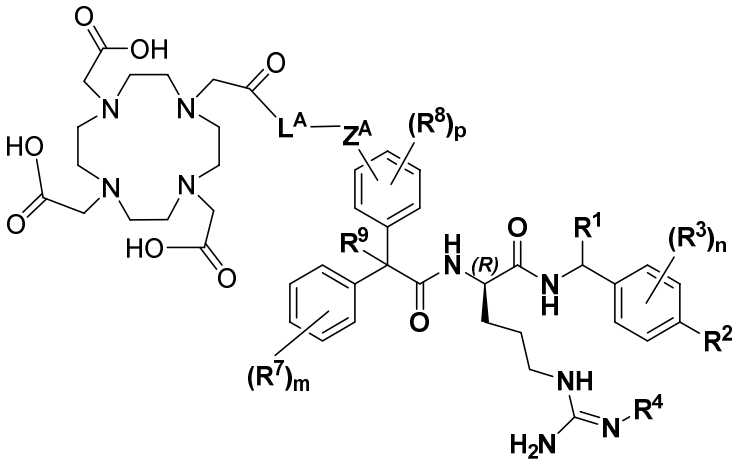
CLAIMS

WHAT IS CLAIMED IS:

1. A compound, or a pharmaceutically acceptable salt thereof, that has the following structure:



wherein:

R^1 is H, -C_1-C_6 alkyl, or -C(=O)NH_2;

R^2 is -OH, -NH_2, -C(=O)NH_2, or -CH_2NHC(=O)NH_2;

each R^3 is independently selected from the group consisting of R^{3a}, R^{3b}, R^{3c}, and R^{3d};

R^{3a}, R^{3b}, R^{3c}, and R^{3d} are each independently selected from the group consisting of H, F, Cl, Br, I, -CN, substituted or unsubstituted -C_1-C_6 alkyl, and substituted or unsubstituted -C_1-C_6 alkoxy;

R^4 is H, -C(=O)R^{10}, -C(=O)NHR^{10}, or -C(=O)N(CH_3)R^{10};

R^{10} is substituted or unsubstituted -C_1-C_6 alkyl, substituted or unsubstituted 2 to 6-membered heteroalkyl, -$(CH_2)_t$-NH_2, -$(CH_2)_t$C(=O)O$(CH_2)_u$$CH_3$, -$(CH_2)_t$NHC(=O)$(CH_2)_u$$CH_3$, or -$(CH_2)_t$-substituted or unsubstituted 5 to 6 membered heteroaryl ring;

t is 1, 2, 3, 4, 5, or 6;

u is 1, 2, 3, or 4;

Z^A is -C_1-C_6 alkylene, -C_1-C_6 alkylene-O-, -O-C_1-C_6 alkylene-, -C(=O)NR^{12}-, -NR^{12}C(=O)-, -O-, -NR^{12}-, -S-, -S(=O)-, -SO_2-, or -NHC(=O)NH-;

L^A is a linker;

each R^7 is independently selected from the group consisting of F, Cl, Br, I, -CN, -OH, substituted or unsubstituted -C_1-C_6 alkyl, and substituted or unsubstituted -C_1-C_6 alkoxy;

each R^8 is independently selected from the group consisting of F, Cl, Br, I, -CN, -OH, substituted or unsubstituted -C_1-C_6 alkyl, and substituted or unsubstituted -C_1-C_6 alkoxy;

R^9 is H, substituted or unsubstituted -C_1-C_4 alkyl, or substituted or unsubstituted -C_1-C_6 alkoxy;

each R^{11} is independently H or unsubstituted -C_1-C_4 alkyl;

each R^{12} is independently H or unsubstituted -C_1-C_4 alkyl;

n is 0, 1, 2, 3, or 4;

m is 0, 1, 2, or 3; and

p is 0, 1, 2, or 3.

2. The compound of any one of claims 8-27, or a pharmaceutically acceptable salt thereof, wherein R^2 is -OH;

each R^{3a}, R^{3b}, R^{3c} and R^{3d} is independently selected from the group consisting of H, F, Cl, Br, I, -CN, -CH_3, -CF_3, or -OCH_3;

R^4 is -C(=O)NHR^{10} or -C(=O)NH$(CH_2)_t$NHC(=O)$(CH_2)_u CH_3$; and

Z^A is -O-, -NH-, or -N(-CH_3)-

3. The compound of any one of claims 1-2, or a pharmaceutically acceptable salt thereof, wherein L^A is -L^2-L^3-L^4-L^5-L^6-L^7-;

L^2 is absent, substituted or unsubstituted -C_1-C_{20} alkylene, substituted or unsubstituted -C_1-C_{20} alkylene-NH-, substituted or unsubstituted -C_1-C_{20} alkylene-C(=O)NH-, substituted or unsubstituted -C_1-C_{20} alkylene-C(=O)NCH_3-, substituted or unsubstituted -C_1-C_{20} alkylene-NHC(=O)-, substituted or unsubstituted -C_1-C_{20} alkylene-NHC(=O)NHNH-, substituted or unsubstituted -C_1-C_{20} alkylene-NHC(=O)CH_2NH-, or -$(CH_2CH_2O)_w$-CH_2CH_2-

w is 1, 2, 3 or 4;

L^3 is absent or a natural or unnatural amino acid or peptide that is formed from two or more independently selected natural and unnatural amino acids, wherein when two or more amino acids are present then the N atom of the amide linking the amino acids is optionally substituted with -C_1-C_6 alkyl;

L^4 is absent, -C(=O)CH_2CH_2-, -$(CH_2CH_2O)_v$-CH_2CH_2-, -$(CH_2)_v$-NR^{17}-$(CH_2)_v$, -CH_2CH_2NHC(=O)-CH-CH_2CH_2C(=O)NHR^{17}, or -C_1-C_6 alkylene that is optionally substituted with 1 or 2 groups independently selected from -OR^{18} or -NR^{18a}R^{18b};

v is 1, 2, 3, 4, 5, or 6;

L^5 is absent, -C(=O)NH- or -NHC(=O);

L^6 is absent or -L^8-L^9-L^{10}-;

L^8 is absent, -$(CH_2)_r$- or -$(CH_2)_r$-C(=O)NR^{14}-;

r is 1 or 2;

L^9 is substituted or unsubstituted 4 to 6 membered heterocycloalkylene, substituted or unsubstituted C_4-C_8 cycloalkylene, or substituted or unsubstituted phenylene;

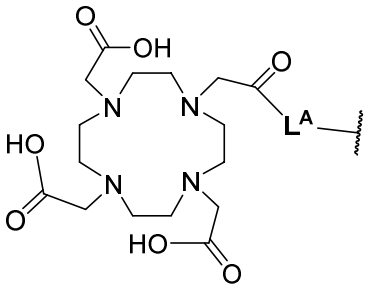
L^{10} is absent, -$(CH_2)_q$-, -NR^{15}-$(CH_2)_q$-, -NR^{15}-$(CH_2)_q$-NR^{15}- or -C(=O)NR^{15}-$(CH_2)_q$-;

R^{15} is H;

q is 1 or 2; and

L^7 is absent, -NH- or a natural or unnatural amino acid.

4. The compound of any one of claims 1-3 or a pharmaceutically acceptable salt thereof, wherein -:



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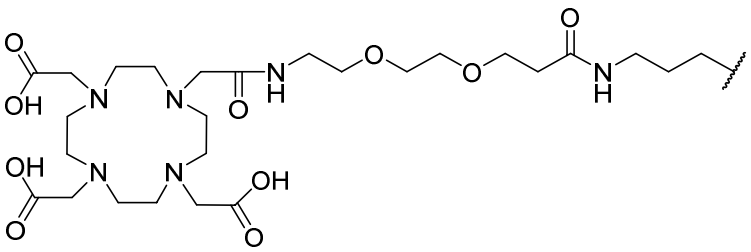

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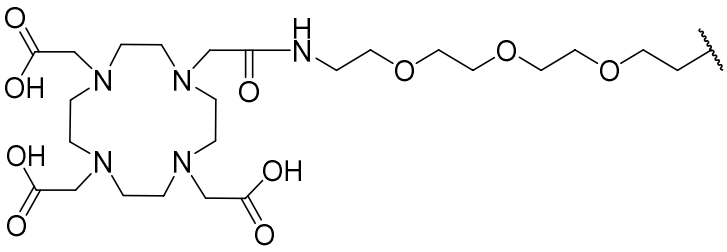

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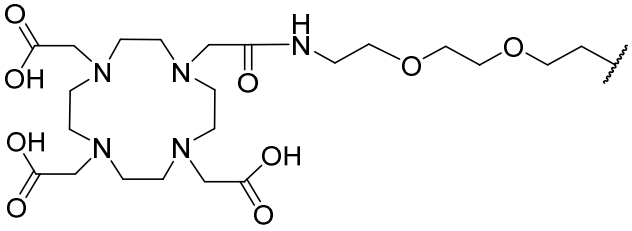

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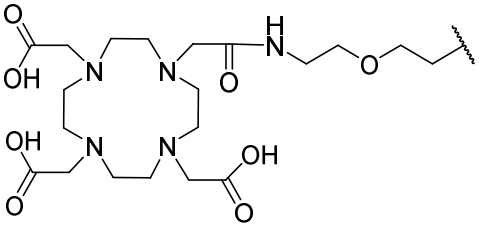

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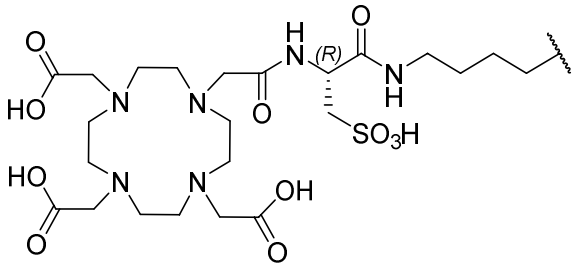
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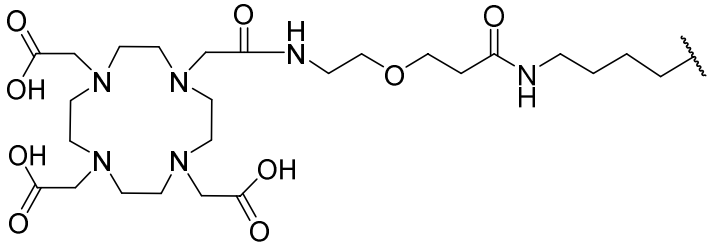
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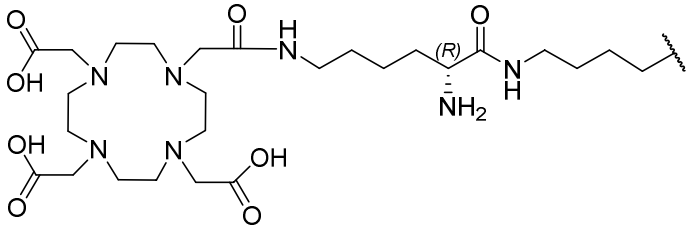
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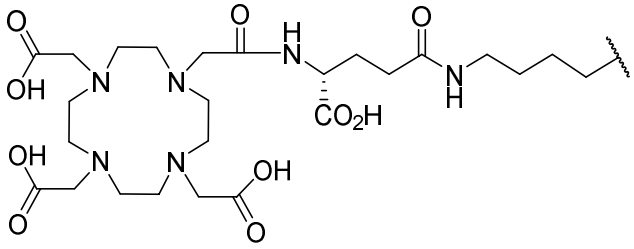
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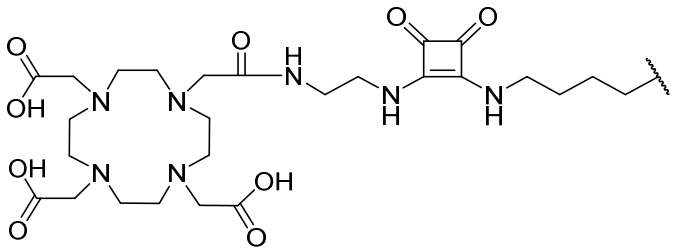
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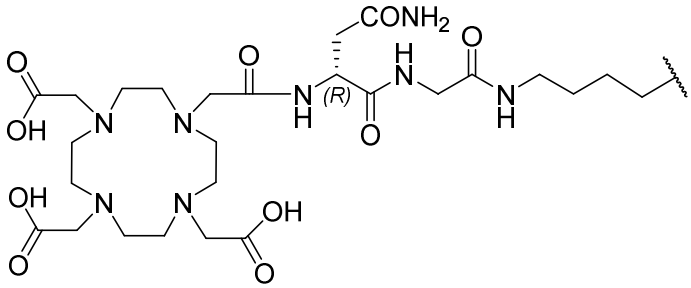
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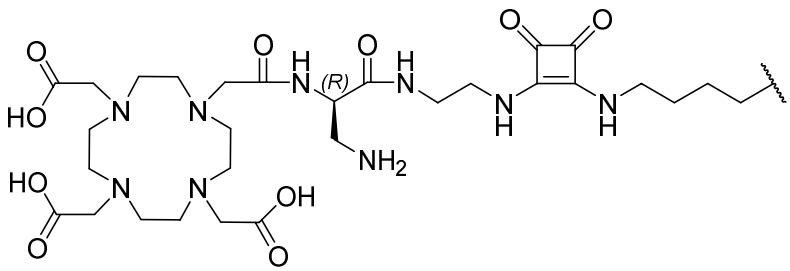
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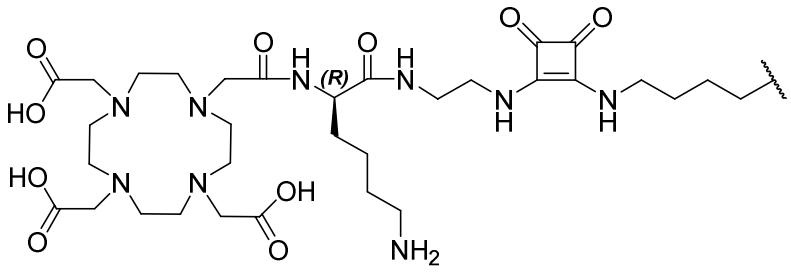

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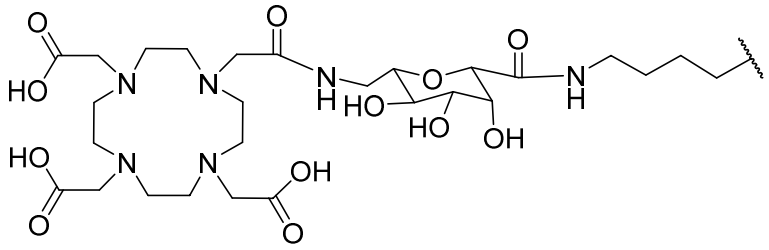

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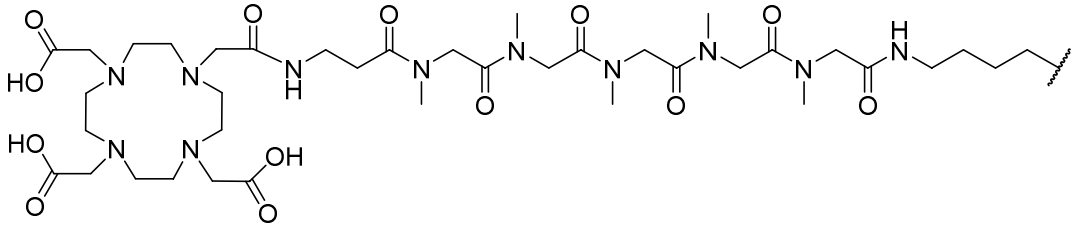

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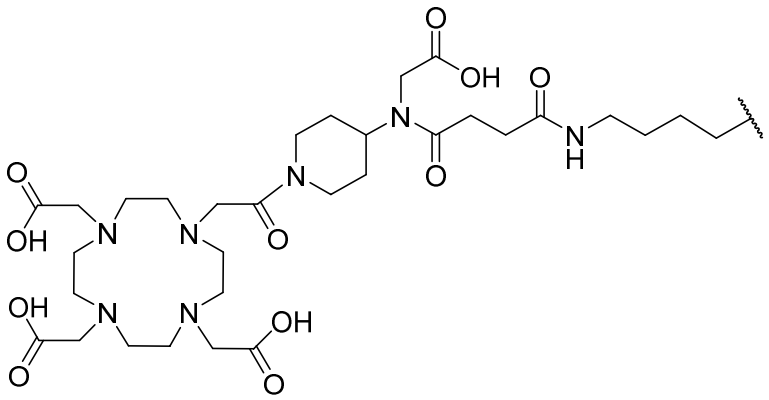

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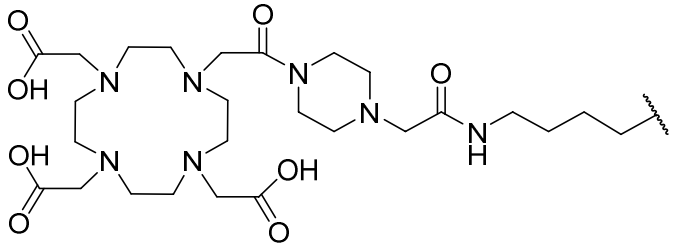

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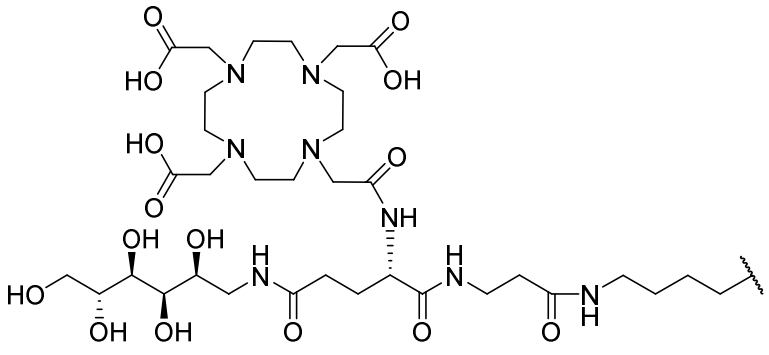

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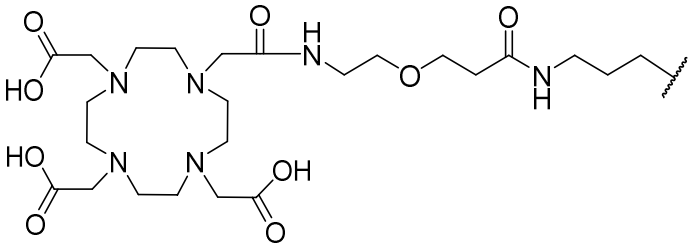

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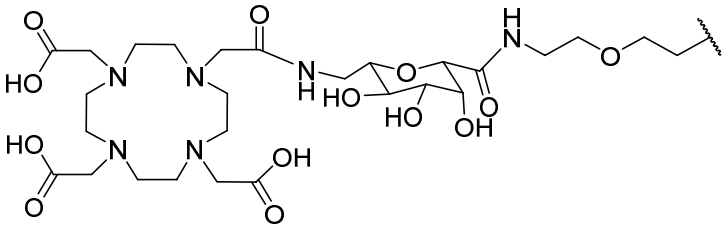

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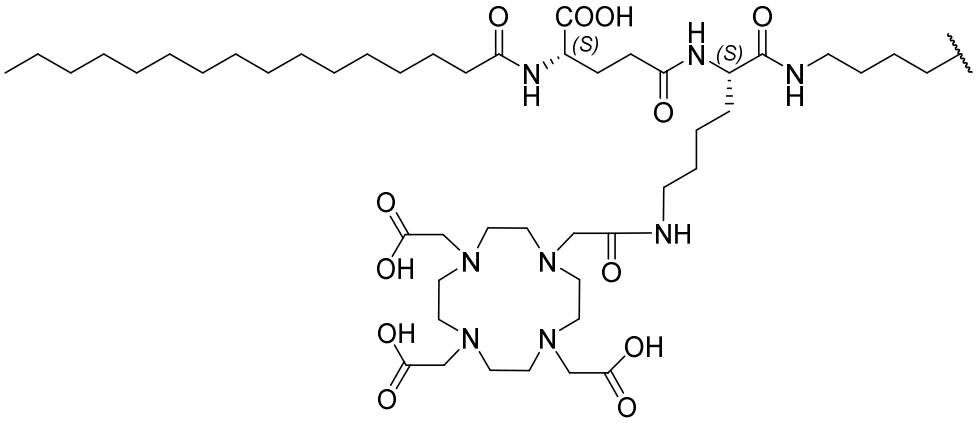

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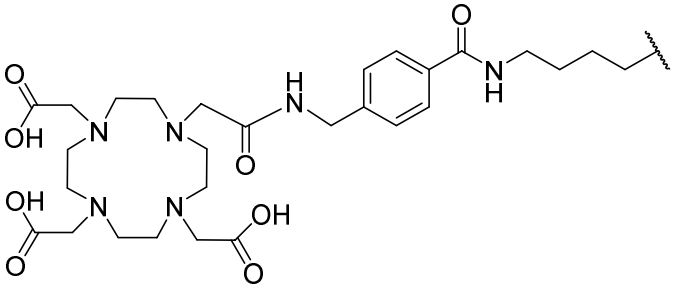

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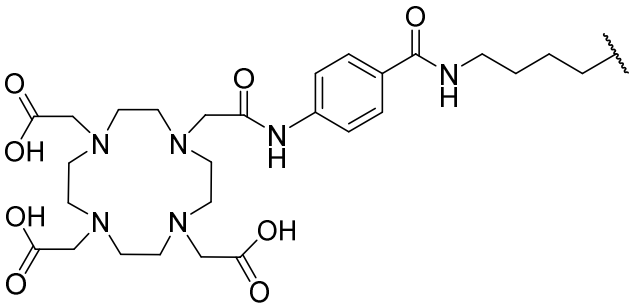

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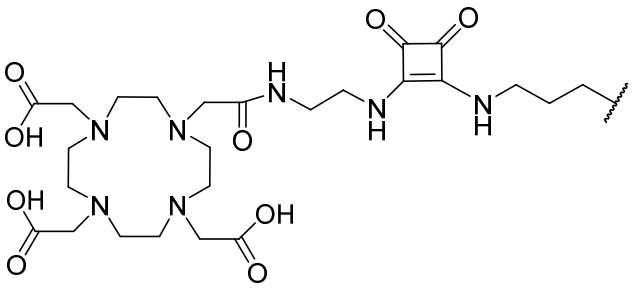

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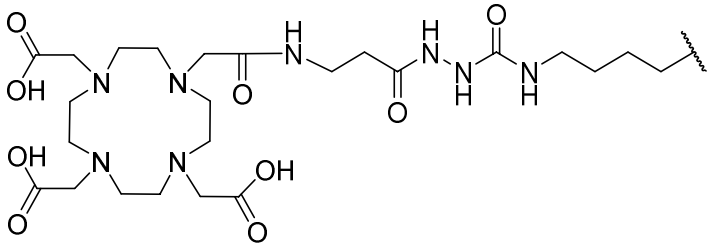

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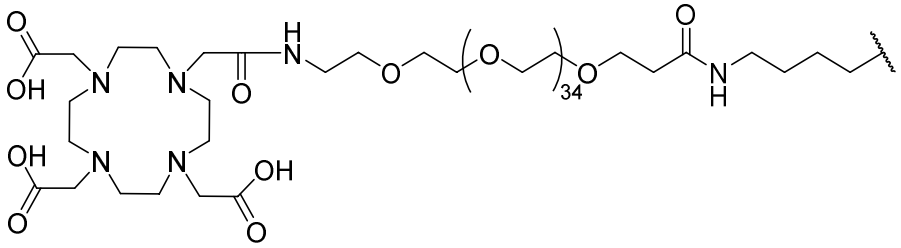

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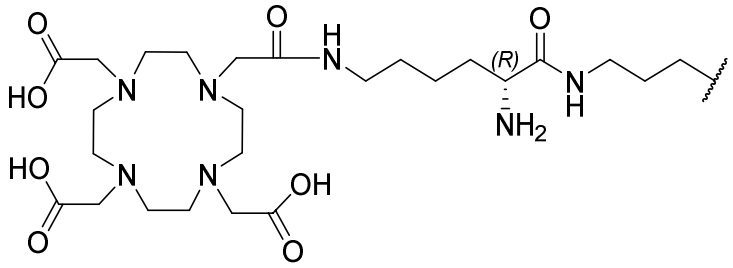

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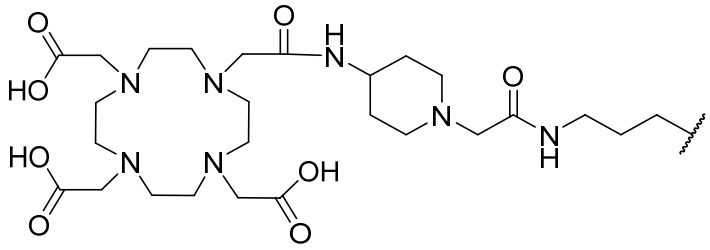

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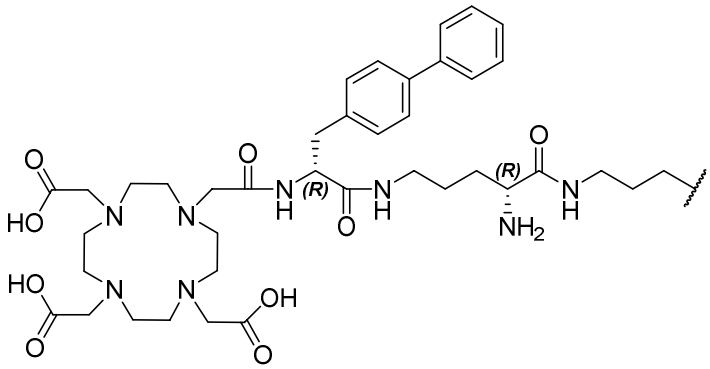

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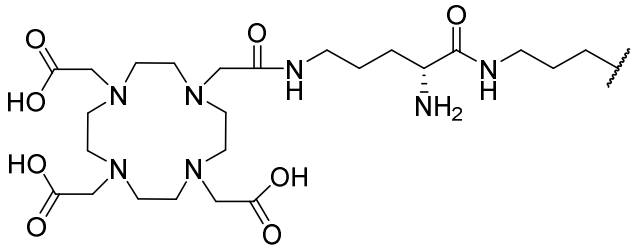

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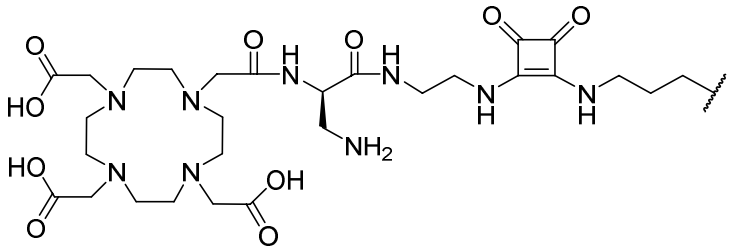

