WHAT IS CLAIMED IS:

1. A compound of formula (I)

$$BL\text{-}(L^x)_k\text{-}A \quad (I),$$

or a pharmaceutically acceptable salt thereof; wherein

BL is a folate receptor binding ligand,

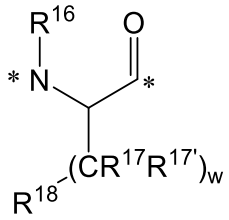
A is a chelating group Ch which can comprise a metal, a radioelement, Si-^{18}F, B-^{18}F, or Al-^{18}F, or A is a radiolabeled prosthetic group PG,

k is 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10, and

each L^X is independently AA, L^1, L^2 or L^3, wherein

each AA is independently an amino acid residue;

each L^1 is independently of the formula



wherein

R^{16} is selected from the group consisting of H, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, -C(O)R^{19}, -C(O)OR^{19} and -C(O)N$R^{19}R^{19'}$, wherein each hydrogen atom in C_1-C_6 alkyl, C_2-C_6 alkenyl and C_2-C_6 alkynyl is independently optionally substituted by halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, and C_2-C_6 alkynyl, -OR^{20}, -OC(O)R^{20}, -OC(O)N$R^{20}R^{20'}$, -OS(O)R^{20}, -OS(O)$_2R^{20}$, -SR^{20}, -S(O)R^{20}, -S(O)$_2R^{20}$, -S(O)N$R^{20}R^{20'}$, -S(O)$_2$N$R^{20}R^{20'}$, -OS(O)N$R^{20}R^{20'}$, -OS(O)$_2$N$R^{20}R^{20'}$, -N$R^{20}R^{20'}$, -NR^{20}C(O)R^{21}, -NR^{20}C(O)OR^{21}, -NR^{20}C(O)N$R^{21}R^{21'}$, -NR^{20}S(O)R^{21}, -NR^{20}S(O)$_2R^{21}$, -NR^{20}S(O)N$R^{21}R^{21'}$, -NR^{20}S(O)$_2$N$R^{21}R^{21'}$, -C(O)R^{20}, -C(O)OR^{20} or -C(O)N$R^{20}R^{20'}$;

each R^{17} and $R^{17'}$ is independently selected from the group consisting of H, halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, 3- to 7-membered heterocycloalkyl, C_6-C_{10} aryl, 5- to 7-membered heteroaryl, -OR^{22}, -OC(O)R^{22}, -OC(O)N$R^{22}R^{22'}$, -OS(O)R^{22}, -OS(O)$_2R^{22}$, -SR^{22}, -S(O)R^{22}, -S(O)$_2R^{22}$, -S(O)N$R^{22}R^{22'}$, -S(O)$_2$N$R^{22}R^{22'}$, -OS(O)N$R^{22}R^{22'}$, -OS(O)$_2$N$R^{22}R^{22'}$, -N$R^{22}R^{22'}$, -NR^{22}C(O)R^{23}, -NR^{22}C(O)OR^{23}, -NR^{22}C(O)N$R^{23}R^{23'}$, -NR^{22}S(O)R^{23}, -NR^{22}S(O)$_2R^{23}$, -NR^{22}S(O)N$R^{23}R^{23'}$, -NR^{22}S(O)$_2$N$R^{23}R^{23'}$, -C(O)R^{22}, -C(O)OR^{22}, and -C(O)N$R^{22}R^{22'}$, wherein each hydrogen atom in C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, 3- to 7-membered

heterocycloalkyl, C_6-C_{10} aryl and 5- to 7-membered heteroaryl is independently optionally substituted by halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, -OR^{24}, -$OC(O)R^{24}$, -$OC(O)NR^{24}R^{24'}$, -$OS(O)R^{24}$, -$OS(O)_2R^{24}$, -SR^{24}, -$S(O)R^{24}$, -$S(O)_2R^{24}$, -$S(O)NR^{24}R^{24'}$, -$S(O)_2NR^{24}R^{24'}$, -$OS(O)NR^{24}R^{24'}$, -$OS(O)_2NR^{24}R^{24'}$, -$NR^{24}R^{24'}$, -$NR^{24}C(O)R^{25}$, -$NR^{24}C(O)OR^{25}$, -$NR^{24}C(O)NR^{25}R^{25'}$, -$NR^{24}S(O)R^{25}$, -$NR^{24}S(O)_2R^{25}$, -$NR^{24}S(O)NR^{25}R^{25'}$, -$NR^{24}S(O)_2NR^{25}R^{25'}$, -$C(O)R^{24}$, -$C(O)OR^{24}$ or -$C(O)NR^{24}R^{24'}$; or R^{17} and $R^{17'}$ may combine to form a C_4-C_6 cycloalkyl or a 4- to 6- membered heterocycle, wherein each hydrogen atom in C_4-C_6 cycloalkyl or 4- to 6- membered heterocycle is independently optionally substituted by halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, 3- to 7-membered heterocycloalkyl, C_6-C_{10} aryl, 5- to 7-membered heteroaryl, -OR^{24}, -$OC(O)R^{24}$, -$OC(O)NR^{24}R^{24'}$, -$OS(O)R^{24}$, -$OS(O)_2R^{24}$, -SR^{24}, -$S(O)R^{24}$, -$S(O)_2R^{24}$, -$S(O)NR^{24}R^{24'}$, -$S(O)_2NR^{24}R^{24'}$, -$OS(O)NR^{24}R^{24'}$, -$OS(O)_2NR^{24}R^{24'}$, -$NR^{24}R^{24'}$, -$NR^{24}C(O)R^{25}$, -$NR^{24}C(O)OR^{25}$, -$NR^{24}C(O)NR^{25}R^{25'}$, -$NR^{24}S(O)R^{25}$, -$NR^{24}S(O)_2R^{25}$, -$NR^{24}S(O)NR^{25}R^{25'}$, -$NR^{24}S(O)_2NR^{25}R^{25'}$, -$C(O)R^{24}$, -$C(O)OR^{24}$ or -$C(O)NR^{24}R^{24'}$;

R^{18} is selected from the group consisting of H, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, 3- to 7-membered heterocycloalkyl, C_6-C_{10} aryl, 5- to 7-membered heteroaryl, -OR^{26}, -$OC(O)R^{26}$, -$OC(O)NR^{26}R^{26'}$, -$OS(O)R^{26}$, -$OS(O)_2R^{26}$, -SR^{26}, -$S(O)R^{26}$, -$S(O)_2R^{26}$, -$S(O)NR^{26}R^{26'}$, -$S(O)_2NR^{26}R^{26'}$, -$OS(O)NR^{26}R^{26'}$, -$OS(O)_2NR^{26}R^{26'}$, -$NR^{26}R^{26'}$, -$NR^{26}C(O)R^{27}$, -$NR^{26}C(O)OR^{27}$, -$NR^{26}C(O)NR^{27}R^{27'}$, -$NR^{26}C(=NR^{26''})NR^{27}R^{27'}$, -$NR^{26}S(O)R^{27}$, -$NR^{26}S(O)_2R^{27}$, -$NR^{26}S(O)NR^{27}R^{27'}$, -$NR^{26}S(O)_2NR^{27}R^{27'}$, -$C(O)R^{26}$, -$C(O)OR^{26}$ and -$C(O)NR^{26}R^{26'}$, wherein each hydrogen atom in C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, 3- to 7-membered heterocycloalkyl, C_6-C_{10} aryl and 5- to 7-membered heteroaryl is independently optionally substituted by halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, -$(CH_2)_pOR^{28}$, -$(CH_2)_p(OCH_2)_qOR^{28}$, -$(CH_2)_p(OCH_2CH_2)_qOR^{28}$, -$OR^{29}$, -$OC(O)R^{29}$, -$OC(O)NR^{29}R^{29'}$, -$OS(O)R^{29}$, -$OS(O)_2R^{29}$, -$(CH_2)_pOS(O)_2OR^{29}$, -$OS(O)_2OR^{29}$, -$SR^{29}$, -$S(O)R^{29}$, -$S(O)_2R^{29}$, -$S(O)NR^{29}R^{29'}$, -$S(O)_2NR^{29}R^{29'}$, -$OS(O)NR^{29}R^{29'}$, -$OS(O)_2NR^{29}R^{29'}$, -$NR^{29}R^{29'}$, -$NR^{29}C(O)R^{30}$, -$NR^{29}C(O)OR^{30}$, -$NR^{29}C(O)NR^{30}R^{30'}$, -$NR^{29}S(O)R^{30}$, -$NR^{29}S(O)_2R^{30}$, -$NR^{29}S(O)NR^{30}R^{30'}$, -$NR^{29}S(O)_2NR^{30}R^{30'}$, -$C(O)R^{29}$, -$C(O)OR^{29}$ or -$C(O)NR^{29}R^{29'}$;

each R^{19}, $R^{19'}$, R^{20}, $R^{20'}$, R^{21}, $R^{21'}$, R^{22}, $R^{22'}$, R^{23}, $R^{23'}$, R^{24}, $R^{24'}$, R^{25}, $R^{25'}$, R^{26}, $R^{26'}$, $R^{26''}$, R^{29}, $R^{29'}$, R^{30} and $R^{30'}$ is independently selected from the group consisting of H, C_1-C_7 alkyl, C_2-C_7 alkenyl, C_2-C_7 alkynyl, C_3-C_6 cycloalkyl, 3- to 7-membered heterocycloalkyl, C_6-C_{10} aryl and 5- to 7-membered heteroaryl, wherein each hydrogen atom in C_1-C_7 alkyl, C_2-C_7

alkenyl, C_2-C_7 alkynyl, C_3-C_6 cycloalkyl, 3- to 7-membered heterocycloalkyl, C_6-C_{10} aryl, or 5- to 7-membered heteroaryl is independently optionally substituted by halogen, -OH, -SH, -NH_2 or -CO_2H;

R^{27} and $R^{27'}$ are each independently selected from the group consisting of H, C_1-C_9 alkyl, C_2-C_9 alkenyl, C_2-C_9 alkynyl, C_3-C_6 cycloalkyl, -$(CH_2)_p$(sugar), -$(CH_2)_p(OCH_2CH_2)_q$-(sugar) and -$(CH_2)_p(OCH_2CH_2CH_2)_q$(sugar);

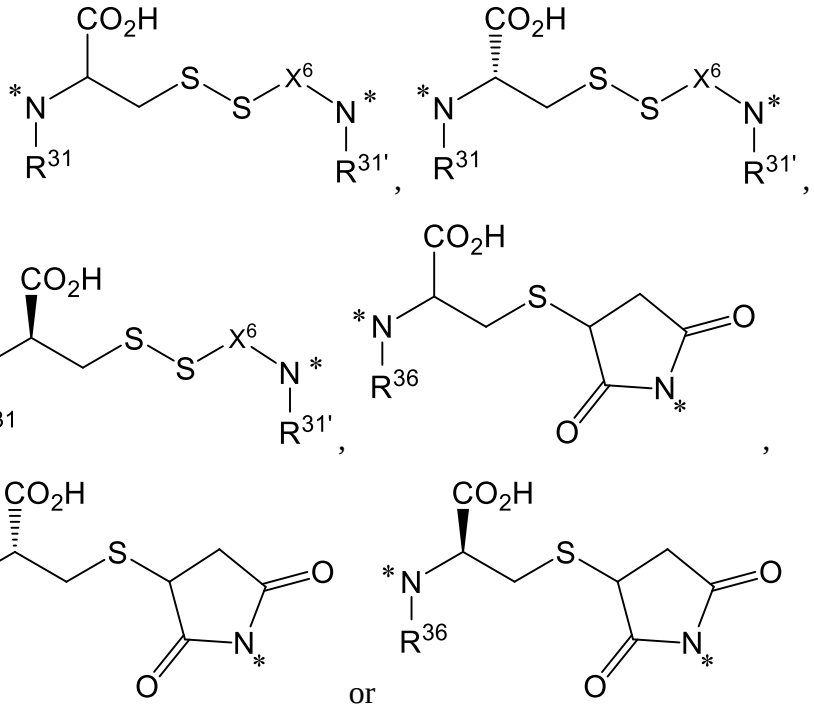
R^{28} is H, C_1-C_7 alkyl, C_2-C_7 alkenyl, C_2-C_7 alkynyl, C_3-C_6 cycloalkyl, 3- to 7-membered heterocycloalkyl, C_6-C_{10} aryl, 5- to 7-membered heteroaryl, or a sugar;

w is 1, 2, 3, 4 or 5;

p is 1, 2, 3, 4 or 5;

q is 1, 2, 3, 4 or 5;

each L^2 is independently of the formula



or

wherein

each R^{31} and $R^{31'}$ is independently selected from the group consisting of H, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl and C_3-C_6 cycloalkyl, wherein each hydrogen atom in C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl and C_3-C_6 cycloalkyl is independently optionally substituted by halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, 3- to 7-membered heterocycloalkyl, C_6-C_{10} aryl, 5- to 7-membered heteroaryl, -OR^{32}, -$OC(O)R^{32}$, -$OC(O)NR^{32}R^{32'}$, -$OS(O)R^{32}$, -$OS(O)_2R^{32}$, -SR^{32}, -$S(O)R^{32}$, -$S(O)_2R^{32}$, -$S(O)NR^{32}R^{32'}$, -$S(O)_2NR^{32}R^{32'}$, -$OS(O)NR^{32}R^{32'}$, -$OS(O)_2NR^{32}R^{32'}$, -$NR^{32}R^{32'}$, -$NR^{32}C(O)R^{33}$,

$-NR^{32}C(O)OR^{33}$, $-NR^{32}C(O)NR^{33}R^{33'}$, $-NR^{32}S(O)R^{33}$, $-NR^{32}S(O)_2R^{33}$, $-NR^{32}S(O)NR^{33}R^{33'}$, $-NR^{32}S(O)_2NR^{33}R^{33'}$, $-C(O)R^{32}$, $-C(O)OR^{32}$ or $-C(O)NR^{32}R^{32'}$;

X^6 is C_1-C_6 alkyl or C_6-C_{10} aryl(C_1-C_6 alkyl), wherein each hydrogen atom in C_1-C_6 alkyl and C_6-C_{10} aryl(C_1-C_6 alkyl) is independently optionally substituted by halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, 3- to 7-membered heterocycloalkyl, C_6-C_{10} aryl, 5- to 7-membered heteroaryl, $-OR^{34}$, $-OC(O)R^{34}$, $-OC(O)NR^{34}R^{34'}$, $-OS(O)R^{34}$, $-OS(O)_2R^{34}$, $-SR^{34}$, $-S(O)R^{34}$, $-S(O)_2R^{34}$, $-S(O)NR^{34}R^{34'}$, $-S(O)_2NR^{34}R^{34'}$, $-OS(O)NR^{34}R^{34'}$, $-OS(O)_2NR^{34}R^{34'}$, $-NR^{34}R^{34'}$, $-NR^{34}C(O)R^{35}$, $-NR^{34}C(O)OR^{35}$, $-NR^{34}C(O)NR^{35}R^{35'}$, $-NR^{34}S(O)R^{35}$, $-NR^{34}S(O)_2R^{35}$, $-NR^{34}S(O)NR^{35}R^{35'}$, $-NR^{34}S(O)_2NR^{35}R^{35'}$, $-C(O)R^{34}$, $-C(O)OR^{34}$ or $-C(O)NR^{34}R^{34'}$;

each R^{32}, $R^{32'}$, R^{33}, $R^{33'}$, R^{34}, $R^{34'}$, R^{35} and $R^{35'}$ are independently selected from the group consisting of H, C_1-C_7 alkyl, C_2-C_7 alkenyl, C_2-C_7 alkynyl, C_3-C_6 cycloalkyl, 3- to 7-membered heterocycloalkyl, C_6-C_{10} aryl, and 5- to 7-membered heteroaryl;

R^{36} is independently selected from the group consisting of H, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl and C_3-C_6 cycloalkyl, wherein each hydrogen atom in C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl and C_3-C_6 cycloalkyl is independently optionally substituted by halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, 3- to 7-membered heterocycloalkyl, C_6-C_{10} aryl, 5- to 7-membered heteroaryl, $-OR^{37}$, $-OC(O)R^{37}$, $-OC(O)NR^{37}R^{37'}$, $-OS(O)R^{37}$, $-OS(O)_2R^{37}$, $-SR^{37}$, $-S(O)R^{37}$, $-S(O)_2R^{37}$, $-S(O)NR^{37}R^{37'}$, $-S(O)_2NR^{37}R^{37'}$, $-OS(O)NR^{37}R^{37'}$, $-OS(O)_2NR^{37}R^{37'}$, $-NR^{37}R^{37'}$, $-NR^{37}C(O)R^{38}$, $-NR^{37}C(O)OR^{38}$, $-NR^{37}C(O)NR^{38}R^{38'}$, $-NR^{37}S(O)R^{38}$, $-NR^{37}S(O)_2R^{38}$, $-NR^{37}S(O)NR^{38}R^{38'}$, $-NR^{37}S(O)_2NR^{38}R^{38'}$, $-C(O)R^{37}$, $-C(O)OR^{37}$ or $-C(O)NR^{37}R^{37'}$;

R^{37}, $R^{37'}$, R^{38} and $R^{38'}$ are each independently selected from the group consisting of H, C_1-C_7 alkyl, C_2-C_7 alkenyl, C_2-C_7 alkynyl, C_3-C_6 cycloalkyl, 3- to 7-membered heterocycloalkyl, C_6-C_{10} aryl and 5- to 7-membered heteroaryl;

each L^3 is independently C_1-C_6 alkylene, $-OC_1$-C_6 alkylene, $-SC_1$-C_6 alkylene, C_3-C_6 cycloalkylene, $-C(O)C_3$-C_6 cycloalkylene-, $-C(O)C_3$-C_6 cycloalkylene-$(CR^{39}R^{39'})_r$-, $-C(O)C_3$-C_6 cycloalkylene-$(CR^{39}R^{39'})_rNR^{37}$-, 3- to 7-membered heterocycloalkylene, C_6-C_{10} aryl, 5- to 7-membered heteroaryl, $-NR^{36}(CR^{36'}R^{36''})_r$-S-(succinimid-1-yl)-, $-(CR^{36'}R^{36''})_rC(O)NR^{37}$-, $-(CR^{39}R^{39'})_rC(O)$-, $-(CR^{39}R^{39'})_rOC(O)$-, $-S(CR^{39}R^{39'})_rOC(O)$-, $-C(O)(CR^{39}R^{39'})_r$-, $-C(O)O(CR^{39}R^{39'})_r$-, $-NR^{37}C(O)(CR^{39}R^{39'})_r$-, $-(CR^{39}R^{39'})_rC(O)NR^{37}$-, $-NR^{37}C(O)(CR^{39'}R^{39''})_rS$-, $-NR^{37}(CR^{39}R^{39'})_r$-, $-(CR^{39}R^{39'})_rNR^{38}$-, $-NR^{37}(CR^{39}R^{39'})_rNR^{38}$-,

