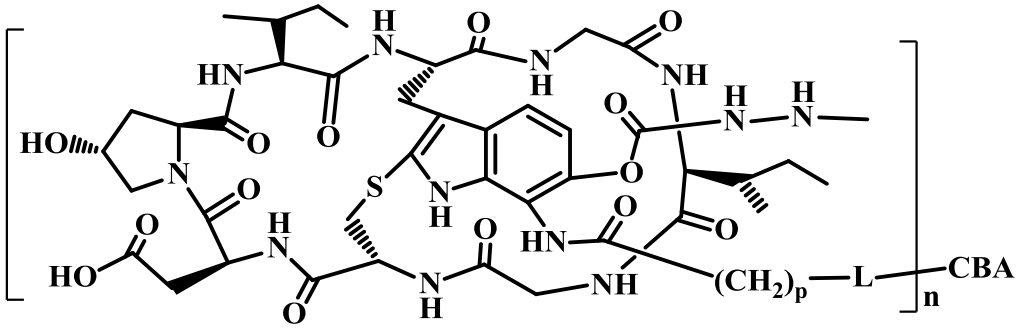
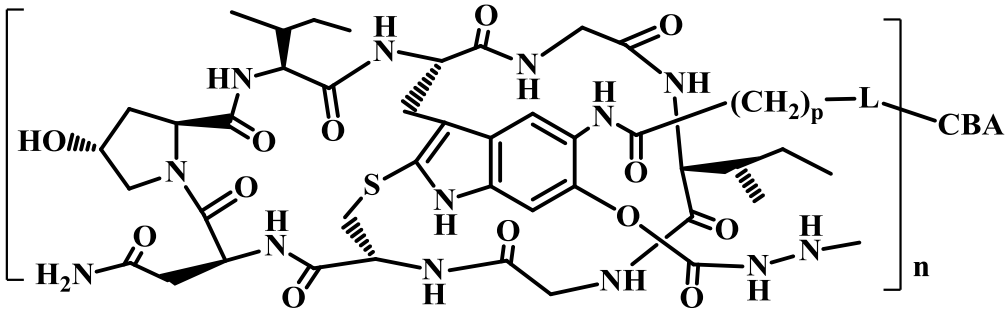


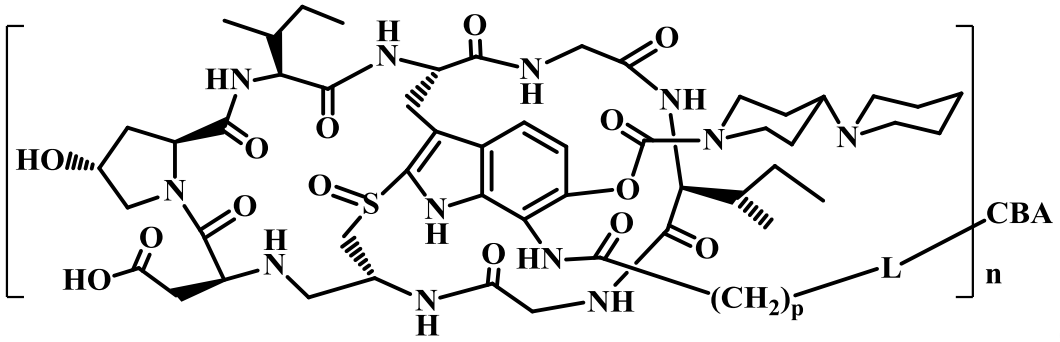
(II-88),



(II-89),



(II-90),



(II-91),

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, Aa, r, m, n, p, q, R_{10}, L and Q are defined the same as in Claim 1;

wherein R_{14} is H, PO_3^{2-}, SO_3^-, R_{12} , $–COR_{12}$, $–COCH_3$, $–COOR_{12}$, $-CONR_{12}R_{12}'$, $-C(=O)R_{12}NH(Aa)_t$, (an amino acid or peptide, wherein Aa is an amino acid or a polypeptide, t represents 0 - 100); $–CSNHR_{12}$ (thiocarbamate);$–SOR_{12}$ (sulfoxide); $–SO_2R_{12}$ (sulfone); $–SO_3^-$, HSO_3, HSO_2, or a salt of HSO_3^-, SO_3^{2-} or $-HSO_2^-$ (sulphite); $P(O)(OM_1)(OM_2)$, $CH_2OP(O)(OM_1)(OM_2)$, SO_3M_1; glycoside,

M_1 and M_2 are independently selected from H, Na, K, Ca, Mg, NH_4, and $NR_1'R_2'R_3'$;

R_1', R_2' and R_3' are independently selected from H, and C_1~C_8 alkyl;

PEG is polyethylene glycol with the formula of $–(OCH_2CH_2)_r$,

CBA is a cell-binding agent/molecule.

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14. The compound according to Claim 13, 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-69), (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_1~C_8 of alkyl, alkenyl, alkynyl, aryl, cyclic, cyclohetero, haloalkyl, alkoxy, haloalkoxy alkylamino; halogen; $-NO_2$; –CN; -SH; $-SSCH_3$; -SSAc; -SSAr; -SS-Pyridine; -SS-Ar($-NO_2$); -S-cell binding agent; or a function group of NHS ester, pentafluorophenyl ester; alkyloxyamine; aldehyde; ketone;

carboxyl acid; hydrazine; amine; or thiolactone; 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.

15. The compound according to Claim 1 wherein the linker L is selected from the group consisting of: R_{12}, OR_{12}, $OR_{12}O$, NHR_{12}, $NHR_{12}NH$, $NR_{11}R_{12}$, $SR_{12}S$, $OR_{12}NH$, $OR_{12}Ar$, $NHR_{12}Ar$,

$NR_{11}R_{12}NR_{12}'R_{12}''$, $-(CR_{11}R_{12})_p(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$, $-(CR_{11}R_{12})_p(CR_{12}'R_{12}'')_q(Aa)_r(OCH_2CH_2)_t-$, $-(Aa)_r(CR_{11}R_{12})_p(CR_{12}'R_{12}'')_q-(OCH_2CH_2)_t$, $-(CR_{11}R_{12})_p(CR_{12}'R_{12}'')_n(OCH_2CH_2)_t(Aa)_r-$, $-(C\,R_{11}R_{12})_p(CH=CH)(CR_{12}'R_{12}'')_q(Aa)_r(OCH_2CH_2)_t$, $-(CR_{11}R_{12})_p(NR_{12}'CO)(Aa)_r(CR_{12}'R_{12}'')_q-(OCH_2CH_2)_t$, $-(CR_{11}R_{12})_p(Aa)_t(NHCO)(CR_{12}'R_{12}'')_q-(OCH_2CH_2)_r-$, $(CR_{11}R_{12})_p(OCO)(Aa)_r-(CR_{12}'R_{12}'')_q-(OCH_2CH_2)_t$, $-(CR_{11}R_{12})_p(OCNR_7)(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$, $-(CR_{11}R_{12})_p(CO)-(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$, $-(CR_{11}R_{12})_p(NR_{11}CO)(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$, $-(CR_{11}R_{12})_p-(OCO)(Aa)_r(CR_{12}'R_{12}'')_q-(OCH_2CH_2)_t$, $-(CR_{11}R_{12})_p(OCNR_7)(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$, $-(CR_{11}R_{12})_p(CO)(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$, $-(CR_{11}R_{12})_p$-phenyl-$CO(Aa)_r(CR_{12}'R_{12}'')_q$, $-(CR_{11}R_{12})_p$-furyl-CO-$(Aa)_t(CR_{12}'R_{12}'')_q$, $-(CR_{11}R_{12})_p$-oxazolyl-$CO(Aa)_r(CR_{12}'R_{12}'')_q$, $-(CR_{11}R_{12})_p$-thiazolyl-$CO(Aa)_r(CR_{12}'R_{12}'')_q$, $-(CR_{11}R_{12})_p$-thienyl-$CO(CR_{12}'R_{12}'')_q$, $-(CR_{11}R_{12})_p$-imidazolyl-CO-$(CR_{12}'R_{12}'')_q-$, $-(CR_{11}R_{12})_p$-morpholino-$CO(Aa)_r(CR_{12}'R_{12}'')_q-$, $-(CR_{11}R_{12})_p$-piperazino-$CO(Aa)_r-(CR_{12}'R_{12}'')_q-$, $-(CR_{11}R_{12})_p$-N-methylpiperazin-$CO(Aa)_r(CR_{12}'R_{12}'')_q-$, $-(CR_{11}R_{12})_p(Aa)_r$-phenyl-, $-(CR_{11}R_{12})_p-(Aa)_r$-furyl-, $-(CR_{11}R_{12})_p$-oxazolyl$(Aa)_r-$, $-(CR_{11}R_{12})_p$-thiazolyl-$(Aa)_r-$, $-(CR_{11}R_{12})_p$-thienyl-$(Aa)_t-$, $-(CR_{11}R_{12})_p$-imidazolyl$(Aa)_r-$, $-(CR_{11}R_{12})_p$-morpholino-$(Aa)_r-$, $-(CR_{11}R_{12})_p$-piperazino-$(Aa)_r-$, $-(CR_{11}R_{12})_p$-N-methylpiperazino-$(Aa)_r-$, $-K(CR_{11}R_{12})_p-(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$, $-K(CR_{11}R_{12})_p(CR_{12}'R_{12}'')_q(Aa)_r(OCH_2CH_2)_t-$, $-K(Aa)_r(CR_{11}R_{12})_p(CR_{12}'R_{12}'')_q-(OCH_2CH_2)_t$, $-K(CR_{11}R_{12})_p(CR_{12}'R_{12}'')_q(OCH_2CH_2)_r(Aa)_t$, $-K(CR_{11}R_{12})_p(CR_7=CR_8)(CR_{12}'R_{12}'')_q-(Aa)_r(OCH_2CH_2)_t-$, $-K(CR_{11}R_{12})_p(NR_7CO)(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$, $-K(CR_{11}R_{12})_p(Aa)_t(NR_7-CO)(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$, $-K(CR_{11}R_{12})_p(OCO)(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$, $-K(CR_{11}R_{12})_p(OCNR_7)(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t-$, $-K(CR_{11}R_{12})_p(CO)(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$, $-K(-$