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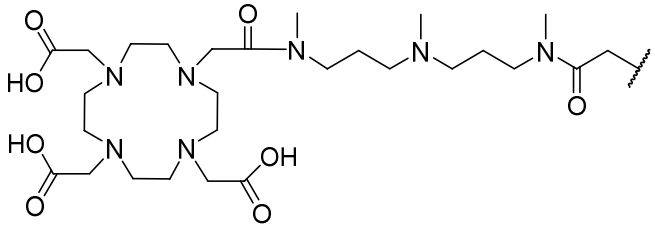

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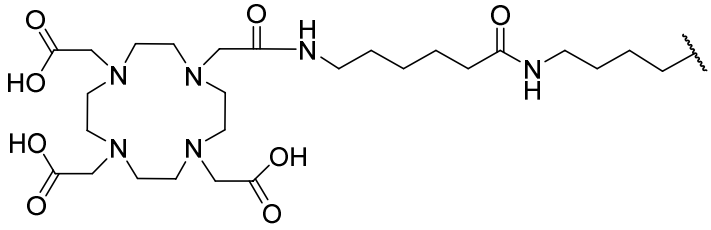

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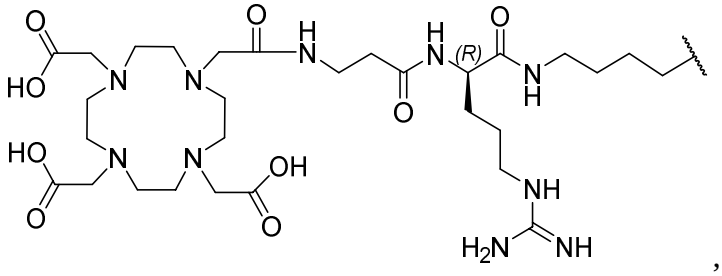

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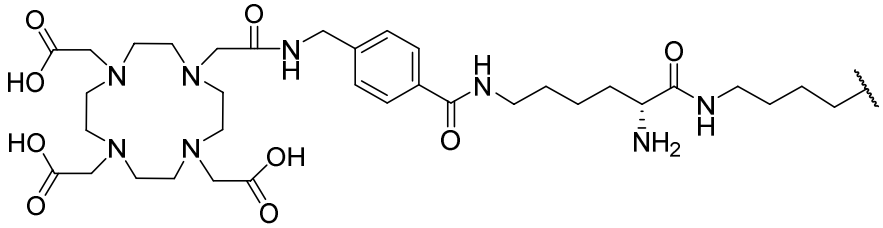

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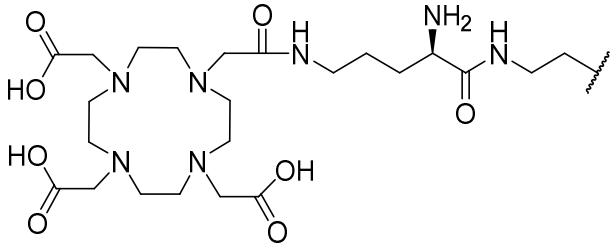

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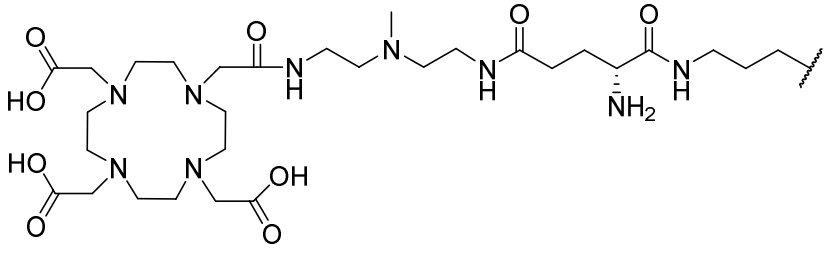

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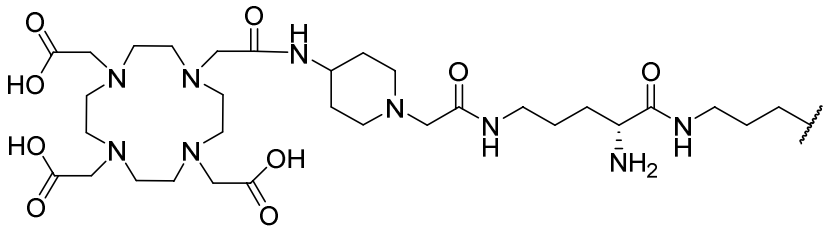

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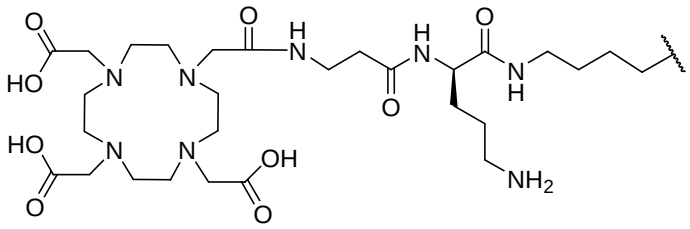

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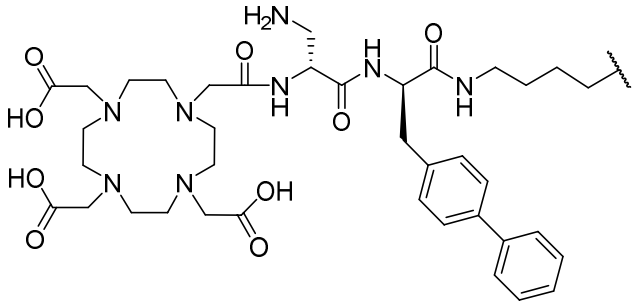

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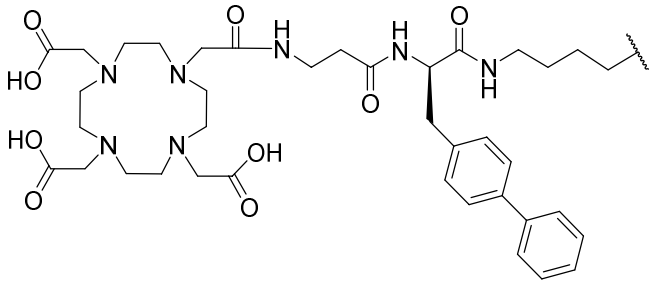

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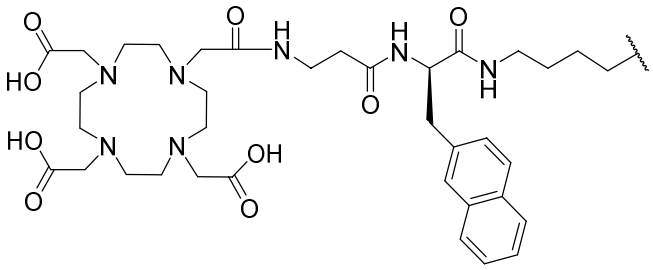

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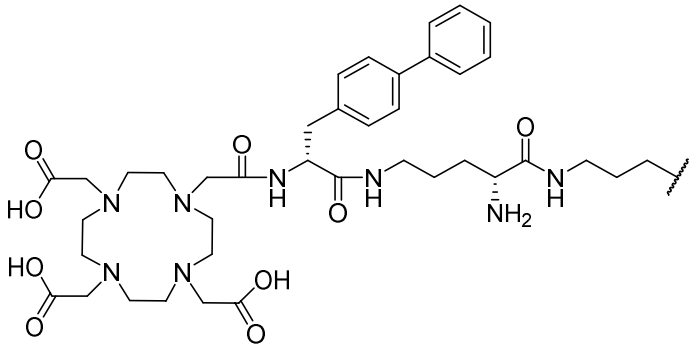

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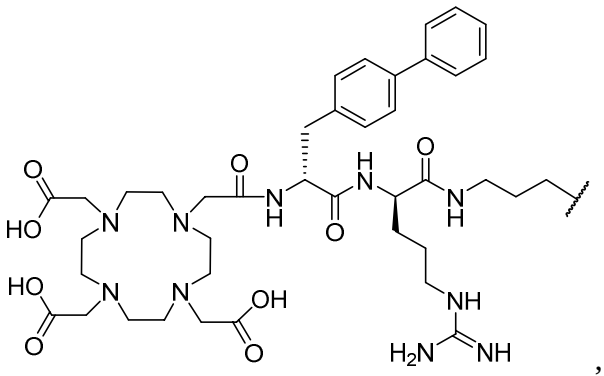
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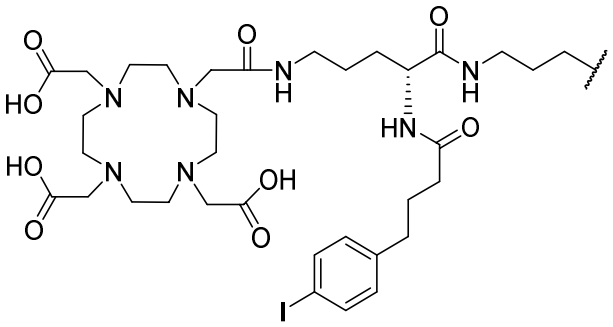
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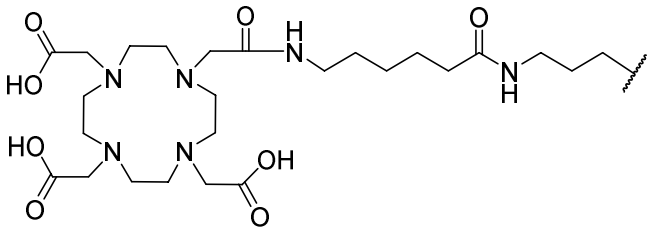
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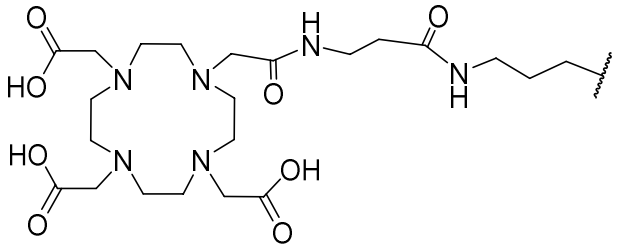
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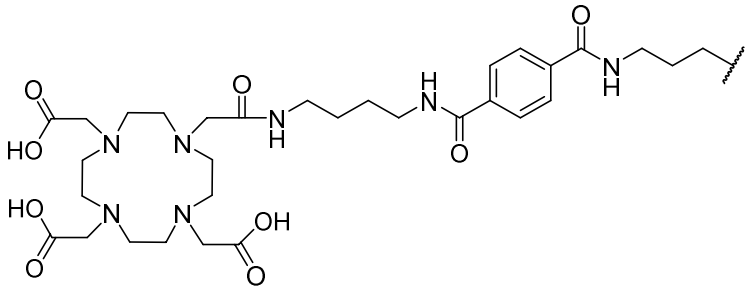
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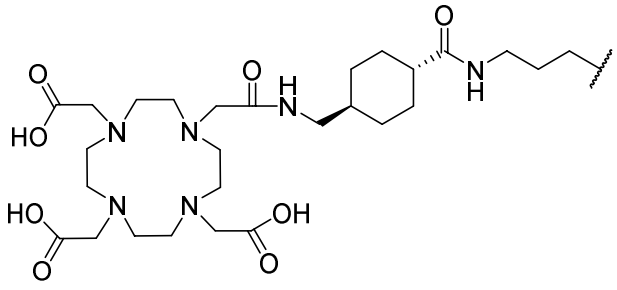
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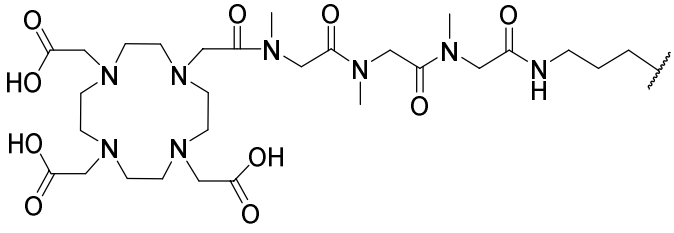
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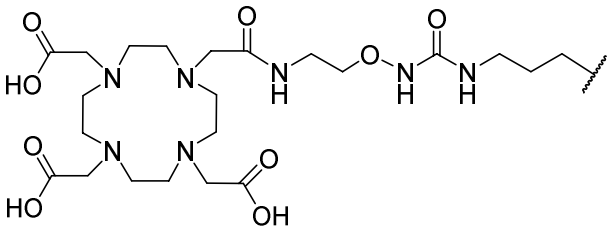
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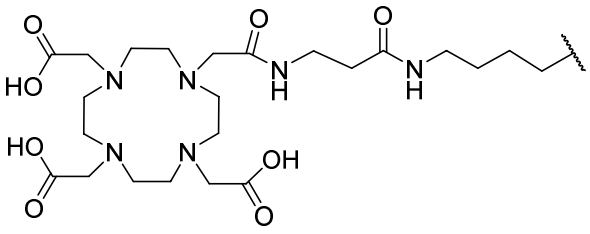
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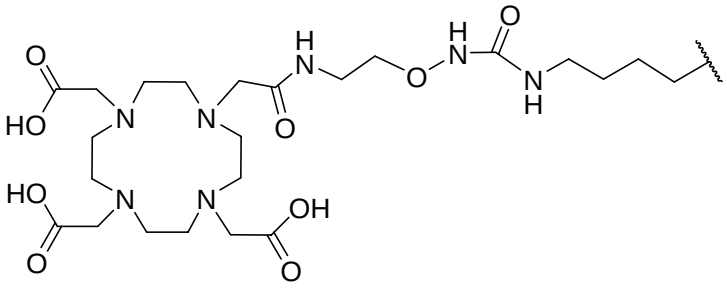
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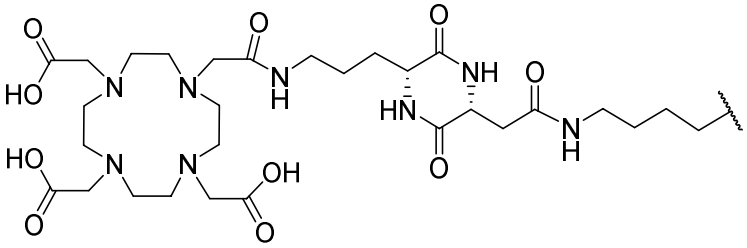
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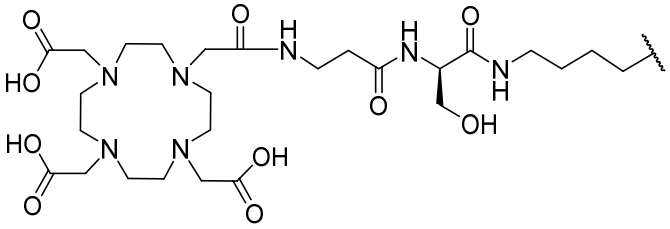
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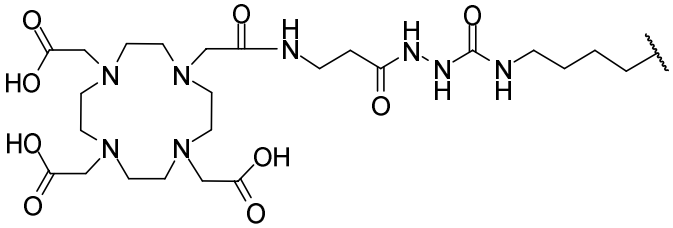
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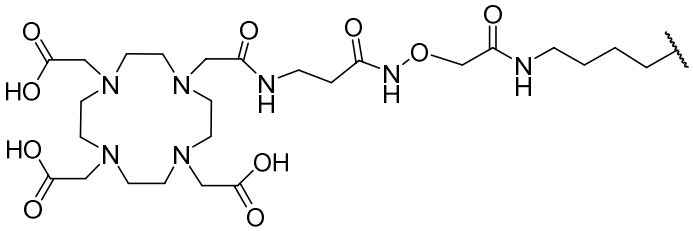
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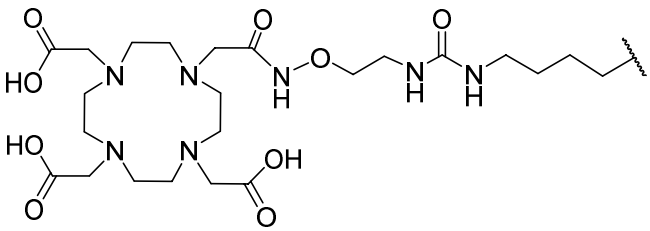
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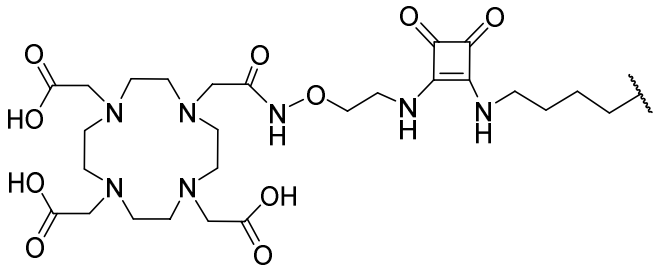

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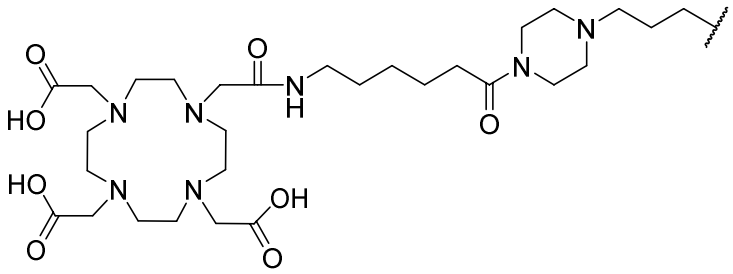

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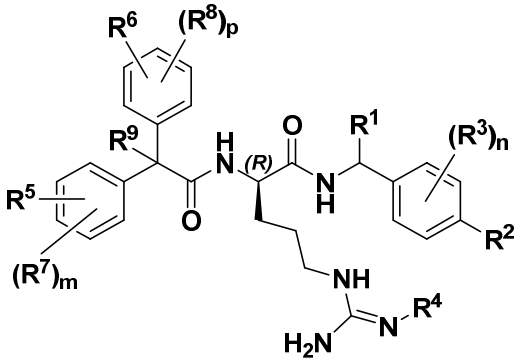
5. A compound of Formula (II), or a pharmaceutically acceptable salt thereof:



Formula (II);

wherein:

R^1 is H, -C_1-C_6 alkyl, or -C(=O)NH_2;

R^2 is -OH, -NH_2, -C(=O)NH_2, or -CH_2NHC(=O)NH_2;

each R^3 is independently selected from the group consisting of R^{3a}, R^{3b}, R^{3c}, and R^{3d};

R^{3a}, R^{3b}, R^{3c}, and R^{3d} are each independently selected from the group consisting of H, F, Cl, Br, I, -CN, substituted or unsubstituted -C_1-C_6 alkyl, and substituted or unsubstituted -C_1-C_6 alkoxy;

R^4 is H, -C(=O)R^{10}, -C(=O)NHR^{10}, or -C(=O)N(CH_3)R^{10};

R^{10} is substituted or unsubstituted -C_1-C_6 alkyl, substituted or unsubstituted 2 to 6-membered heteroalkyl, -$(CH_2)_t$-NH_2, -$(CH_2)_t$C(=O)O$(CH_2)_u$$CH_3$, -$(CH_2)_t$NHC(=O)$(CH_2)_u$$CH_3$, or -$(CH_2)_t$-substituted or unsubstituted 5 to 6 membered heteroaryl ring;

t is 1, 2, 3, 4, 5, or 6;

u is 1, 2, 3, or 4;

R^5 is absent or $-Z^B-L^B-R^B$;

Z^B is $-C_1-C_6$ alkylene, $-C_1-C_6$ alkylene-O-, $-O-C_1-C_6$ alkylene-, $-C(=O)NR^{11}-$, $-NR^{11}C(=O)-$, -O-, $-NR^{11}-$, -S-, -S(=O)-, $-SO_2-$, or -NHC(=O)NH-;

L^B is a linker;

R^B is a chelating moiety or a radionuclide complex thereof;

R^6 is $-Z^A-L^A-R^A$;

Z^A is $-C_1-C_6$ alkylene, $-C_1-C_6$ alkylene-O-, $-O-C_1-C_6$ alkylene-, $-C(=O)NR^{12}-$, $-NR^{12}C(=O)-$, -O-, $-NR^{12}-$, -S-, -S(=O)-, $-SO_2-$, or -NHC(=O)NH-;

L^A is a linker;

R^A is a chelating moiety or a radionuclide complex thereof;

each R^7 is independently selected from the group consisting of F, Cl, Br, I, -CN, -OH, substituted or unsubstituted $-C_1-C_6$ alkyl, and substituted or unsubstituted $-C_1-C_6$ alkoxy;

each R^8 is independently selected from the group consisting of F, Cl, Br, I, -CN, -OH, substituted or unsubstituted $-C_1-C_6$ alkyl, and substituted or unsubstituted $-C_1-C_6$ alkoxy;

R^9 is H, substituted or unsubstituted $-C_1-C_4$ alkyl, or substituted or unsubstituted $-C_1-C_6$ alkoxy;

each R^{11} is independently H or unsubstituted $-C_1-C_4$ alkyl;

each R^{12} is independently H or unsubstituted $-C_1-C_4$ alkyl;

n is 0, 1, 2, 3, or 4;

m is 0, 1, 2, or 3; and

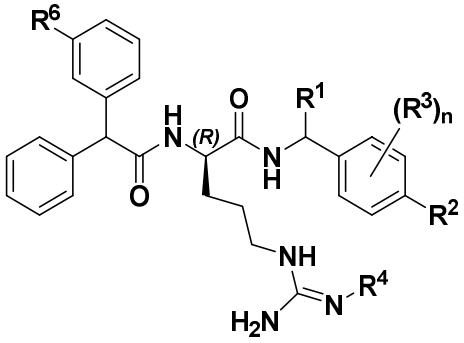
p is 0, 1, 2, or 3

wherein: R^A and R^B, if present, are independently selected from the group consisting of: 1,4,7,10-tetraazacyclododecane-1,4,7,10-tetraacetic acid (DOTA); 2,2',2''-(10-(2-amino-2-oxoethyl)-1,4,7,10-tetraazacyclododecane-1,4,7-triyl)triacetic acid (PSC); 1,4,7,10-tetraazacyclododecane-1,4,7-triacetic acid (DO3A); 1,4,7,10-tetraazacyclododecane-1,7-diacetic acid (DO2A); α,α',α'',α'''-tetramethyl-1,4,7,10-tetraazacyclododecane-1,4,7,10-tetraacetic acid (DOTMA); 1,4,7,10-tetrakis(carbamoylmethyl)-1,4,7,10-tetraazacyclododecane (DOTAM); 1,4,7,10-tetraazacyclododecane-1,4,7,10-tetrapropionic acid (DOTPA); 2,2',2''-(10-(2-amino-2-oxoethyl)-1,4,7,10-tetraazacyclododecane-1,4,7-triyl)triacetic acid; benzyl-1,4,7,10-tetraazacyclododecane-1,4,7,10-tetraacetic acid (Bn-DOTA); p-hydroxy-benzyl-1,4,7,10-tetraazacyclododecane-1,4,7,10-tetraacetic acid (p-OH-Bn-DOTA); 6,6'-

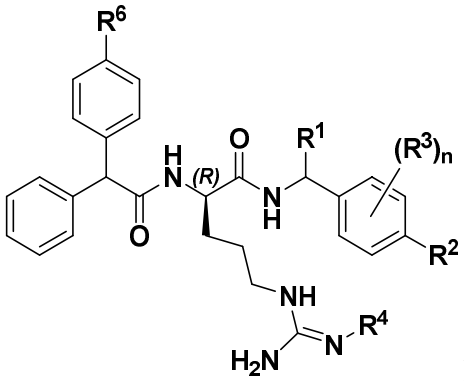
(((pyridine-2,6-diylbis(methylene))bis((carboxymethyl)azanediyl))bis(methylene))dipicolinic acid (H_4pypa); H_4pypa-benzyl; 6,6',6",6"'-(((pyridine-2,6-diylbis(methylene))bis(azanetriyl))-tetrakis(methylene))-tetrapicolinic acid (H_4py4pa); H_4py4pa-benzyl; 2,2′,2”-(1,4,7-triazacyclononane-1,4,7-triyl)triacetic acid (NOTA); 6,6'-((1,4,10,13-tetraoxa-7,16-diazacyclooctadecane-7,16-diyl)bis(methylene))dipicolinic acid (macropa); 2,2',2",2"'-(1,10-dioxa-4,7,13,16-tetraazacyclooctadecane-4,7,13,16-tetrayl)tetraacetic acid (crown); 6,6'-((ethane-1,2-diylbis((carboxymethyl)azanediyl))bis(methylene))dipicolinic acid (H_4octapa); H_4octapa-benzyl; and 3,6,9,12-tetrakis(carboxymethyl)-3,6,9,12-tetraazatetradecanedioic acid (TTHA); or a radionuclide complex thereof. 1,4,7,10-tetraazacyclododecane-1,4,7,10-tetraacetic acid (DOTA); 2,2',2"-(10-(2-amino-2-oxoethyl)-1,4,7,10-tetraazacyclododecane-1,4,7-triyl)triacetic acid (PSC); 1,4,7,10-tetraazacyclododecane-1,4,7-triacetic acid (DO3A); 1,4,7,10-tetraazacyclododecane-1,7-diacetic acid (DO2A); α,α',α",α"'-tetramethyl-1,4,7,10-tetraazacyclododecane-1,4,7,10-tetraacetic acid (DOTMA); 1,4,7,10-tetrakis(carbamoylmethyl)-1,4,7,10-tetraazacyclododecane (DOTAM); 1,4,7,10-tetraazacyclododecane-1,4,7,10-tetrapropionic acid (DOTPA); 2,2',2"-(10-(2-amino-2-oxoethyl)-1,4,7,10-tetraazacyclododecane-1,4,7-triyl)triacetic acid; benzyl-1,4,7,10-tetraazacyclododecane-1,4,7,10-tetraacetic acid (Bn-DOTA); p-hydroxy-benzyl-1,4,7,10-tetraazacyclododecane-1,4,7,10-tetraacetic acid (p-OH-Bn-DOTA); 6,6'-(((pyridine-2,6-diylbis(methylene))bis((carboxymethyl)azanediyl))bis(methylene))dipicolinic acid (H_4pypa); H_4pypa-benzyl; 6,6',6",6"'-(((pyridine-2,6-diylbis(methylene))bis(azanetriyl))-tetrakis(methylene))-tetrapicolinic acid (H_4py4pa); H_4py4pa-benzyl; 2,2′,2”-(1,4,7-triazacyclononane-1,4,7-triyl)triacetic acid (NOTA); 6,6'-((1,4,10,13-tetraoxa-7,16-diazacyclooctadecane-7,16-diyl)bis(methylene))dipicolinic acid (macropa); 2,2',2",2"'-(1,10-dioxa-4,7,13,16-tetraazacyclooctadecane-4,7,13,16-tetrayl)tetraacetic acid (crown); 6,6'-((ethane-1,2-diylbis((carboxymethyl)azanediyl))bis(methylene))dipicolinic acid (H_4octapa); H_4octapa-benzyl; and 3,6,9,12-tetrakis(carboxymethyl)-3,6,9,12-tetraazatetradecanedioic acid (TTHA); or a radionuclide complex thereof.