-$NR^{37}(CR^{39}R^{39'})_rS$-, -$NR^{37}(CR^{39}R^{39'}CR^{39}R^{39'}O)_r$-, -$NR^{37}(CR^{39}R^{39'}CR^{39}R^{39'}O)_{rp}$-$(CR^{36}R^{36'})_tC(O)$-, -$C(O)(CR^{36}R^{36'})_t$-$(OCR^{39}R^{39'}CR^{39}R^{39'})_{rp}$-$NR^{37}$-, -$(CR^{39}R^{39'}CR^{39}R^{39'}O)_r$-$(CR^{36}R^{36'})_tC(O)$-, -$C(O)(CR^{36}R^{36'})_t(OCR^{39}R^{39'}CR^{39}R^{39'}CR^{39}R^{39'})_r$-, -$C(O)(CR^{36}R^{36'})_t(OCR^{39}R^{39'}CR^{39}R^{39'}CR^{39}R^{39'})_rNR^{37}$-, -$C(O)(CR^{36}R^{36'})_r$-O-($C_6$-$C_{10}$ aryl)-$(CR^{36''}R^{36'''})_tNR^{37}$-, -$NR^{37}(CR^{36}R^{36'})_r$-($C_6$-$C_{10}$ aryl)-O-$(CR^{36''}R^{36'''})_tC(O)$-, -$C(O)$-$(CR^{36}R^{36'})_r$-$NR^{37}$-$C(O)$-($C_6$-$C_{10}$ aryl)-$NR^{37'}$-, -NR^{37}-(C_6-C_{10} aryl)-$C(O)$- $NR^{37'}$-$(CR^{36}R^{36'})_r$- $C(O)$-, -$NR^{37}(CR^{36}R^{36'})_r$-($C_6$-$C_{10}$ aryl)-O-$(CR^{36''}R^{36'''})_t$- , -$(CR^{36''}R^{36'''})_t$-O-(C_6-C_{10} aryl)- $(CR^{36}R^{36'})_r$-NR^{37}-, -$NR^{37}(CR^{36}R^{36'})_r$-($C_6$-$C_{10}$ aryl)-O-$(CR^{36''}R^{36'''})_t$-$NR^{37'}$- , or -$NR^{37'}$-$(CR^{36''}R^{36'''})_t$-O-(C_6-C_{10} aryl)- $(CR^{36}R^{36'})_r$- NR^{37}- , wherein each hydrogen atom in C_6-C_{10} aryl is independently optionally substituted by halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, 3- to 7-membered heterocycloalkyl, C_6-C_{10} aryl, 5- to 7-membered heteroaryl, -OR^{37}, -$OC(O)R^{37}$, -$OC(O)NR^{37}R^{37'}$, -$OS(O)R^{37}$, -$OS(O)_2R^{37}$, -SR^{37}, -$S(O)R^{37}$, -$S(O)_2R^{37}$, -$S(O)NR^{37}R^{37'}$, -$S(O)_2NR^{37}R^{37'}$, -$OS(O)NR^{37}R^{37'}$, -$OS(O)_2NR^{37}R^{37'}$, -$NR^{37}R^{37'}$, -$NR^{37}C(O)R^{38}$, -$NR^{37}C(O)OR^{38}$, -$NR^{37}C(O)NR^{38}R^{38'}$, -$NR^{37}S(O)R^{38}$, -$NR^{37}S(O)_2R^{38}$, -$NR^{37}S(O)NR^{38}R^{38'}$, -$NR^{37}S(O)_2NR^{38}R^{38'}$, -$C(O)R^{37}$, -$C(O)OR^{37}$ or -$C(O)NR^{37}R^{37'}$;

wherein

each R^{36}, $R^{36'}$, $R^{36''}$and $R^{36'''}$ is independently selected from the group consisting of H, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, -$C(O)R^{37}$, -$C(O)OR^{37}$ and -$C(O)NR^{37}R^{37'}$ wherein each hydrogen atom in C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl and C_3-C_6 cycloalkyl is independently optionally substituted by halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, 3- to 7-membered heterocycloalkyl, C_6-C_{10} aryl, 5- to 7-membered heteroaryl, -OR^{37}, -$OC(O)R^{37}$, -$OC(O)NR^{37}R^{37'}$, -$OS(O)R^{37}$, -$OS(O)_2R^{37}$, -SR^{37}, -$S(O)R^{37}$, -$S(O)_2R^{37}$, -$S(O)NR^{37}R^{37'}$, -$S(O)_2NR^{37}R^{37'}$, -$OS(O)NR^{37}R^{37'}$, -$OS(O)_2NR^{37}R^{37'}$, -$NR^{37}R^{37'}$, -$NR^{37}C(O)R^{38}$, -$NR^{37}C(O)OR^{38}$, -$NR^{37}C(O)NR^{38}R^{38'}$, -$NR^{37}S(O)R^{38}$, -$NR^{37}S(O)_2R^{38}$, -$NR^{37}S(O)NR^{38}R^{38'}$, -$NR^{37}S(O)_2NR^{38}R^{38'}$, -$C(O)R^{37}$, -$C(O)OR^{37}$ or -$C(O)NR^{37}R^{37'}$;

R^{37}, $R^{37'}$, R^{38} and $R^{38'}$ are each independently selected from the group consisting of H, C_1-C_7 alkyl, C_2-C_7 alkenyl, C_2-C_7 alkynyl, C_3-C_6 cycloalkyl, 3- to 7-membered heterocycloalkyl, C_6-C_{10} aryl and 5- to 7-membered heteroaryl;

each R^{39} and $R^{39'}$ is independently selected from the group consisting of H, halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, 3- to 7-membered

heterocycloalkyl, C_6-C_{10} aryl, 5- to 7-membered heteroaryl, -OR^{40}, -$OC(O)R^{40}$, -$OC(O)NR^{40}R^{40'}$, -$OS(O)R^{40}$, -$OS(O)_2R^{40}$, -SR^{40}, -$S(O)R^{40}$, -$S(O)_2R^{40}$, -$S(O)NR^{40}R^{40'}$, -$S(O)_2NR^{40}R^{40'}$, -$OS(O)NR^{40}R^{40'}$, -$OS(O)_2NR^{40}R^{40'}$, -$NR^{40}R^{40'}$, -$NR^{40}C(O)R^{41}$, -$NR^{40}C(O)OR^{41}$, -$NR^{40}C(O)NR^{41}R^{41'}$, -$NR^{40}S(O)R^{41}$, -$NR^{40}S(O)_2R^{41}$, -$NR^{40}S(O)NR^{41}R^{41'}$, -$NR^{40}S(O)_2NR^{41}R^{41'}$, -$C(O)R^{40}$, -$C(O)OR^{40}$ and -$C(O)NR^{40}R^{40'}$;

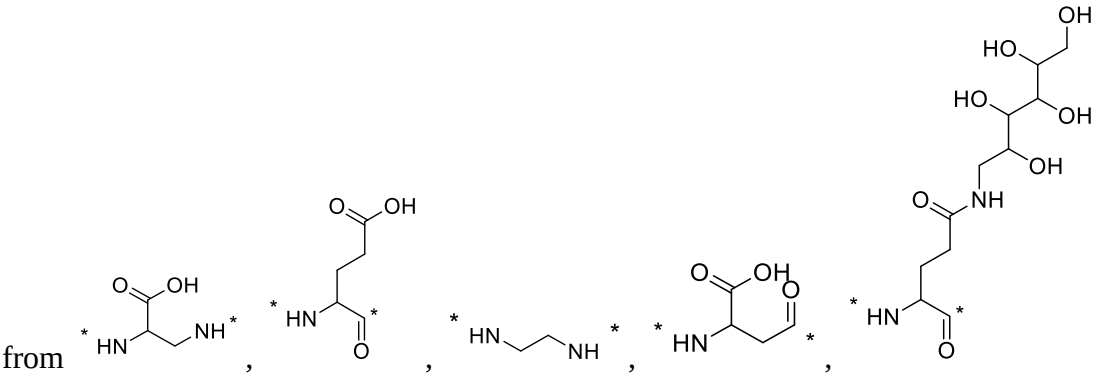
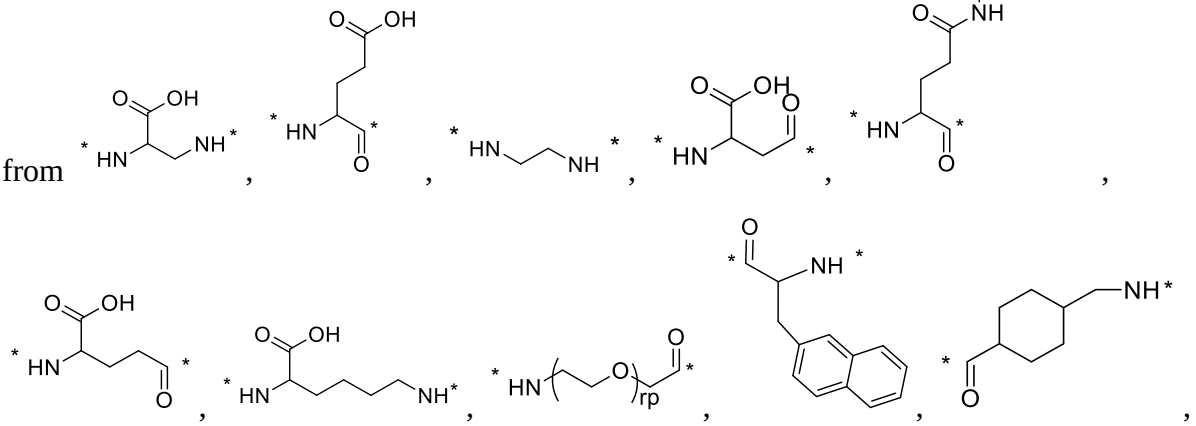
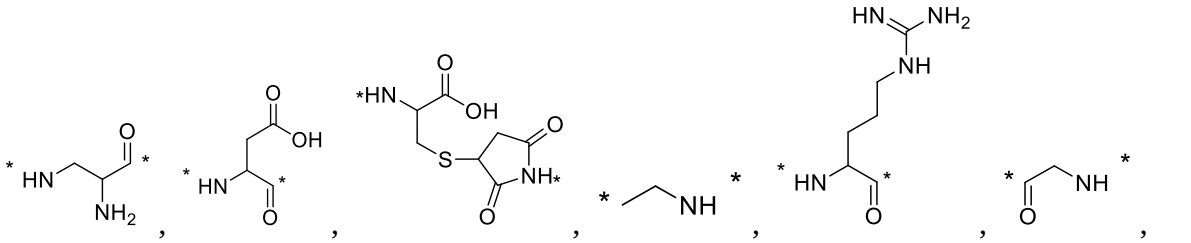
R^{40}, $R^{40'}$, R^{41} and $R^{41'}$ are each independently selected from the group consisting of H, C_1-C_7 alkyl, C_2-C_7 alkenyl, C_2-C_7 alkynyl, C_3-C_6 cycloalkyl, 3- to 7-membered heterocycloalkyl, C_6-C_{10} aryl, and 5- to 7-membered heteroaryl;

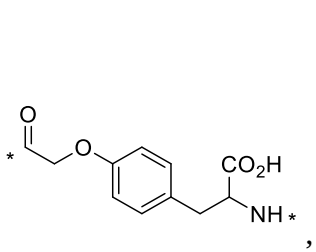
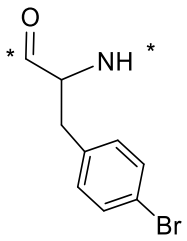
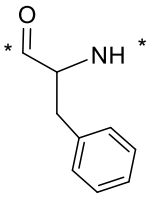
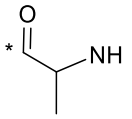
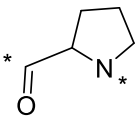
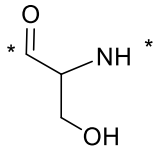
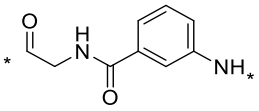
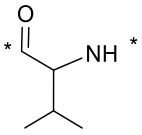
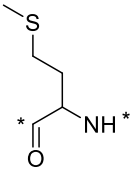
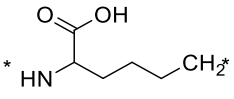
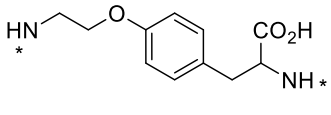
each r independently is 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10;

each rp independently is an integer from 1 to 80;

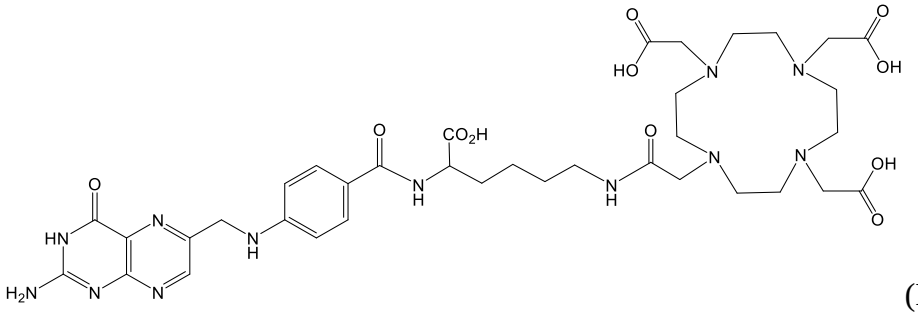
each t independently is 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10; and

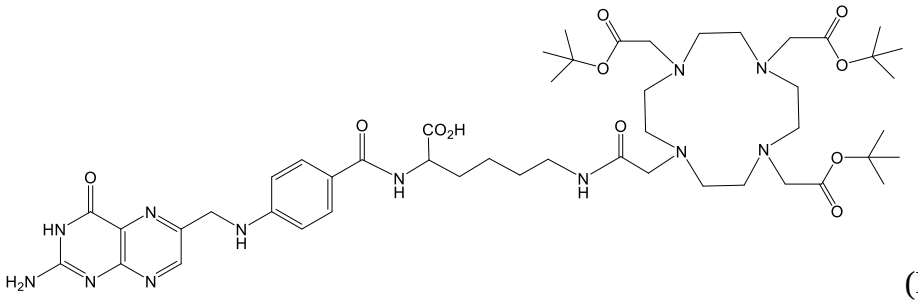
each * represents a covalent bond;

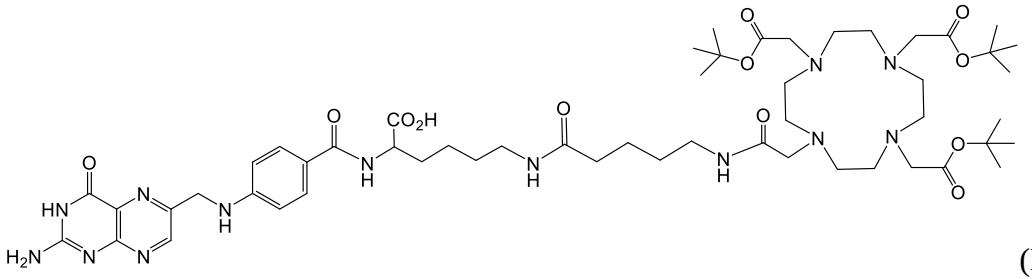
wherein when k is larger than 3, at least 2 of the L^x in formula (I) are independently selected from , , , .

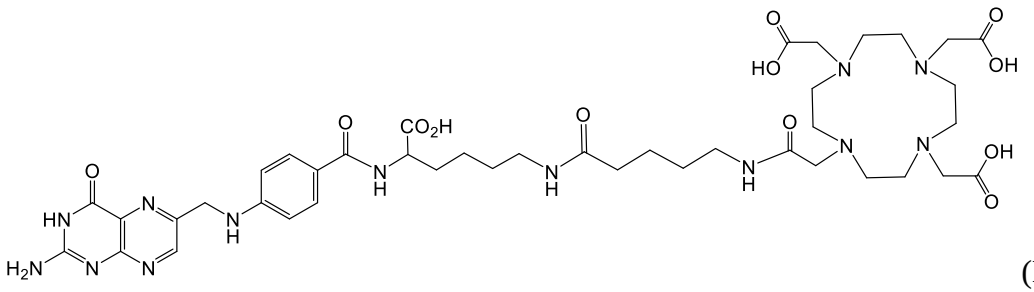

,

,

,

,

,

,

,

,

,

, and

; and

provided that the compound is not

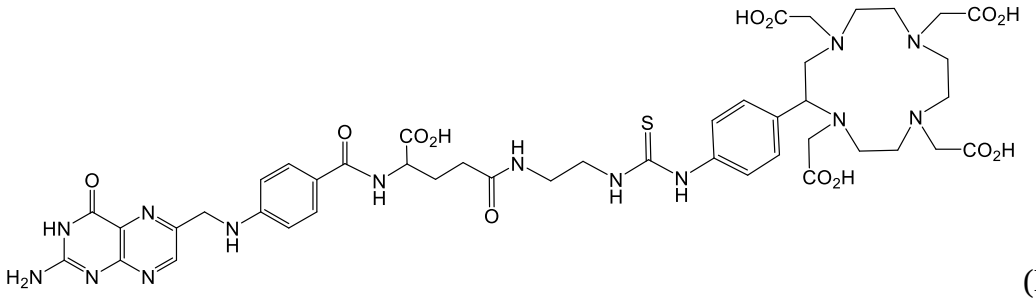

(E1),


(E2),


(E3),



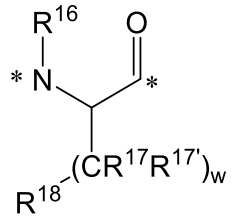
(E4),



(E5), a tautomer of (E1)-(E5), a compound of (E1)-(E5) in which a metal or radioelement is chelated, or a pharmaceutical salt thereof.

2. The compound of claim 1, or a pharmaceutically acceptable salt thereof, wherein

each L^1 is independently of the formula



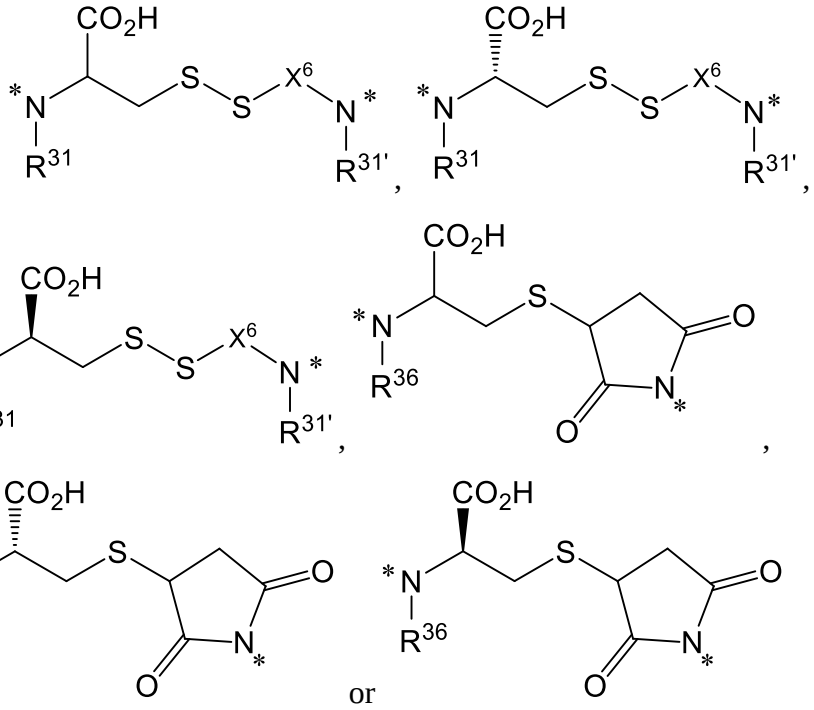
wherein

R^{16} is H,

each R^{17} and $R^{17'}$ is independently H, C_1-C_6 alkyl, or -C(O)OH,

R^{18} is independently H, C_6-C_{10} aryl, -OH -SH, -NHC(=NH')NH_2, or -C(O)OH, wherein each hydrogen atom in C_6-C_{10} aryl is independently optionally substituted by halogen;

each L^2 is independently of the formula



or

wherein

R^{31} and $R^{31'}$ are H,

R^{36} is H; and

each L^3 is independently -C(O)C_3-C_6 cycloalkylene-$(CH_2)_r$NH-, -$(CR^{39}R^{39'})_r$C(O)-, -C(O)$(CR^{39}R^{39'})_r$-, -NH$(CR^{39}R^{39'})_r$-, -$(CR^{39}R^{39'})_r$NH-, -NH$(CR^{39}R^{39'})_r$NH-, -NH$(CH_2CH_2O)_{rp}$-$(CR^{36}R^{36'})_t$C(O)-, -C(O)$(CR^{36}R^{36'})_t$-$(OCR^{39}R^{39'}CR^{39}R^{39'})_{rp}$-NH-, -C(O)$(CR^{36}R^{36'})_r$-O-($C_6$-$C_{10}$ aryl)- $(CR^{36''}R^{36'''})_t$NH-, -NH$(CR^{36}R^{36'})_r$-(C_6-C_{10} aryl)-O-$(CR^{36''}R^{36'''})_t$C(O)-, -C(O)-$(CR^{36}R^{36'})_r$-NH-C(O)-(C_6-C_{10} aryl)-NH-, -NR^{37}-(C_6-C_{10} aryl)-C(O)- NH-$(CR^{36}R^{36'})_r$- C(O)-, -NH$(CR^{36}R^{36'})_r$-(C_6-C_{10} aryl)-O-$(CR^{36''}R^{36'''})_t$- , -$(CR^{36''}R^{36'''})_t$-O-(C_6-C_{10} aryl)- $(CR^{36}R^{36'})_r$- NH-, -NH$(CR^{36}R^{36'})_r$-(C_6-C_{10} aryl)-O-$(CR^{36''}R^{36'''})_t$-NH- , or -NH-$(CR^{36''}R^{36'''})_t$-O-(C_6-C_{10} aryl)- $(CR^{36}R^{36'})_r$- NH-;

wherein

each R^{36}, $R^{36'}$, $R^{36''}$, $R^{36'''}$, R^{39} and $R^{39'}$ is independently H or -COOH;