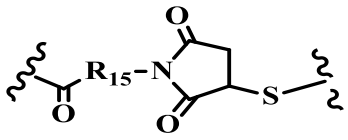
$CR_{11}R_{12})_p(NR_{11}CO)(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$, -$K(CR_{11}R_{12})_p(OCO)(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$, -$K(CR_{11}R_{12})_p(OCNR_7)(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_t$, -$K(CR_{11}R_{12})_p(CO)(Aa)_r(CR_{12}'R_{12}'')_q(OCH_2CH_2)_rQ$, -$K(CR_{11}R_{12})_p$-phenyl-CO-$(Aa)_r(CR_{12}'R_{12}'')_q$-, -$K(CR_{11}R_{12})_p$-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$(Aa)_r$-$(CR_{12}'R_{12}'')_q$, -$K(CR_{11}R_{12})_p$-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$(Aa)_r$-, -$K((CR_{11}R_{12})_m$-morpholino-$(Aa)_r$, -$K(CR_{11}R_{12})_p$-piperazino-$(Aa)_t$-, and -$K(CR_{11}R_{12})_m$N-methylpiperazino-$(Aa)_r$;

wherein K is NR_{12}, O, S, Se, B, C_3~C_{10} of Ar or Heterocyclic; wherein Aa, r, n, p, q, t, R_7, R_{11}, R_{12}, R_{12}', R_{12}'' are as defined in Claim 1.

16. The compound of any preceding claim, wherein the cell binding agent (CBA) is a full-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, GM-CSF, interferon-gamma (IFN-γ); 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), TGFα, TGFβ; 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 capside, 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 (DARPins), an androgen, and an androgen analogue.

17. The compound of any one of Claims 1to 13, 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_2CH_2O--) as one or up to 100 repeating units ("EO" or "PEO"), or one or more components that are illustrated below: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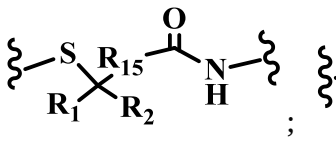
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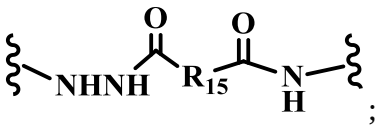
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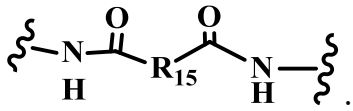
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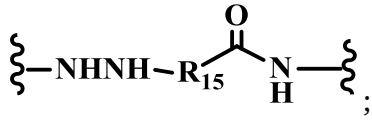
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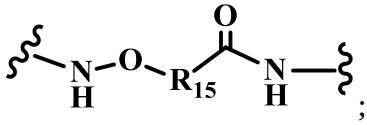
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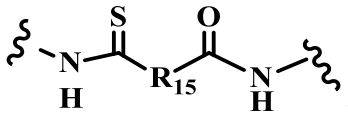
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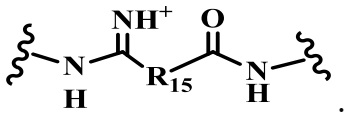
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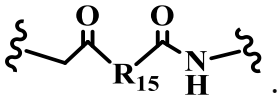
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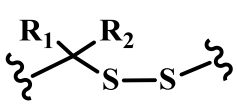
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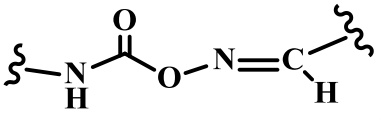
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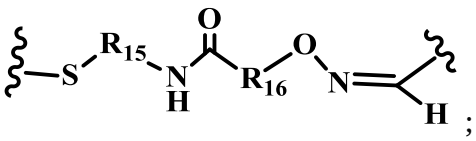
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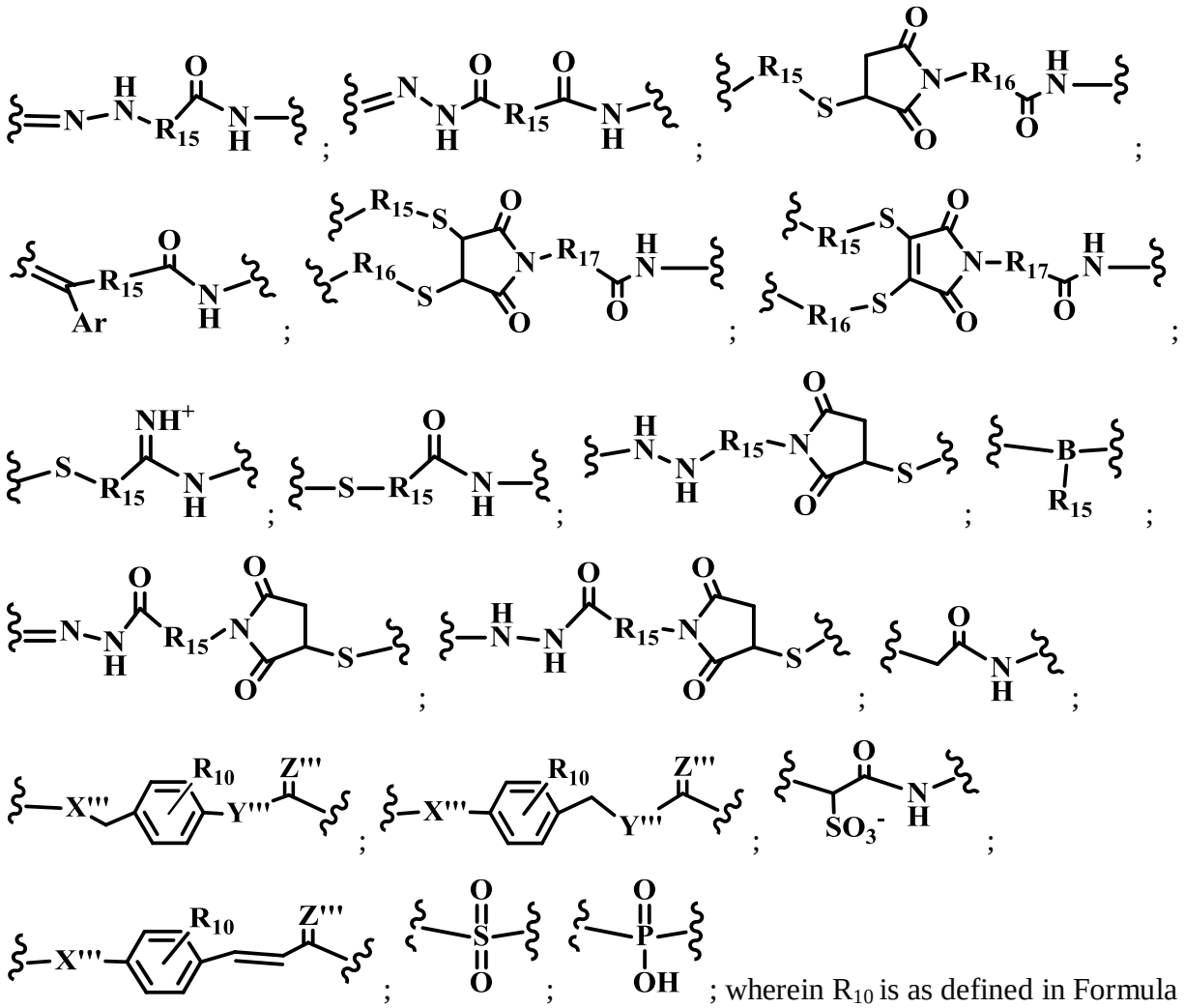
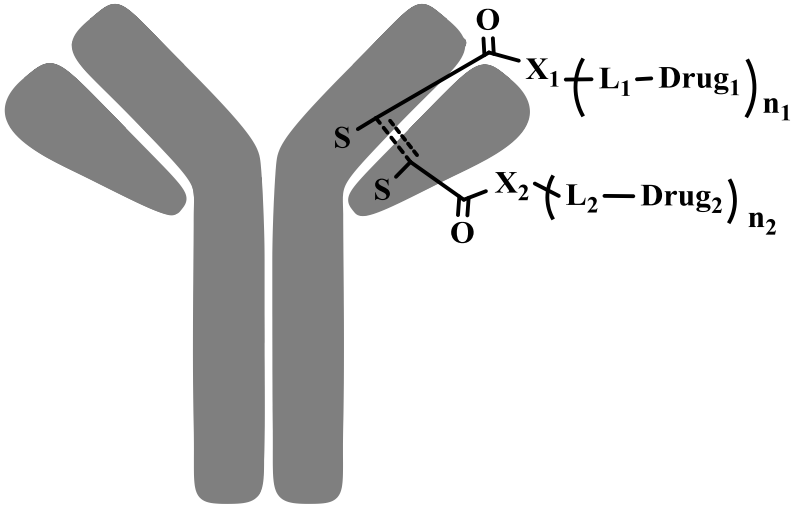
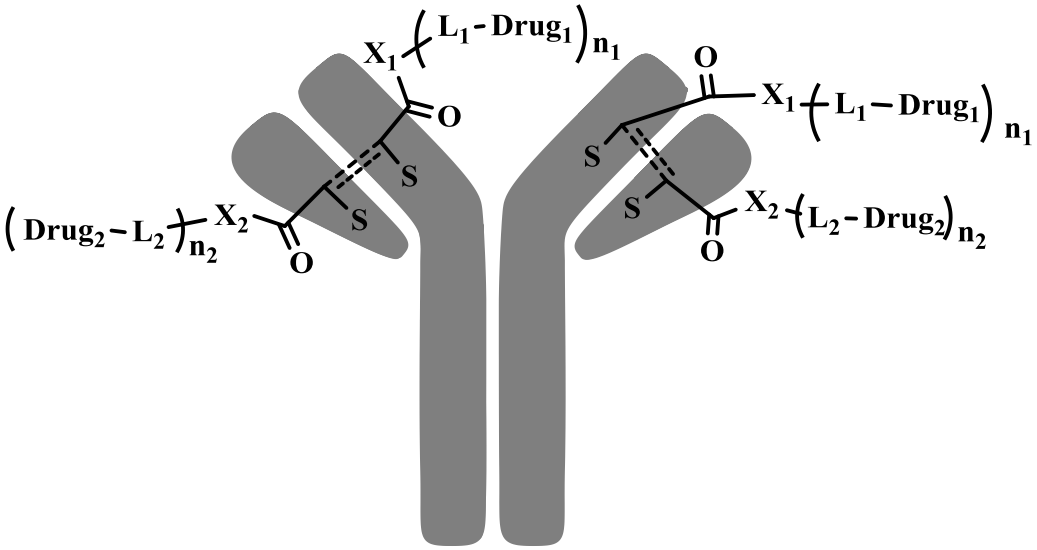