6. The compound of claim 5, wherein the compound has the structure of Formula (IId), or

Formula (IIe), or a pharmaceutically acceptable salt thereof:



Formula (IId) or



Formula (IIe).

7. The compound of claim 5, or a pharmaceutically acceptable salt thereof, wherein

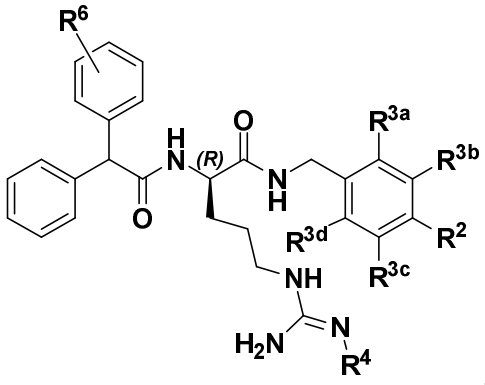
R^5 is absent;

R^1 is H.

n is 0, 1 or 2;

m is 0; and p is 0.

8. The compound of claim 5, wherein the compound has the following structure, or a pharmaceutically acceptable salt thereof:



,

wherein each R^{3a}, R^{3b}, R^{3c} and R^{3d} is independently selected from the group consisting of H, F, Cl, Br, I, -CN, substituted or unsubstituted -C_1-C_6 alkyl, and substituted or unsubstituted -C_1-C_6 alkoxy.

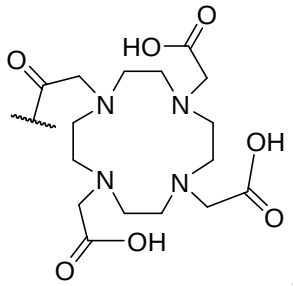
9. The compound of claim 6, wherein each R^{3a}, R^{3b}, R^{3c} and R^{3d} is independently selected

from the group consisting of H, F, Cl, Br, I, -CN, -CH_3, -CF_3, or -OCH_3.

10. The compound of any one of claims 5-9, or a pharmaceutically acceptable salt thereof, wherein R^2 is -OH;

R^4 is -C(=O)NHR^{10} or -C(=O)NH$(CH_2)_t$NHC(=O)$(CH_2)_u CH_3$.

11. The compound of any one of claims 5-10, or a pharmaceutically acceptable salt thereof, wherein R^A and R^B, if present, are independently selected from the group consisting of: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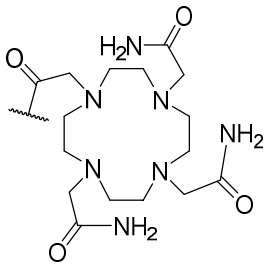
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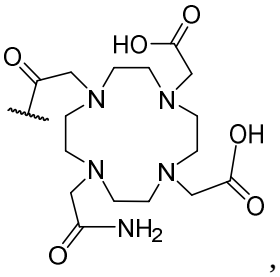
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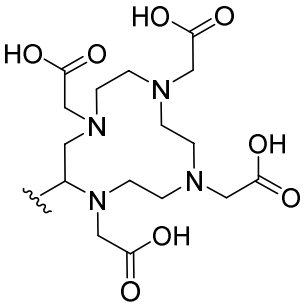
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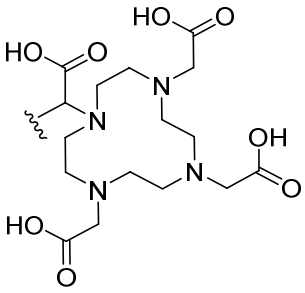
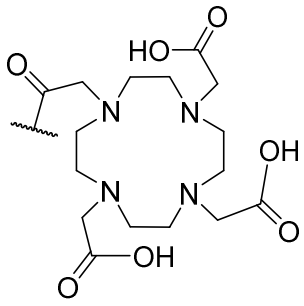

; or a radionuclide complex thereof.

12. The compound of any one of claims 5-10, or a pharmaceutically acceptable salt thereof, wherein R^A and R^B, if present, are

; or a radionuclide complex thereof.

13. The compound of any one of claims 5-12, or a pharmaceutically acceptable salt thereof, wherein L^A and L^B, if present, are independently selected from: -L^2-, -L^3-, -L^4-, -L^5-, -L^6-, -L^7-, -L^2-L^3-, -L^2-L^4-, -L^2-L^6-, -L^2-L^7-, -L^4-L^6-, -L^4-L^7-, -L^6-L^7-, -L^2-L^3-L^7-, -L^2-L^4-L^7-, -L^2-L^5-L^7-, -L^2-L^6-L^7-, -L^3-L^4-L^7-, -L^4-L^5-L^7-, -L^2-L^3-L^4-L^7-, -L^2-L^4-L^5-L^7-, -L^4-L^5-L^6-L^7-, -L^2-L^4-L^5-L^6-L^7-, or -L^2-L^3-L^4-L^5-L^6-L^7-;

L^2 is absent, substituted or unsubstituted -C_1-C_{20} alkylene, substituted or unsubstituted -C_1-C_{20} alkylene-NR^{16}-, substituted or unsubstituted -C_1-C_{20} alkylene-C(=O)-, substituted or unsubstituted -C_1-C_{20} alkylene-C(=O)NR^{16}-, substituted or

unsubstituted -C_1-C_{20} alkylene-$NR^{16}C(=O)$-, substituted or unsubstituted -C_1-C_{20} alkylene-$NR^{16}C(=O)NR^{16}NH$-, substituted or unsubstituted -C_1-C_{20} alkylene-$C(=O)NR^{16}CH_2NR^{16}$-, substituted or unsubstituted -C_1-C_{20} alkylene-$NR^{16}C(=O)CH_2NR^{16}$-, substituted or unsubstituted 2 to 20 membered heteroalkylene, -$(CH_2CH_2O)_z$-, -$(OCH_2CH_2)_z$-, -$(CH_2CH_2O)_w$-CH_2CH_2-, -$CH_2CH_2NR^{16}$-$(CH_2CH_2O)_w$-, -$(CH_2CH_2O)_w$-$CH_2CH_2NR^{16}$-, -$CH_2CH_2NHC(=O)$-$(CH_2CH_2O)_w$, -$(CH_2CH_2O)_w$-$CH_2CH_2NR^{16}C(=O)$-, -$CH_2CH_2C(=O)NR^{16}$-$(CH_2CH_2O)_w$-, -$CH_2CH_2NR^{16}C(=O)CH_2$-$(OCH_2CH_2)_w$ or -$(CH_2CH_2O)_w$-$CH_2CH_2C(=O)NR^{16}$-;

each R^{16} is independently selected from H and C_1-C_4 alkyl;

w is 1, 2, 3, 4, 5, or 6;

z is 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10;

L^3 is absent or a natural or unnatural amino acid or peptide that is formed from two or more independently selected natural and unnatural amino acids, wherein when two or more amino acids are present then the N atom of the amide linking the amino acids is optionally substituted with -C_1-C_6 alkyl;

L^4 is absent, substituted or unsubstituted 2 to 10-membered heteroalkylene, -CH_2-$(OCH_2CH_2)_v$-, -$(CH_2CH_2O)_v$-CH_2CH_2-, -$(CH_2CH_2O)_vCH_2CH_2NR^{17}C(=O)(CH_2CH_2O)_vCH_2CH_2$-, -$(CH_2CH_2O)_vCH_2CH_2C(=O)NR^{17}(CH_2CH_2O)_vCH_2CH_2$-, -$C(=O)CH_2CH_2$-, -$CH_2CH_2C(=O)$-, -$CH_2CH_2NHC(=O)$-CH-$CH_2CH_2C(=O)NHR^{17}$, -$(CH_2)_v$-$NR^{17}$-$(CH_2)_v$, -$NHC(=O)NH$-O-$(CH_2)_v$-, -$NHC(=O)NH$-$(CH_2)_v$-, -$NHC(=O)NH$-NH-$C(=O)(CH_2)_v$-, -$NHC(=O)CH_2$-O-NH-$C(=O)(CH_2)_v$-, or -$C_1$-$C_6$ alkylene that is optionally substituted with 1 or 2 groups independently selected from -OR^{18}, -$NR^{18a}R^{18b}$, -$C(=O)OR^{18}$, -$O(CH_2CH_2O)_s$-CH_3, -$NR^{18}(CH_2CH_2O)_s$-CH_3, -$NR^{18}C(=O)(CH_2CH_2O)_s$-$CH_3$, -$CH_2OCH_2CH_2CO_2R^{18}$, or -$NR^{18}C(=O)CH_2CH_2CH(COOH)NR^{18}C(=O)$-$(CH_2)_sCH_3$;

each R^{17} is independently H, -C_1-C_6 alkyl, or a sugar alcohol or derivative thereof;

each R^{18} is independently H, -C_1-C_6 alkyl, or a sugar alcohol or derivative thereof;

each R^{18a} is independently H, -C_1-C_6 alkyl, or a sugar alcohol or derivative thereof;

each R^{18b} is independently H, -C_1-C_6 alkyl, -$C(=O)(CH_2)_x$-4-iodophenyl, -$C(=O)(CH_2)_x$-4-methylphenyl, or a sugar alcohol or derivative thereof;

each x is independently 1, 2, 3 or 4;

v is an integer from 1 to 40; s is an integer from 1 to 20;

L^5 is absent, -O-, -S-, -S(=O)-, -$S(=O)_2$, -NR^{13}-, -CH(=NH)-, -CH(=N-NH)-, -

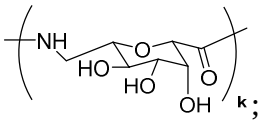
$CCH_3(=NH)$-, $-CCH_3(=N-NH)$-, $-C(=O)NR^{13}$-, $-NR^{13}C(=O)$, $-NR^{13}C(=O)O$-, $-NR^{13}C(=O)NR^{13}$-, or $-OC(=O)NR^{13}$-;

each R^{13} is independently selected from H and $-C_1$-C_4 alkyl;

L^6 is absent or $-L^8$-L^9-L^{10}-;

L^8 is absent, $-(CH_2)_r$-, $-NR^{14}$-, $-NR^{14}$-$(CH_2)_r$-, $-(CH_2)_r$-$C(=O)$-, $-C(=O)$-$(CH_2)_r$-, $-(CH_2)_r$-NR^{14}-, $-(CH_2)_r$-$NR^{14}C(=O)$-, $-(CH_2)_r$-$C(=O)NR^{14}$-, $-CH(NHR^{14})$-$(CH_2)_r$-$C(=O)$-, $-NR^{14}C(=O)$-$(CH_2)_r$-, and $-C(=O)NR^{14}$-$(CH_2)_r$-;

r is 0, 1, 2, or 3;

L^9 is substituted or unsubstituted cycloalkylene, substituted or unsubstituted heterocycloalkylene, substituted or unsubstituted arylene, substituted or unsubstituted heteroarylene, monosaccharide or 

;

k is 1, 2, 3, or 4;

L^{10} is absent, $-(CH_2)_q$-, $-NR^{15}$-, $-NR^{15}$-$(CH_2)_q$-, $-(CH_2)_q$-$C(=O)$-, $-C(=O)$-$(CH_2)_q$-, $-(CH_2)_q$-NR^{15}-, $-NR^{15}$-$(CH_2)_q$-NR^{15}-, $-(CH_2)_q$-$NR^{15}C(=O)$-, $-(CH_2)_q$-$C(=O)NR^{15}$-, $-CH(NHR^{15})$-$(CH_2)_q$-$C(=O)$-, $-NR^{15}C(=O)$-$(CH_2)_q$-, or $-C(=O)NR^{15}$-$(CH_2)_q$-;

q is 0, 1, 2, 3, 4, 5 or 6;

R^{14} and R^{15} are each independently selected from H, $-C_1$-C_6 alkyl, $-C_1$-C_6 alkyl-$C(=O)OH$, $-(CH_2CH_2O)_p$-CH_3, $-C(=O)$-$(CH_2CH_2O)_p$-CH_3, or $-(CH_2CH_2O)_p$-$CH_2CH_2C(=O)OH$;

p is 1, 2, 3, 4, 5, or 6; and

L^7 is absent, -NH-, $-N(CH_3)$-, -O-NH-, substituted or unsubstituted *N*-heterocycloalkylene, or -O-NH=(substituted or unsubstituted *N*-heterocycloalkylene), or a natural or unnatural amino acid.

14. The compound of claim 13, or a pharmaceutically acceptable salt thereof, wherein L^2 is absent substituted or unsubstituted $-C_1$-C_{20} alkylene, substituted or unsubstituted $-C_1$-C_{20} alkylene-NH-, substituted or unsubstituted $-C_1$-C_{20} alkylene-$C(=O)NH$-, substituted or unsubstituted $-C_1$-C_{20} alkylene-$C(=O)NCH_3$-, substituted or unsubstituted $-C_1$-C_{20} alkylene-$NHC(=O)$-, substituted or unsubstituted $-C_1$-C_{20} alkylene-$NHC(=O)NHNH$-, or substituted or unsubstituted $-C_1$-C_{20} alkylene-$NHC(=O)CH_2NH$-, or $–(CH_2CH_2O)_w$-CH_2CH_2-.

L^3 is absent

L^4 is absent, $-C(=O)CH_2CH_2$-, $-(CH_2CH_2O)_v$-CH_2CH_2-, $–(CH_2)_v$-NR^{17}-$(CH_2)_v$-, -

$CH_2CH_2NHC(=O)$-CH-$CH_2CH_2C(=O)NHR^{17}$ or -C_1-C_6 alkylene, wherein v is 1, 2, 3, 4, 5, or 6;

L^5 is absent, -C(=O)NH- or -NHC(=O)-.

L^6 is absent or -L^8-L^9-L^{10}-;

L^8 is absent, -$(CH_2)_r$-, or -$(CH_2)_r$-$C(=O)NR^{14}$-;

r is 1 or 2.

L^9 is substituted or unsubstituted 4 to 6 membered heterocycloalkylene, substituted or unsubstituted C_4-C_8 cycloalkylene, or substituted or unsubstituted phenylene;

L^{10} is absent, -$(CH_2)_q$-,.-NR^{15}-$(CH_2)_q$- or -NR^{15}-$(CH_2)_q$-NR^{15}-, or -$C(=O)NR^{15}$-$(CH_2)_q$-.

R^{15} is H,

q is 1 or 2; and

L^7 is absent, -NH- or a natural or unnatural amino acid.

15. The compound of any one of claims 5-12, or a pharmaceutically acceptable salt thereof, wherein -L^A-R^A is -L^2-L^4-L^7-R^A;

L^2 is unsubstituted -C_1-C_6 alkylene-$C(=O)NCH_3$-, unsubstituted -C_1-C_6 alkylene-NHC(=O)-, unsubstituted -C_1-C_6 alkylene-NHC(=O)NHNH-, or -C_1-C_6 alkylene that is optionally substituted with 1 -$NR^{18a}R^{18b}$;

L^4 is -$(CH_2CH_2O)_v$-CH_2CH_2-, -$C(=O)CH_2CH_2$, -$(CH_2)_v$-NR^{17}-$(CH_2)_v$, -NHC(=O)NH-O-$(CH_2)_v$-,-NHC(=O)NH-$(CH_2)_v$-, -NHC(=O)NH-NH-C(=O)$(CH_2)_v$-, -NHC(=O)CH_2-O-NH-C(=O)$(CH_2)_v$-, or an optionally substituted -C_1-C_6 alkylene; and

L^7 is -NH- or -O-NH-; or

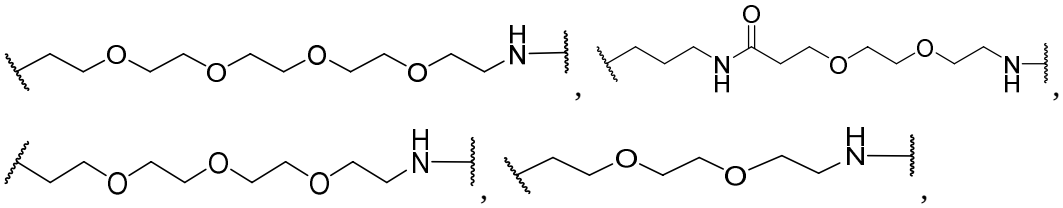
-L^A-R^A is -L^2-L^6-L^7-R^A;

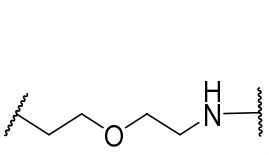
L^2 is unsubstituted -C_1-C_6 alkylene, unsubstituted -C_1-C_6 alkylene-NH-, or unsubstituted -C_1-C_6 alkylene-NHC(=O)-;

L^6 is -L^8-L^9-L^{10}-; and

L^7 is -NH-, -O-NH-, or a natural or unnatural amino acid.

16. The compound of any one of claims 5-12, or a pharmaceutically acceptable salt thereof, wherein -L^A- and -L^B-, if present, are each independently:

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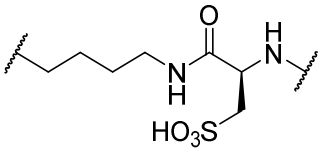
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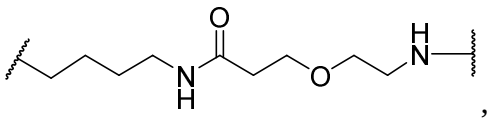
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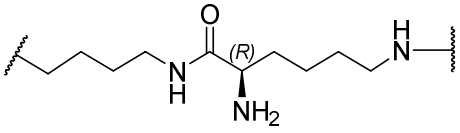
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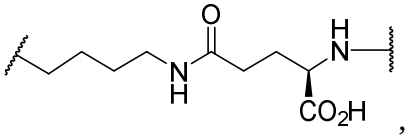
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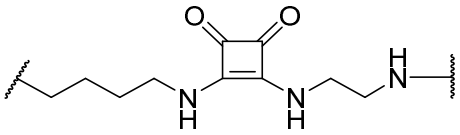
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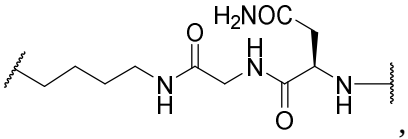
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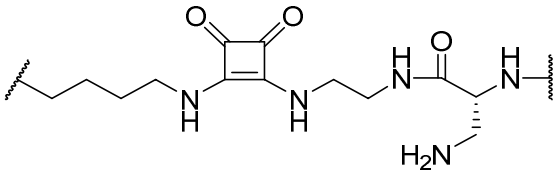
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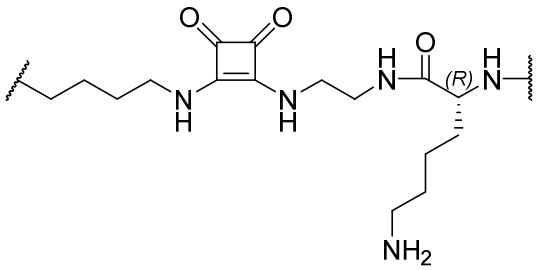
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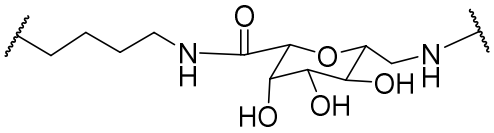
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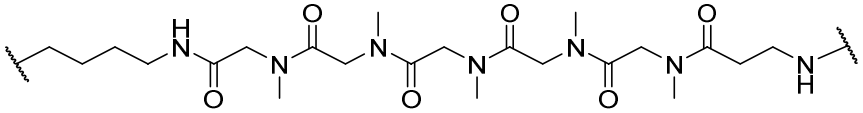
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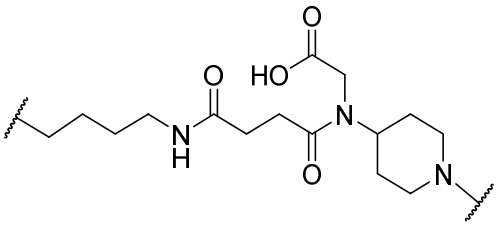
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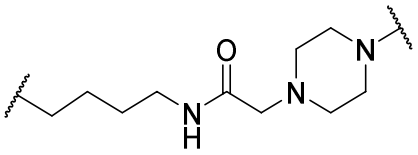
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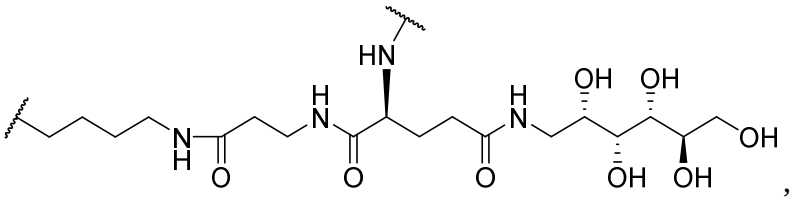
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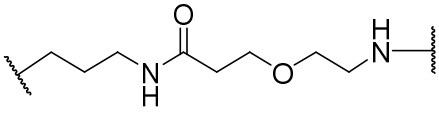
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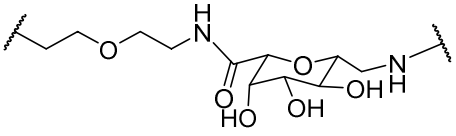
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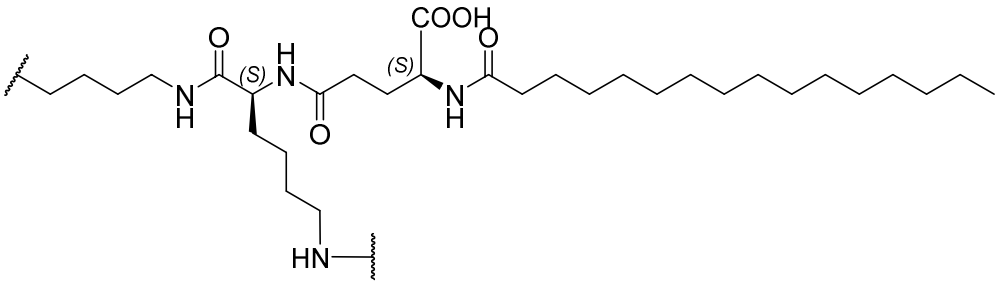
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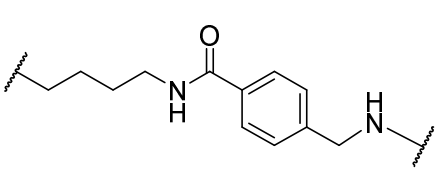
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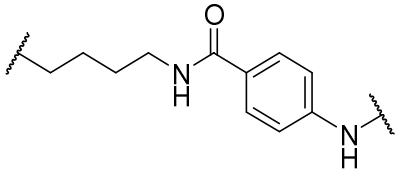
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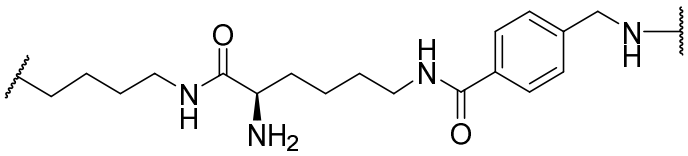
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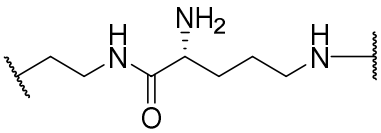
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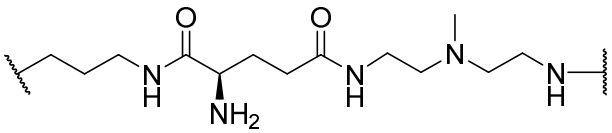
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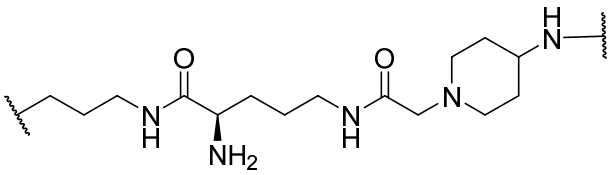
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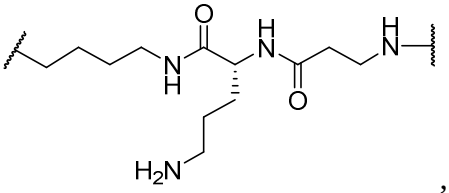
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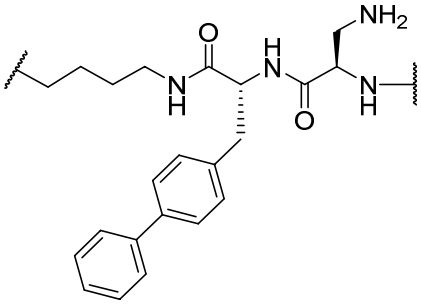
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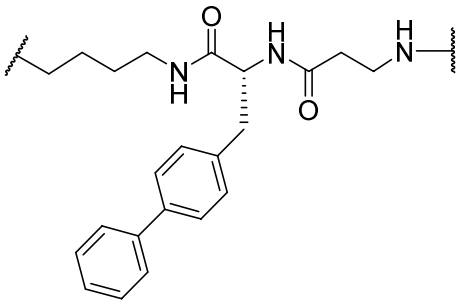
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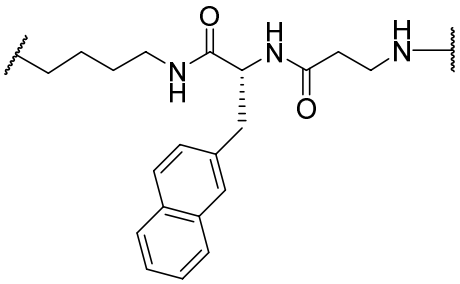
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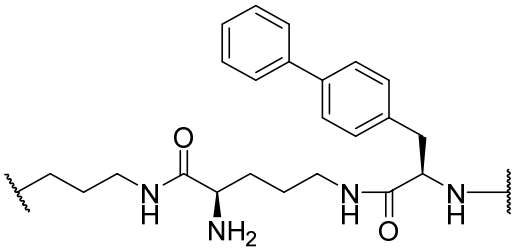
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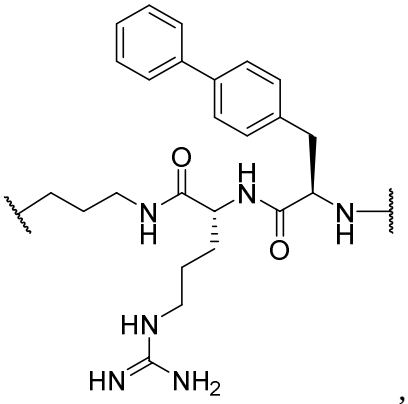
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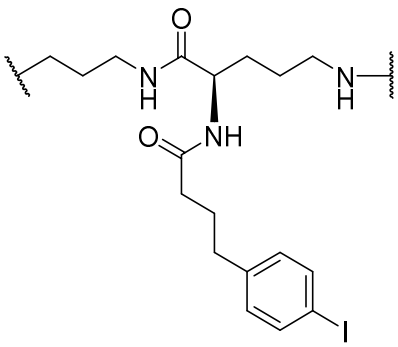
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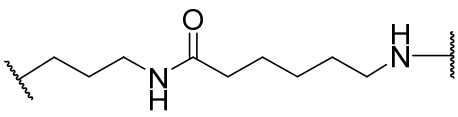
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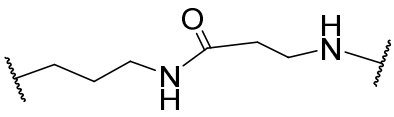
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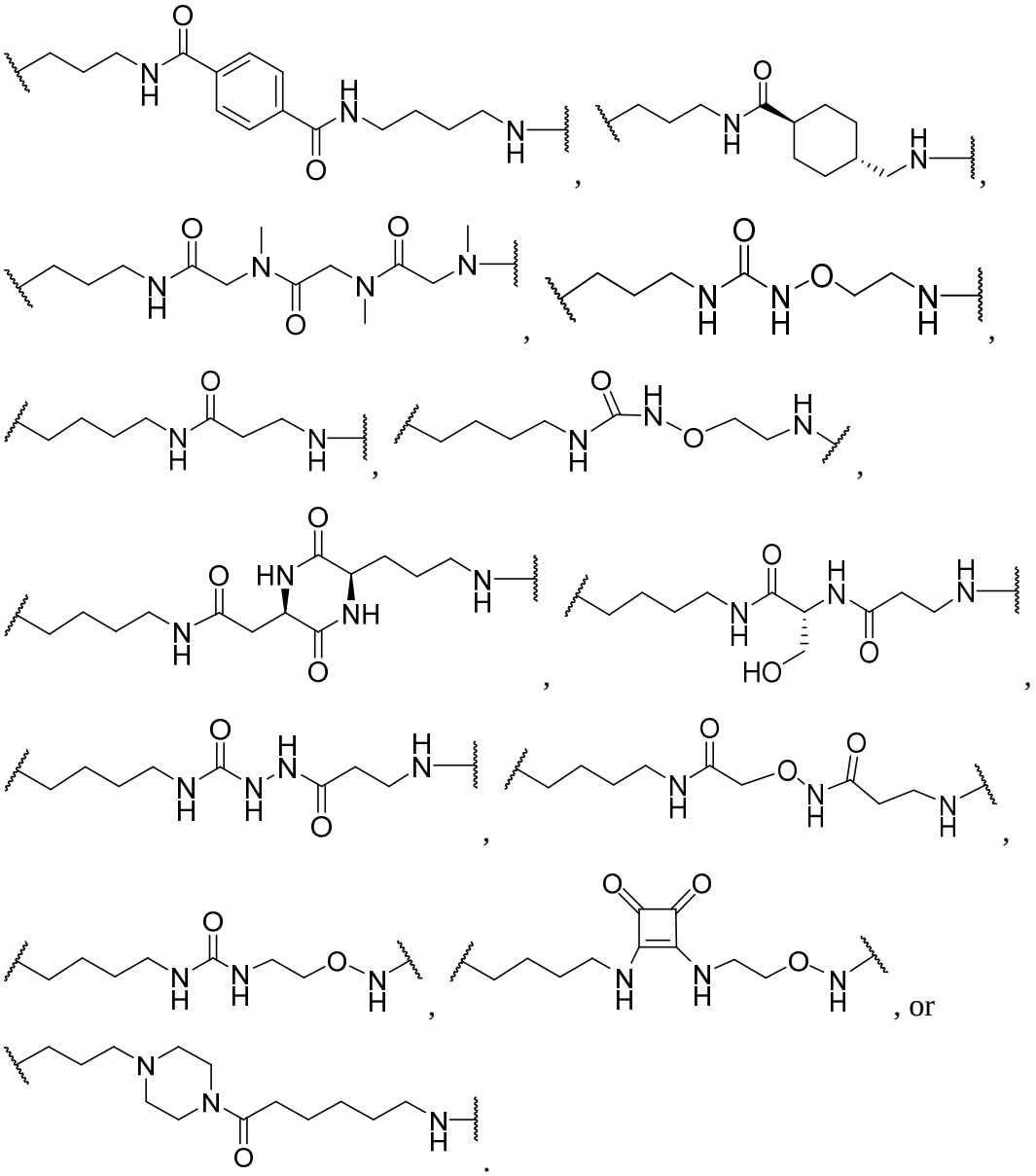
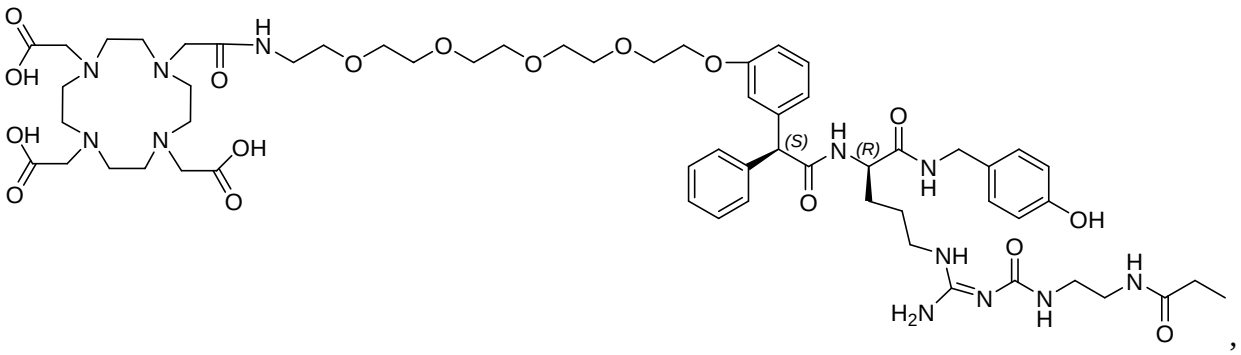
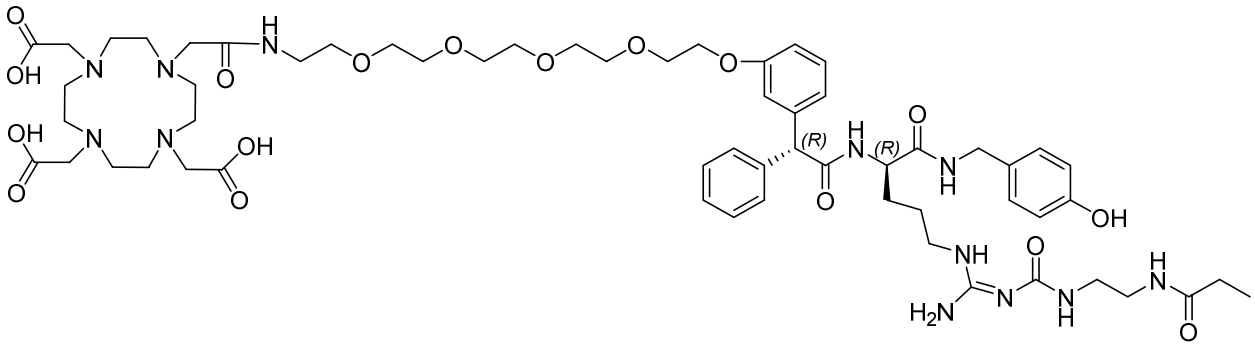