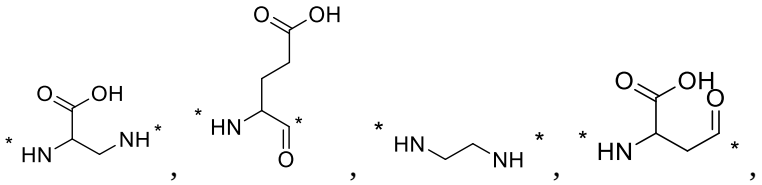
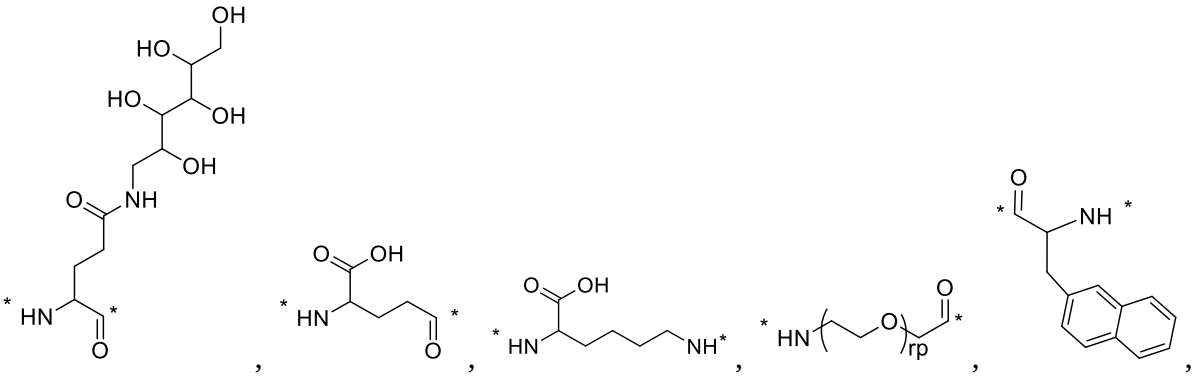
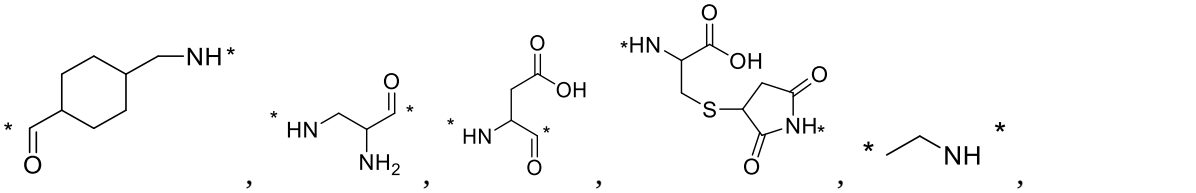
each r independently is 1, 2, 3, 4, 5; and

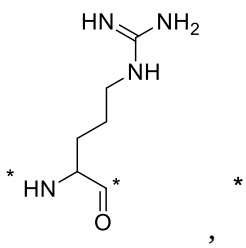
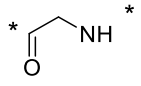
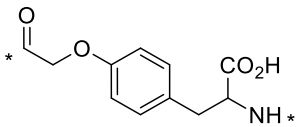
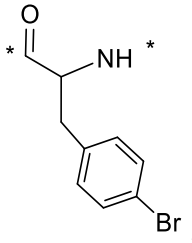
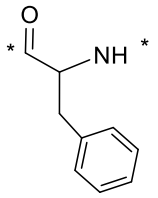
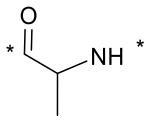
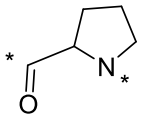
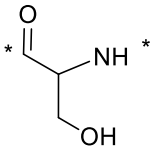
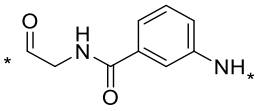
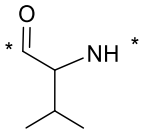
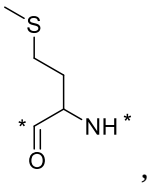
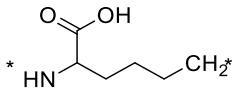
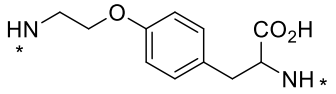
each t independently is 1, 2, 3, 4, 5.

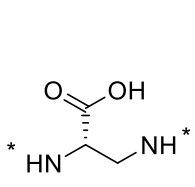
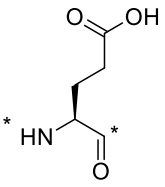
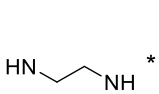
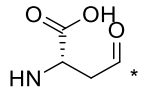
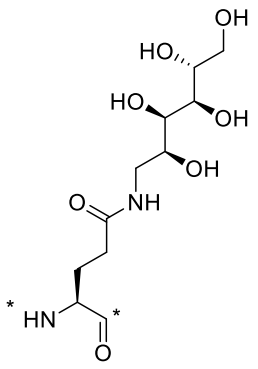
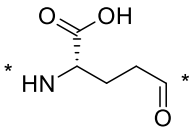
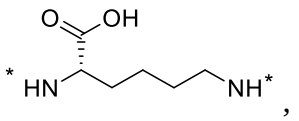
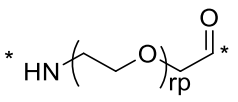
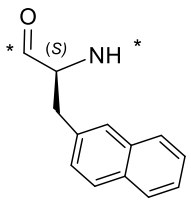
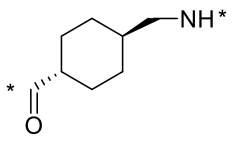
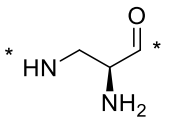
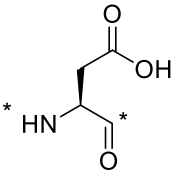
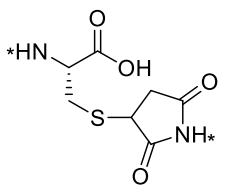
3. The compound of claim 1 or 2, or a pharmaceutically acceptable salt thereof, wherein BL-$(L^x)_k$-Ch is BL-L^3-Ch, BL-L^1-L^3-Ch, BL-L^3-L^3-L^1-L^1-L^1-L^3-Ch, BL-L^3-L^1-Ch, BL-L^3-L^3-L^3-Ch, BL-L^3-L^3- L^1-L^3-L^3-Ch, BL-L^3-L^1-L^3-Ch, BL-L^3-L^3 -AA-L^1 -L^2-L^3-Ch, BL-

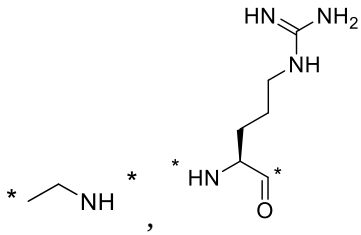
L^3-L^3-L^1-L^1-L^1-L^2-Ch, BL-L^3-L^3-L^3-L^1-AA-Ch, BL-L^3-L^3-AA-Ch, BL-L^3-L^3-Ch, BL-L^3-L^1-AA-Ch, BL-L^3-L^3-L^3-L^1-Ch, BL-L^3-L^3-L^3-L^1-L^1-Ch, BL-L^3-L^1-L^1-L^1-L^1-AA-AA-AA-AA-Ch, BL-L^3-AA-Ch, BL-L^3-L^1-L^1-L^1-AA-AA-AA-AA-Ch, BL-L^3-L^3-L^3-AA-Ch, or BL-L^3-L^3-L^3-L^3-Ch, wherein each AA independently is an amino acid residue.

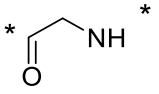
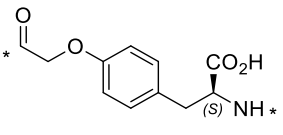
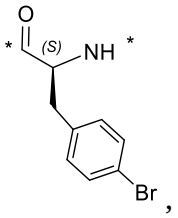
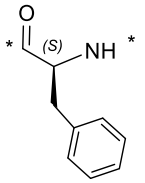
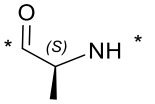
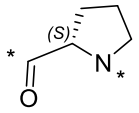
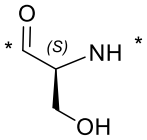
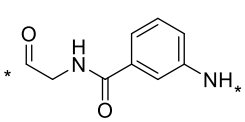
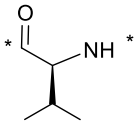
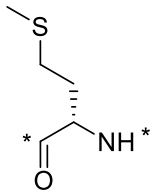
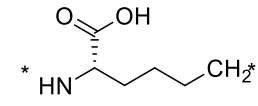
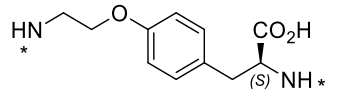
4. The compound of any one of claims 1 to 3, or a pharmaceutically acceptable salt thereof, wherein BL comprises one amino acid residue covalently attached to a pteryl group or derivative thereof and BL-$(L^x)_k$-Ch is BL-L^3-Ch, BL-L^1-L^1-L^1-L^3-Ch, BL-L^1-Ch, BL-L^3-L^3-Ch, BL-L^1-L^3-L^3-Ch, BL-L^1-L^3-Ch, BL-L^3-L^3-AA-L^1-L^2-L^3-Ch, BL-L^1-L^1-L^1-L^2-Ch, BL-L^3-L^3-L^1-AA-Ch, BL-L^3-AA-Ch, BL-L^1-AA-Ch, BL-L^3-L^3-L^1-Ch, BL-L^3-L^1-Ch, BL-L^3-L^3-L^1-L^1-Ch, BL-L^3-L^1-L^1-Ch, BL-L^1-L^1-L^1-L^1-AA-AA-AA-AA-Ch, BL-AA-Ch, BL-L^1-L^1-L^1-AA-AA-AA-AA-Ch, or BL-L^1-L^1-L^1-L^1-L^2-L^3-L^1-L^1-Ch, wherein each AA independently is an amino acid residue.

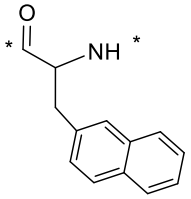
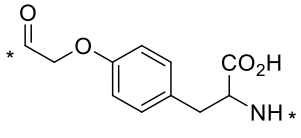
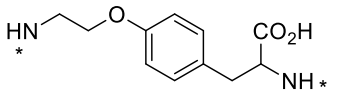
5. The compound of any one of claims 1 to 4, or a pharmaceutically acceptable salt thereof, wherein when k is larger than 4, at least 3 of the L^x in formula (I) are independently selected from , , .

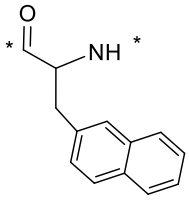













, and .

6. The compound of any one of claims 1 to 4, or a pharmaceutically acceptable salt thereof, wherein when k is larger than 4, at least 3 of the L^x in formula (I) are independently selected from 












.

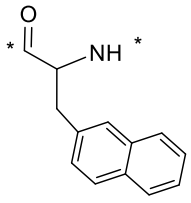


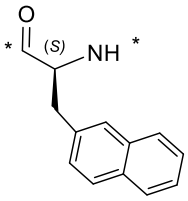













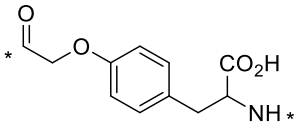
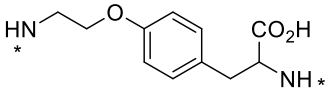
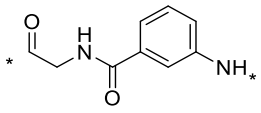
7. The compound of any one of claims 1 to 6, or a pharmaceutically acceptable salt thereof, wherein at least one L^x is , , or .

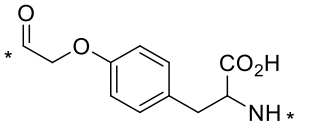
8. The compound of any one of claims 1 to 6, or a pharmaceutically acceptable salt thereof, wherein BL-$(L^x)_k$ -Ch is of the formula BL-L^x-L^a-L^x-Ch, BL-L^x-L^x-L^a-L^x-Ch, BL-L^x-L^x-L^a-Ch, or BL-L^x-L^x-L^a-L^a-Ch, wherein L^a is , and each L^x independently is AA, L^1, or L^3.

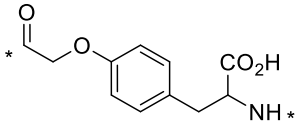
9. The compound of any one of claims 1 to 6, or a pharmaceutically acceptable salt thereof, wherein BL-$(L^x)_k$ -Ch is of the formula BL-L^a-L^x-Ch, BL-L^x-L^a-L^x-Ch, BL-L^x-

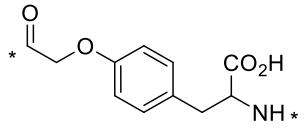
L^a-Ch, or BL-L^x-L^a-L^a-Ch, wherein L^a is  , and each L^x independently is AA, L^1, or L^3.

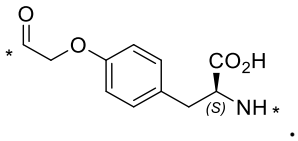
10. The compound of claim 8 or 9, or pharmaceutically acceptable salt thereof, wherein L^a is  .

11. The compound of any one of claims 1 to 6, or a pharmaceutically acceptable salt thereof, wherein at least one L^x is  ,  , or  .

12. The compound of any one of claims 1 to 6, or a pharmaceutically acceptable salt thereof, wherein at least one L^x is  .

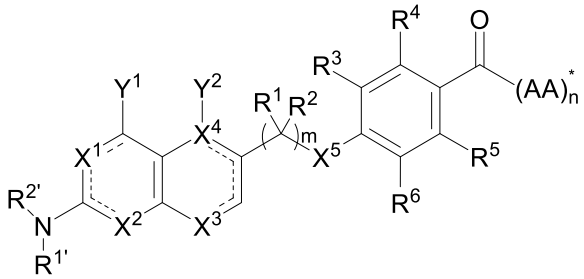
13. The compound of any one of claims 1 to 6, or a pharmaceutically acceptable salt thereof, wherein BL-$(L^x)_k$ -Ch is of the formula BL-L^x-L^b-L^x-Ch, BL-L^x-L^b-Ch, or BL-L^x-L^b-L^b-Ch, wherein L^b is  , and each L^x independently is AA, L^1, or L^3.

14. The compound of any one of claims 1 to 6, or a pharmaceutically acceptable salt thereof, wherein BL-$(L^x)_k$ -Ch is of the formula BL-L^b-L^x-Ch, BL-L^b-Ch, or BL-L^b-L^b-Ch, wherein L^b is , and each L^x independently is AA, L^1, or L^3.

15. The compound of claim 13 or 14, or pharmaceutically acceptable salt thereof, wherein L^b is .

16. The compound of any one of the preceding claims, or a pharmaceutically acceptable salt thereof, wherein BL comprises a pteryl group or a derivative thereof.

17. The compound of any one of the preceding claims, or a pharmaceutically acceptable salt thereof, wherein BL is of the formula



wherein

AA is an amino acid residue;

R^1 and R^2 in each instance are independently selected from the group consisting of H, halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, -OR^7, -SR^7 and -$NR^7R^{7'}$, wherein each hydrogen atom in C_1-C_6 alkyl, C_2-C_6 alkenyl and C_2-C_6 alkynyl is independently optionally substituted by halogen, –OR^8, -SR^8, -$NR^8R^{8'}$, -$C(O)R^8$, -$C(O)OR^8$ or -$C(O)NR^8R^{8'}$;

R^3, R^4, R^5 and R^6 are each independently selected from the group consisting of H, halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, -CN, -NO_2, -NCO, -OR^9, -SR^9, -$NR^9R^{9'}$, -$C(O)R^9$, -$C(O)OR^9$ and -$C(O)NR^9R^{9'}$, wherein each hydrogen atom in C_1-C_6 alkyl, C_2-C_6 alkenyl and C_2-C_6 alkynyl is independently optionally substituted by halogen, –OR^{10}, -SR^{10}, -$NR^{10}R^{10'}$, -$C(O)R^{10}$, -$C(O)OR^{10}$ or -$C(O)NR^{10}R^{10'}$;

each R^7, $R^{7'}$, R^8, $R^{8'}$, R^9, $R^{9'}$, R^{10} and $R^{10'}$ is independently H, C_1-C_6 alkyl, C_2-C_6 alkenyl or C_2-C_6 alkynyl;

X^1 is –N(R^{11})-, =N-, -N=, -C(R^{11})= or =C(R^{11})-;

X^2 is –N($R^{11'}$)- or =N-;

X^3 is –N($R^{11''}$)-, -N= or -C($R^{11'}$)=;

X^4 is –N= or –C=;

X^5 is –N(R^{12})- or –C(R^{12})($R^{12'}$)-;

Y^1 is H, –OR^{13} or –SR^{13} when X^1 is -N= or -C(R^{11})=, or Y^1 is =O when X^1 is –NR^{11}-, =N- or =C(R^{11})-;

Y^2 is H, C_1-C_6 alkyl, C_2-C_6 alkenyl, -C(O)R^{14}, -C(O)OR^{14} or -C(O)N$R^{14}R^{14'}$ when X^4 is –C=, or Y^2 is absent when X^4 is –N=;

$R^{1'}$, $R^{2'}$, R^{11}, $R^{11'}$, $R^{11''}$, R^{12}, $R^{12'}$, R^{13}, R^{14} and $R^{14'}$ are each independently selected from the group consisting of H, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, -C(O)R^{15}, -C(O)OR^{15} and -C(O)N$R^{15}R^{15'}$;

R^{15} and $R^{15'}$ are each independently H, or C_1-C_6 alkyl;

m is 1, 2, 3 or 4; and

n is 0 or 1;

wherein * represents a covalent bond to the rest of the compound.

18. The compound of any one of claims 1 to 17, or a pharmaceutically acceptable salt thereof, wherein m is 1.

19. The compound of any one of claims 1 to 18, or a pharmaceutically acceptable salt thereof, wherein X^1 is -NR^{11}-.

20. The compound of any one of claims 1 to 19, or a pharmaceutically acceptable salt thereof, wherein X^2 is =N-.

21. The compound of any one of claims 1 to 20, or a pharmaceutically acceptable salt thereof, wherein Y^1 is =O.

22. The compound of any one of claims 1 to 21 or a pharmaceutically acceptable salt thereof, wherein X^1 is -NR^{11}-, and R^{11} is H.

23. The compound of any one of claims 1 to 22, or a pharmaceutically acceptable salt thereof, wherein X^3 is -C($R^{11'}$)=.

24. The compound of claim 23, or a pharmaceutically acceptable salt thereof, wherein $R^{11'}$ is H.

25. The compound of any one of claims 1 to 22, or a pharmaceutically acceptable salt thereof, wherein X^4 is –C=.

26. The compound of any one of claims 1 to 23, or a pharmaceutically acceptable salt thereof, wherein Y^2 is H.

27. The compound of any one of claims 1 to 20, or a pharmaceutically acceptable salt thereof, wherein X^3 is -N=.

28. The compound of any one of claims 1 to 22 or 27, or a pharmaceutically acceptable salt thereof, wherein X^4 is -N=.

29. The compound of any one of claims 1 to 28, or a pharmaceutically acceptable salt thereof, wherein X^5 is -NR^{12}-.

30. The compound of any one of claims 1 to 29, or a pharmaceutically acceptable salt thereof, wherein R^{12} is H.

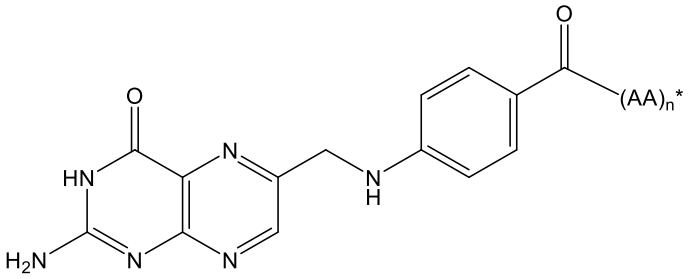
31. The compound of any one of claims 1 to 30, or a pharmaceutically acceptable salt thereof, wherein $R^{1'}$ and $R^{2'}$ are H.

32. The compound of any one of claims 1 to 31, or a pharmaceutically acceptable salt thereof, wherein each R^1 and R^2 is H.

33. The compound of any one of claims 1 to 32, or a pharmaceutically acceptable salt thereof, wherein R^3, R^4, R^5 and R^6 are H.