; wherein R_{10} is as defined in Formula (I) in claim 1 above; wherein R_{15}, R_{16} and R_{17} are independently selected from $–C_1$~C_8 alkyl or alkylene-, -- C_1~C_7 carbocyclo-, -O-(C_1~C_8 alkyl)-, -NH-(C_1~C_8 alkyl)-, -arylene-, -C_1~C_8 alkylene-arylene-, -arylene, -C_1~C_8 alkylene-, -C_1~C_8 alkylene-(C_1~C_8 carbocyclo)-, -(C_3~C_7 carbocyclo)- C_1~C_8 alkylene-, -C_3~C_8 heterocyclo-, -C_1~C_8 alkylene-(C_3~C_8 heterocyclo)-, -(C_3~C_8 heterocyclo)- C_1~C_9 alkylene-, -$(CH_2CH_2O)_k$-, -$(CH(CH_3)CH_2O)_k$-, and -$(CH_2CH_2O)_k$-CH_2-; k is an integer ranging from 1-50; and X’’’, Y’’’ and Z’’’ are independently selected from NH, O or S.

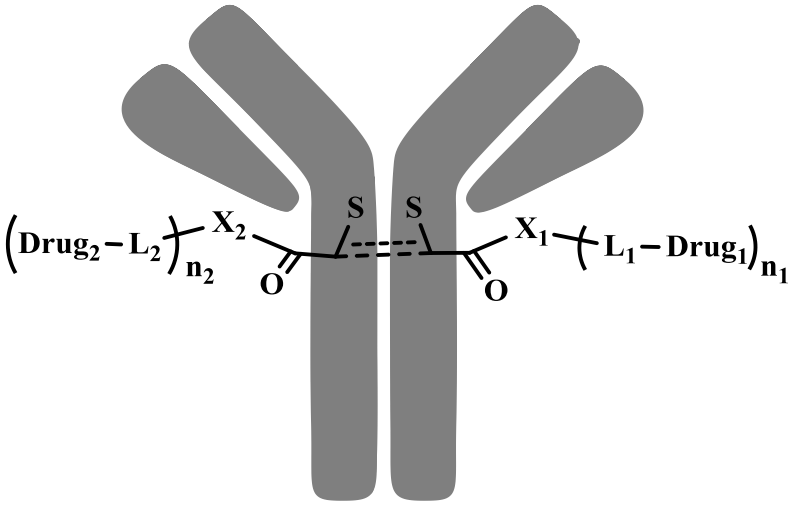
18. The compound according to any one of Claims 1to 13, wherein the compound according to any one of Claims 1to 13 is conjugated to an IgG antibody through a bridge linker to a pair of thiols of an IgG antibody, and having any one of the following specific conjugation structures of (III-1), (III-2),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