17. The compound of claim 5, or a pharmaceutically acceptable salt thereof, wherein the compound of Formula (II) has one of the following structures, or a pharmaceutically acceptable salt thereof:





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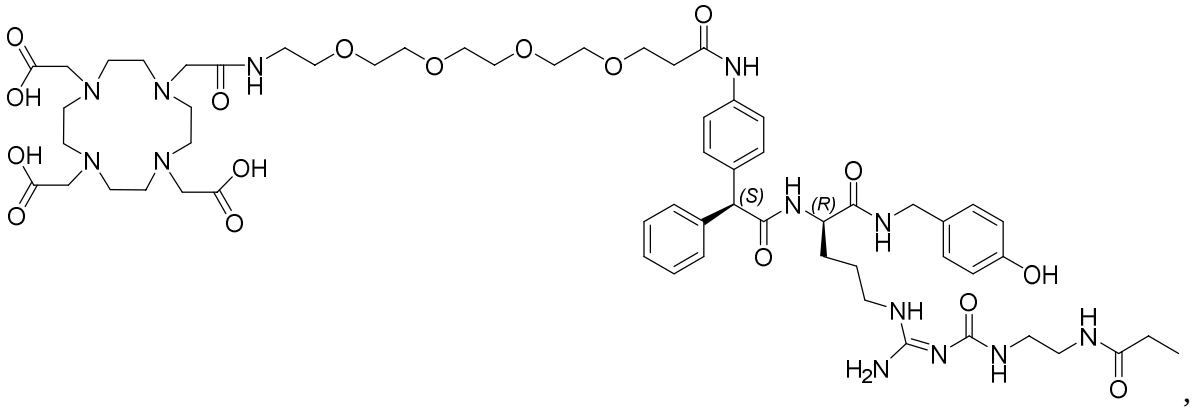

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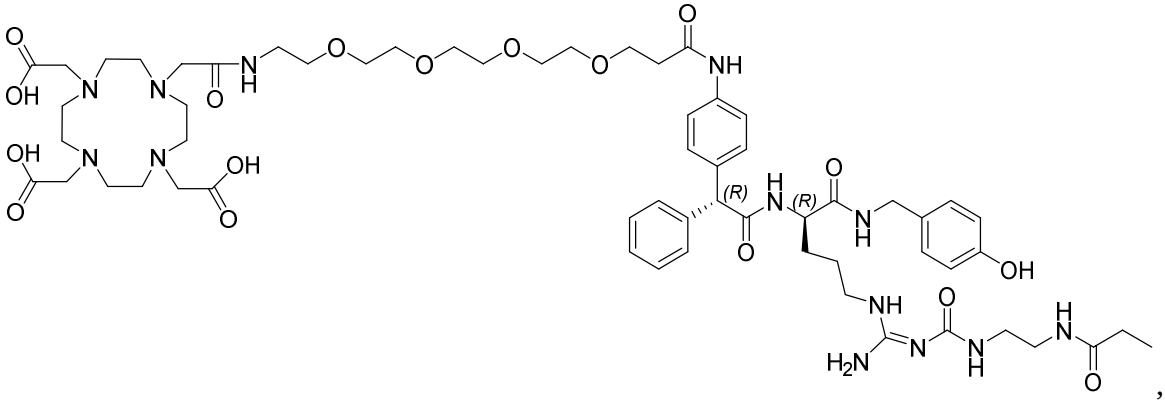

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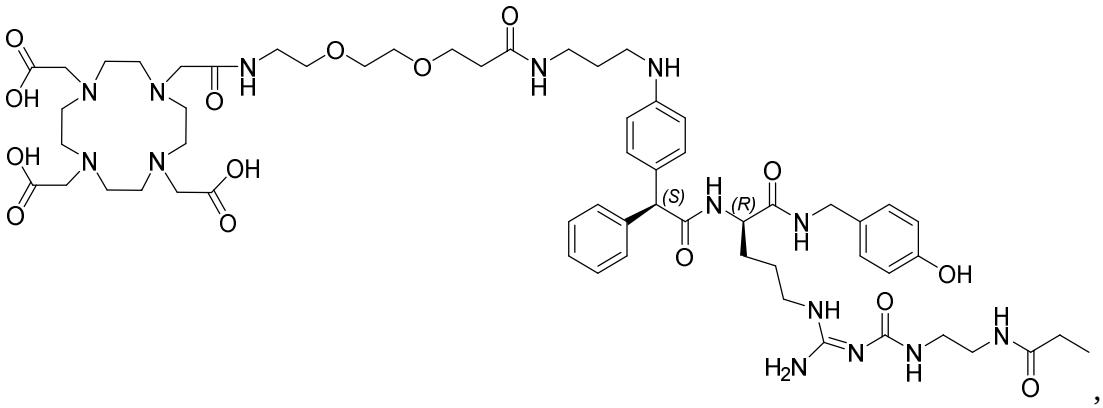

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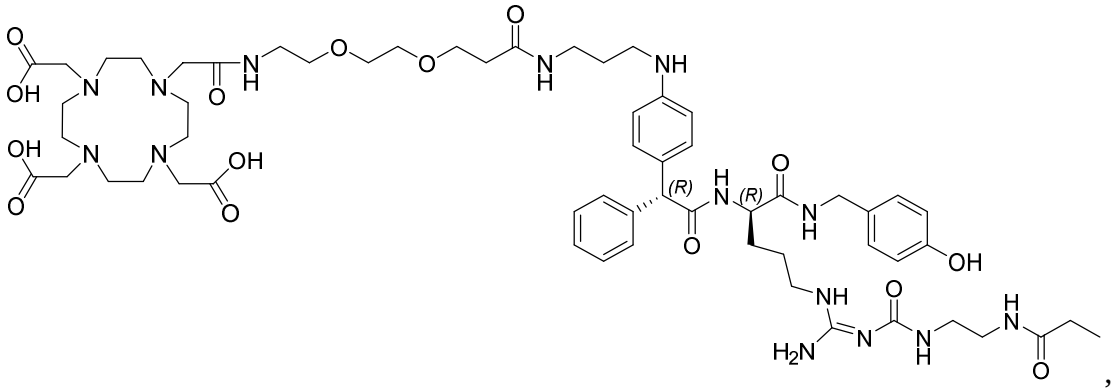

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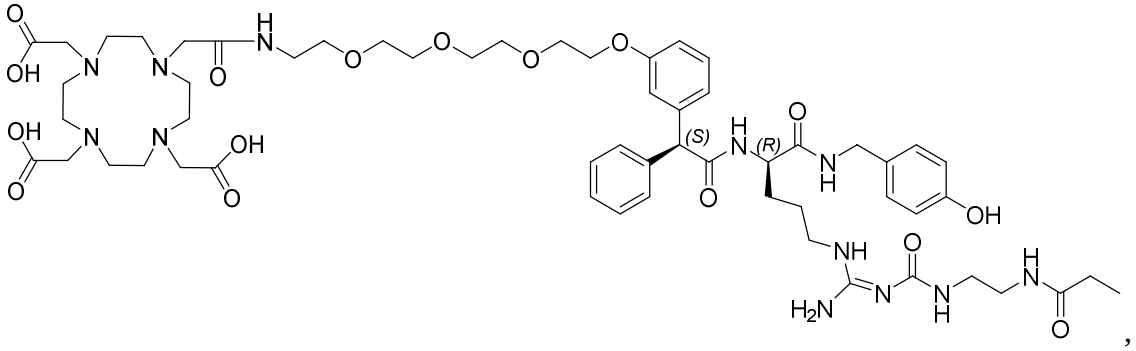

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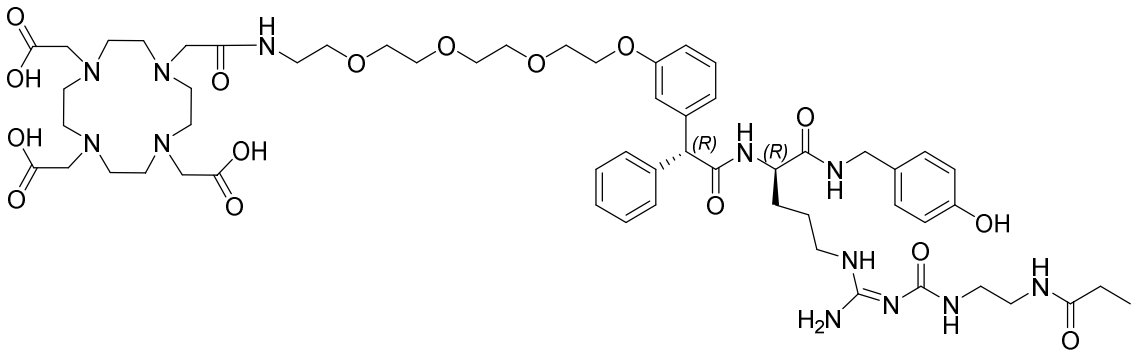

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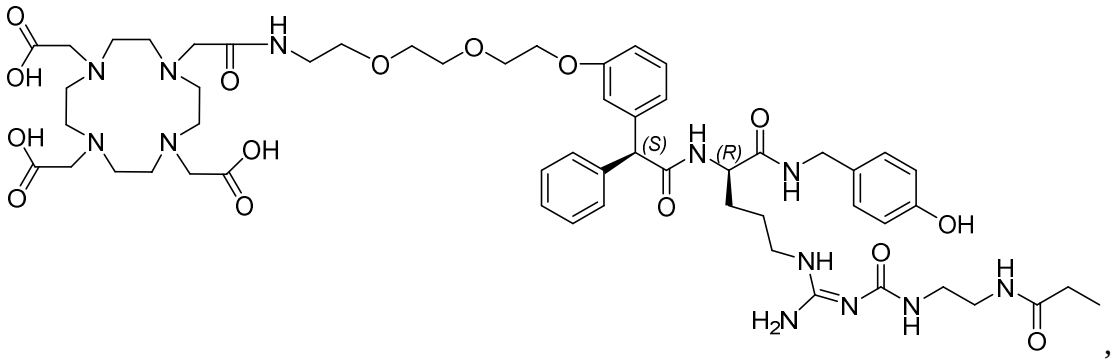

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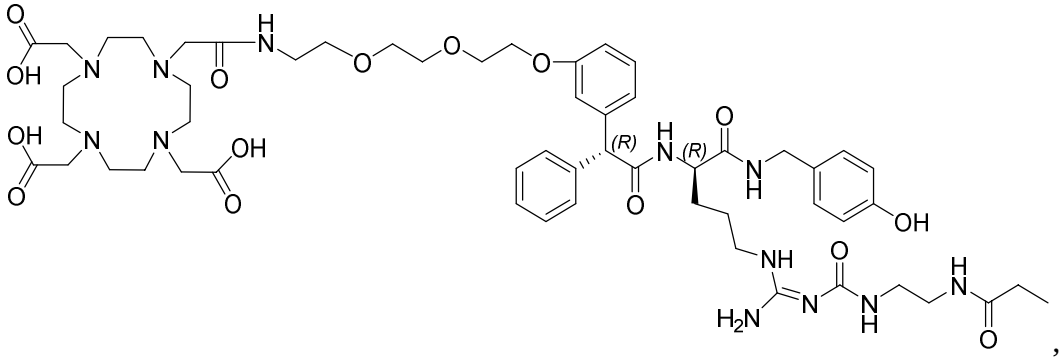

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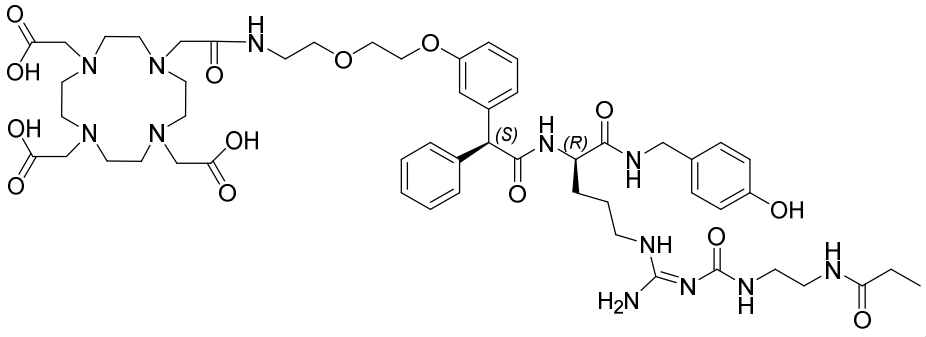

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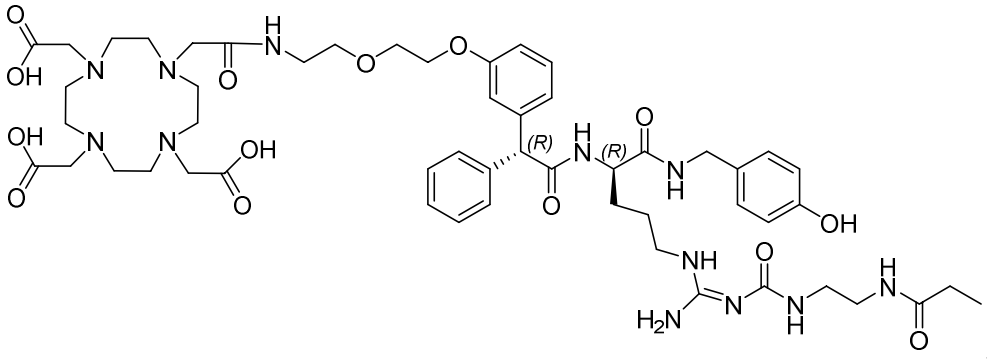

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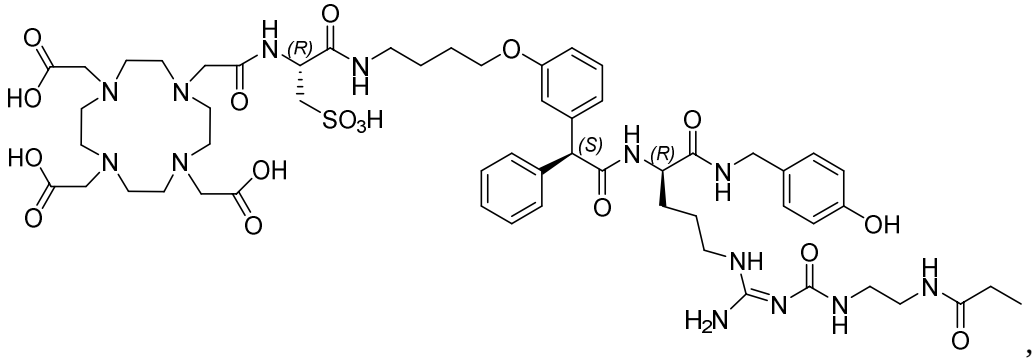

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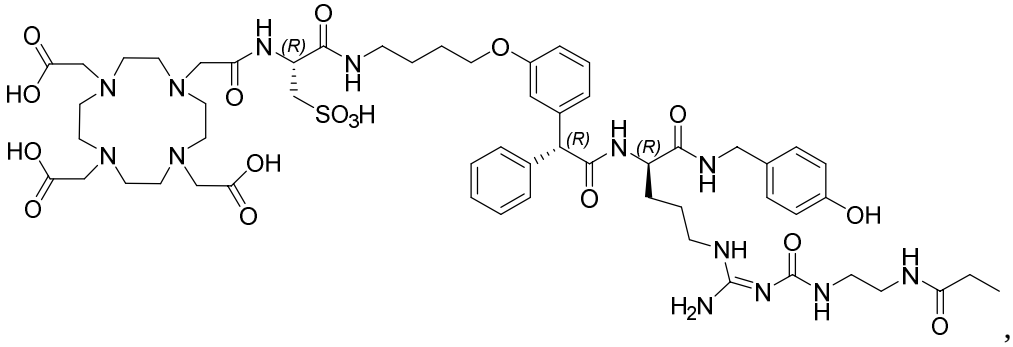

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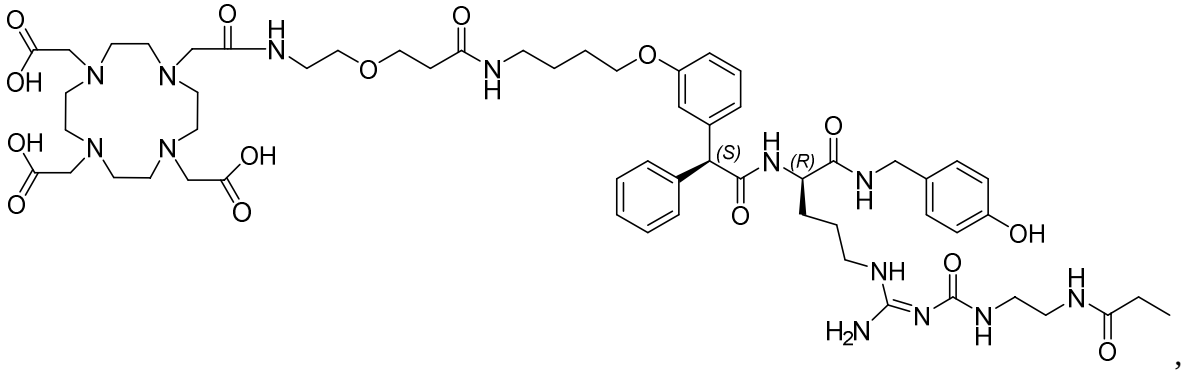

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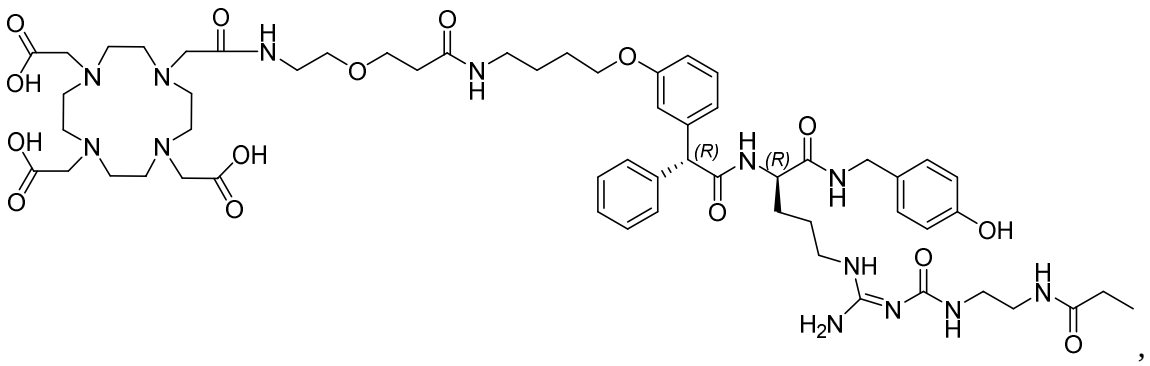

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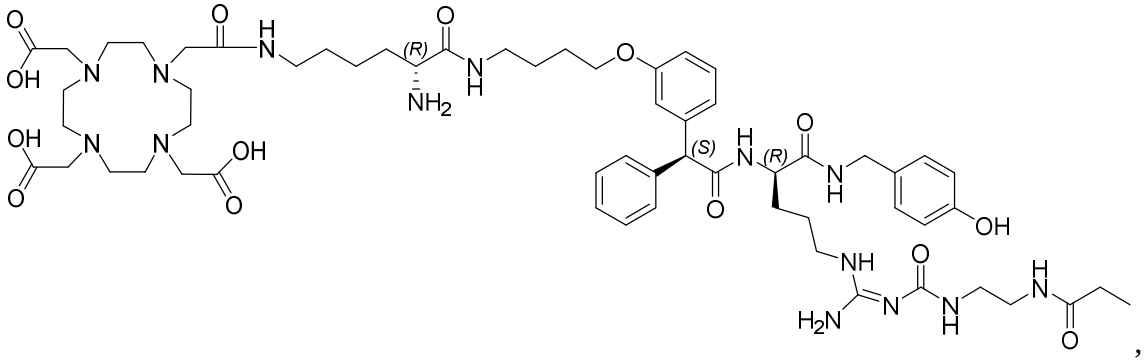