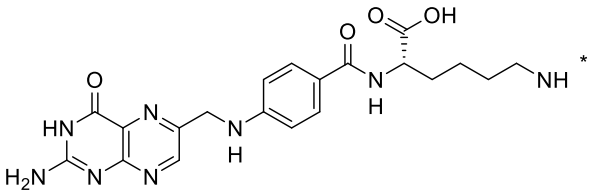
34. The compound of any one of claims 1 to 33, or a pharmaceutically acceptable salt thereof, wherein n is 1.

35. The compound of any one of claims 1 to 16, or a pharmaceutically acceptable salt thereof, wherein BL is of the formula

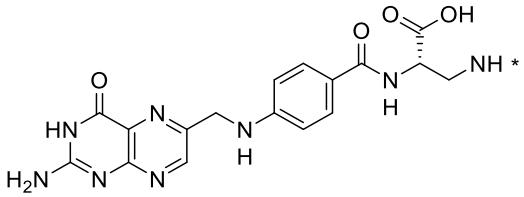


, wherein n is 0 or 1, and AA is an amino acid residue.

36. The compound of any one of claims 1 to 17, or pharmaceutically acceptable salt thereof, wherein BL is of formula



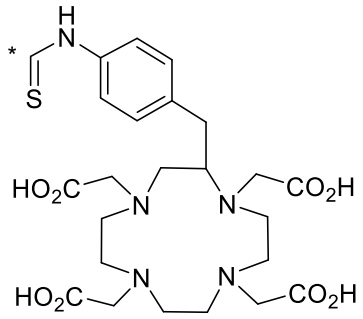
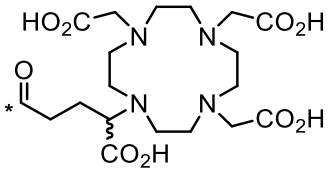
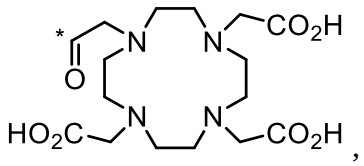
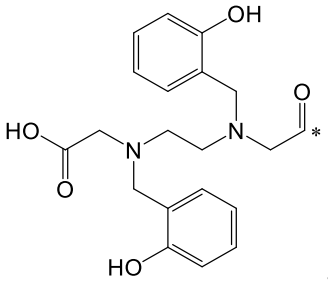
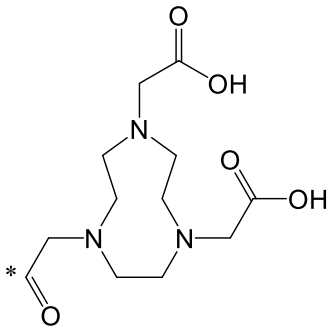
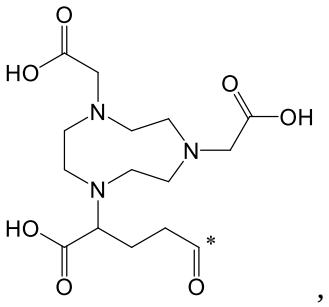
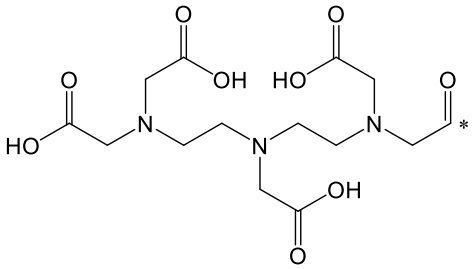
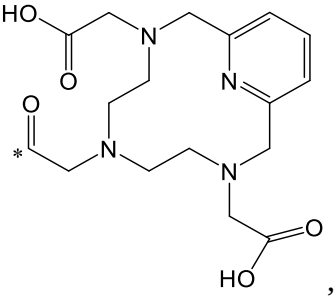
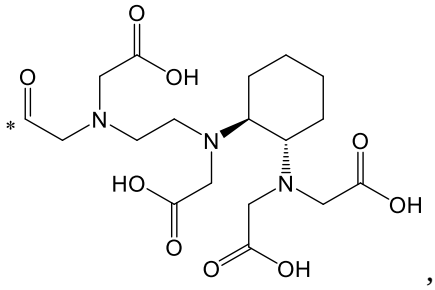
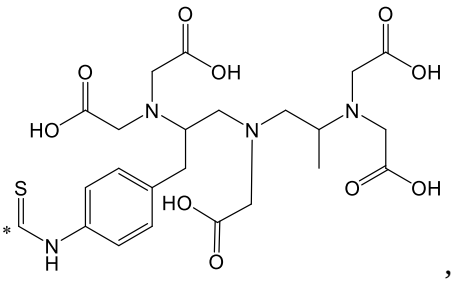
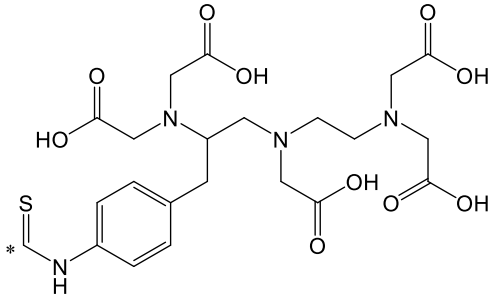
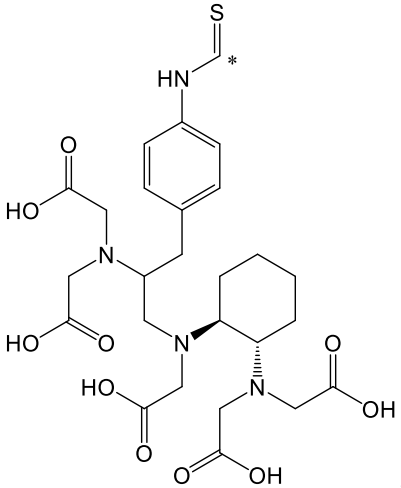
or

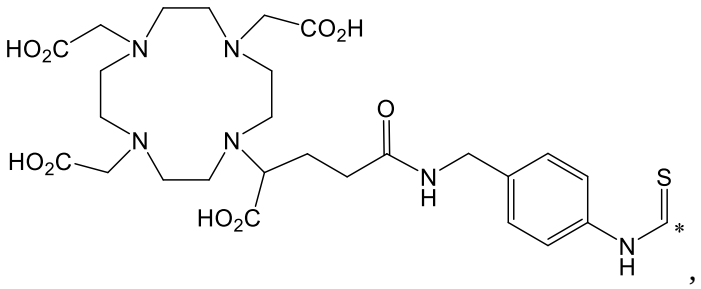


37. The compound of any one of claims 1 to 36, or a pharmaceutically acceptable salt thereof, wherein Ch comprises a radioelement selected from the group consisting of ^{111}In, ^{99m}Tc, ^{94m}Tc, ^{67}Ga, ^{66}Ga, ^{68}Ga, ^{52}Fe, ^{169}Er, ^{72}As, ^{97}Ru, ^{203}Pb, ^{62}Cu, ^{64}Cu, ^{67}Cu, ^{186}Re, ^{188}Re, ^{86}Y, ^{90}Y, ^{51}Cr, ^{52m}Mn, ^{177}Lu, ^{161}Tb, ^{169}Yb, ^{175}Yb, ^{105}Rh, ^{166}Dy, ^{166}Ho, ^{153}Sm, ^{149}Pm, ^{151}Pm, ^{172}Tm, ^{121}Sn, ^{117m}Sn, ^{213}Bi, ^{142}Pr, ^{143}Pr, ^{198}Au, ^{199}Au, ^{123}I, ^{124}I, ^{125}I, ^{18}F, ^{149}Tb, ^{152}Tb, ^{155}Tb, ^{47}Sc, ^{44}Sc, ^{43}Sc, ^{225}Ac, ^{212}Pb, ^{211}At, ^{223}Ra, ^{227}Th, ^{131}I, ^{82}Rb, ^{76}As, ^{89}Zr, ^{111}Ag, ^{165}Er, ^{227}Ac, and ^{61}Cu.

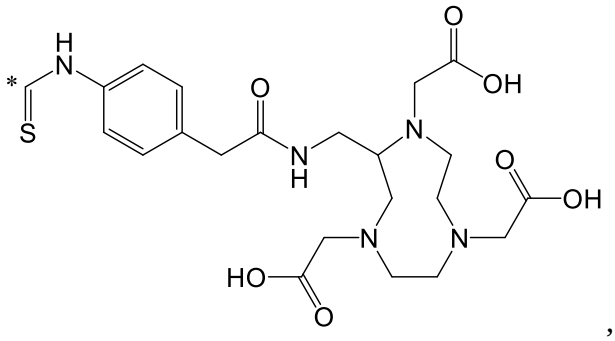
38. The compound of any one of claims 1 to 36, or a pharmaceutically acceptable salt thereof, wherein Ch comprises a radioelement selected from the group consisting of ^{66}Ga, ^{67}Ga, ^{68}Ga, ^{177}Lu, and ^{225}Ac.

39. The compound of any one of the preceding claims, or a salt thereof, wherein Ch is selected from the group consisting of

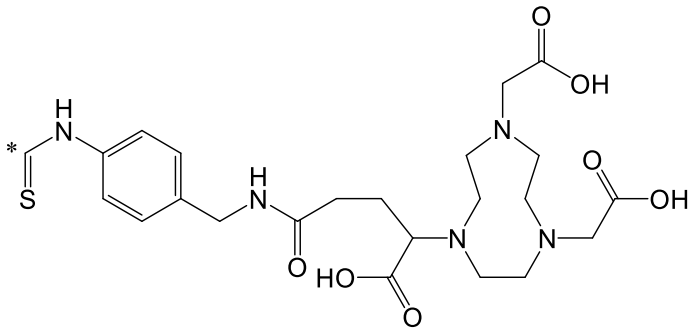

,

,

,

,

,

,

,

,

,

,

,

, and



,

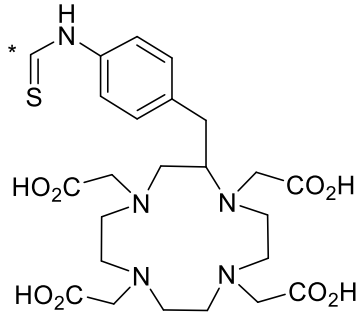


, and

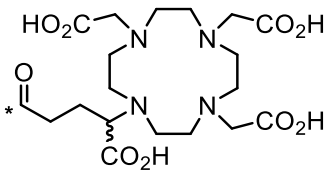


; and Ch can comprise a radioelement, Si-^{18}F, B-^{18}F, or Al-^{18}F..

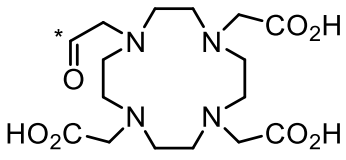
40. The compound of any one of the preceding claims, or a pharmaceutically acceptable salt thereof, wherein Ch is



,

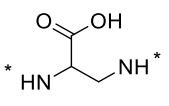
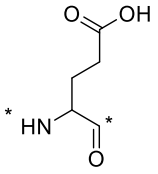
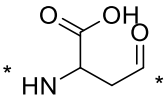
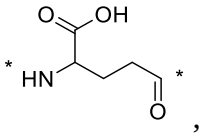
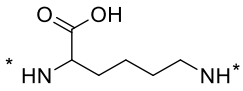
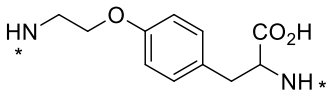


, or

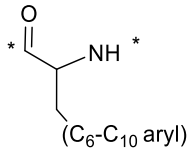


; and Ch can comprise a radioelement, Si-^{18}F, B-^{18}F, or Al-^{18}F.

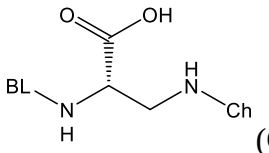
41. The compound of any one of claims 1 to 40, wherein BL comprises a pteryl group or a derivative thereof, and the pteryl group or derivative thereof is covalently bonded

to a group selected from , , , , , and .

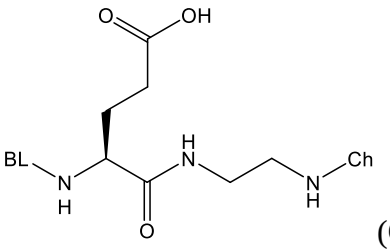
42. The compound of any one of claims 1 to 41, wherein one, two or three L^x independently are L^1 in which independently w is 1 or 2, and R^{18} is C_6-C_{10} aryl wherein each hydrogen is optionally substituted by halogen or C_1-C_6 alkyl.

43. The compound of claim 42, wherein one, two or three L^x independently are of formula .

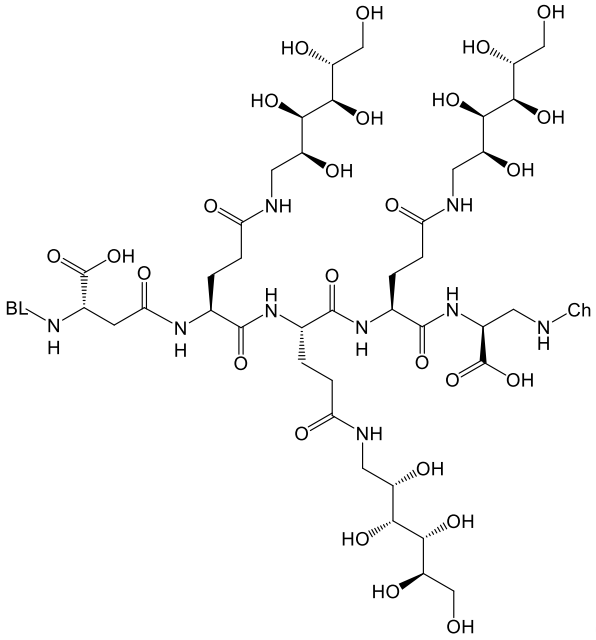
44. The compound of claim 1, or a pharmaceutically acceptable salt thereof, wherein the compound is of any one of formula (C1) to (C32):