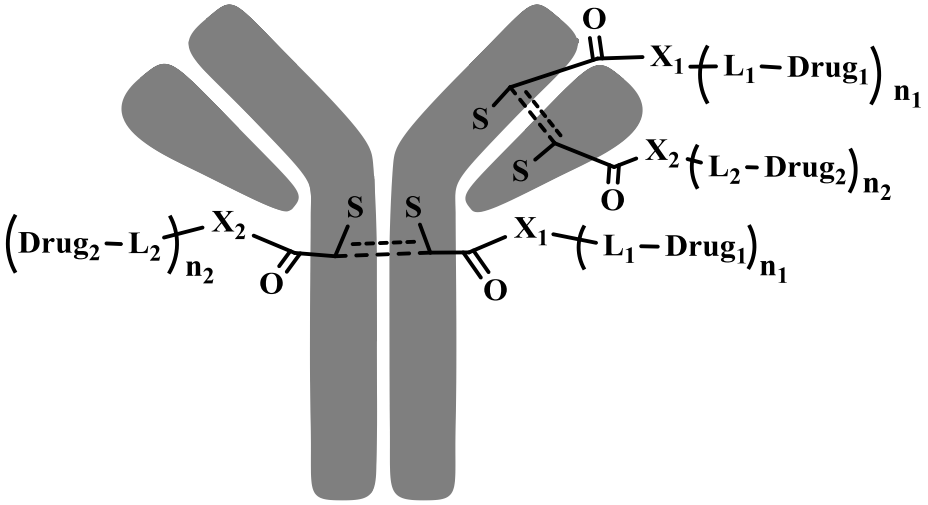
(III-1),



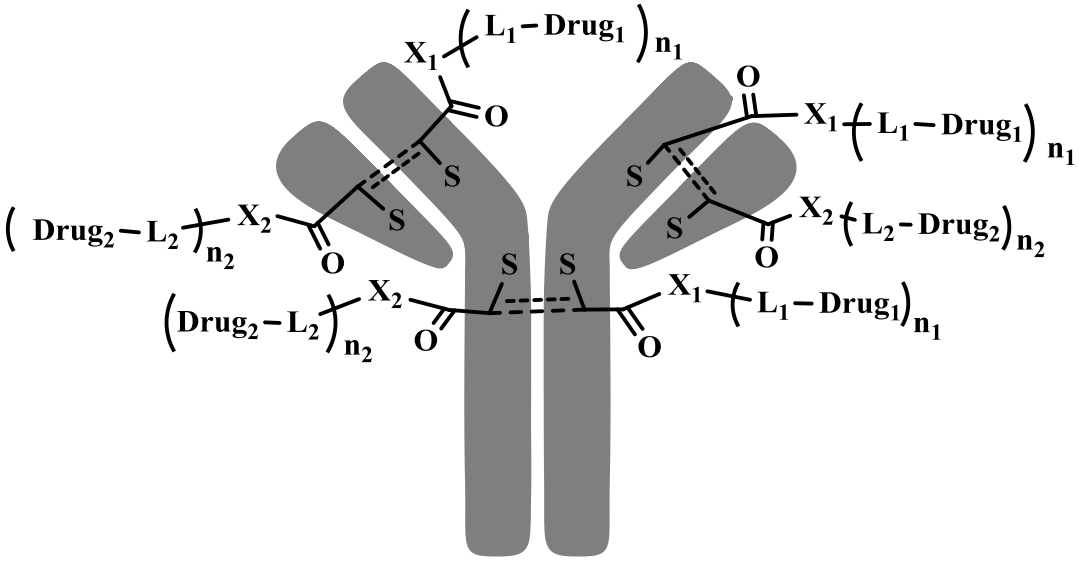
(III-2),



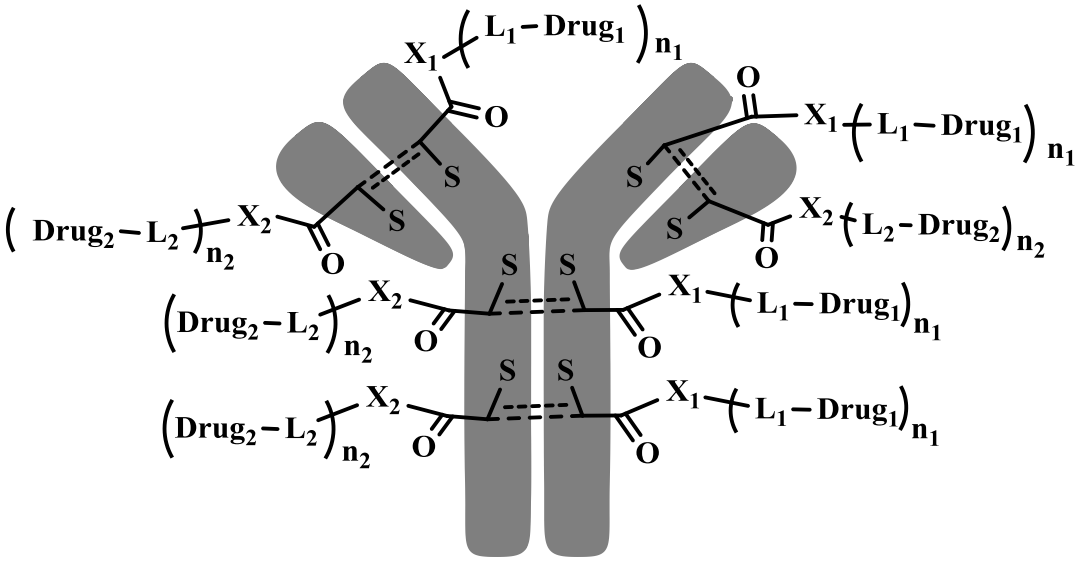
(III-3),



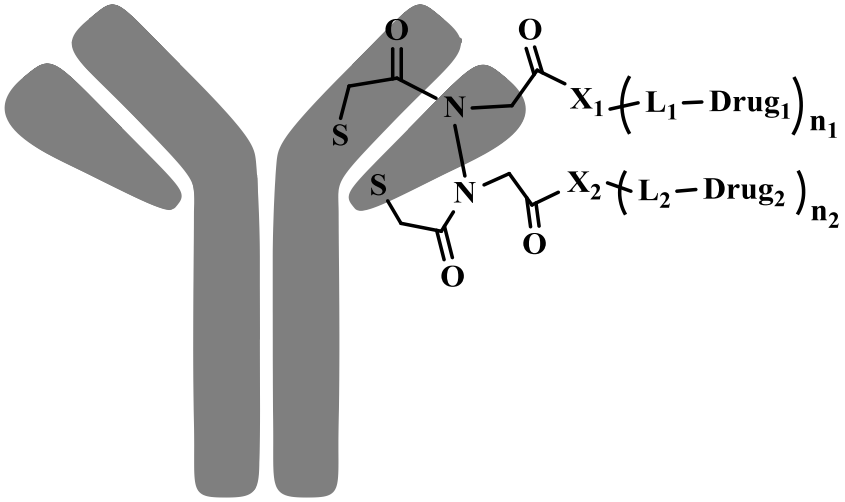
(III-4),



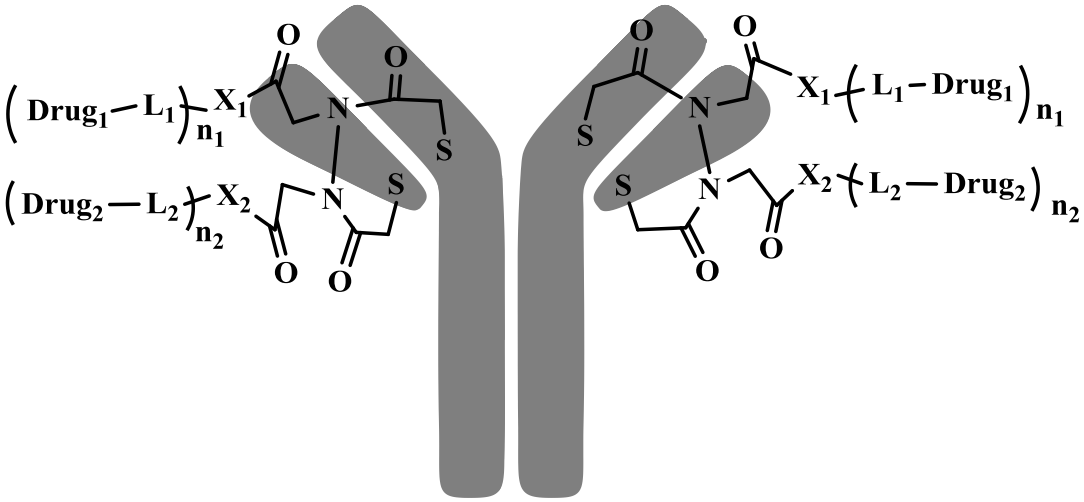
(III-5),



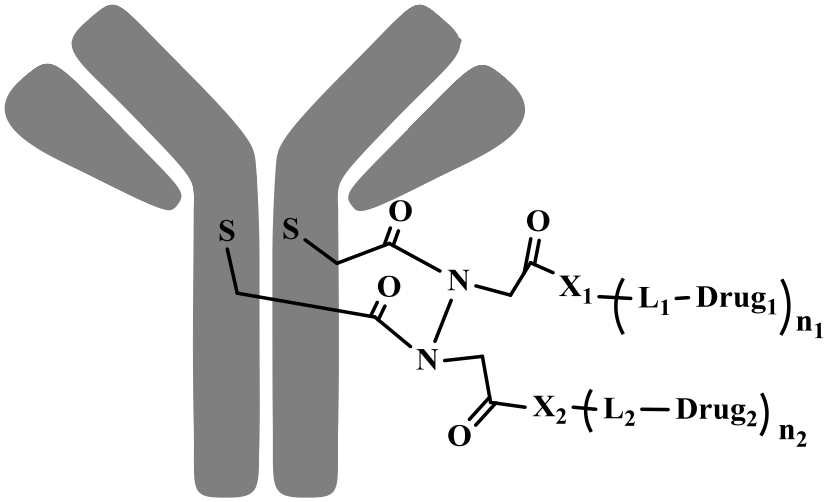
(III-6),



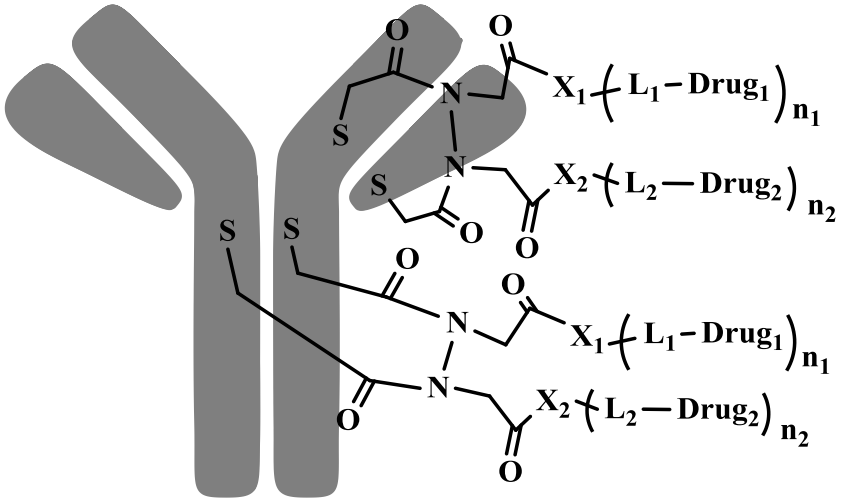
(III-7),



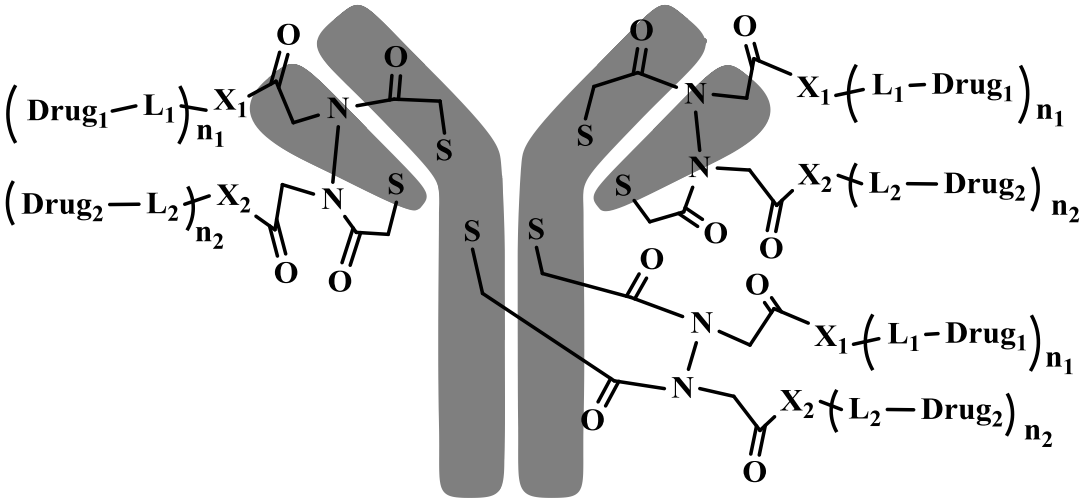
(III-8),



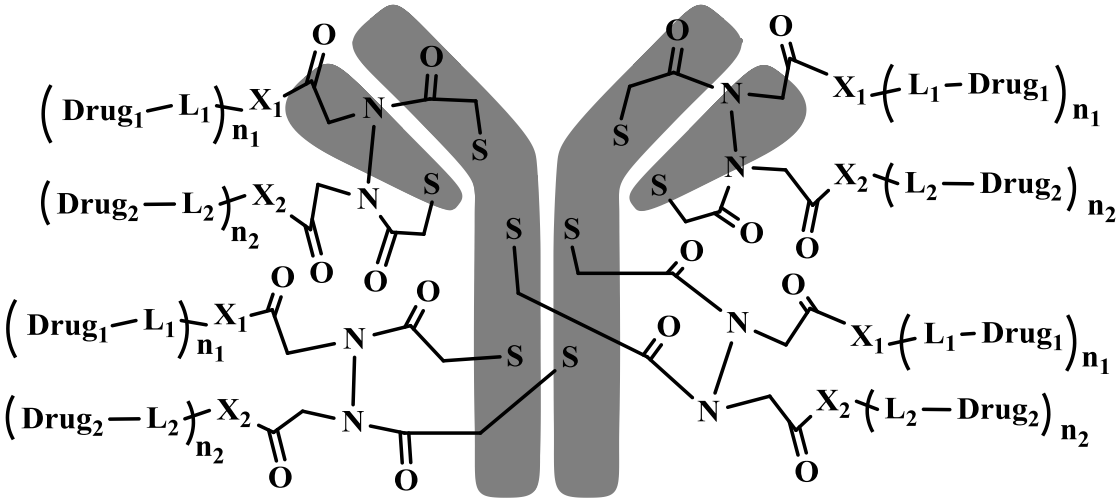
(III-9),



(III-10),



(III-11),



(III-12).

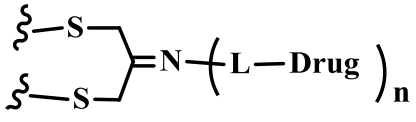
wherein the bold structure is an IgG antibody; "=====" represents either a single bond or a double bond; L_1 and L_2 are, the same or different, independently defined the same as L in Claim 1; X_1 and X_2, are, the same or different, independently selected from NH, $N(R_1)$, O, S, CH_2, or Ar, wherein R_1 is C_1-C_6 alkyl and Ar is aromatic or heteroaromatic ring; wherein $Drug_1$ and $Drug_2$ are the same or different, a derivative of the amanita toxins of any one of Claims 1to 13, ; or wherein either $Drug_1$ or $Drug_2$ is absent , but $Drug_1$ and $Drug_2$ are not absent at the same time, or wherein any single one of either $Drug_1$ or $Drug_2$ is a derivative of the amanita toxin of