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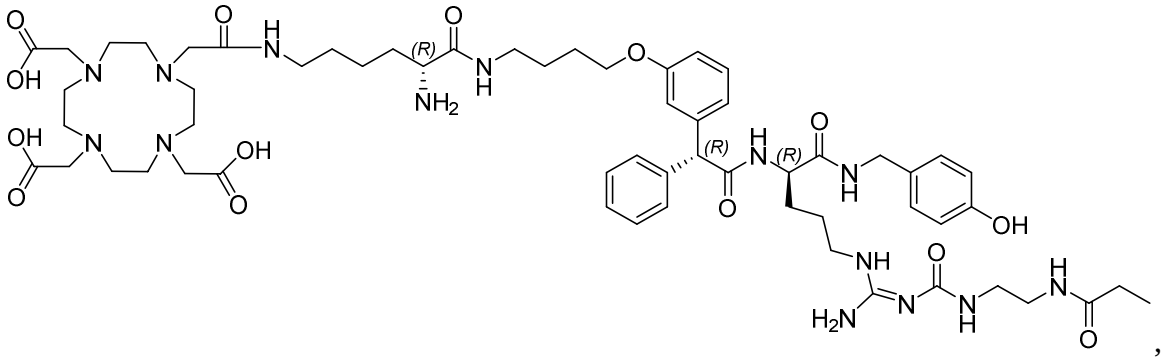

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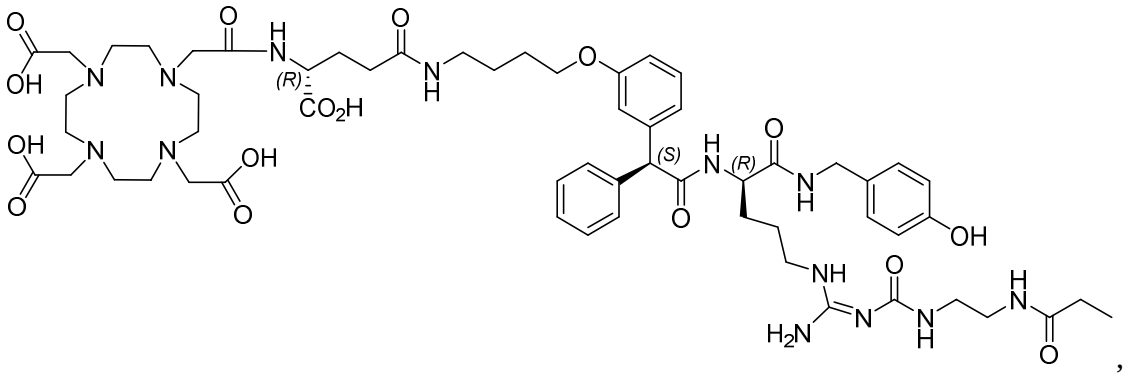

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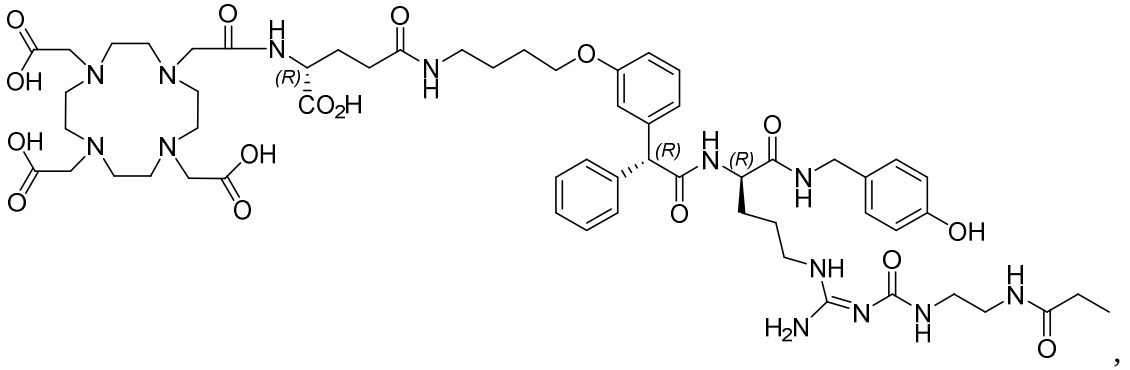

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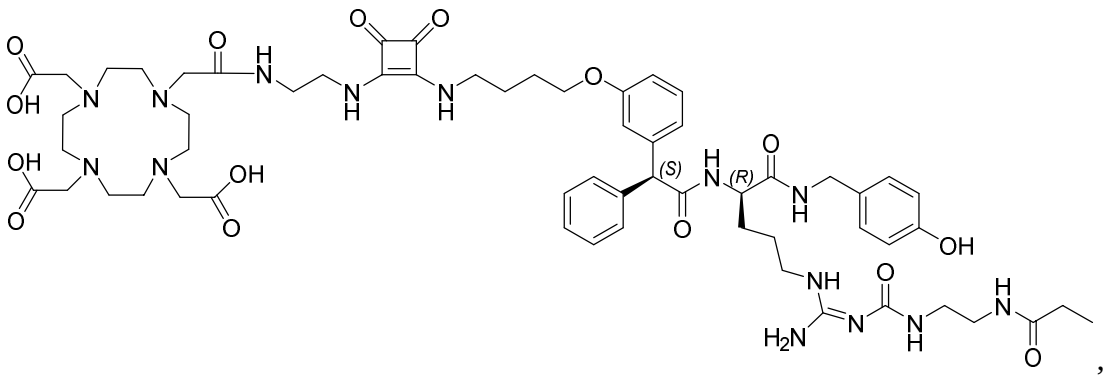

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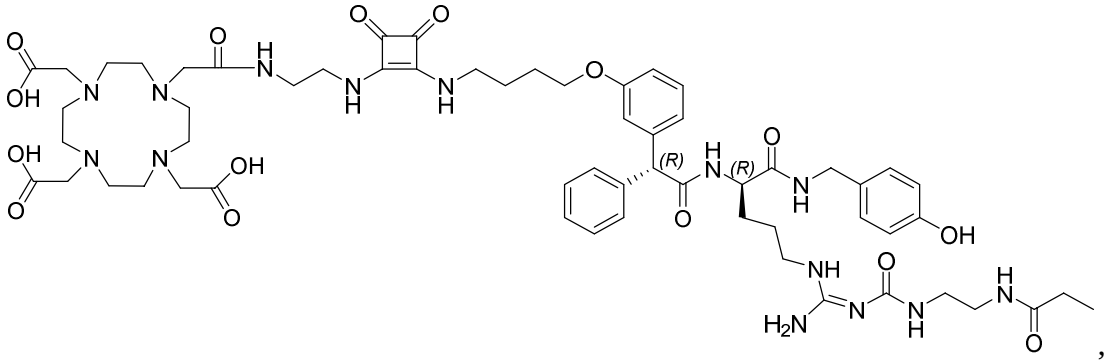

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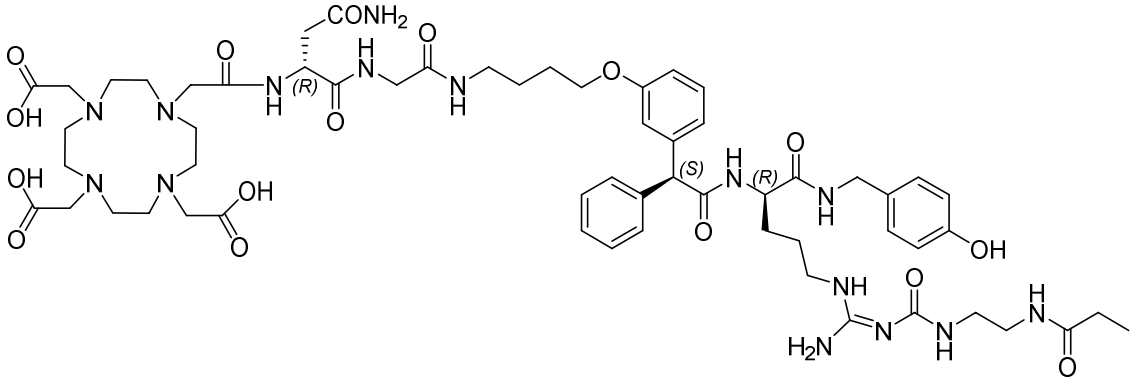

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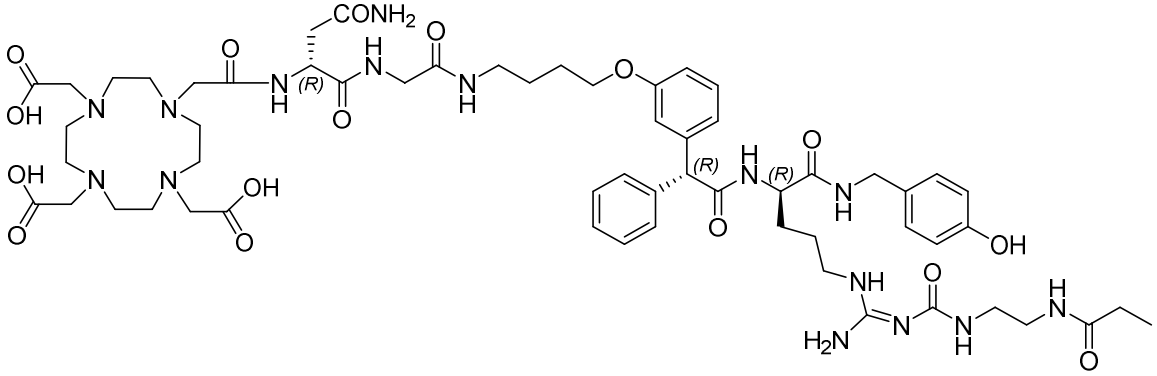

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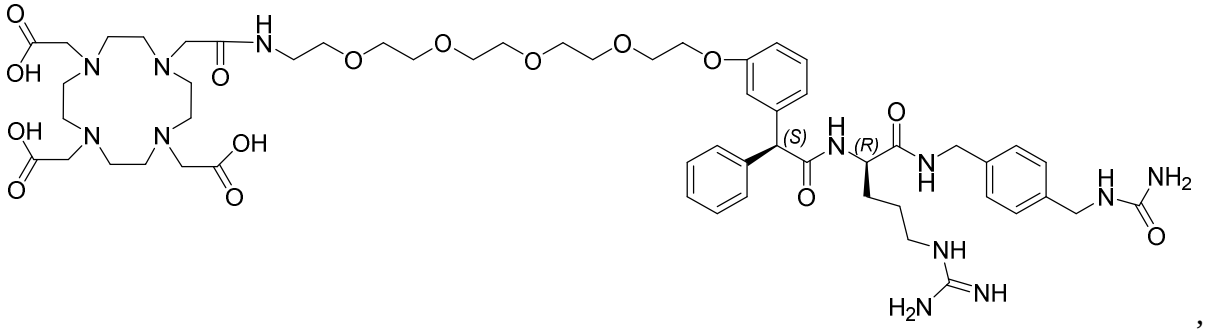

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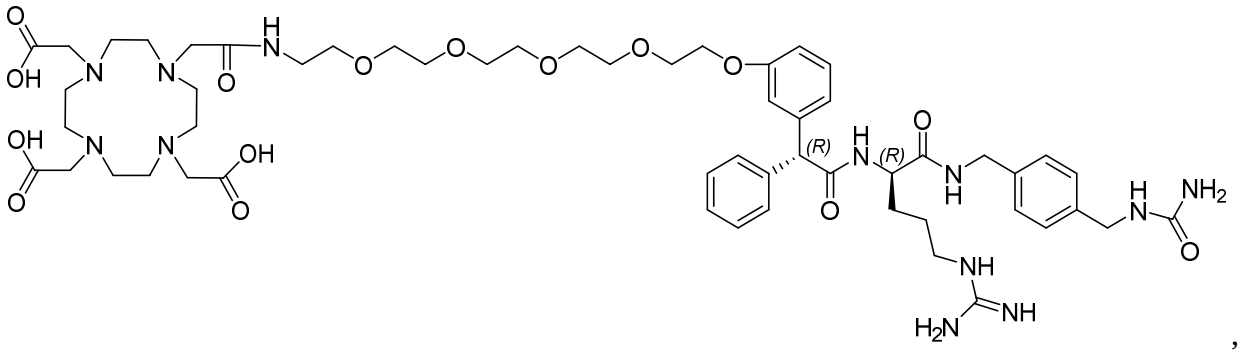
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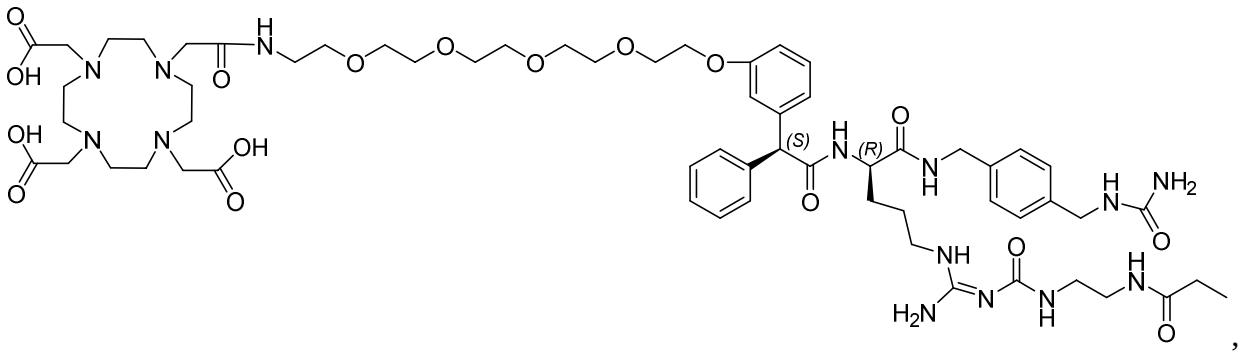
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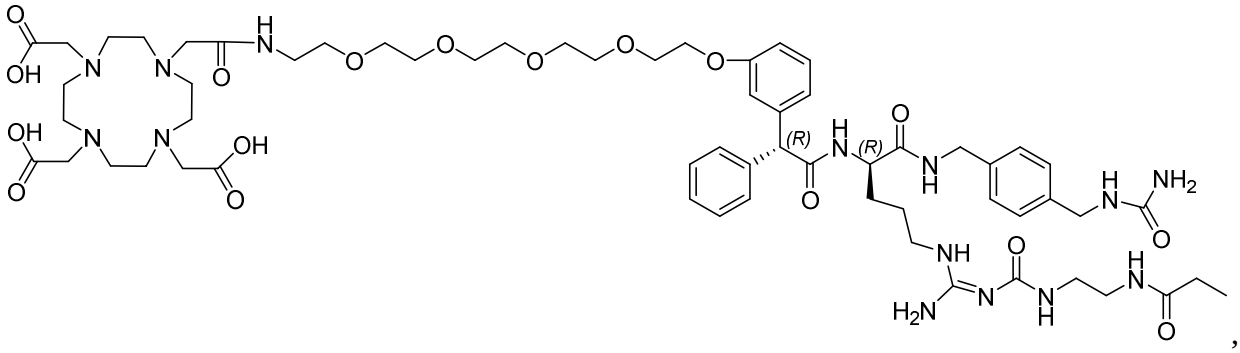
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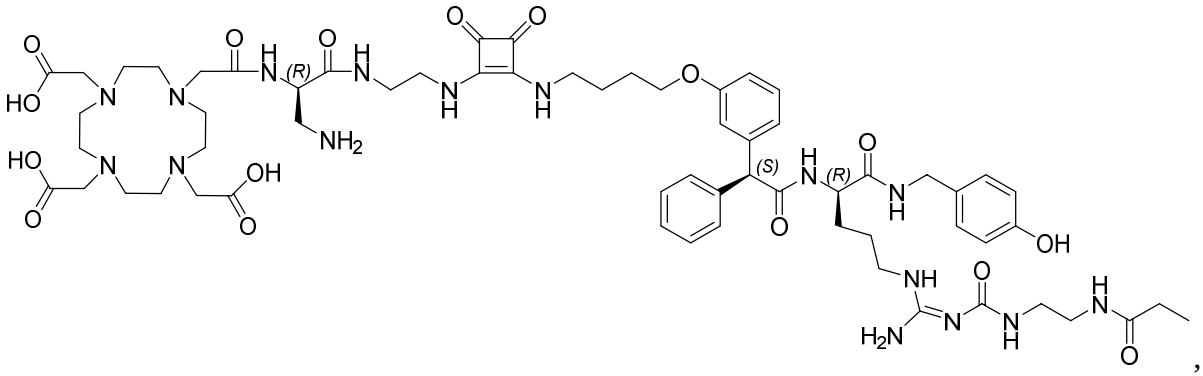
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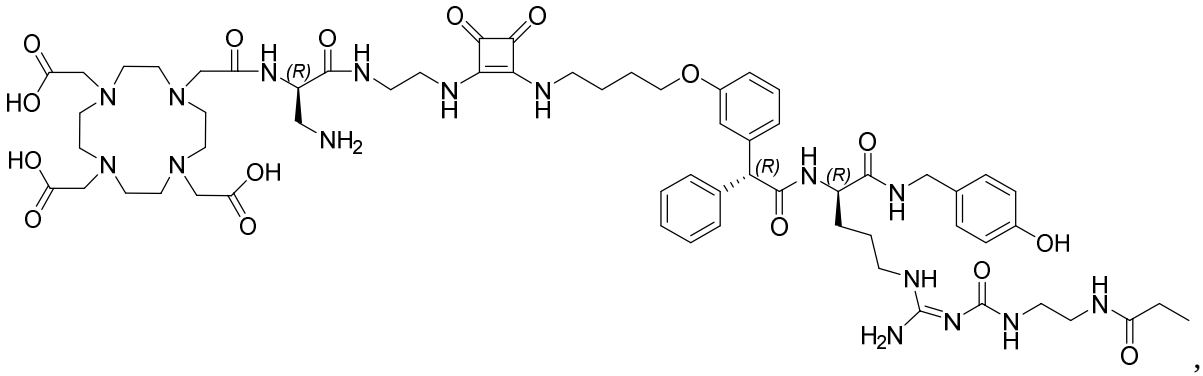
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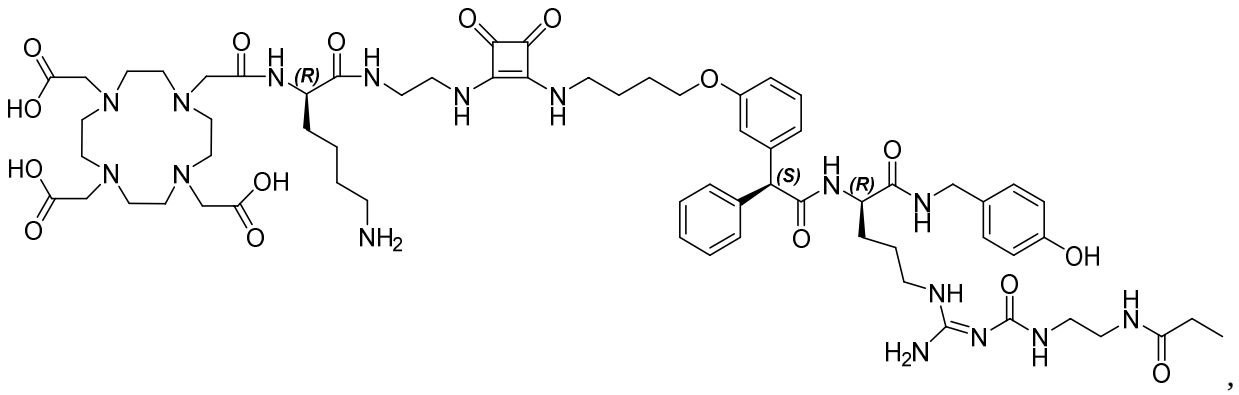

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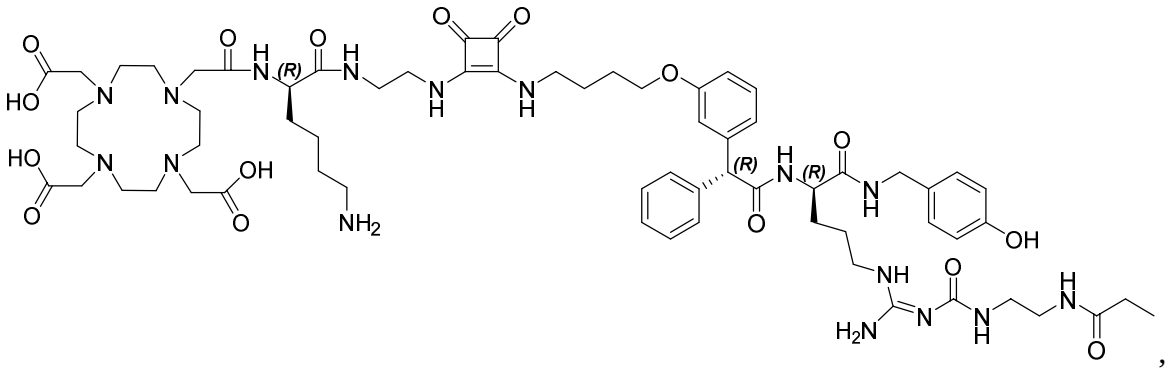

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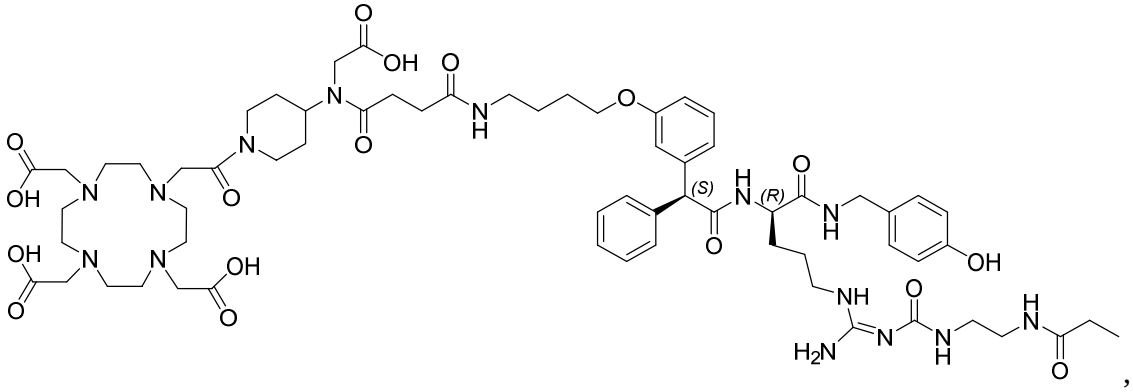

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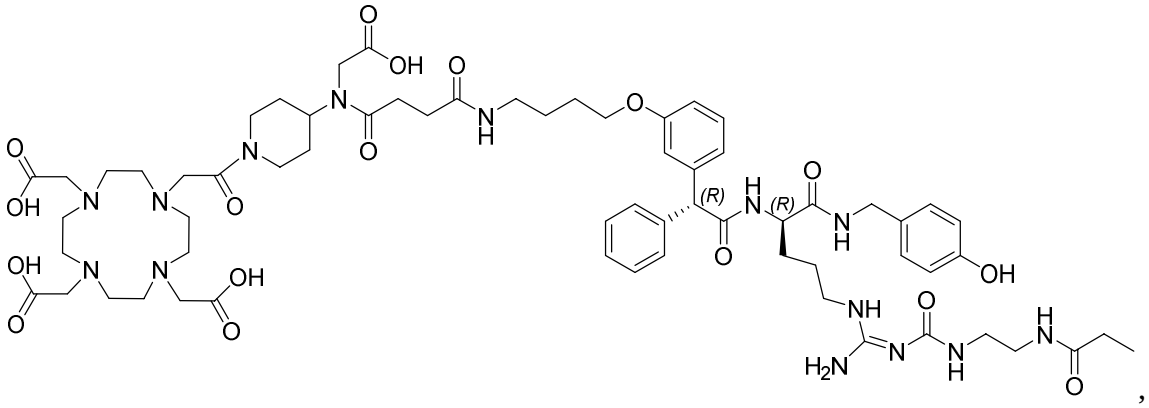

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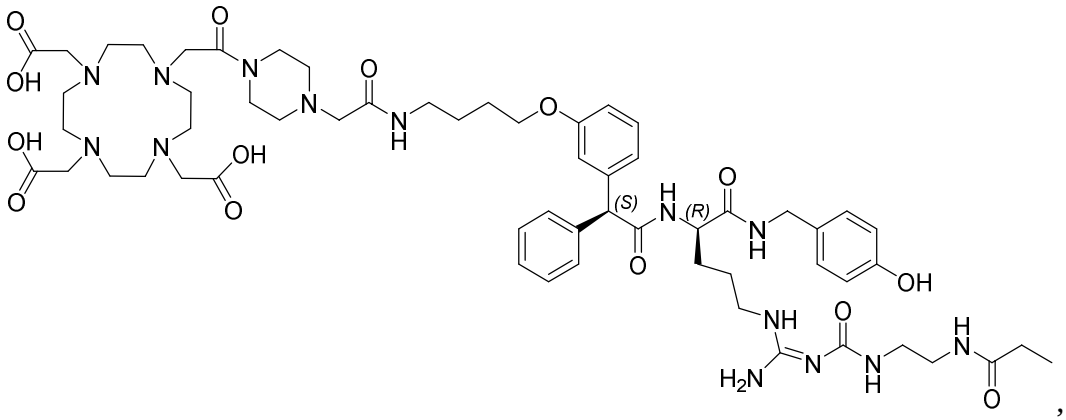

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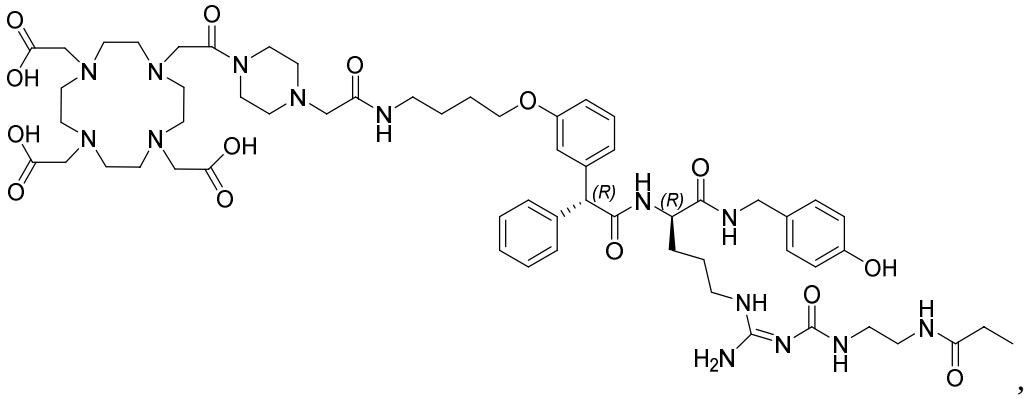