O
OH
BL
N
H
H
N
Ch

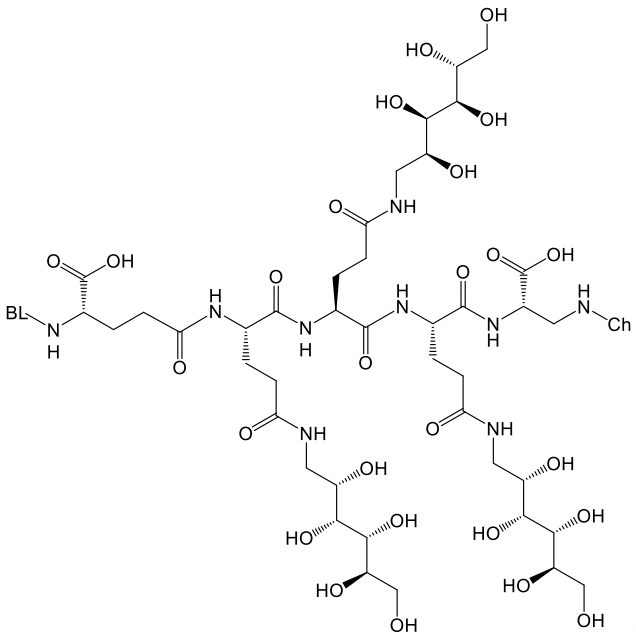
(C1),


O
OH
BL
N
H
H
N
O
N
H
Ch

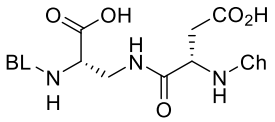
(C2),


OH
HO
HO
OH
OH
NH
O
OH
BL
N
H
H
N
O
N
H
O
N
H
Ch
O
OH

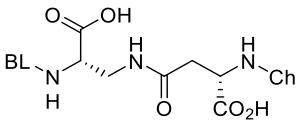
(C3),


OH
HO
HO
OH
OH
NH
O
OH
BL
N
H
H
N
O
N
H
O
N
H
Ch
O
OH

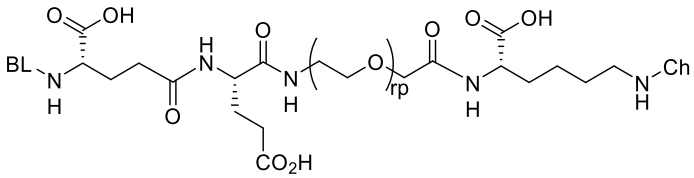
(C4),



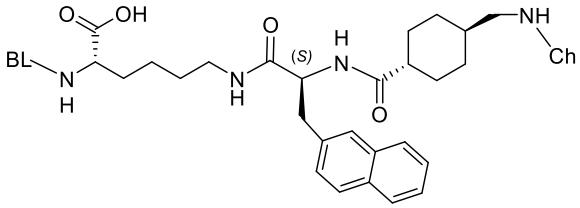
(C5),



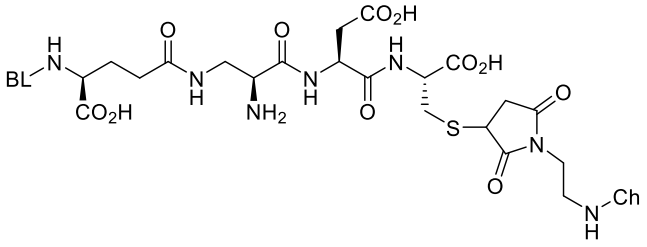
(C6),



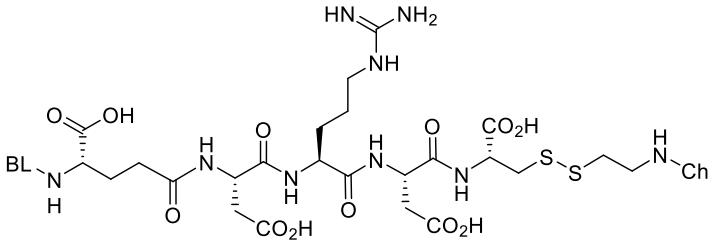
(C7),



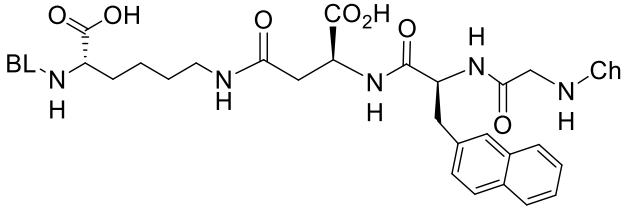
(C8),



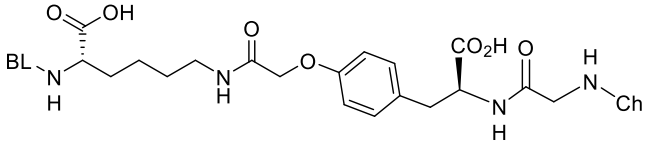
(C9),



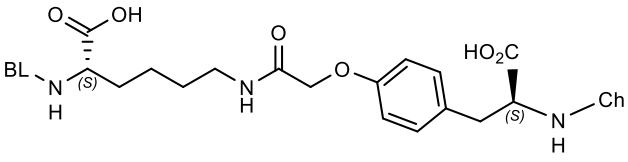
(C10),



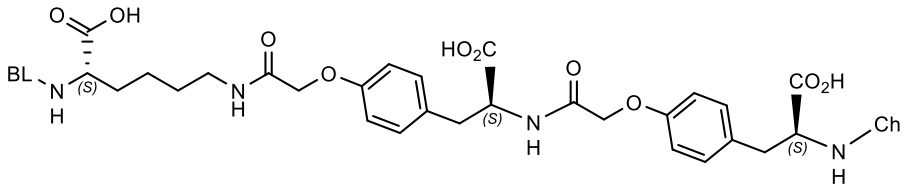
(C11),



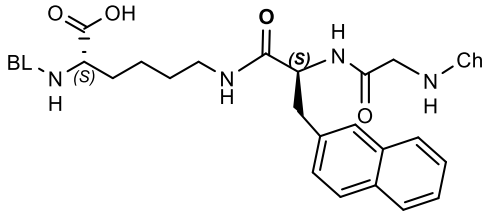
(C12),



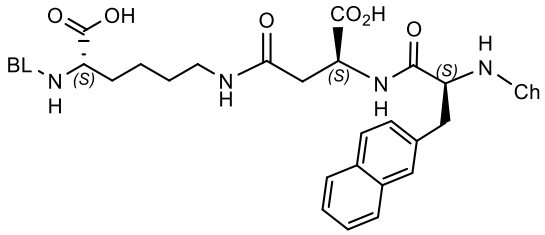
(C13),



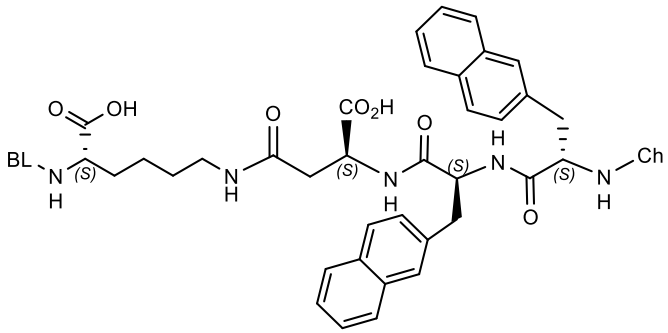
(C14),



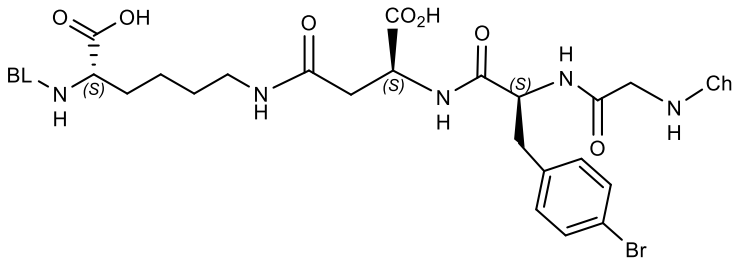
(C15),



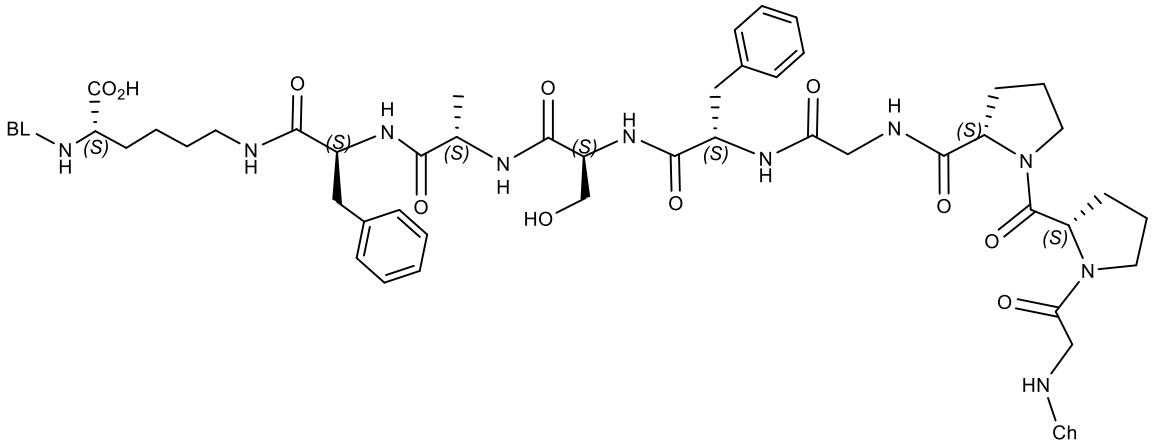
(C16),



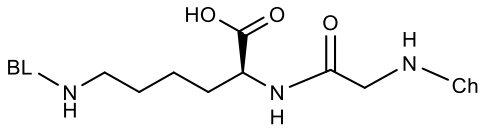
(C17),



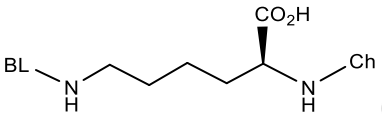
(C18),



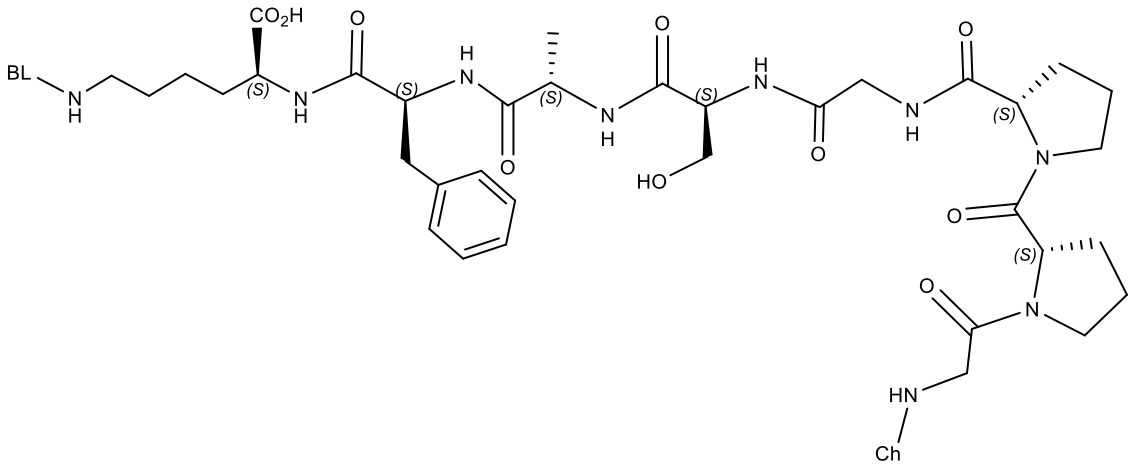
(C19),



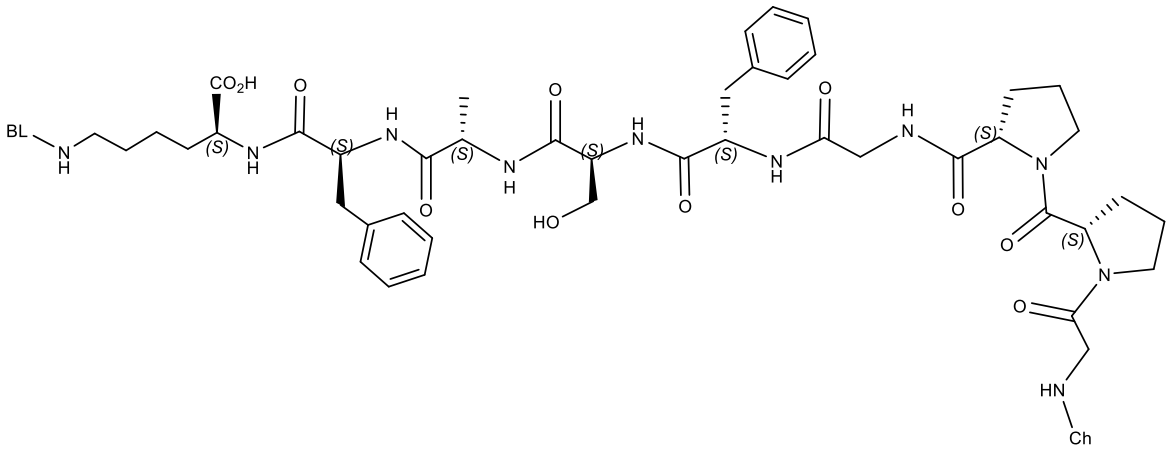
(C20),



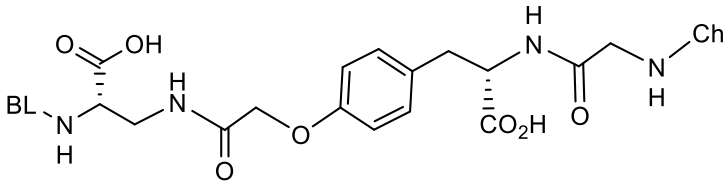
(C21),



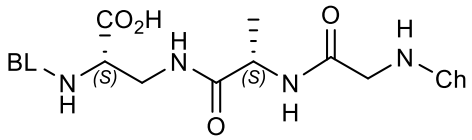
(C22),



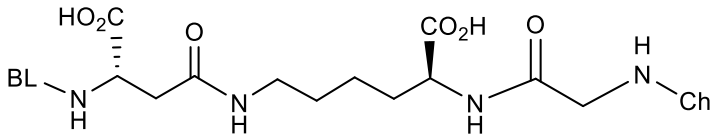
(C23),



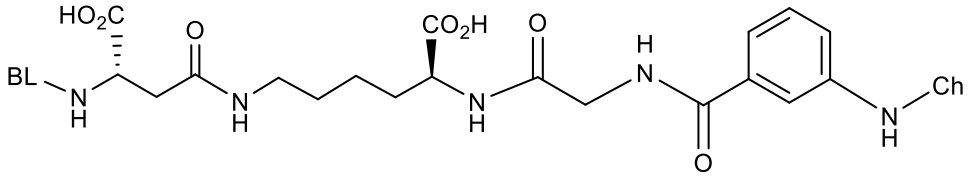
(C24),



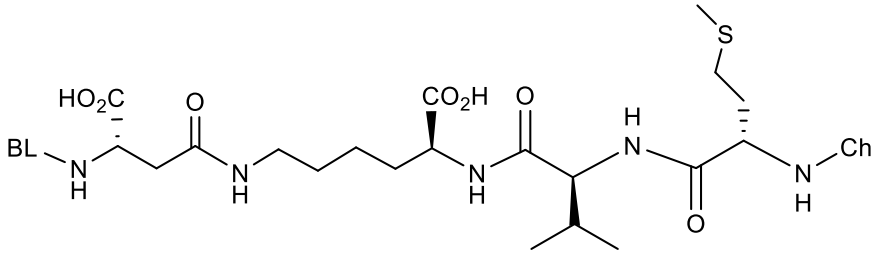
(C25),



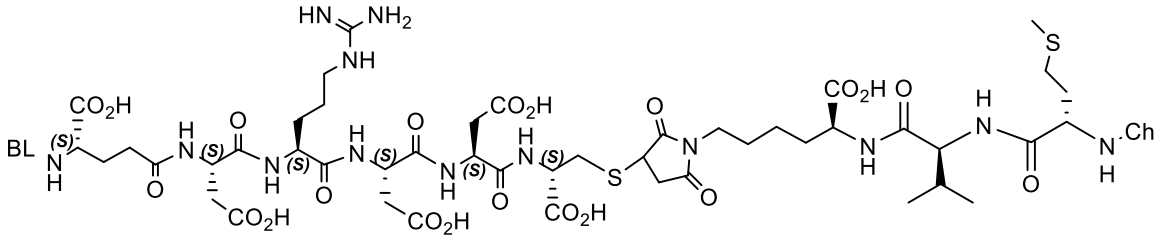
(C26),

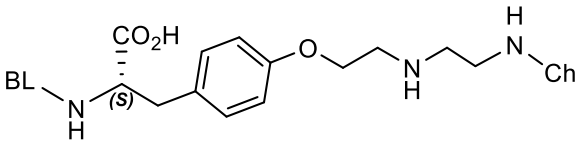


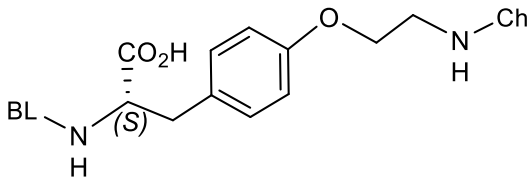
(C27),

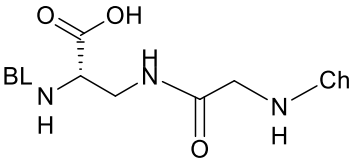


(C28),

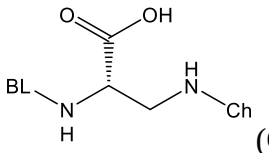


(C29),  (C30),

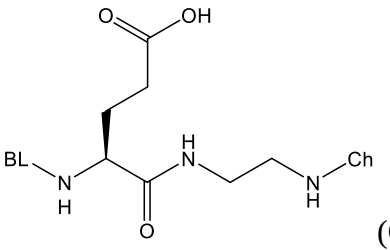
 (C31), and

 (C32).

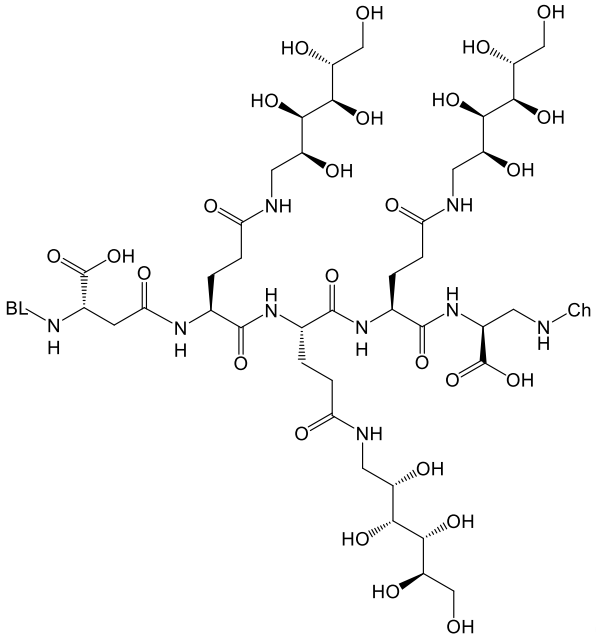
45. The compound of claim 1, wherein the compound is a compound of any one of formula (C1) to (C32),