any one of Claims 1to 13, the other one of either $Drug_1$ or $Drug_2$ can be selected from $(OCH_2CH_2)_rOR_{10}$, or $(OCH_2CH(CH_3))_pOR_{10}$, or $NH(CH_2CH_2O)_pR_{10}$, or $NH(CH_2CH(CH_3)O)_pR_{10}$, or $N[(CH_2CH_2O)_pR_{10}][(CH_2CH_2O)_rR_5]$, or $(OCH_2CH_2)_pCOOR_{10}$, or $CH_2CH_2(OCH_2CH_2)_pCOOR_{10}$, wherein p, r, R_{10} are defined as in Claim 1, or wherein, both $Drug_2$ and L_2 can be absent when $Drug_1$ is not absent, thus X_2 is NH_2 or OH.

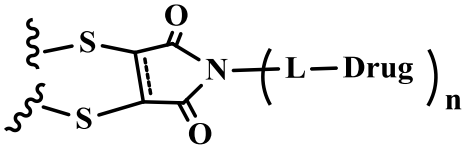
19. The compound according to Claim 18, wherein the compound is conjugated to the IgG antibody through a bridge linker to a pair of thiols of an IgG antibody via a bridge linker specifically at the pair of thiols (through reduction of the disulfide bonds) between the light chain and heavy chain, or/and the upper disulfide bonds between the two heavy chains, or/and the lower disulfide bonds between the two heavy chains.

20. The compound according to Claim 18 or 19, wherein the bold structure is an IgG1, IgG2, IgG3 or IgG4 antibody.

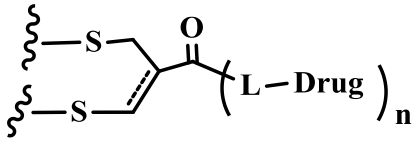
21. The compound according to any one of Claims 1to 13, wherein the compound of any one of Claims 1to 13, is conjugated to an IgG antibody through a bridge linker.