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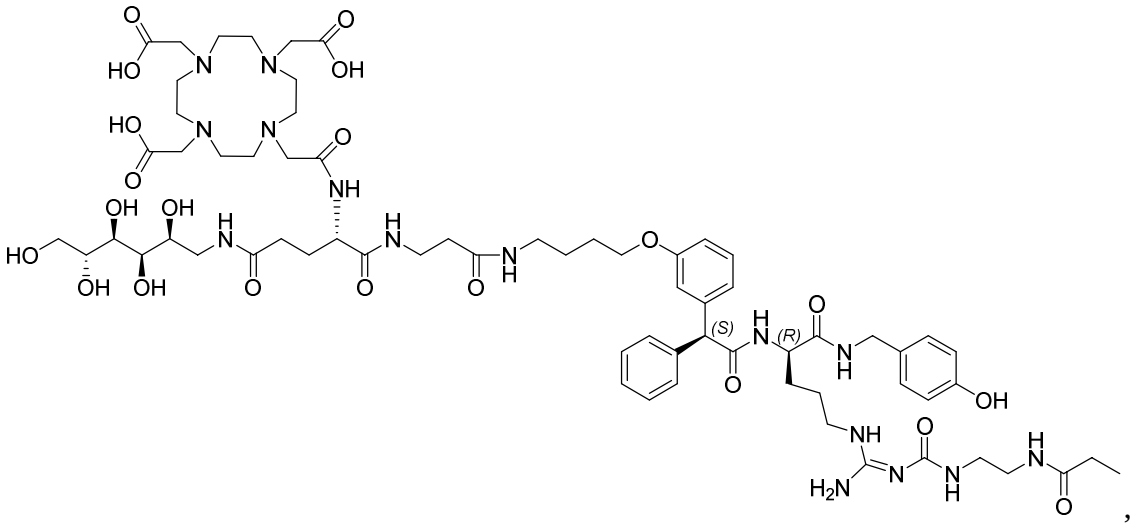

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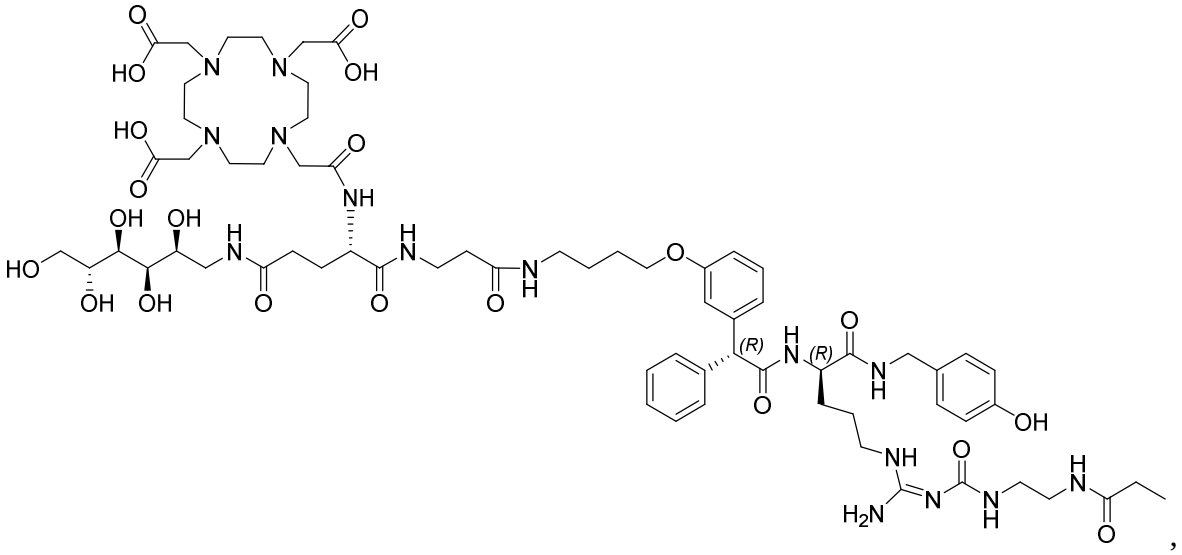

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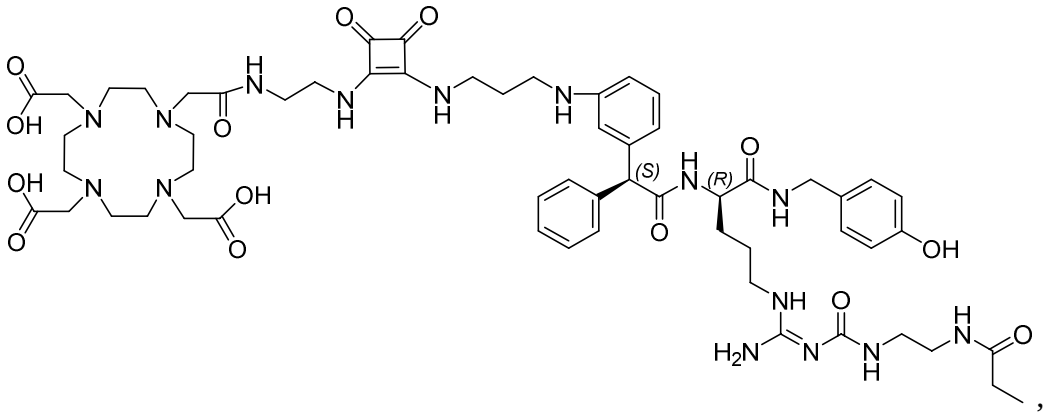

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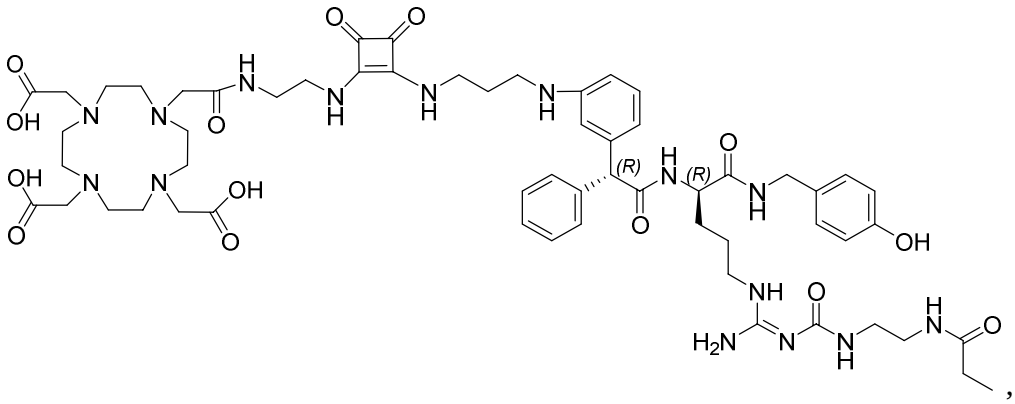

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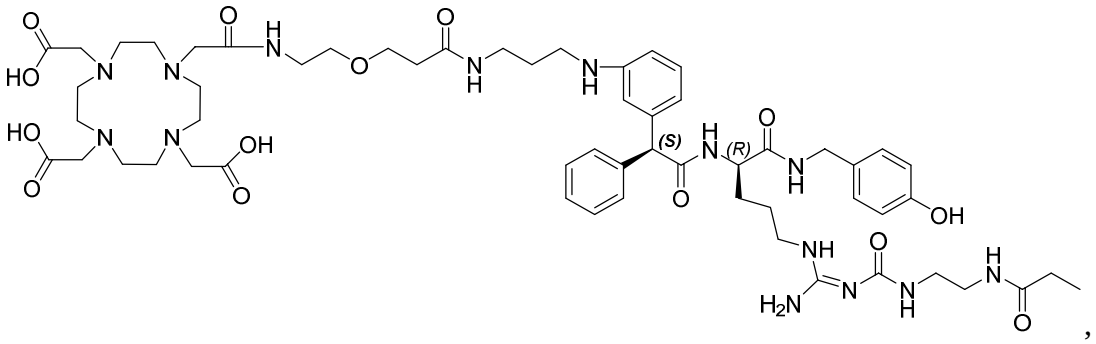

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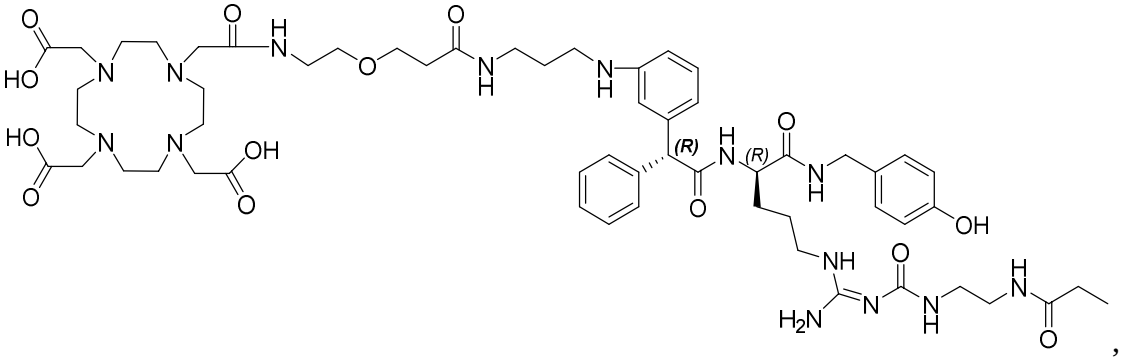

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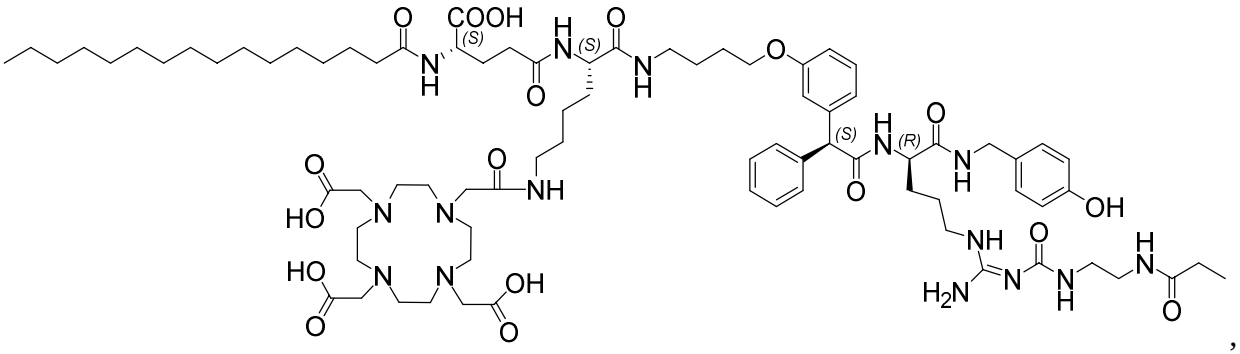

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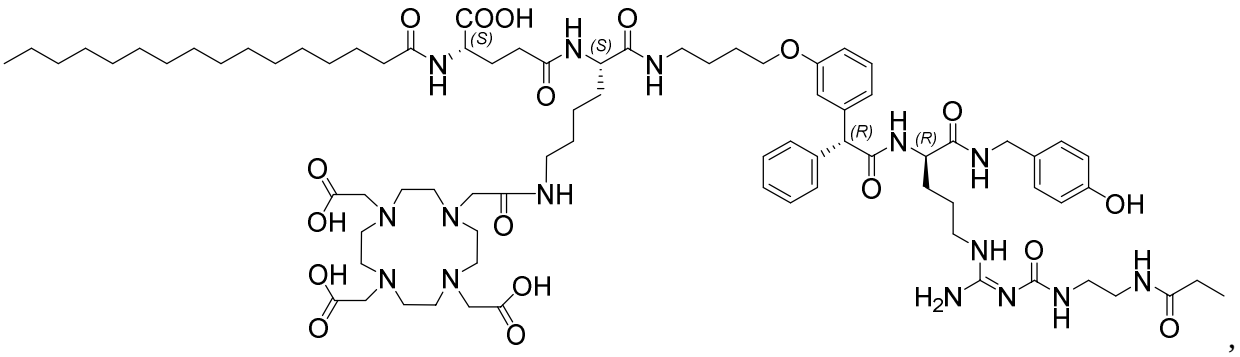

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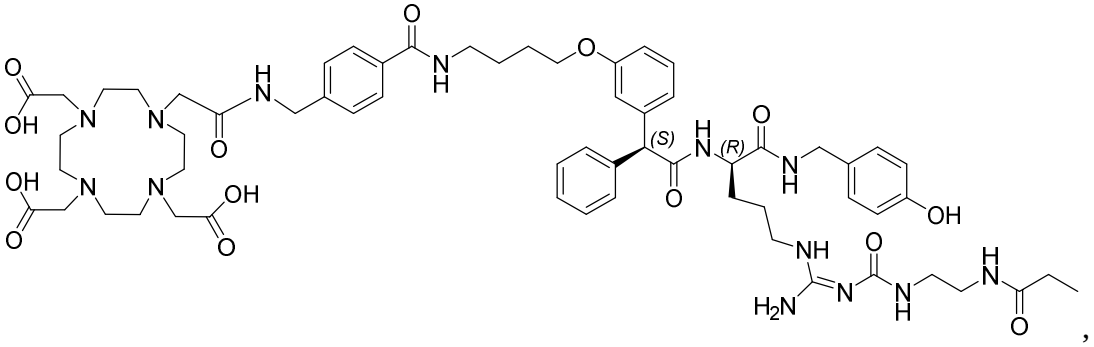

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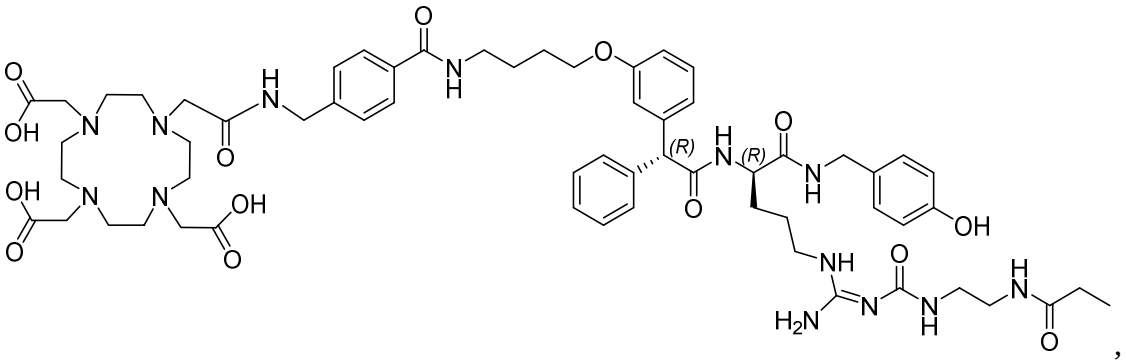

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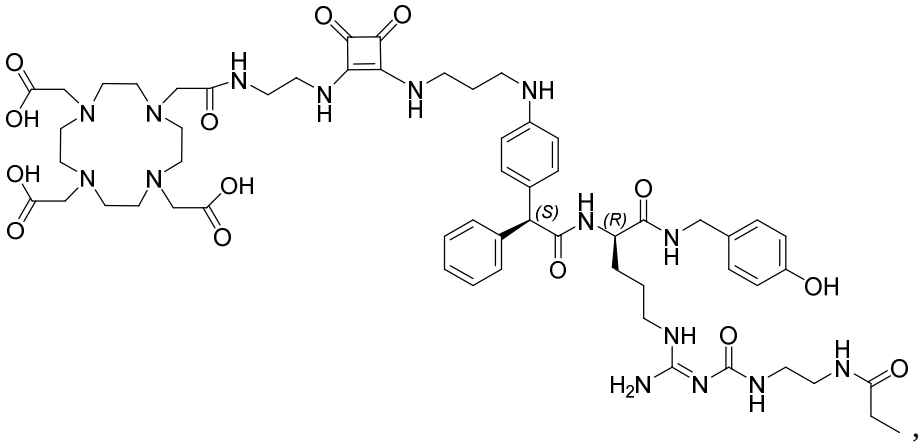

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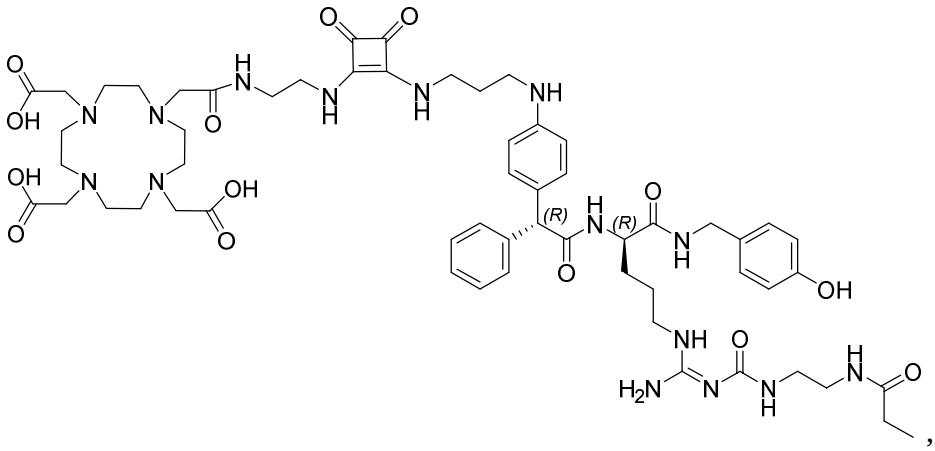

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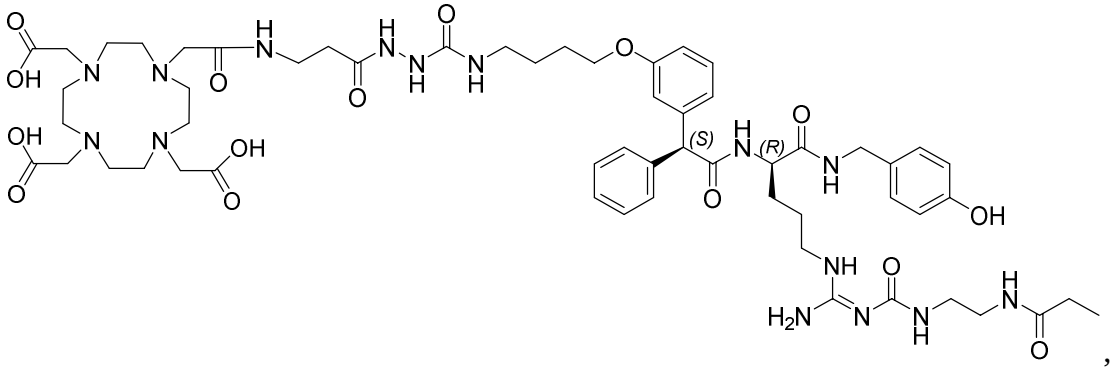

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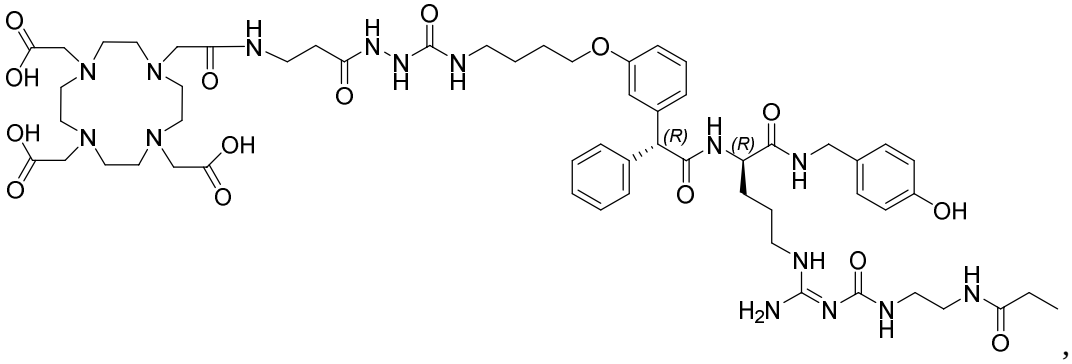

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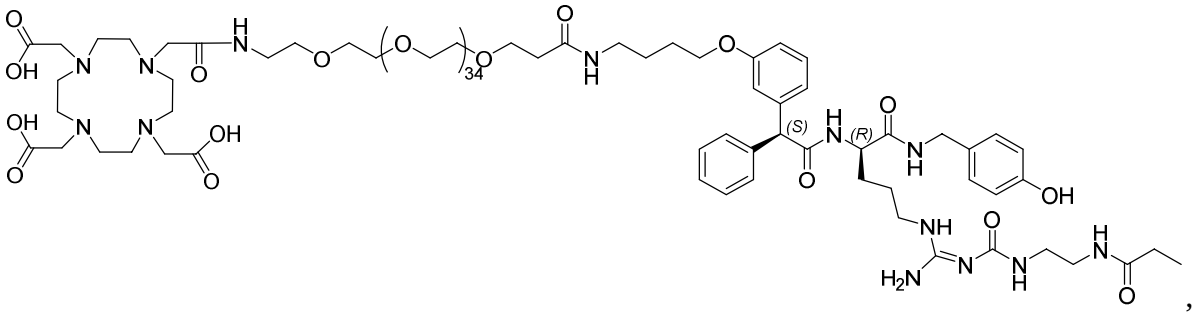

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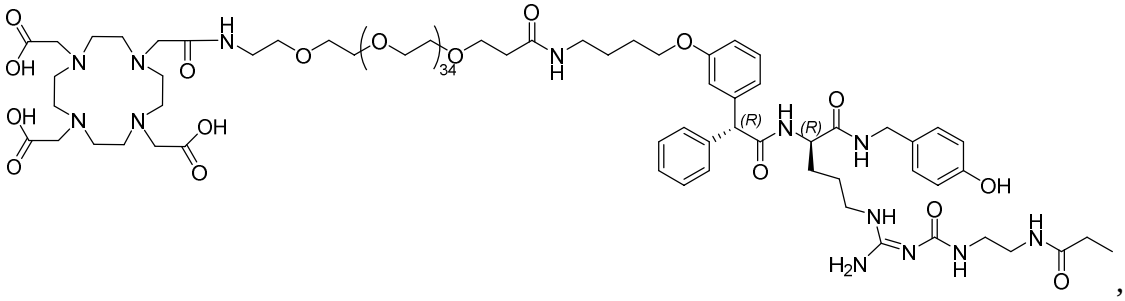

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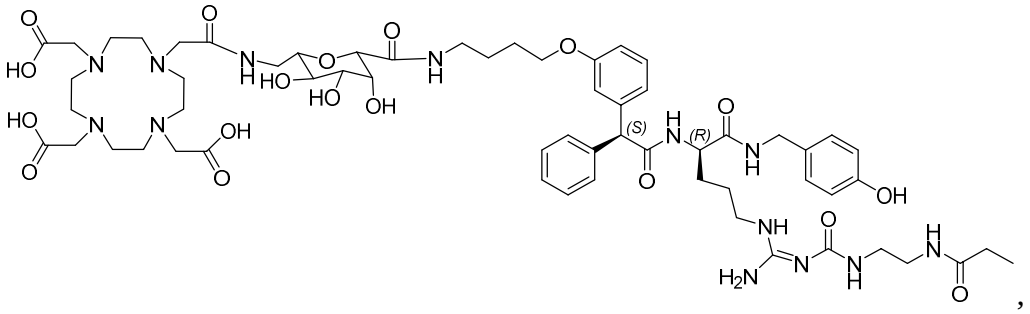

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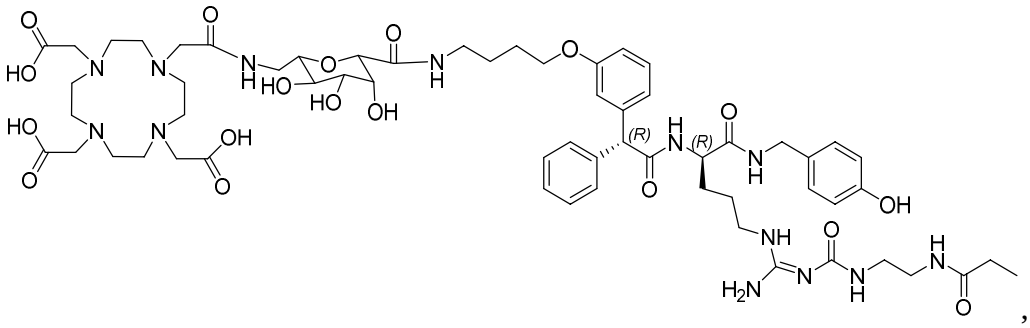

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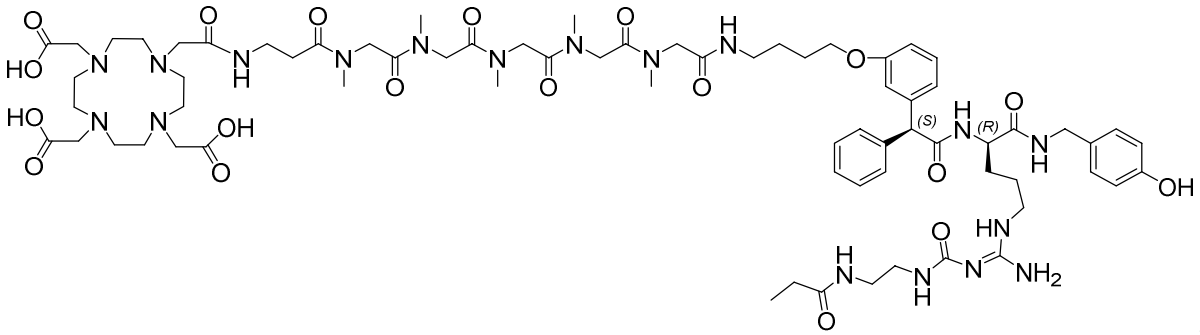

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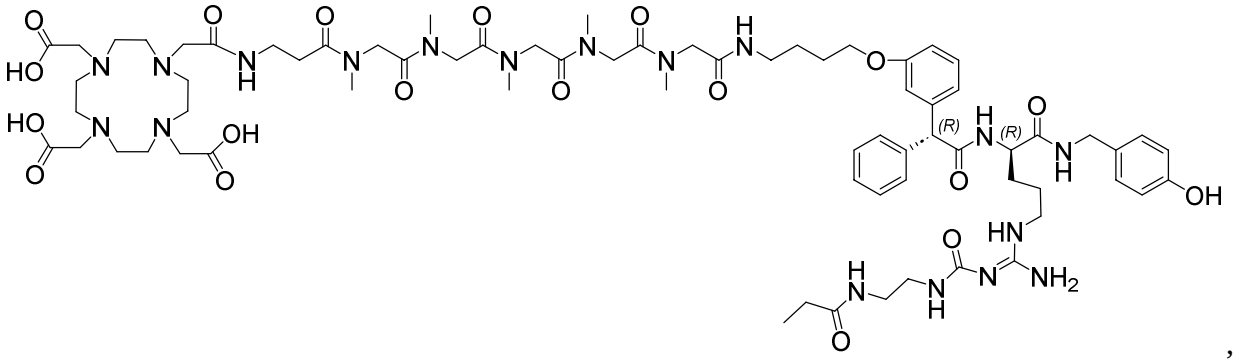