O
OH
BL
N
H
H
N
Ch

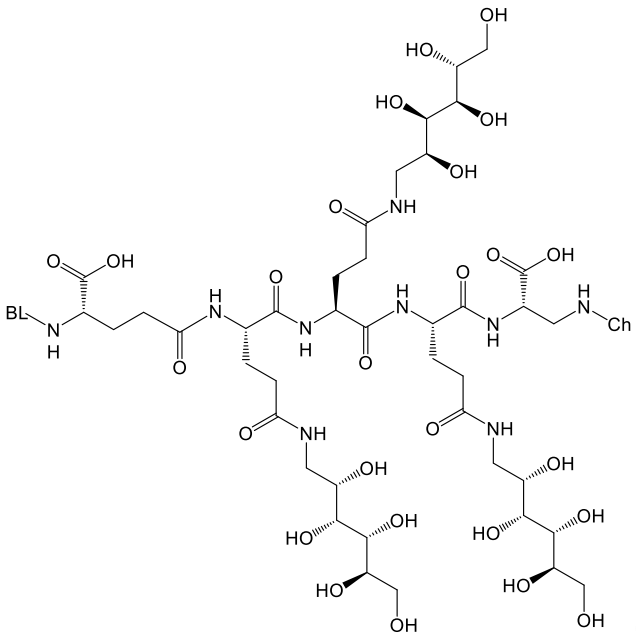
(C1),


O
OH
BL
N
H
H
N
Ch
O

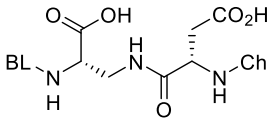
(C2),


OH
HO
NH
O
BL
N
H
Ch
OH

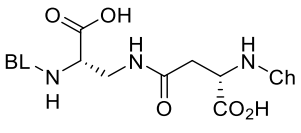
(C3),


OH
HO
NH
O
BL
N
H
Ch
OH

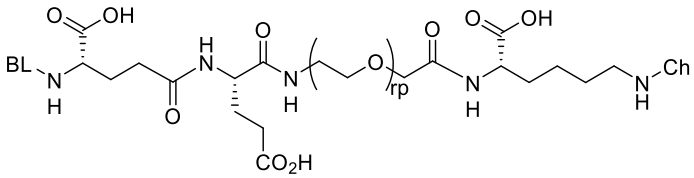
(C4),



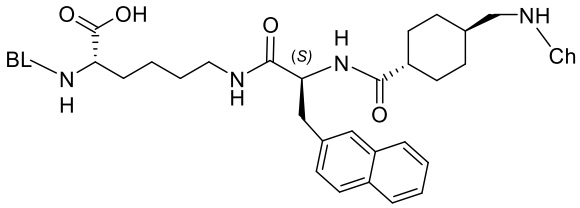
(C5),



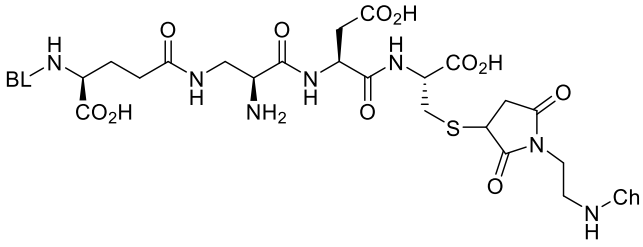
(C6),



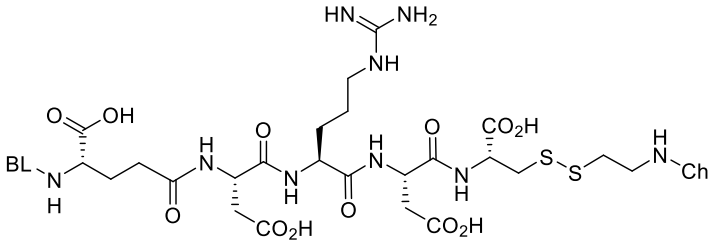
(C7),



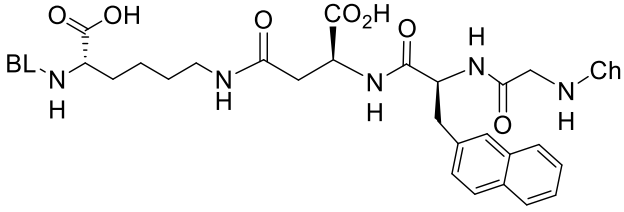
(C8),



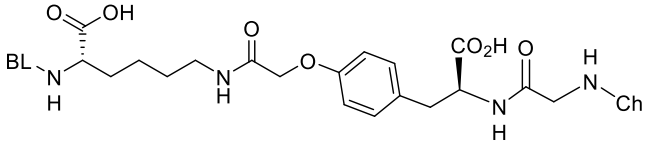
(C9),



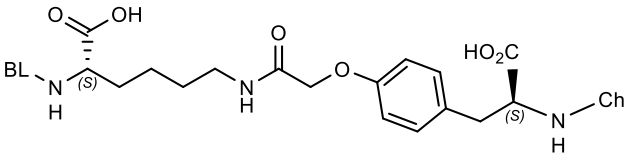
(C10),



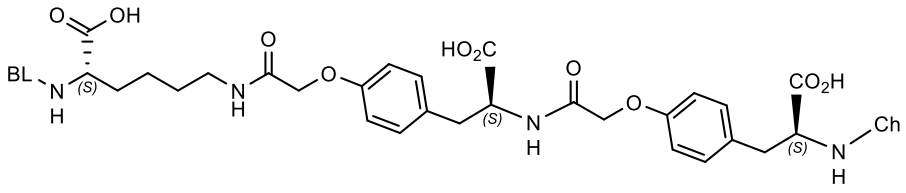
(C11),



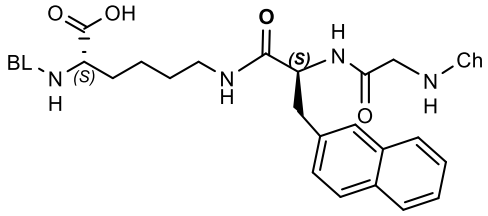
(C12),



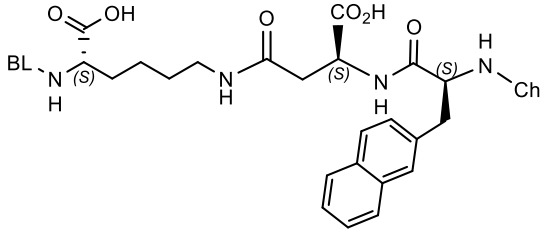
(C13),



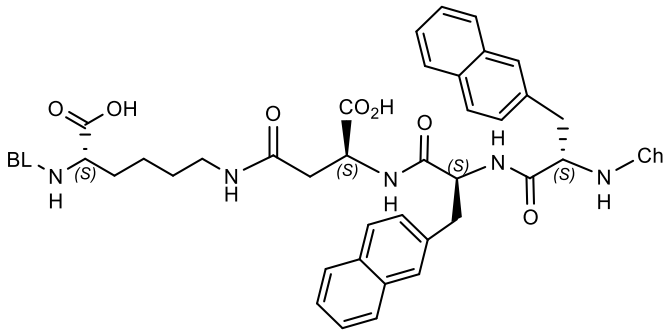
(C14),



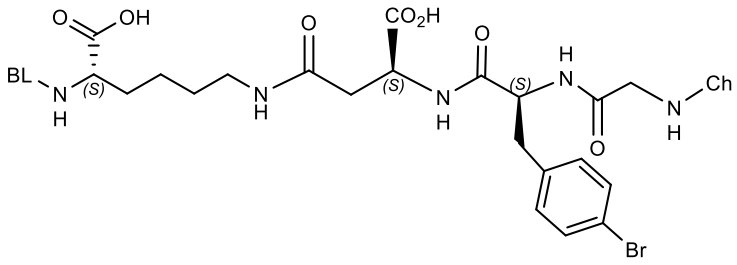
(C15),



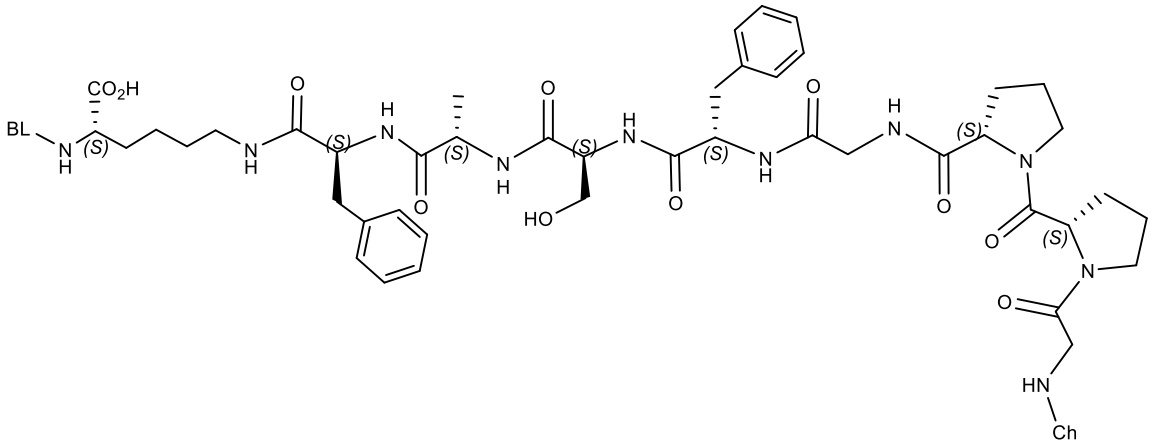
(C16),



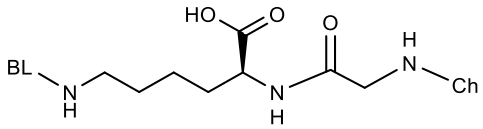
(C17),



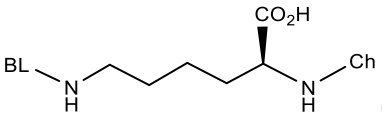
(C18),



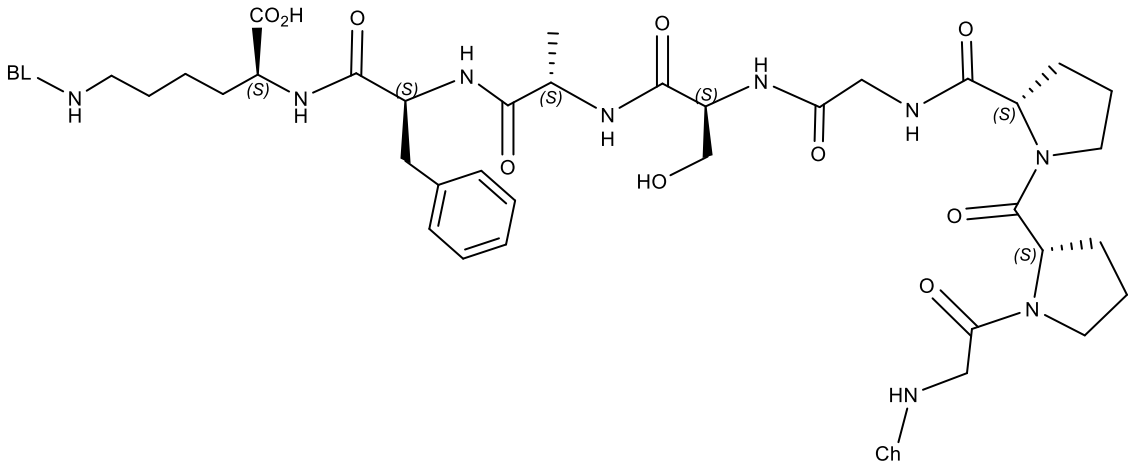
(C19),



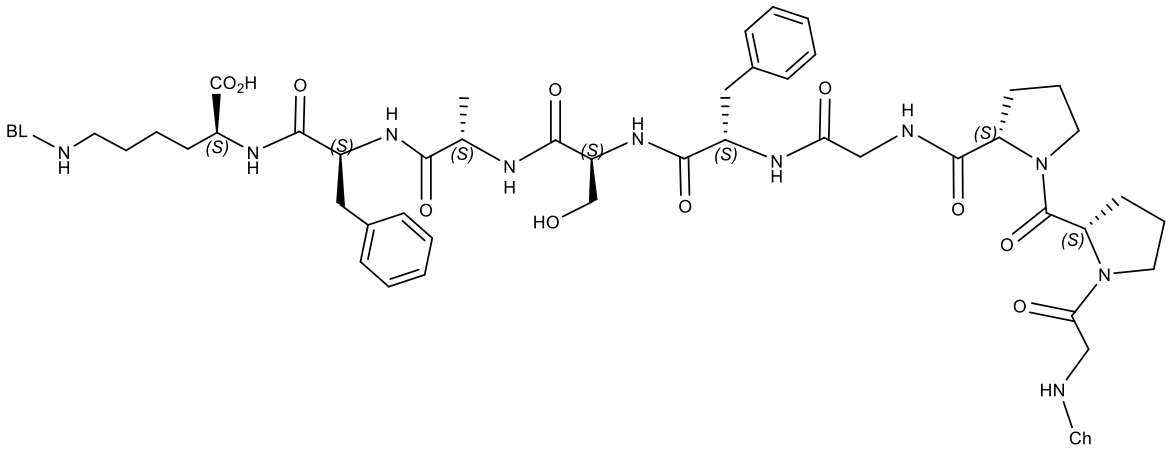
(C20),



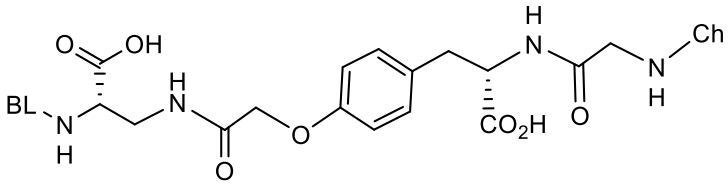
(C21),



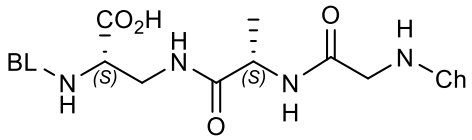
(C22),



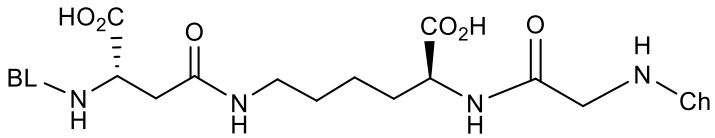
(C23),



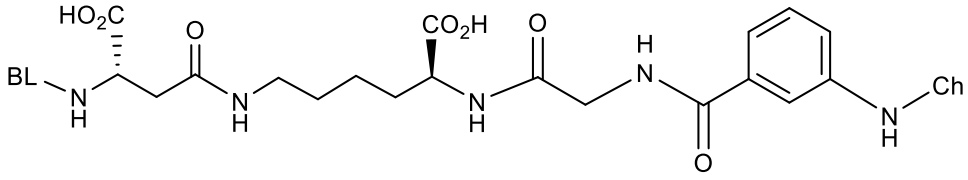
(C24),



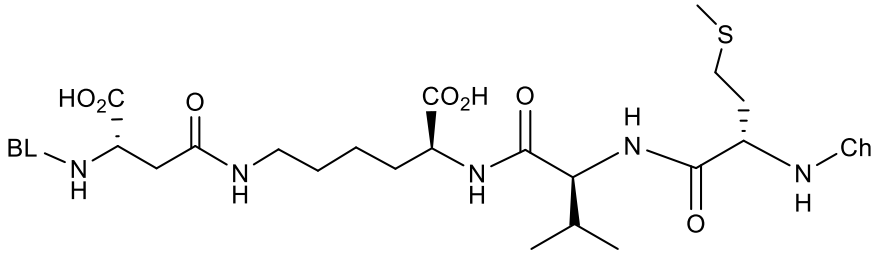
(C25),



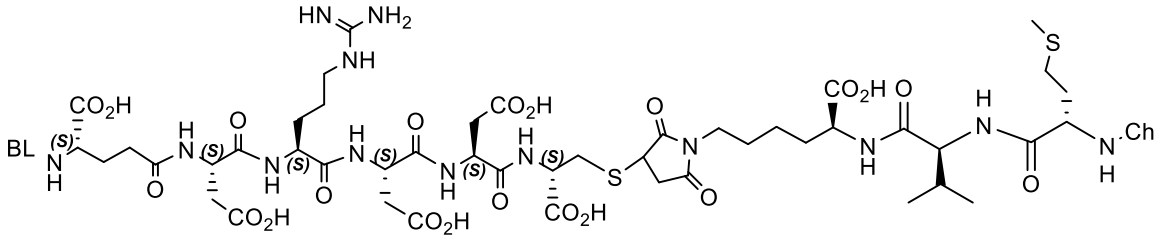
(C26),

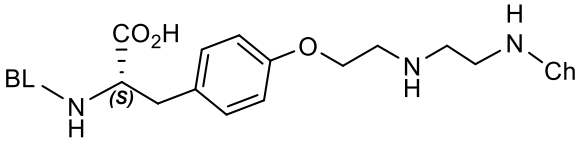


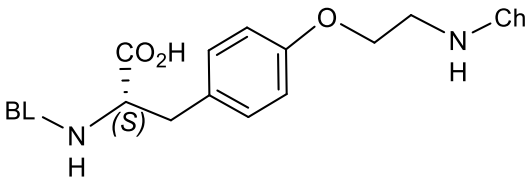
(C27),

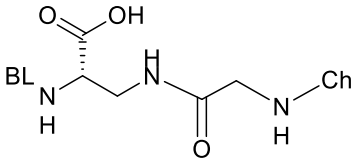


(C28),



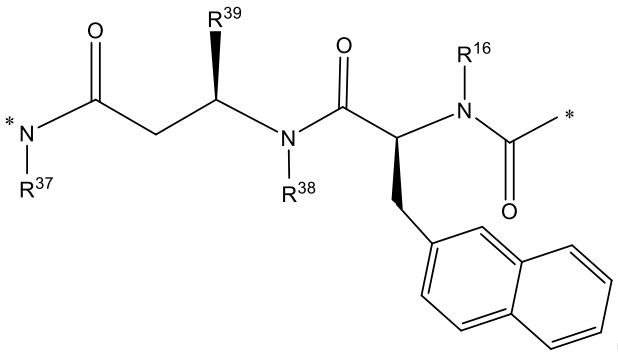
(C29),  (C30),

 (C31), and

 (C32), except that one group, corresponding to L^x, within said any one of formula (C1) to (C32) is replaced by a different L^x.

46. The compound of claim 45, or a pharmaceutically acceptable salt thereof, wherein the one group, which is replaced by a different L^x, is an AA group, the different L^x is a different AA group, and the different AA group is a conservative amino acid substitution of the AA group.

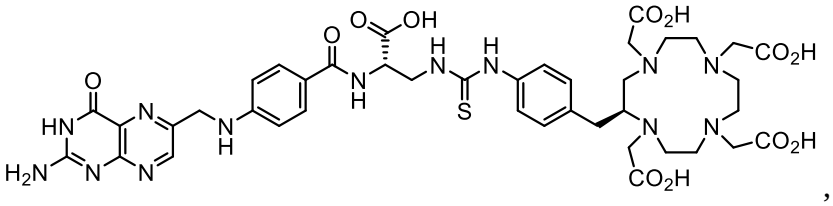
47. The compound of any one of claims 1 to 43, or a pharmaceutically acceptable salt thereof, wherein $–(L^x)_k–$ comprises a group of formula (III)

 (III).

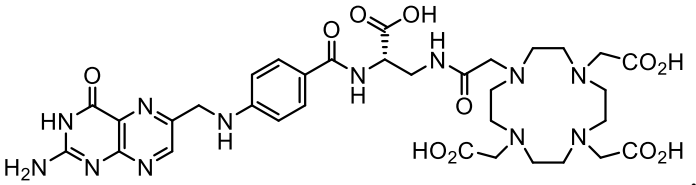
48. The compound of claim 47, wherein R^{16}, R^{37} and R^{38} are H.

49. The compound of claim 47 or 48, wherein R^{39} is –COOH.

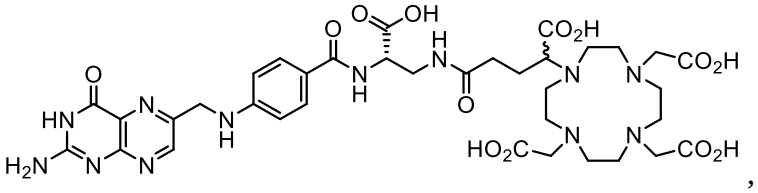
50. The compound of claim 1, wherein the compound is selected from