22. The compound according to Claim 21, 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):

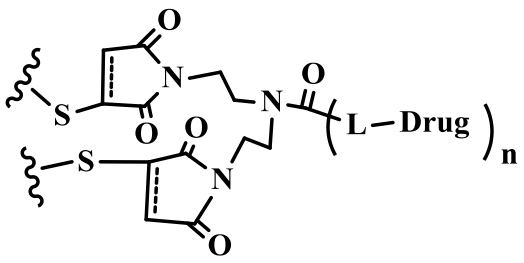


(IV-1),


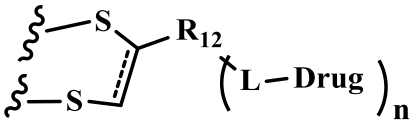
(IV-2),



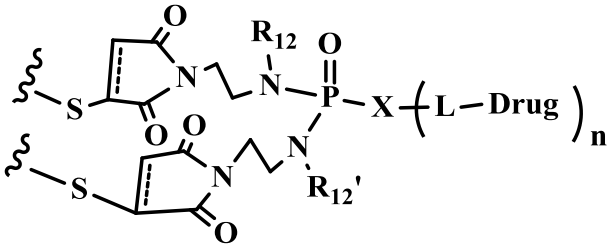
(IV-3),



(IV-4),



(IV-5),



(IV-6),

wherein"=====" represents either a single bond or a double bond; Drug is a derivative of Amanita toxin of Formula (I), (Ia), (Ib), (Ic) or (Id); $\sim$ represents the sites on an antibody; L, X, n and R_{12} are defined the same as in Formula (I) in claim 1.

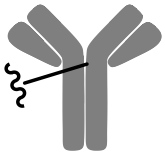
23. The compound of any of claims 18 to 22, wherein the pairs of thiols are reduced by one or more reducing agent selected from dithiothreitol (DTT), dithioerythritol (DTE), L-glutathione (GSH), tris (2-carboxyethyl) phosphine (TCEP), 2-mercaptoethylamine (β-MEA), or/and beta mercaptoethanol **(**β-ME, 2-ME**)**.

24. The compound according to Claim 23, 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.

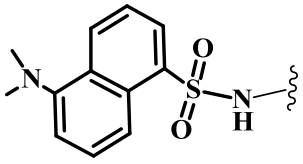
25. The compound according to Claim 18, wherein any single one of either $Drug_1$ or $Drug_2$ of Formula (III-1), (III-2), (III-3), (III-4), (III-5), (III-6), (III-7), (III-8), (III-9), (III-10), (III-11), or (III-12) is a derivative of the Amanita toxin of any one of Claims 1to 13, and the other one of either $Drug_1$ or $Drug_2$ 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.

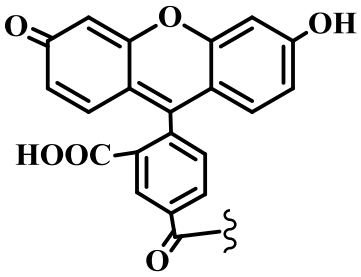
26. The compound according to Claim 25, wherein the structure of the function molecules or cytotoxic drugs are illustrated below:



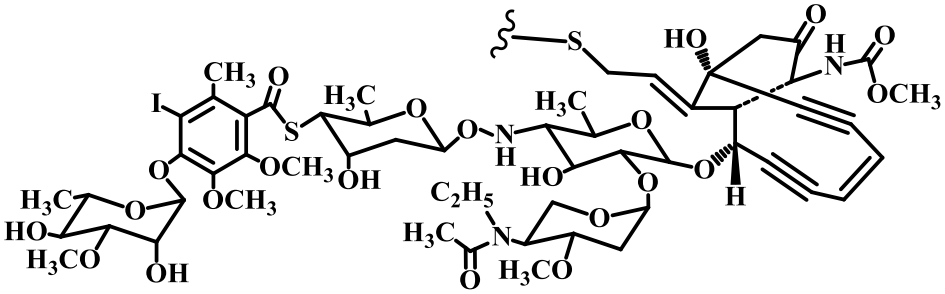
(V-1, an antibody),



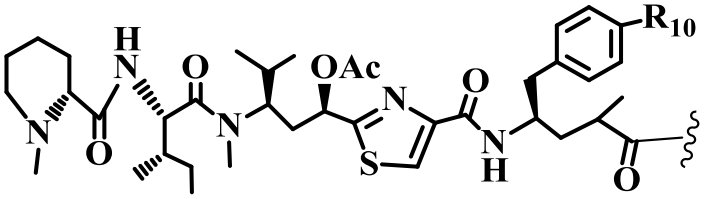
(V-2, a chromophore molecule),



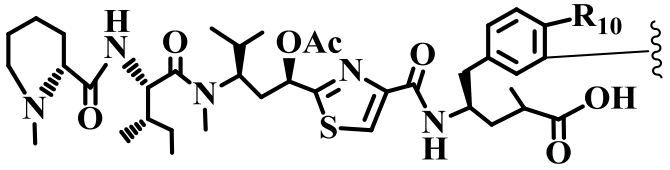
(V-3, a chromophore molecule),



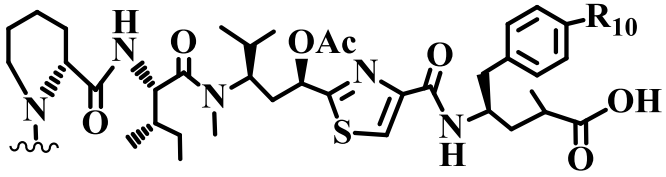
(V-4, a calicheamicin),



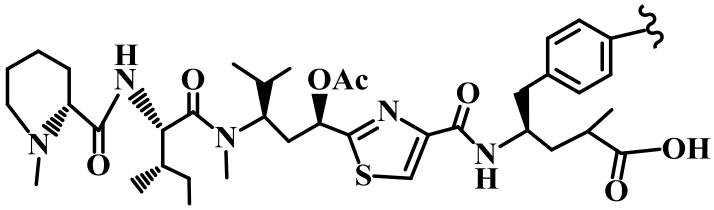
(V-5, a tubulysin derivative),



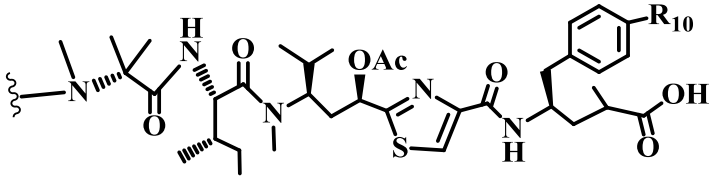
(V-6, a tubulysin derivative),



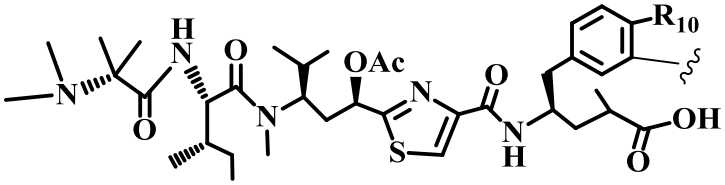
(V-7, a tubulysin derivative),



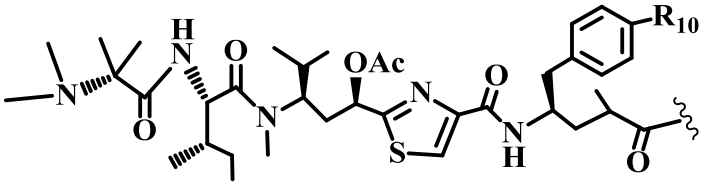
(V-8, a tubulysin derivative),



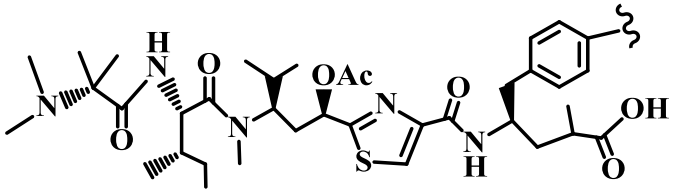
(V-9, a tubulysin derivative),



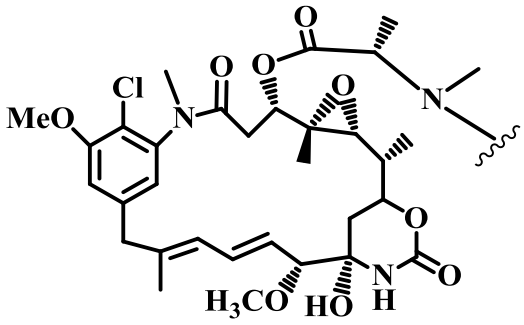
(V-10, a tubulysin derivative),



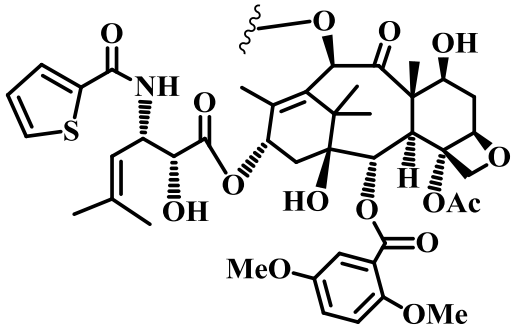
(V-11, a tubulysin derivative),



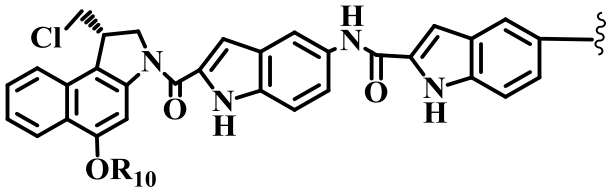
(V-12, a tubulysin derivative),



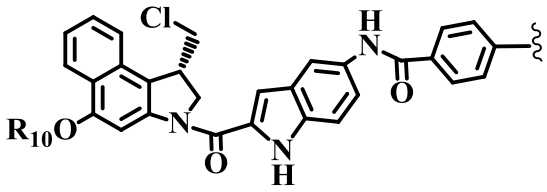
(V-13, a maytansinoid),



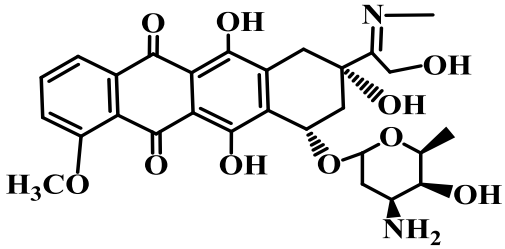
(V-14, a taxanoids),



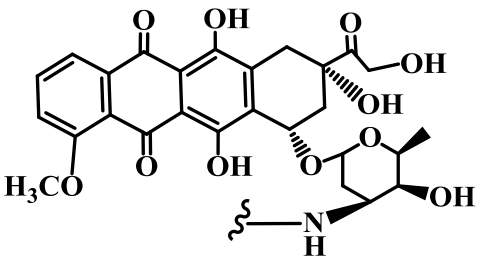
(V-15, a CC-1065 analog),



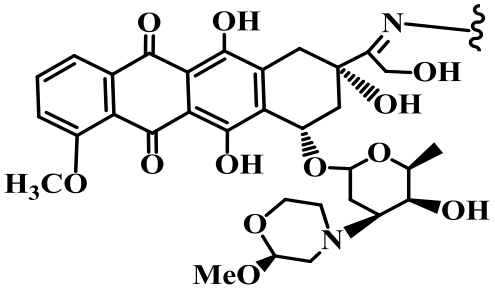
(V-16, a duocarmycin analog),



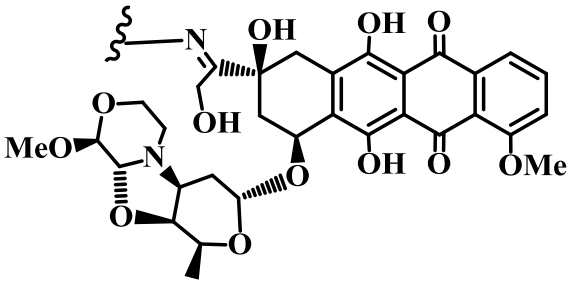
(V-17, a daunorubicin compound),



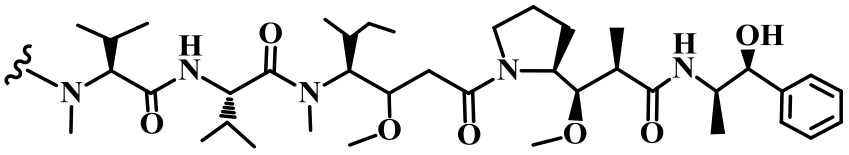
(V-18, a doxorubicin compound),



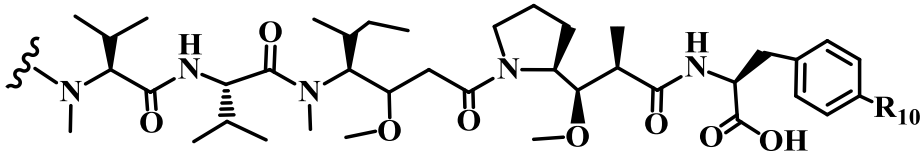
(V-19, a daunorubicin compound),



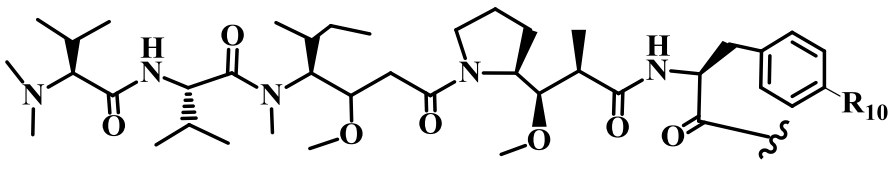
(V-20, a daunorubicin or doxorubicin compound),



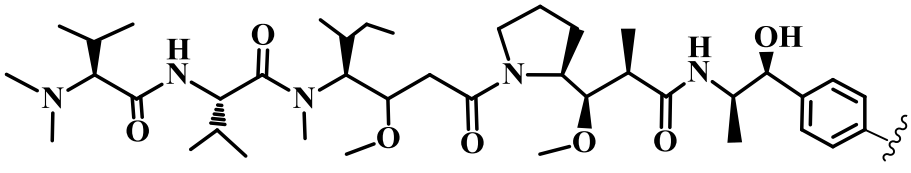
(V-21, an auristatin derivative),



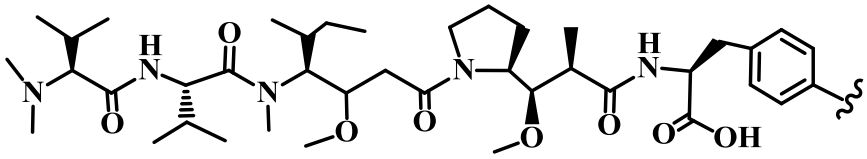
(V-22, an auristatin derivative),



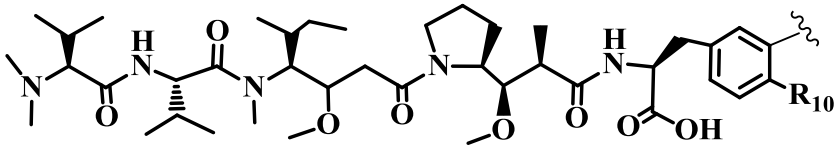
(V-23, an auristatin derivative)



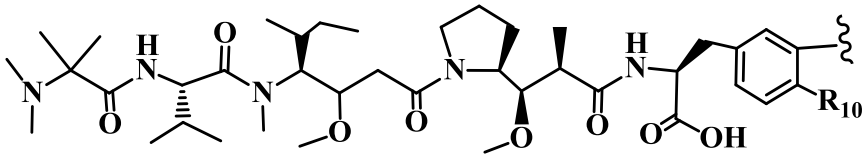
(V-24, a dolastatin or an auristatin derivative (MMAE))



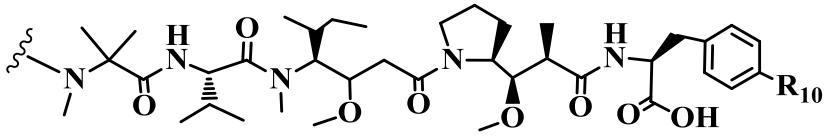
(V-25, a dolastatin or an auristatin derivative),