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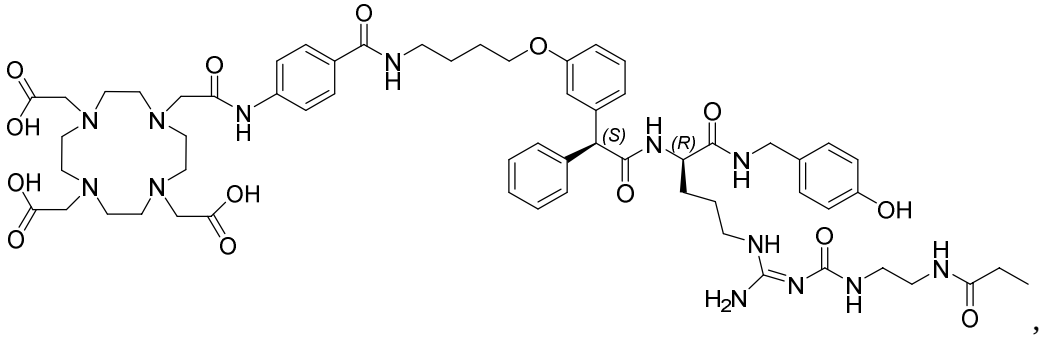

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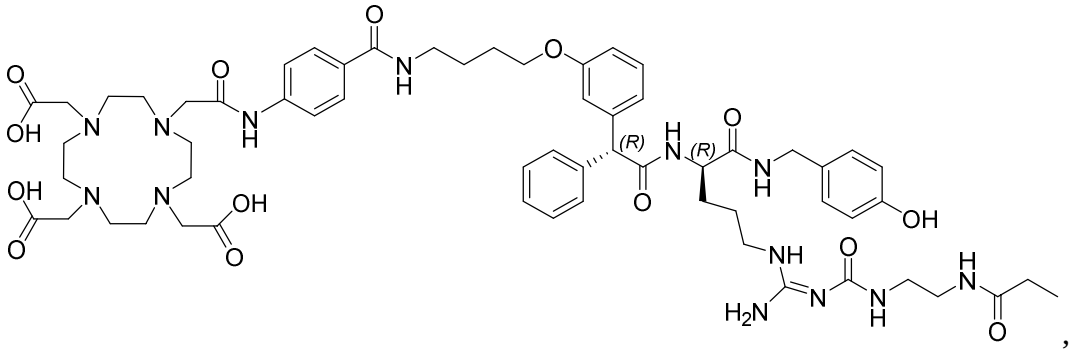

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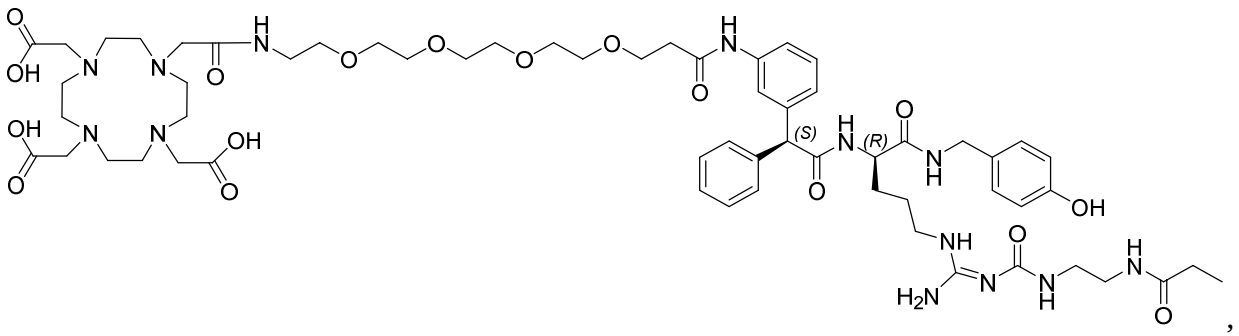

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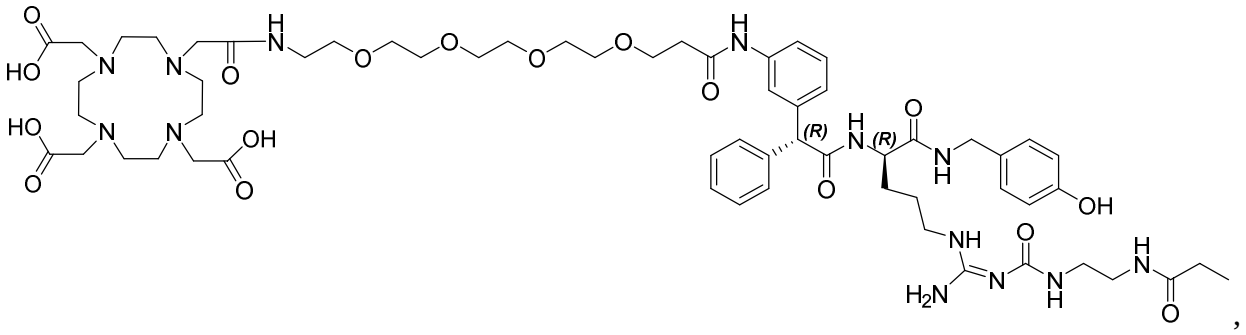

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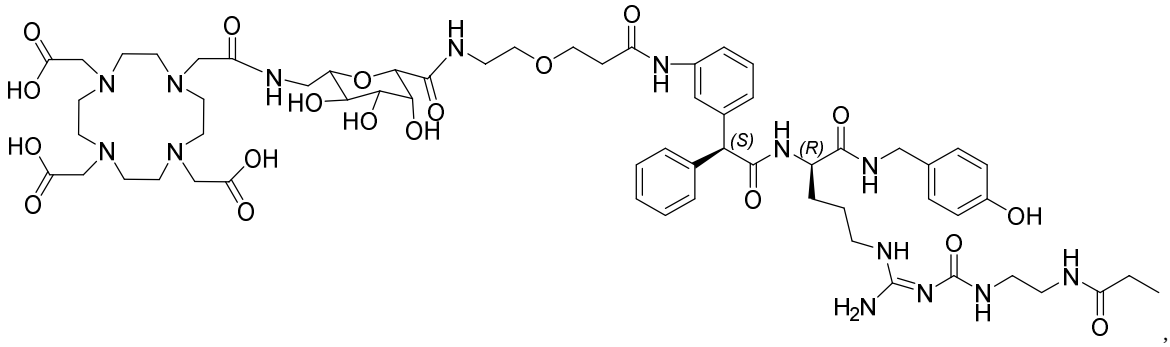

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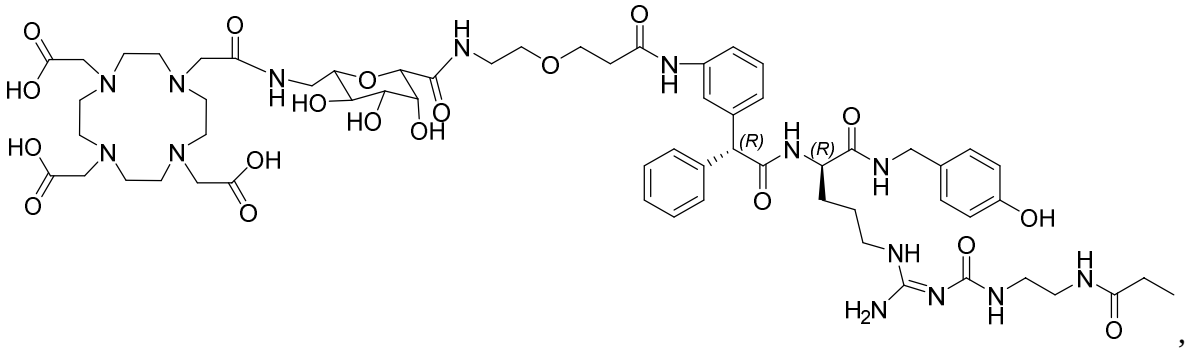

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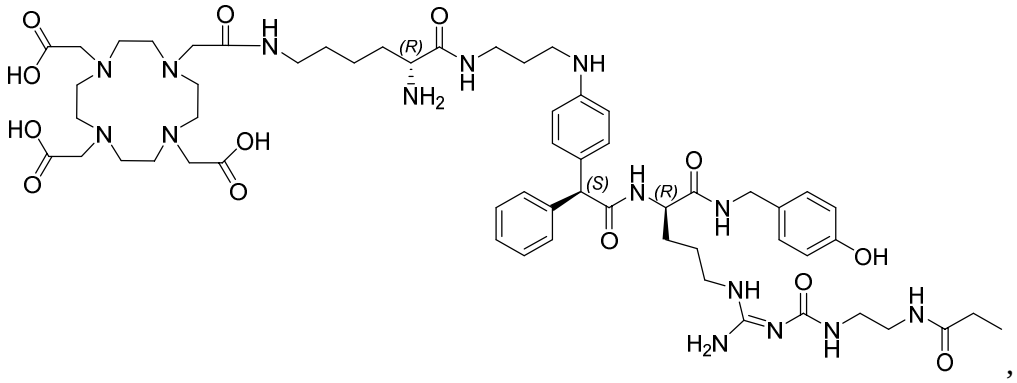

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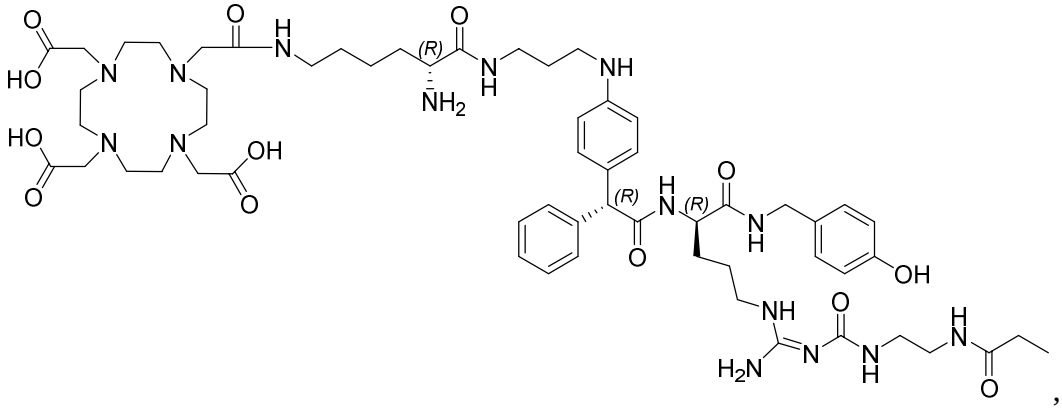

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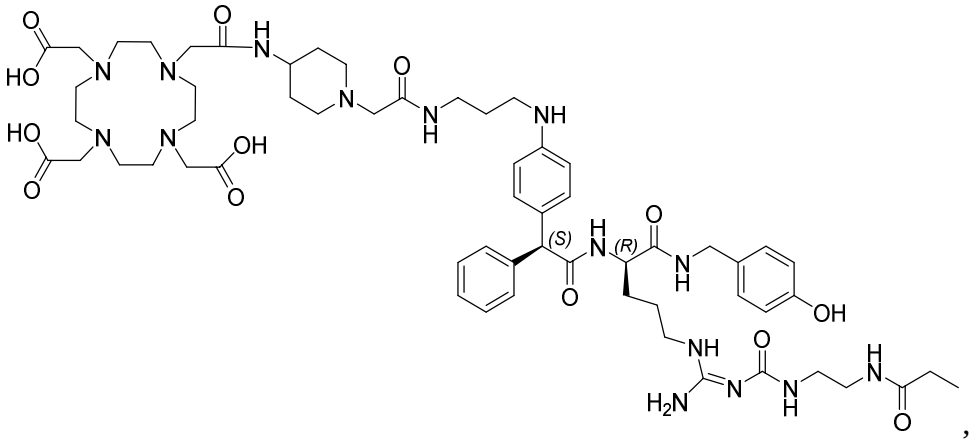

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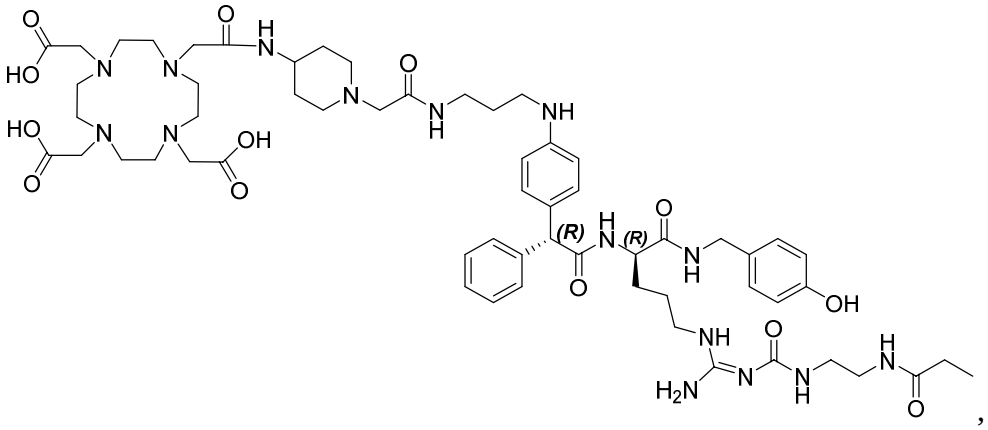

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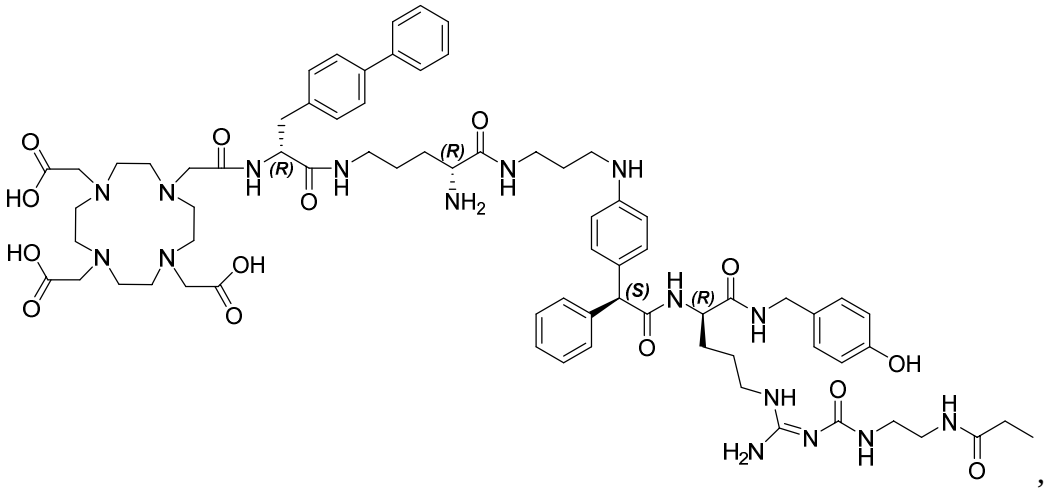

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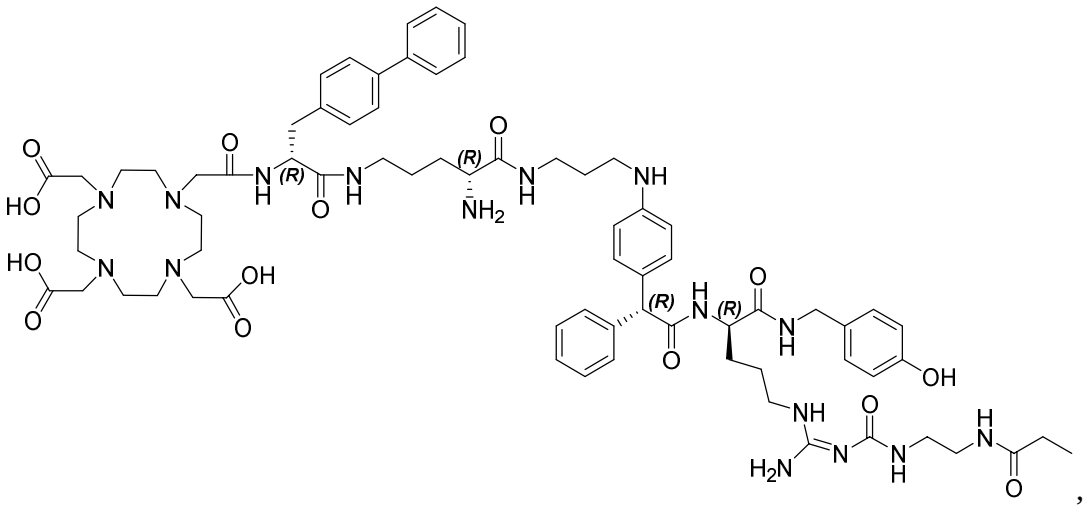

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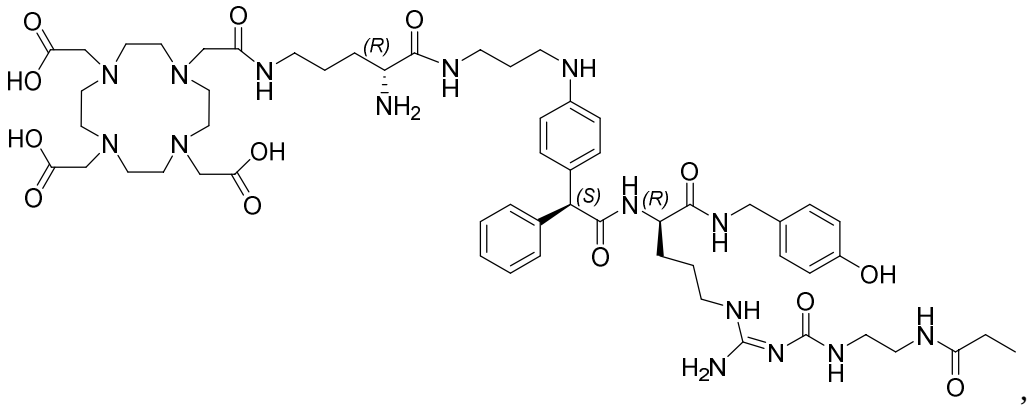

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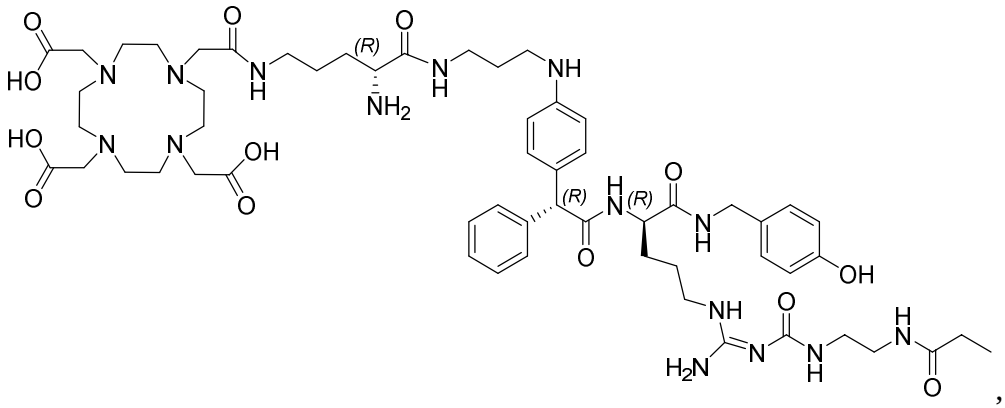

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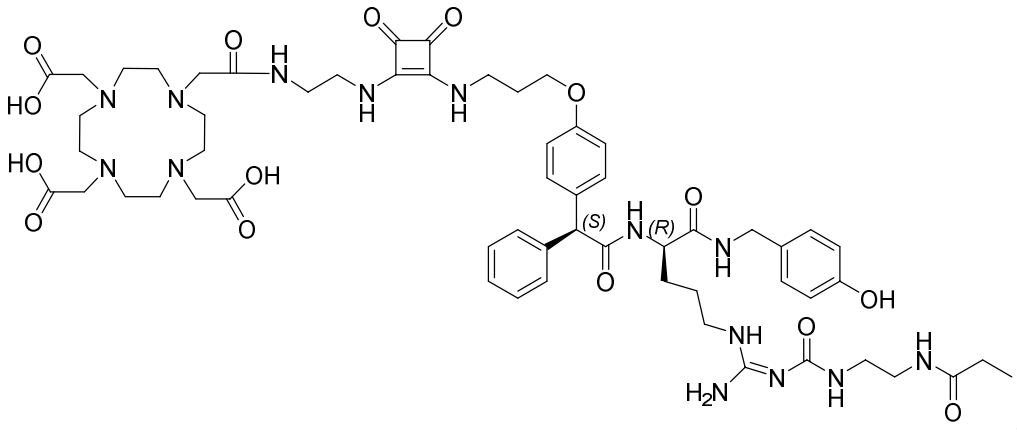

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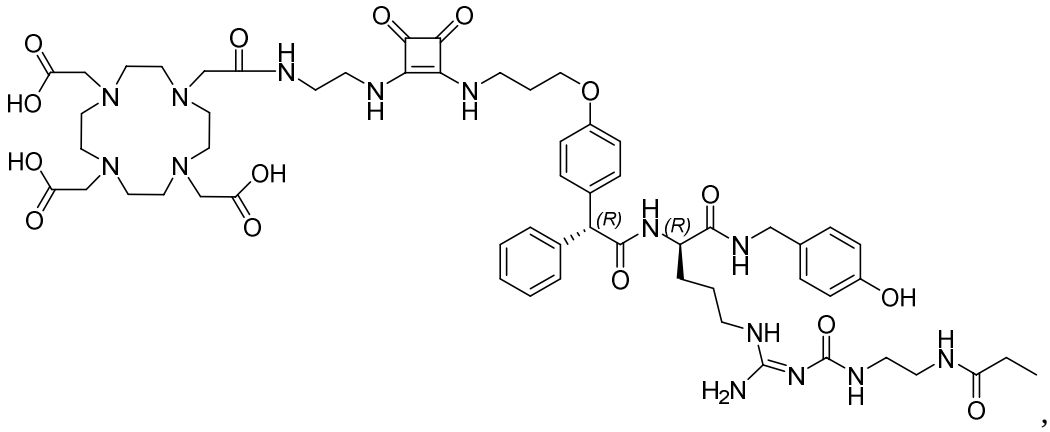

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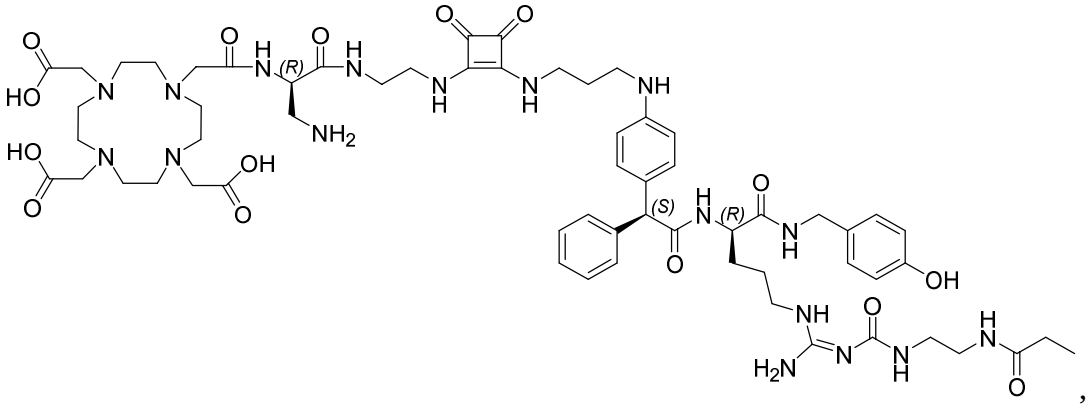

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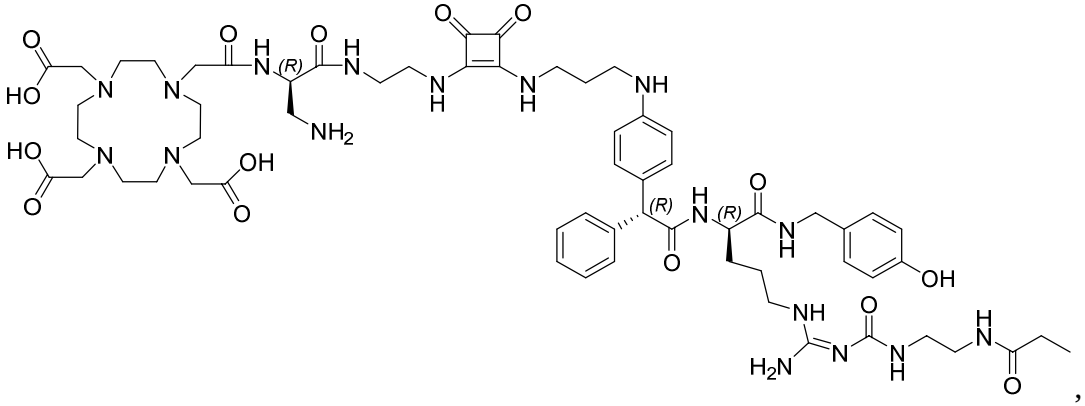

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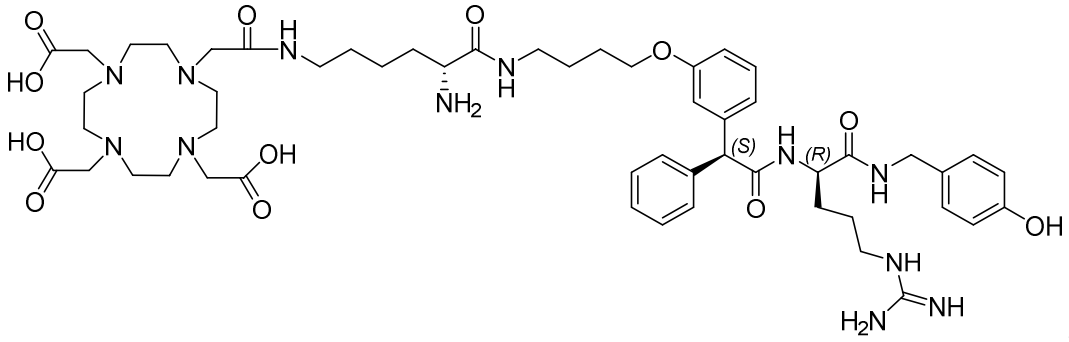