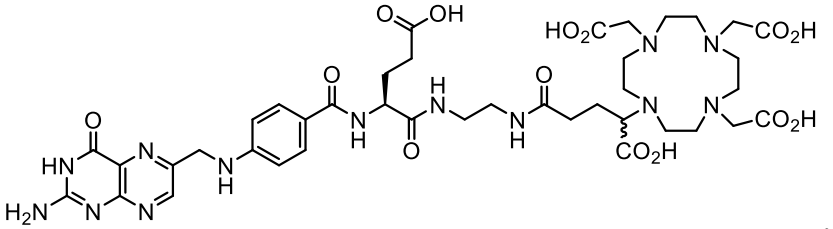
,



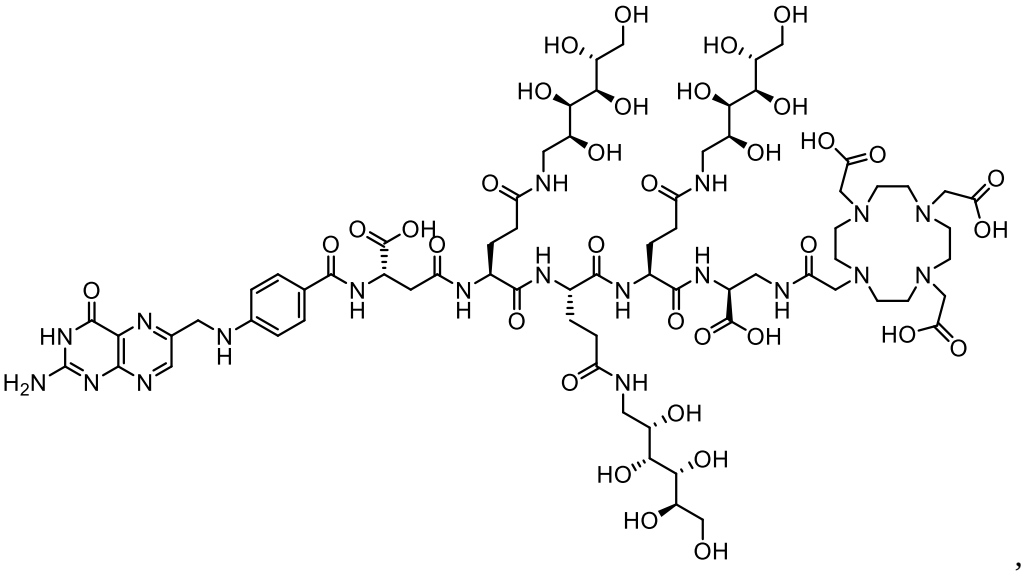
,



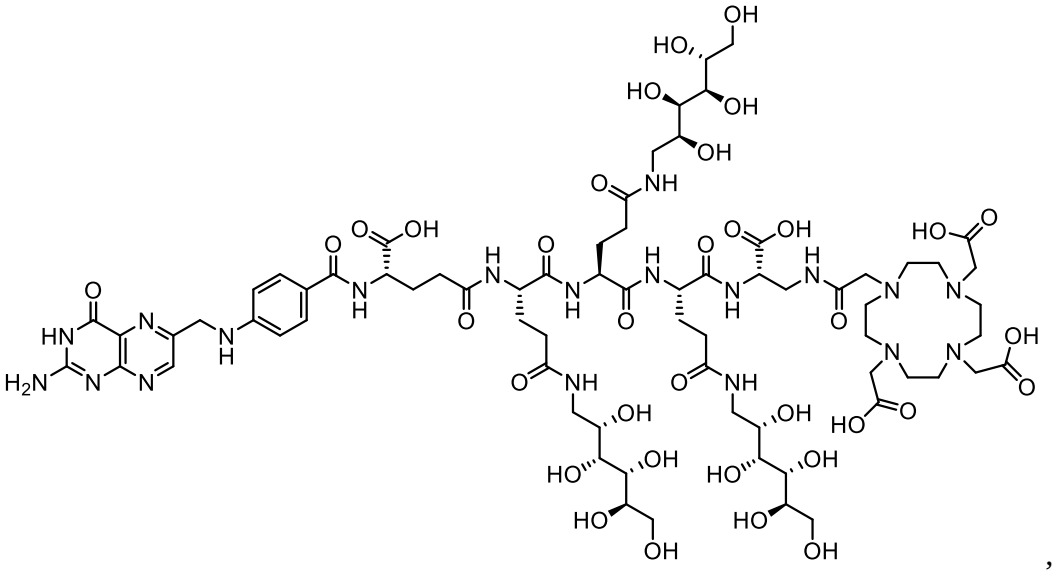
,



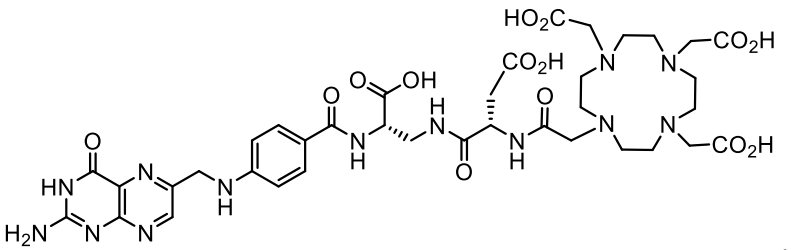
,



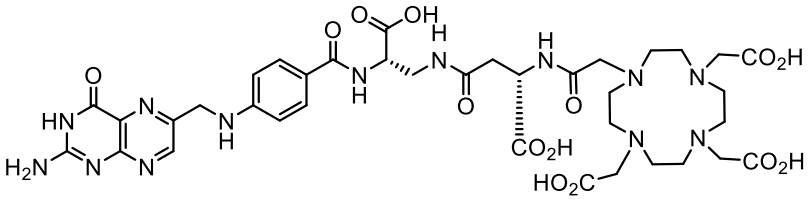
,



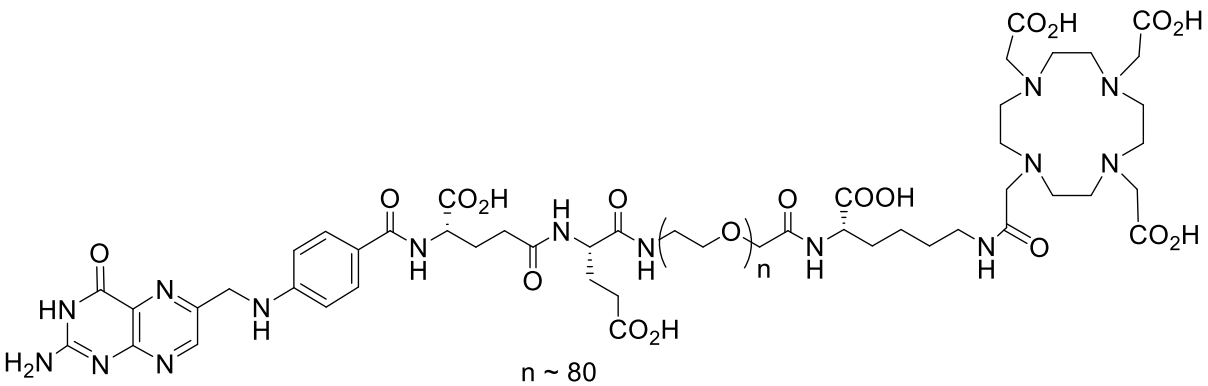
,



,

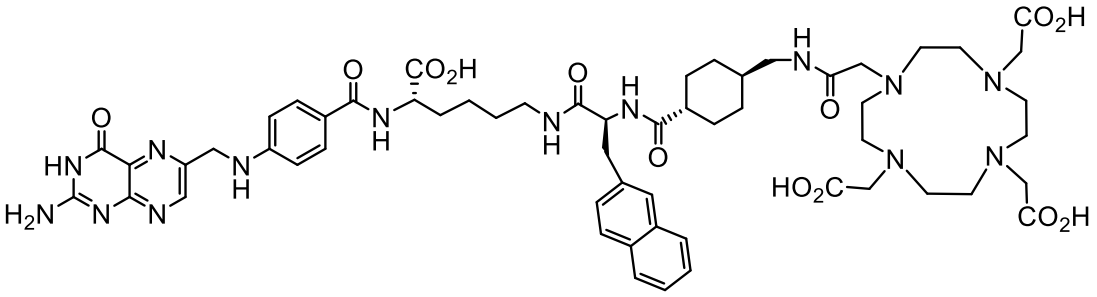


,

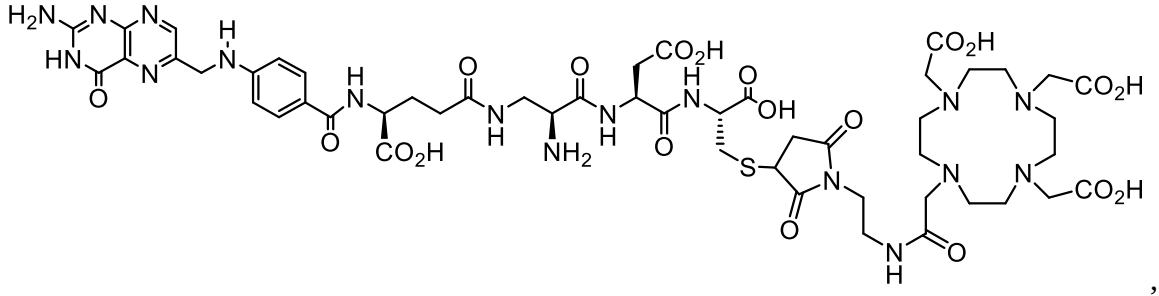


n ~ 80

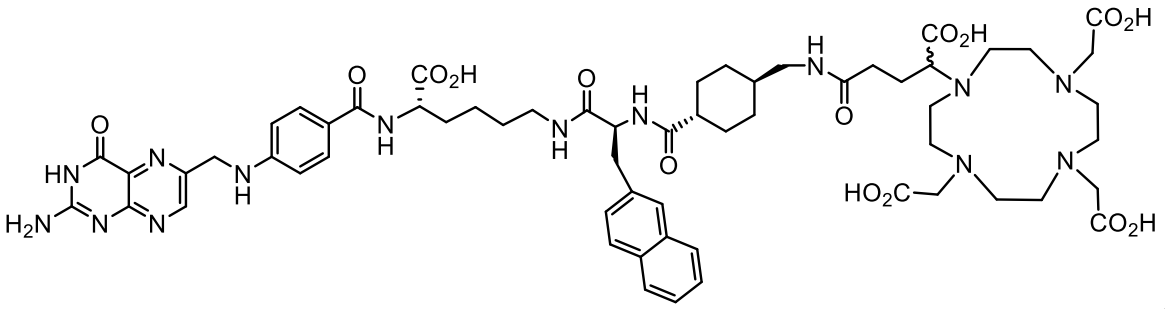
,



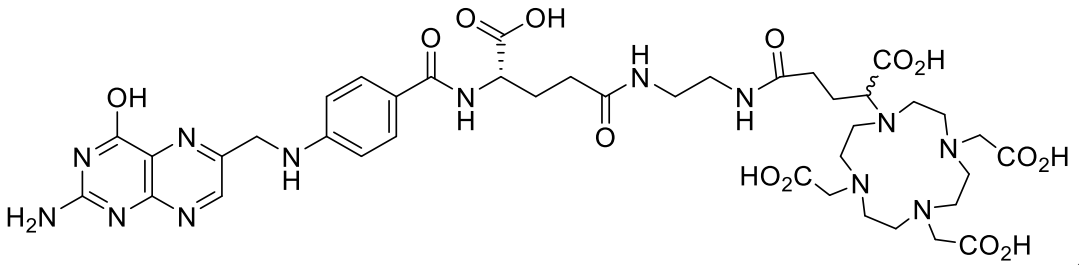
,



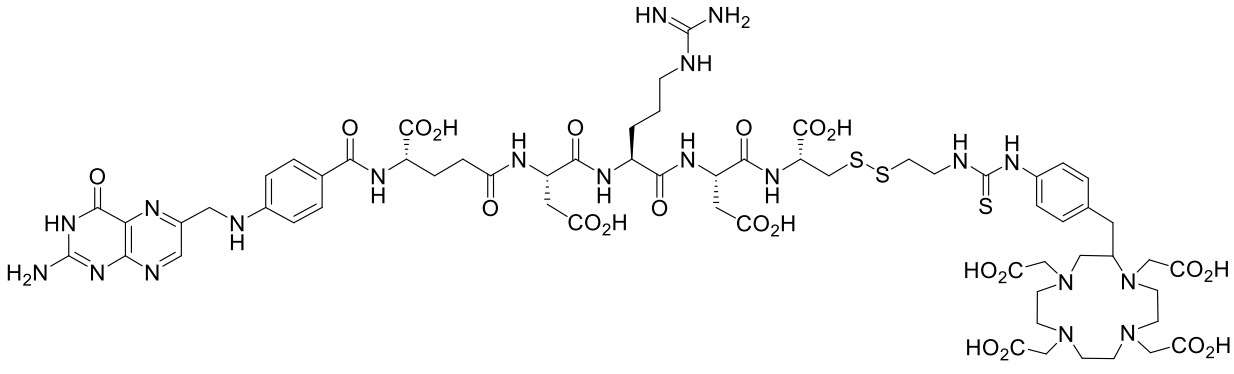
,



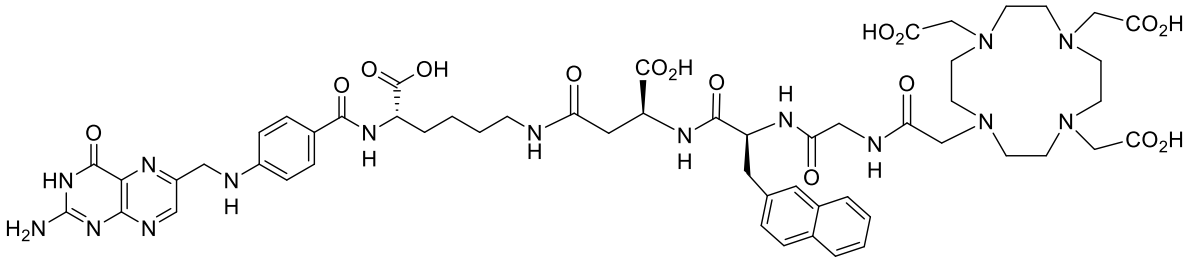
,



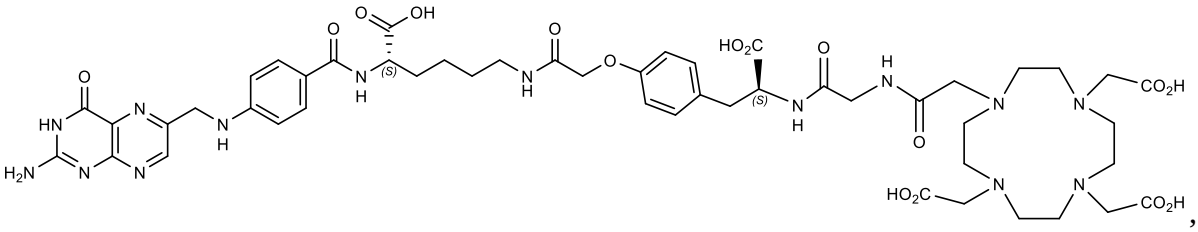
,



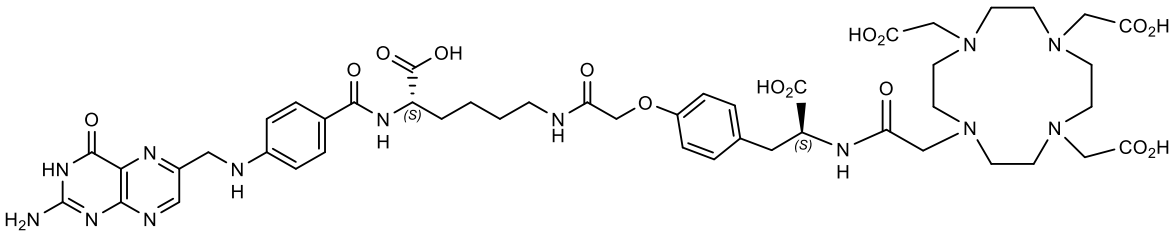
,



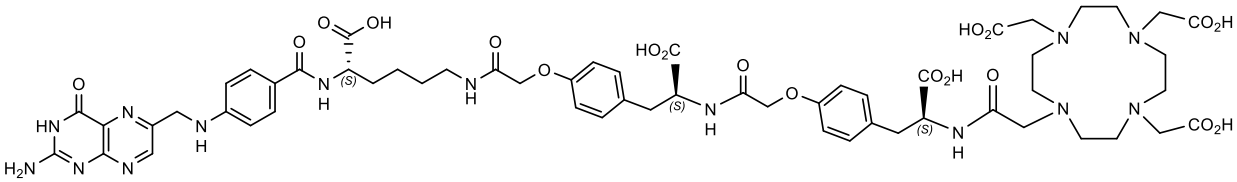
,



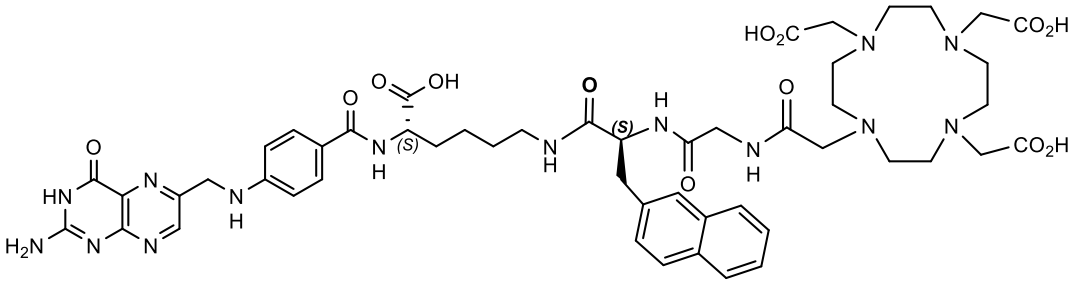
,



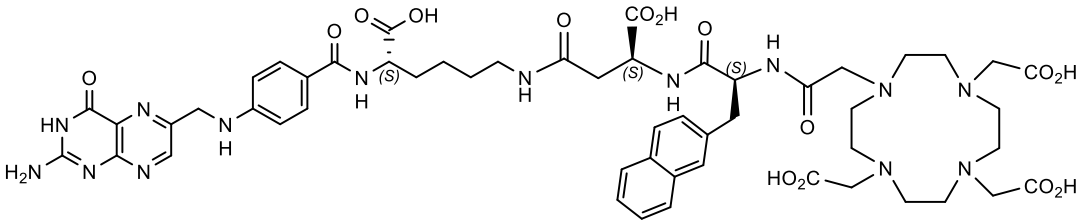
,



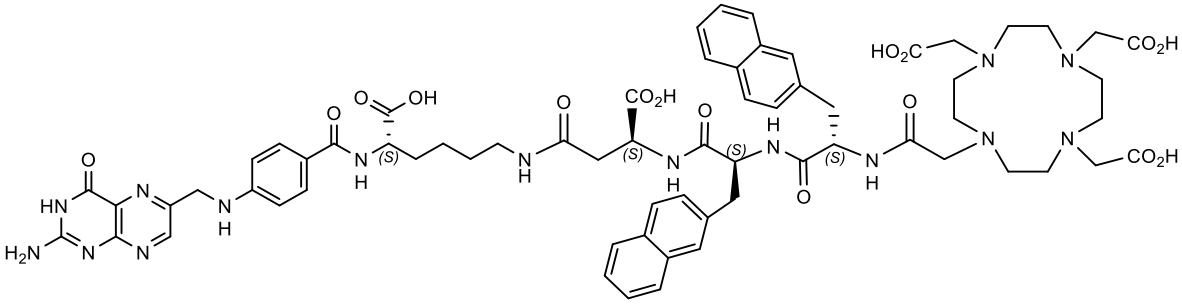
,



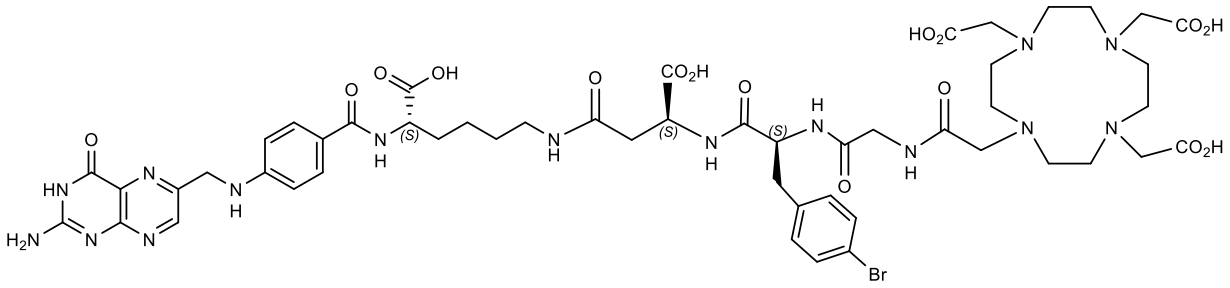
,



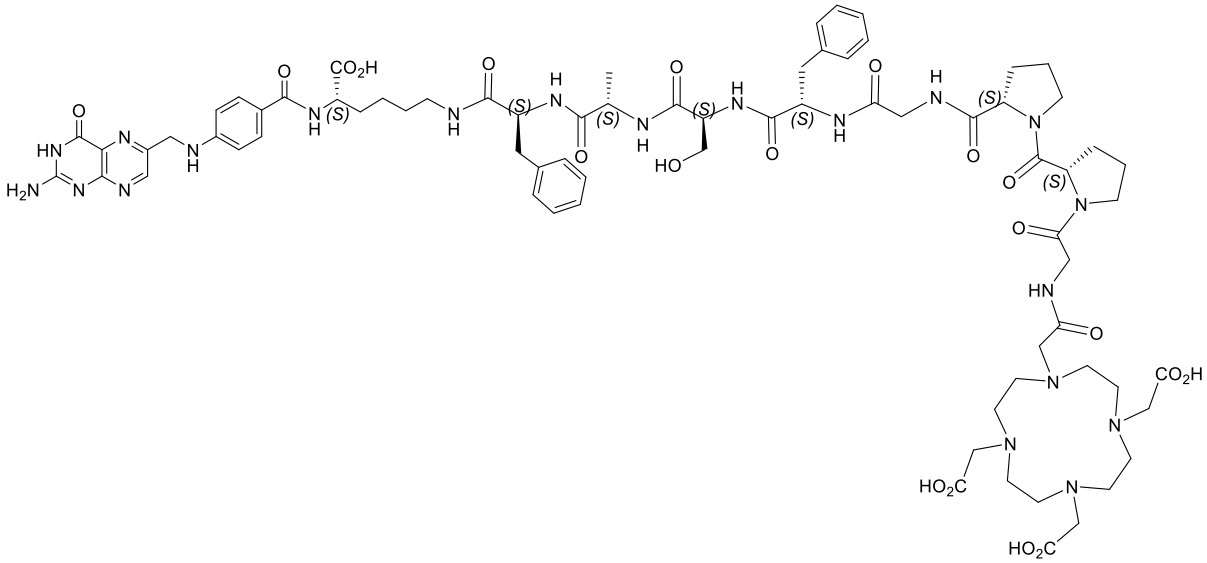
,



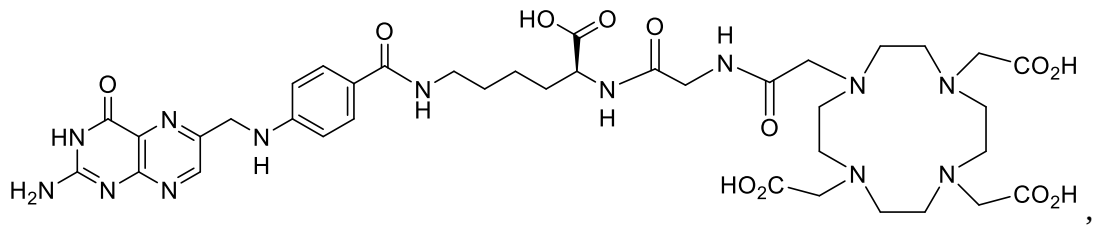
,



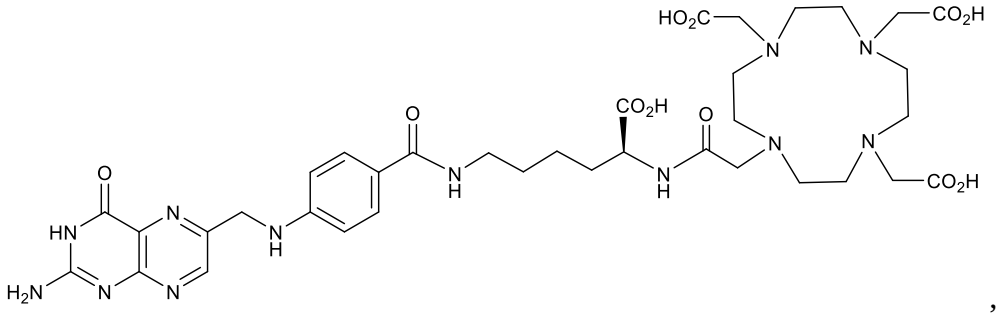
,



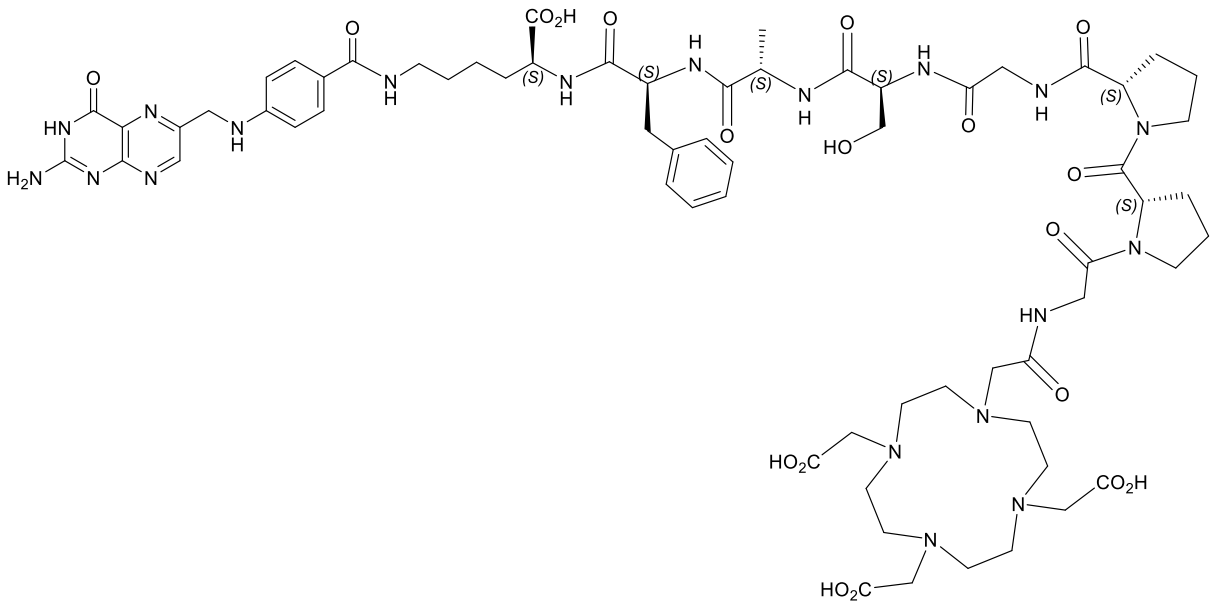
,



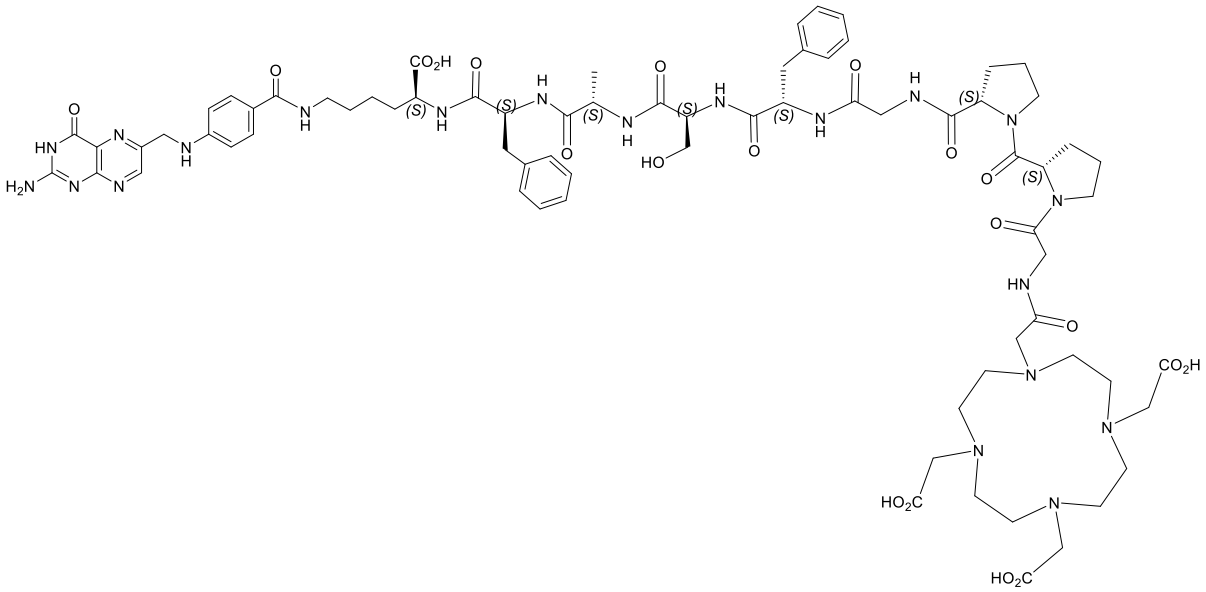
,



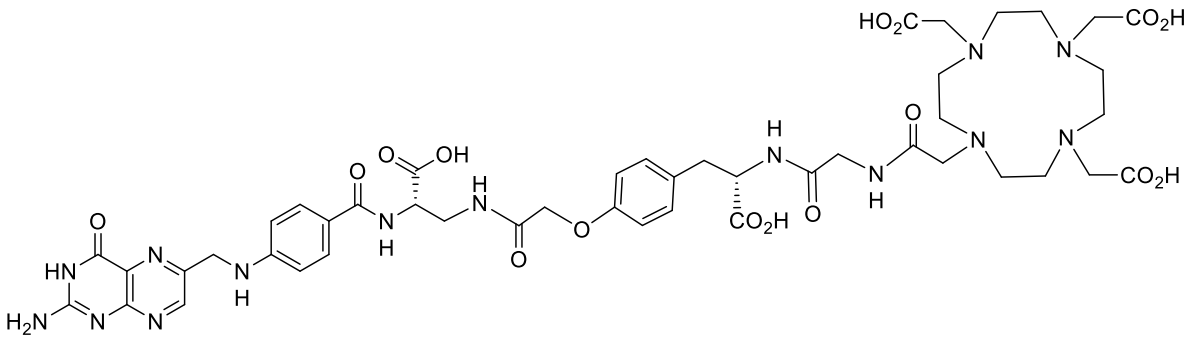
,



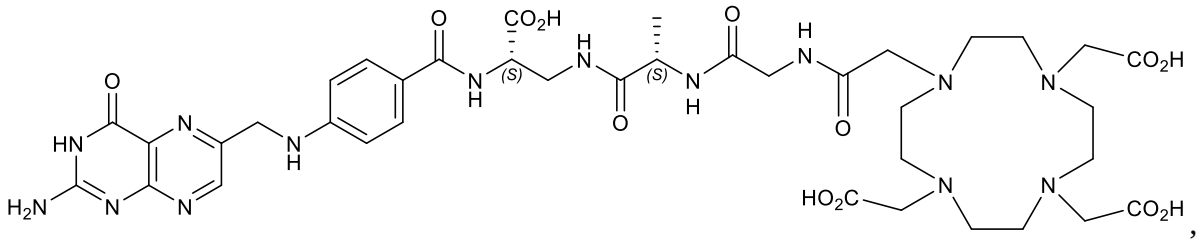
,



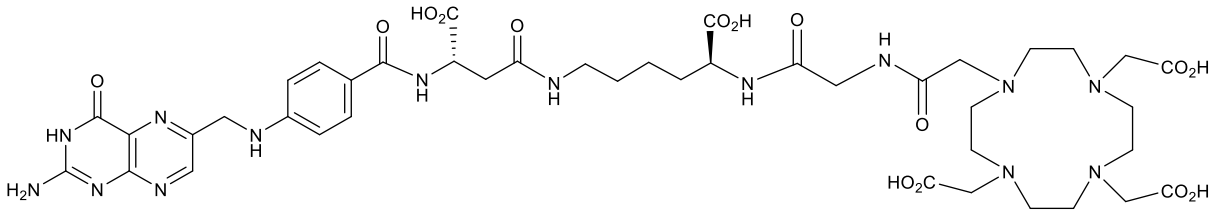
,



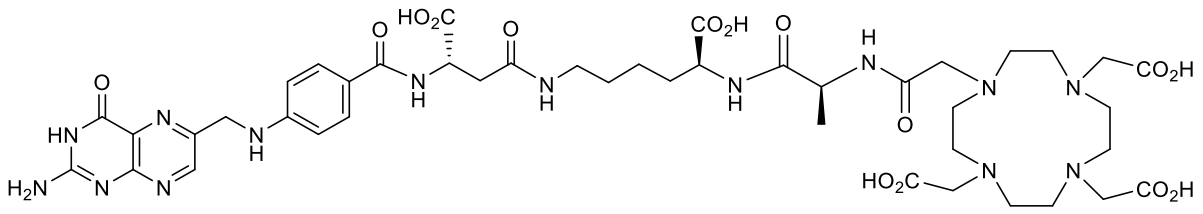
,



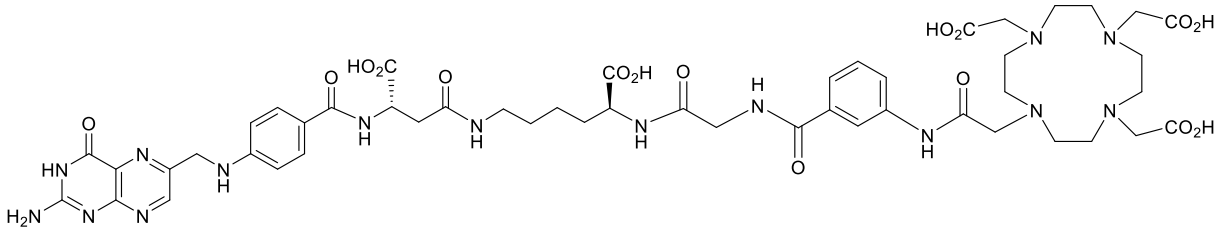
,



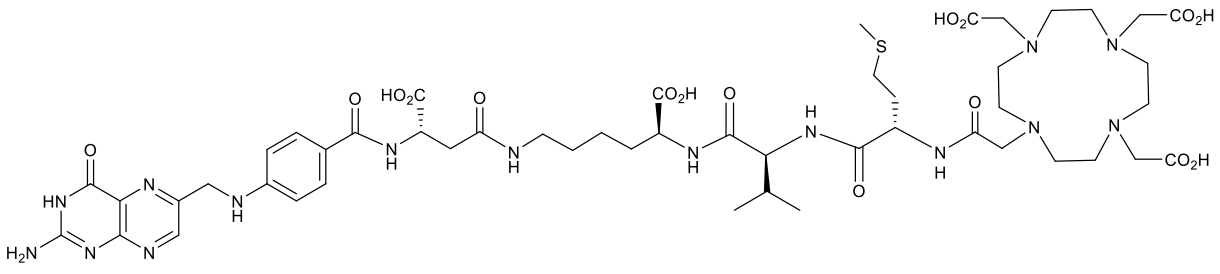
,



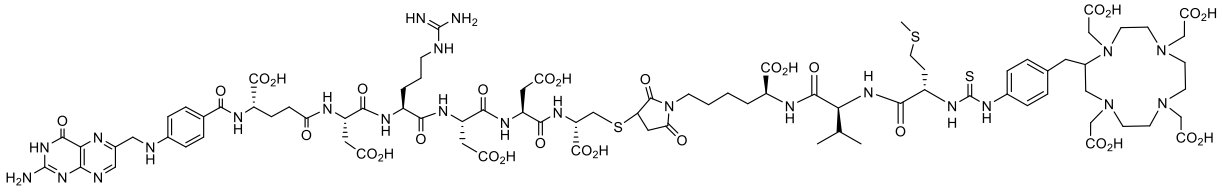
,



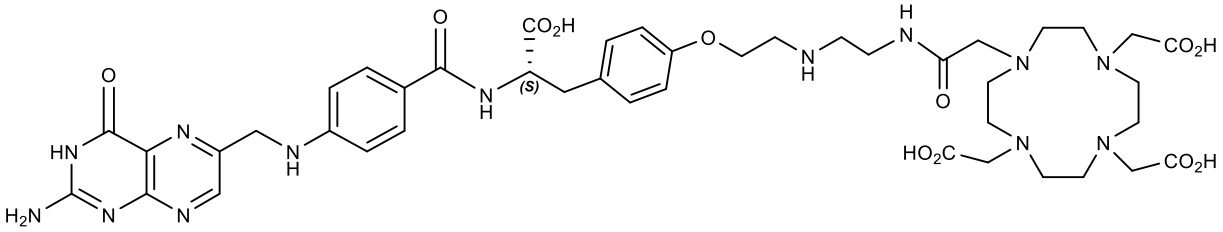
,



,

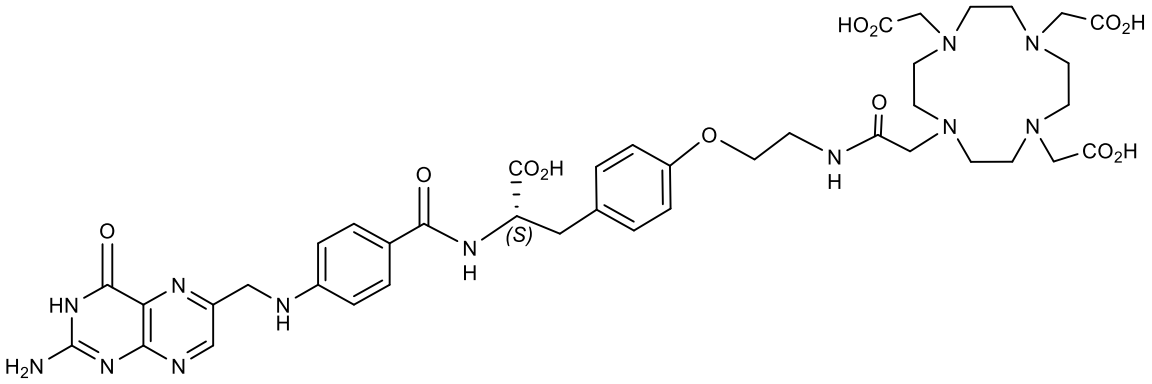


,



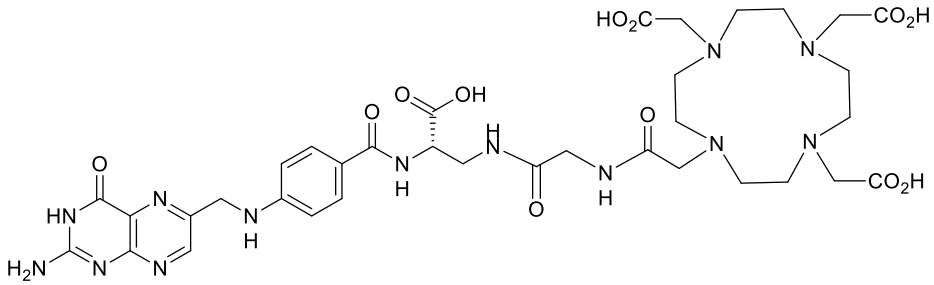
,

and



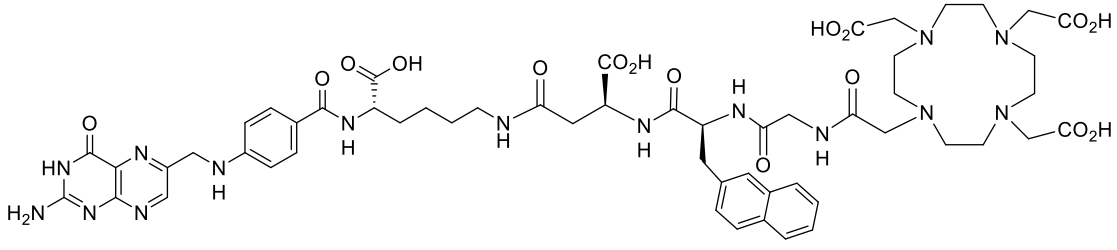
,

and



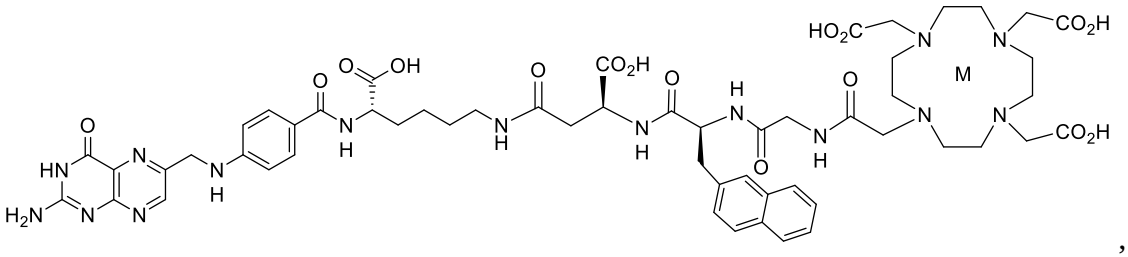
; or a pharmaceutically acceptable salt thereof; wherein the chelating group exhibited in the above structural formulas can comprise a radioelement, Si-^{18}F, B-^{18}F, or Al-^{18}F.

51. The compound of claim 1, wherein the compound is of formula



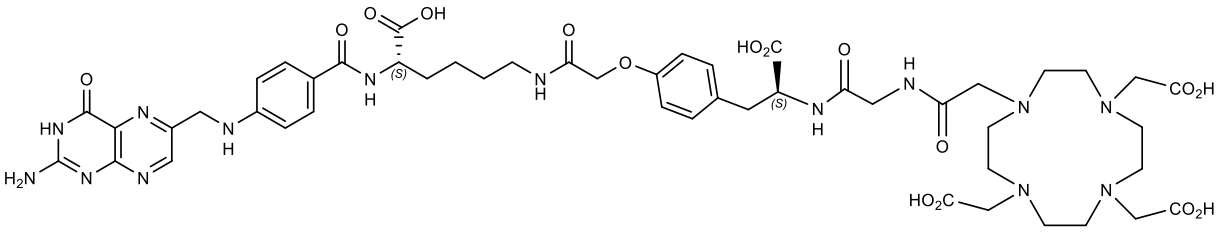
, or a pharmaceutically acceptable salt thereof.

52. The compound of claim 1, wherein the compound is of formula



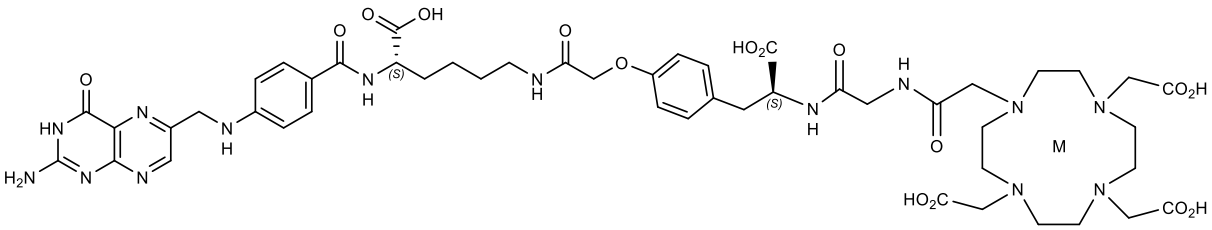
wherein M is a bound radioelement and M is ^{177}Lu or ^{225}Ac; or a pharmaceutically acceptable salt thereof.

53. The compound of claim 1, wherein the compound is of formula



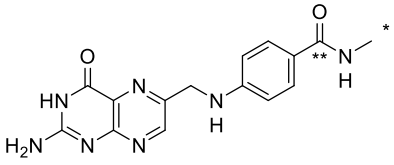
, or a pharmaceutically acceptable salt thereof.

54. The compound of claim 1, wherein the compound is of formula,



wherein M is a bound radioelement and M is ^{177}Lu or ^{225}Ac; or a pharmaceutically acceptable salt thereof.

55. The compound of any one of the preceding claims, wherein the compound comprises a group of formula



and a carboxyl group in β, γ, δ, ε, or ζ position relative to the carbonyl indicated with "**" in above formula; or a pharmaceutically acceptable salt thereof.

56. The compound of any one of claims 1, 2, 5, 6, 7, 11, 12, 16-36, 41-43, 47-49, and 50, or a pharmaceutically acceptable salt thereof, wherein PG is labeled with a radiohalogen selected from the group consisting of ^{18}F, ^{75}Br, ^{76}Br, ^{77}Br, ^{80}Br, ^{80m}Br, ^{82}Br, ^{123}I, ^{124}I, ^{125}I, ^{131}I and ^{211}At.