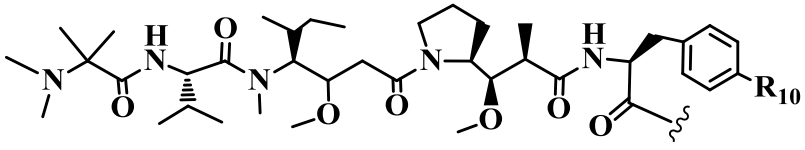
(V-26, an auristatin derivative),



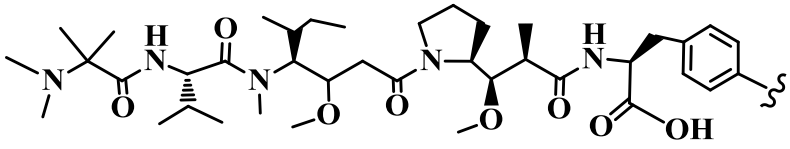
(V-27, an auristatin derivative),



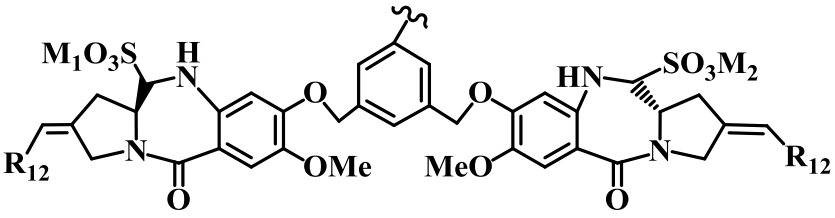
(V-28, an auristatin derivative),



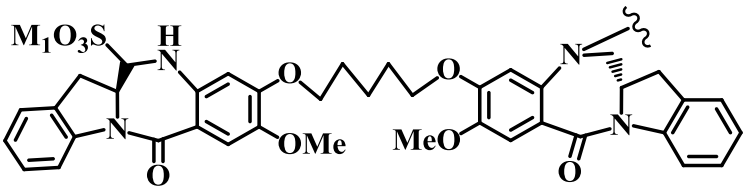
(V-29, an auristatin derivative),



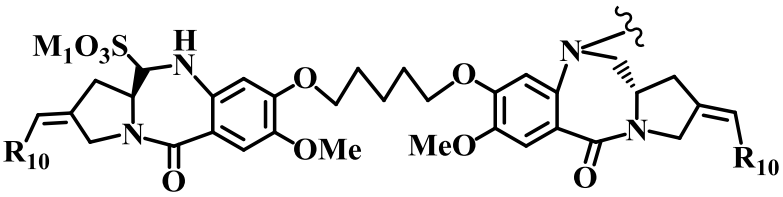
(V-30, an auristatin derivative),



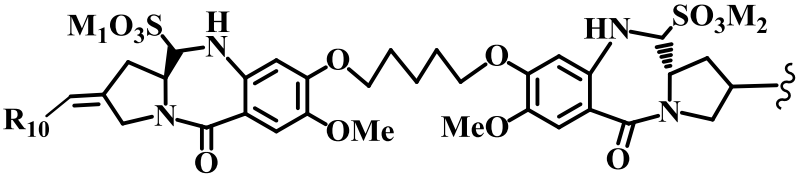
(V-31, a benzodiazepine dimer),



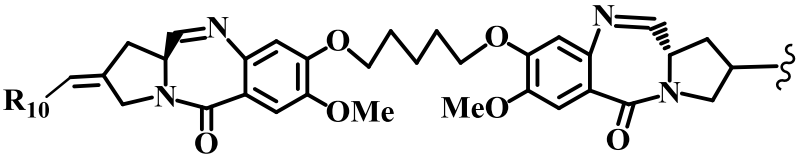
(V-32, a benzodiazepine dimer),



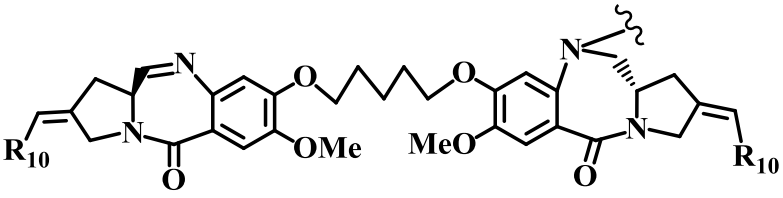
(V-33, a benzodiazepine dimer),



(V-34, a benzodiazepine dimer),



(V-35, a benzodiazepine dimer),



(V-36, a benzodiazepine dimer),

(V-37, a siRNA),

(V-38, an enzyme or protein linked from N-terminal),

(V-39, an enzyme or protein linked from C-terminal);

wherein R_{10} is defined as in Claim 1 and is the site to link either linker L_1 or linker L_2 as defined in Claim 17.

27. A pharmaceutical composition comprising a therapeutically effective amount of a compound of any one of Claims 1to 13, or 18 to 26, 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.

28. A compound according to any one of Claims 1to 13, or 18 to 27 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, 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, 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 (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), 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 (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 glyvolipid), GD3 idiotype, GloboH, Glypican 3, N-glycolylneuraminic acid, GM3, GMCSF receptor α-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-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-γ, Influenza hemagglutinin, IgE, IgE Fc region, IGHE, 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 (α4, $\alpha_{IIb}\beta_3$, αvβ3, $\alpha_4\beta_7$, α5β1, α6β4, α7β7, αIIβ3, α5β5, αvβ5), 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, 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 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, Page4, PAP, Paratope of anti-(N-glycolylneuraminic acid), PAX3, PAX5, PCSK9, PDCD1 (PD-1, Programmed cell death protein 1,CD279), PDGF-Rα (Alpha-type platelet-derived growth factor receptor), PDGFR-β, 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, 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-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-α, TGF-β (Transforming growth factor beta), TGF-β1, TGF-β2 (Transforming growth factor-beta 2), Tie (CD202b), Tie2, TIM-1 (CDX-014), Tn, TNF, TNF-α, 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.

29. The compound for use of claim 28, 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.

30. A non-therapeutic use of a compound according to any one of Claims 1to 13, or 18 to 27 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 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, 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

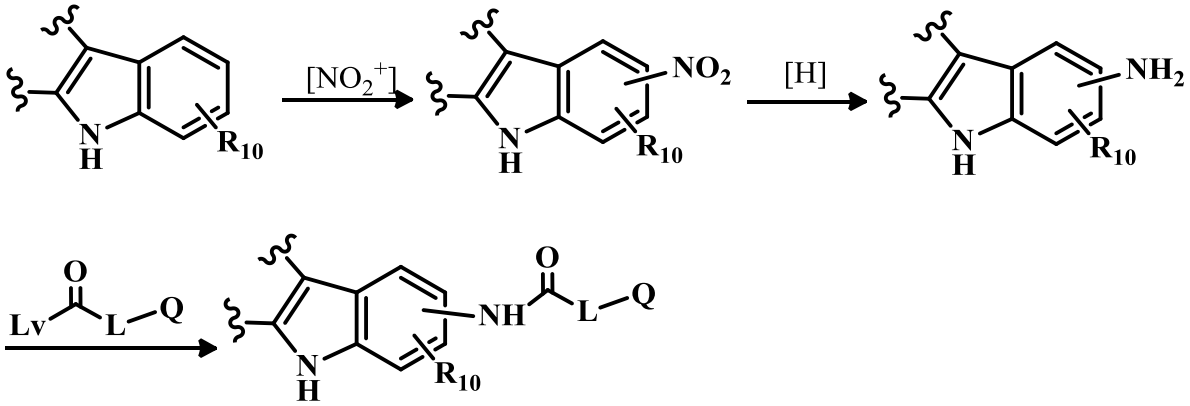
anhydrase 9), CALLA, CanAg, Canis lupus familiaris IL31, Carbonic anhydrase IX, Cardiac myosin, CCL11(C-C motif chemokine 11), CCR4 (C-C chemokine receptor type 4, CD194), CCR5, CD3E (epsilon), CEA (Carcinoembryonic antigen), CEACAM3, CEACAM5 (carcino-embryonic antigen), CFD (Factor D), Ch4D5, Cholecystokinin 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 (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), 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 (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 glyvolipid), GD3 idiotype, GloboH, Glypican 3, N-glycolylneuraminic acid, GM3, GMCSF receptor α-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-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-γ, Influenza hemagglutinin, IgE, IgE Fc region, IGHE, 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 (α4, $\alpha_{IIb}\beta_3$, αvβ3, $\alpha_4\beta_7$, α5β1, α6β4, α7β7, αIIβ3, α5β5, αvβ5), 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, 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 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, Page4, PAP, Paratope of anti-(N-glycolylneuraminic acid), PAX3, PAX5, PCSK9, PDCD1 (PD-1, Programmed cell death protein 1,CD279), PDGF-Rα (Alpha-type platelet-derived growth factor receptor), PDGFR-β, 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, 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-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-α, TGF-β (Transforming growth factor beta), TGF-β1, TGF-β2 (Transforming growth factor-beta 2), Tie (CD202b), Tie2, TIM-1 (CDX-014), Tn, TNF, TNF-α, 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 apoprosis 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.

31. A pharmaceutical composition according to Claim 27 for use in the treatment of cancer, autoimmune disorders, infectious diseases or viral disease.

32. A method for making a compound according to any one of Claims 1 to 13, 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.