57. A pharmaceutical composition comprising a compound according to any one of the preceding claims, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

58. An *in vitro* or *ex vivo* method of treating an FR expressing tumor or cell, the method comprising contacting the one or more FR expressing tumor or cell with an effective amount of a compound, or pharmaceutically acceptable salt thereof, according to any one of claims 1 to 55 or with an effective amount of the pharmaceutical composition of claim 57, wherein the compound comprises a chelating group which chelates a radioelement.

59. A compound according to any one of claims 1 to 55, or a pharmaceutically acceptable salt thereof, for use in a method of treating cancer in a subject.

60. Use of a compound, or a pharmaceutically acceptable salt thereof, according to any one of claims 1 to 55, or a pharmaceutical composition of claim 57, in the manufacture of a medicament for the treatment of a proliferative disease in a subject in need thereof, wherein a therapeutically effective amount of the medicament is to be administered to the subject, and wherein the compound comprises a chelating group which chelates a radioelement.

61. The use of claim 60, wherein the proliferative disease is cancer.

62. The use of claim 61, wherein the cancer is selected from the group consisting of lung cancer, bone cancer, pancreatic cancer, skin cancer, cancer of the head or neck, cutaneous or intraocular melanoma, ovarian cancer, rectal cancer, cancer of the anal region, stomach cancer, colon cancer, breast cancer, triple negative breast cancer, uterine cancer, carcinoma of the fallopian tubes, carcinoma of the endometrium, carcinoma of the cervix, carcinoma of the vagina, carcinoma of the vulva, Hodgkin's Disease, cancer of the esophagus, cancer of the small intestine, cancer of the endocrine system, cancer of the thyroid gland, cancer of the parathyroid gland, cancer of the adrenal gland, sarcoma of soft tissue, cancer of the urethra,

cancer of the penis, prostate cancer, chronic or acute leukemia, lymphocytic lymphomas, cancer of the bladder, cancer of the kidney or ureter, renal cell carcinoma, carcinoma of the renal pelvis, neoplasms of the central nervous system (CNS), primary CNS lymphoma, spinal axis tumors, brain stem glioma and pituitary adenoma.

63. The use of any one of claims 60 to 62, further comprising an effective amount of folic acid to be administered to the subject.

64. The use of any one of claims 60 to 63, further comprising an effective amount of an antifolate to be administered to the subject.

65. The use of any one of claims 60 to 64, further comprising an effective amount of a radio-sensitizer to be administered to the subject.

66. The use of any one of claims 60 to 65, wherein the subject is a human.

67. A method for imaging FR expressing cells in a subject, comprising providing to the subject a compound, or a pharmaceutically acceptable salt thereof, according to any one of claims 1 to 56, or a pharmaceutical composition of claim 57, wherein an effective amount of the compound or the pharmaceutically acceptable salt thereof, or pharmaceutical composition is to be administered to the subject, and wherein the compound comprises a metal, a radioelement or radiohalogen.