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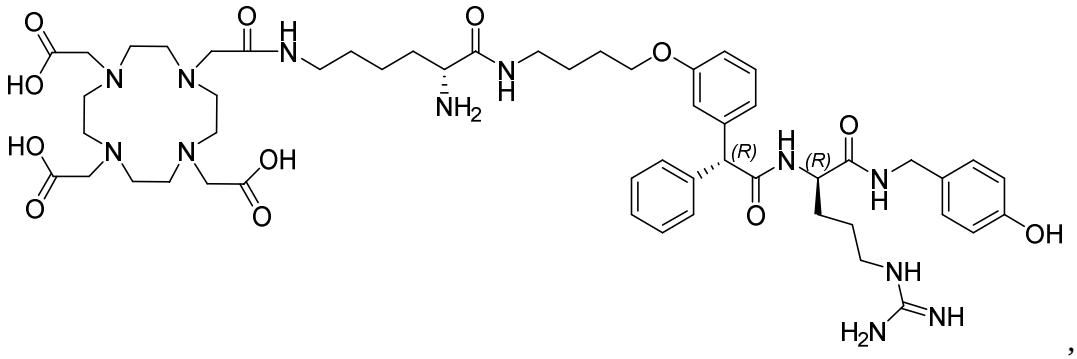

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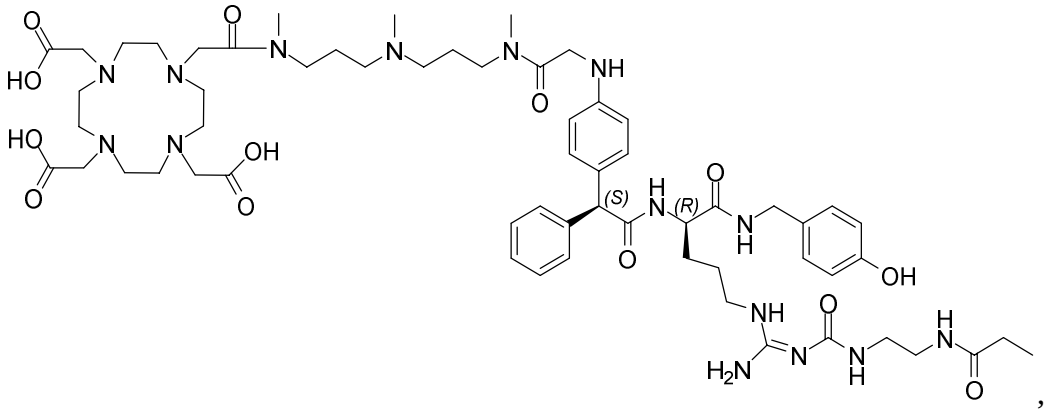

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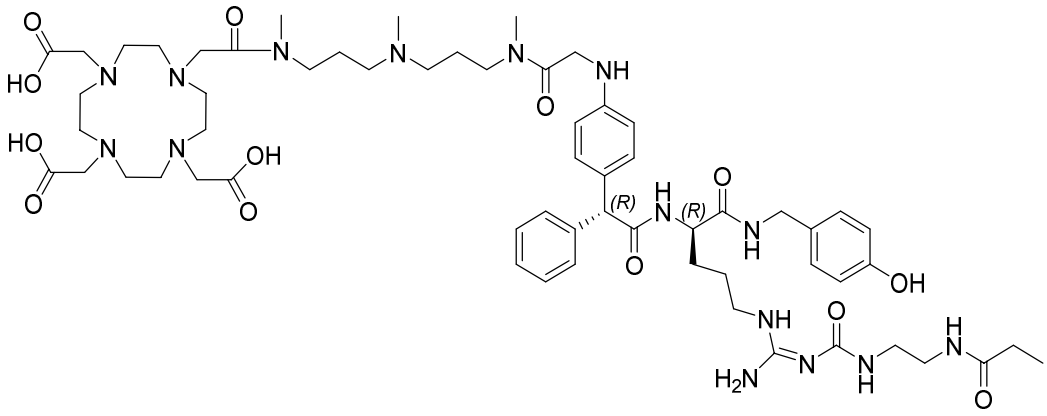

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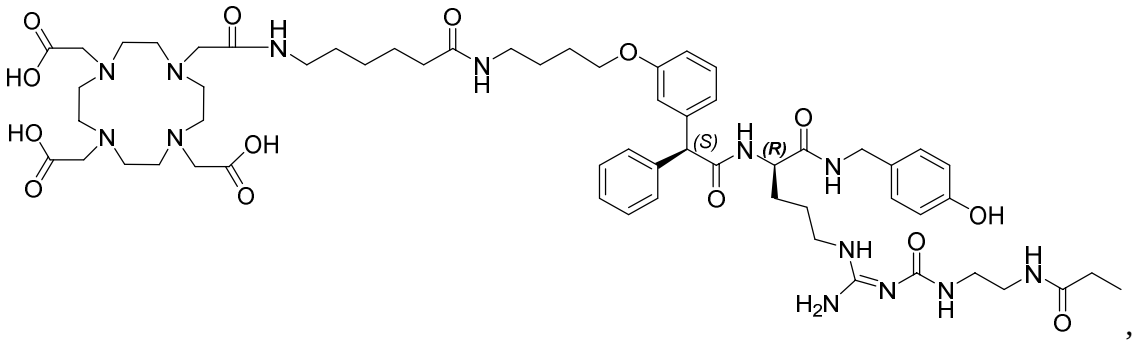

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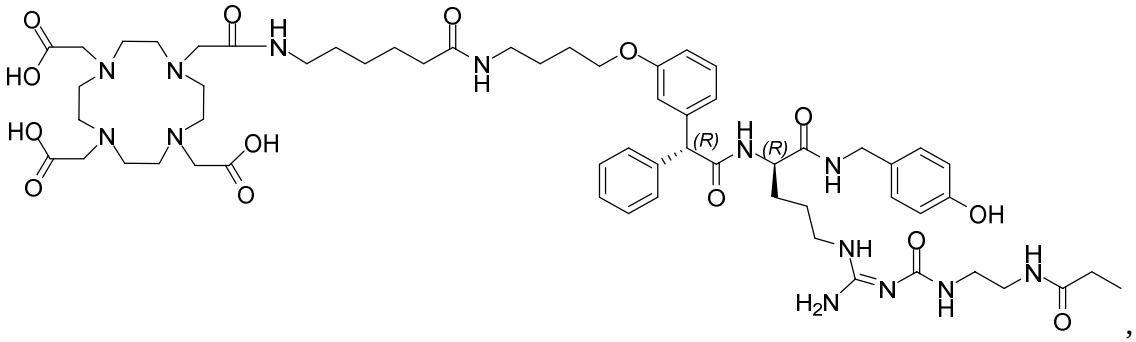

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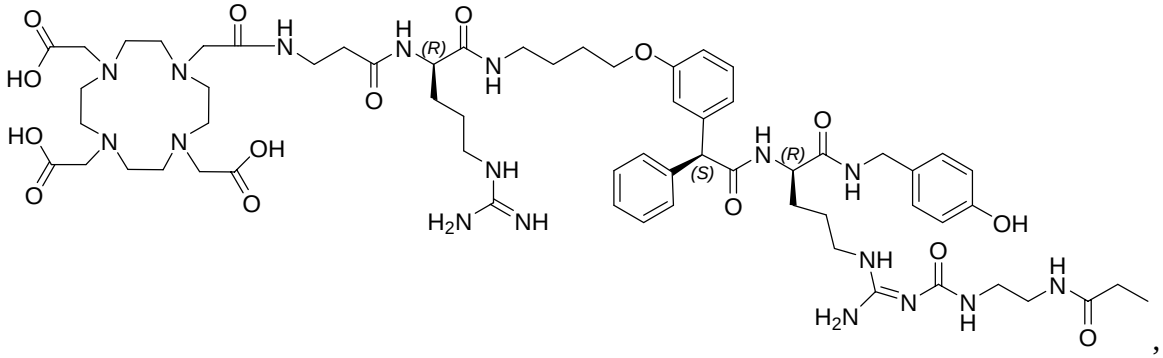

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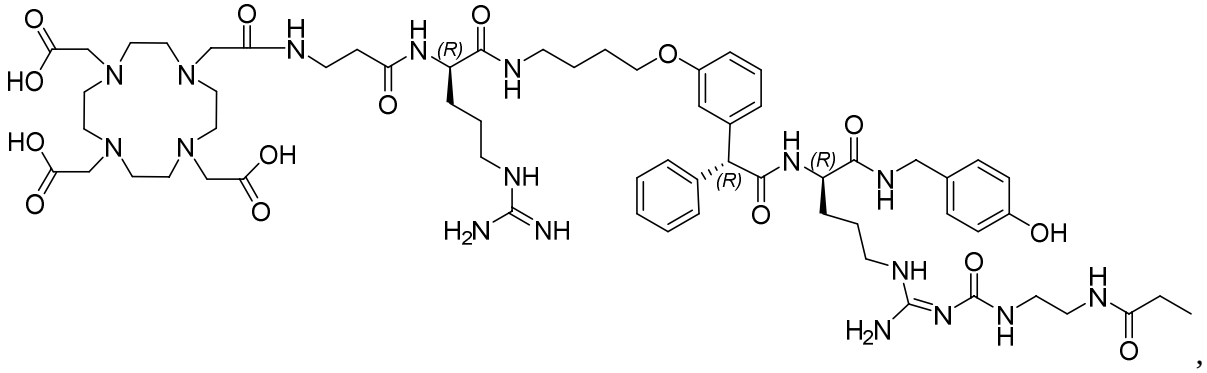

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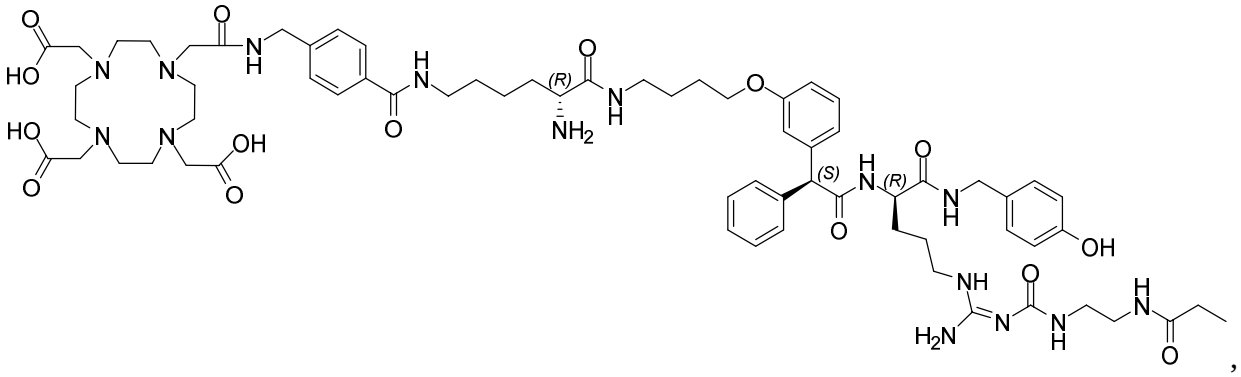

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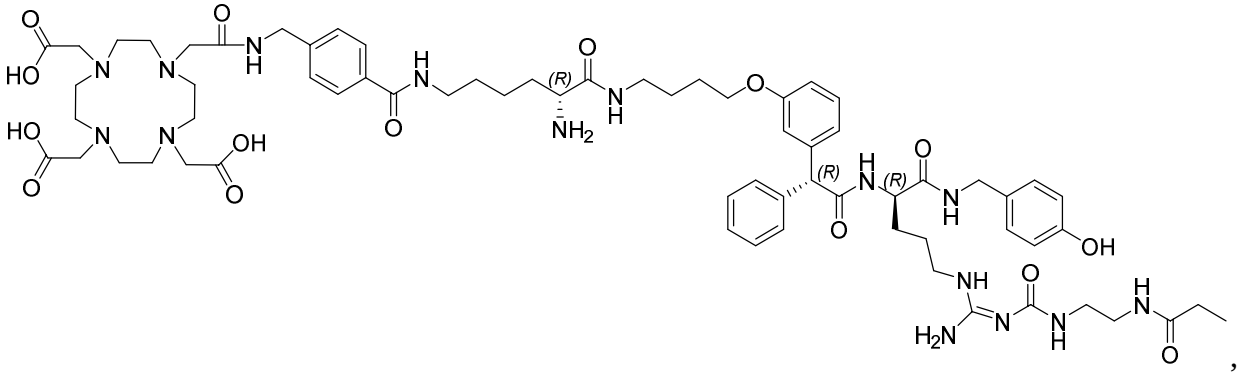

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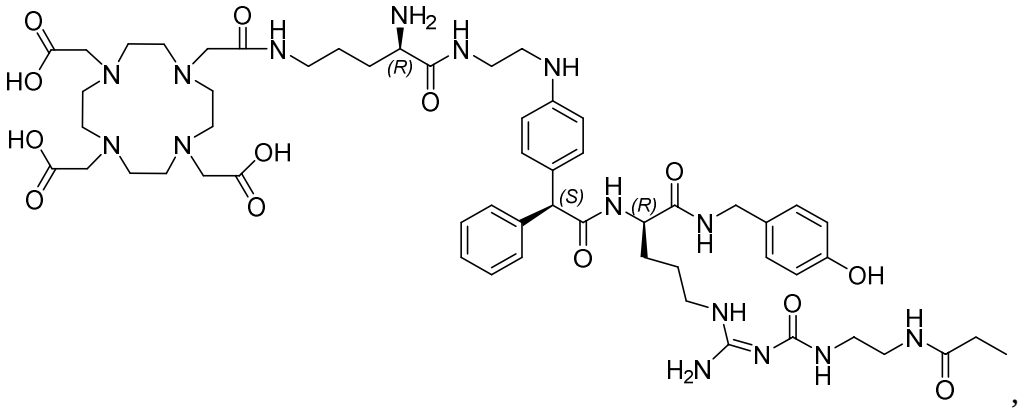

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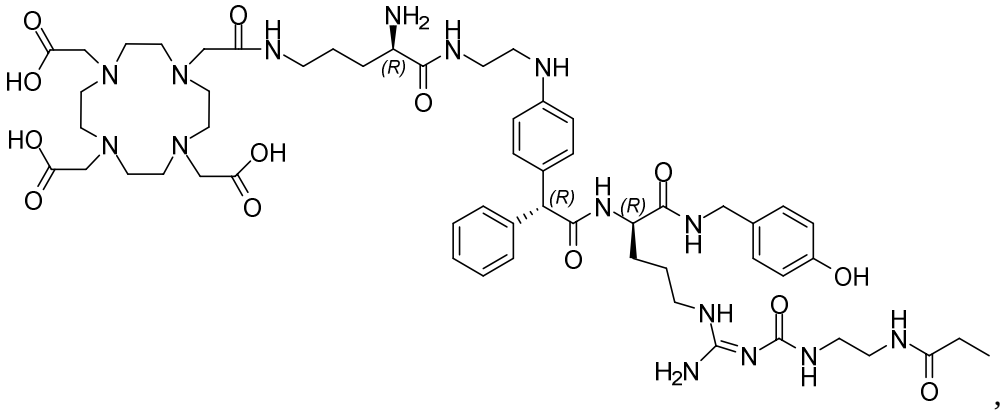

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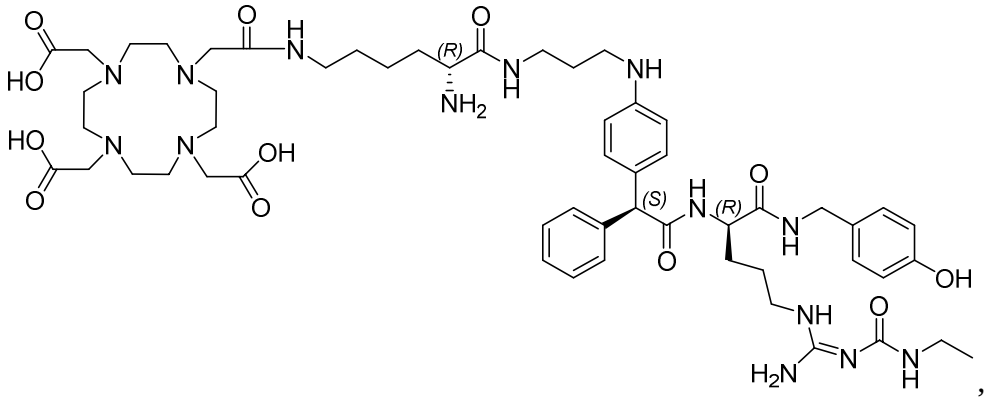

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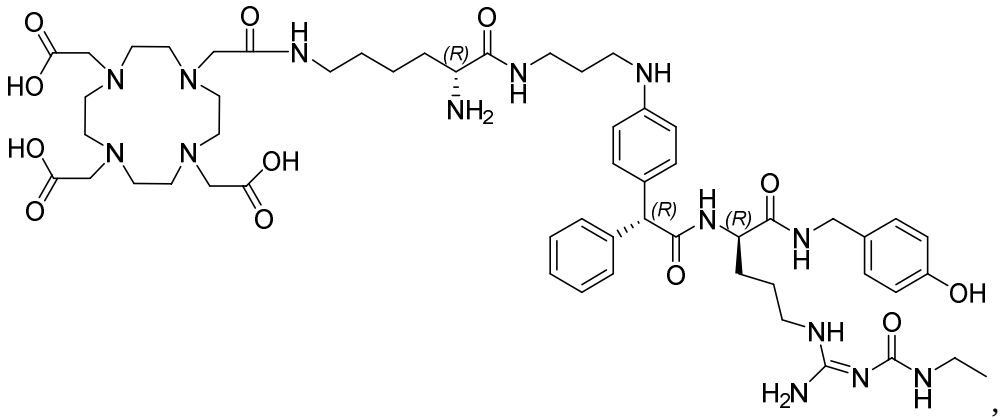

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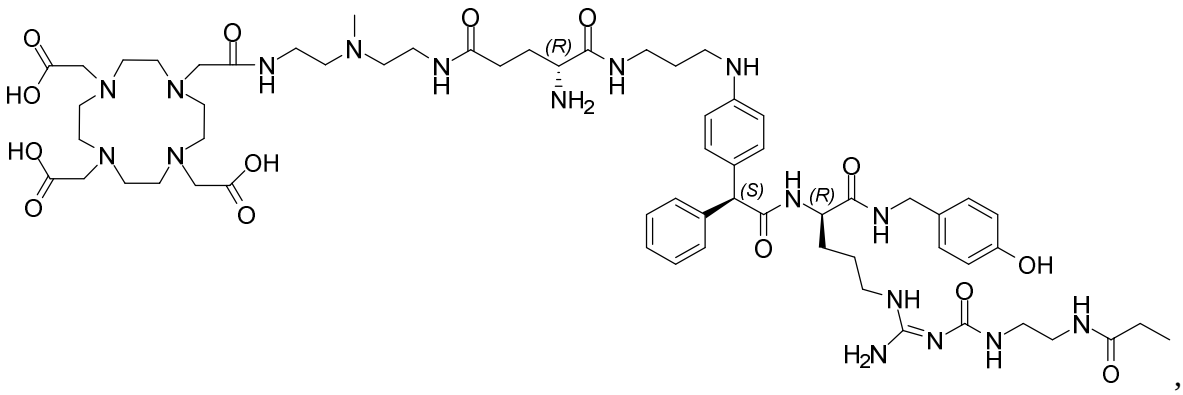

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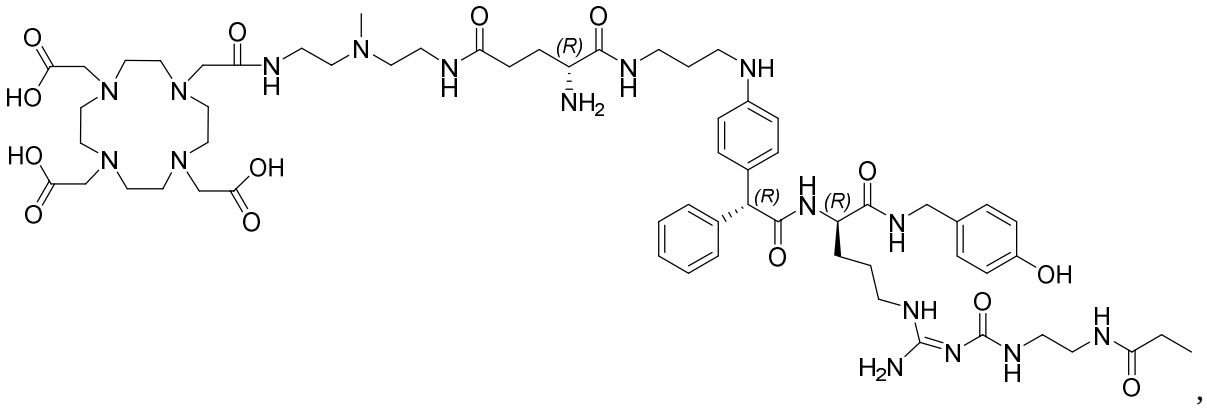

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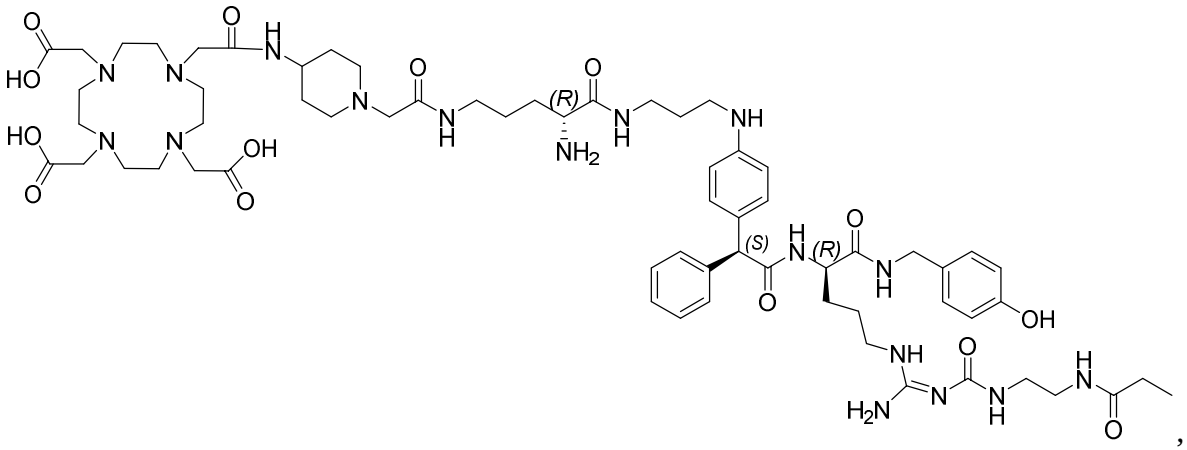

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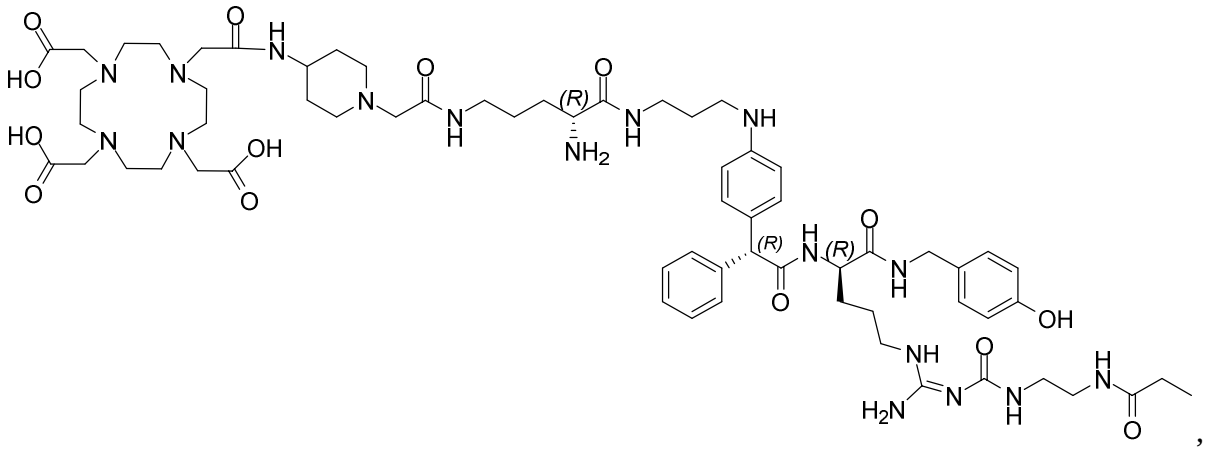

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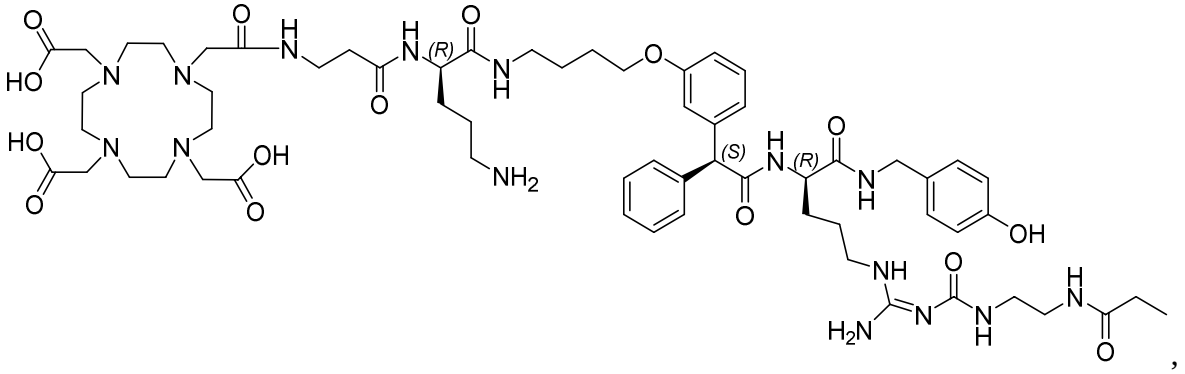

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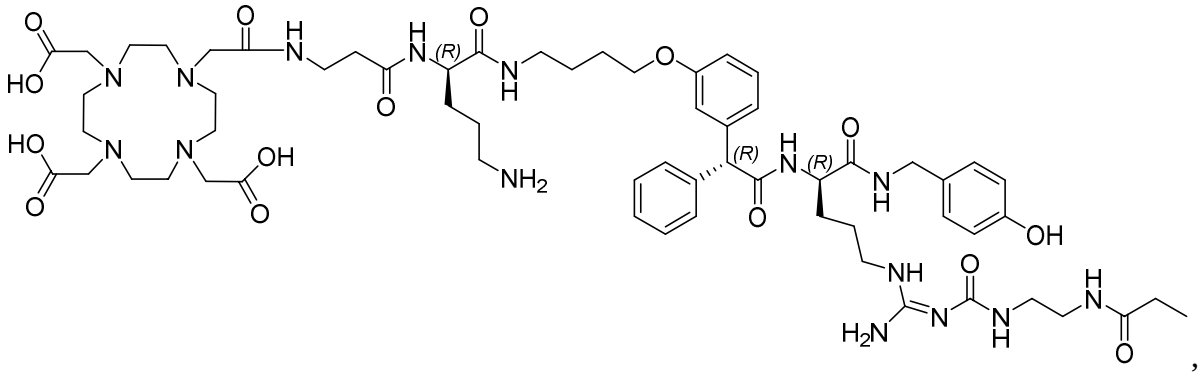

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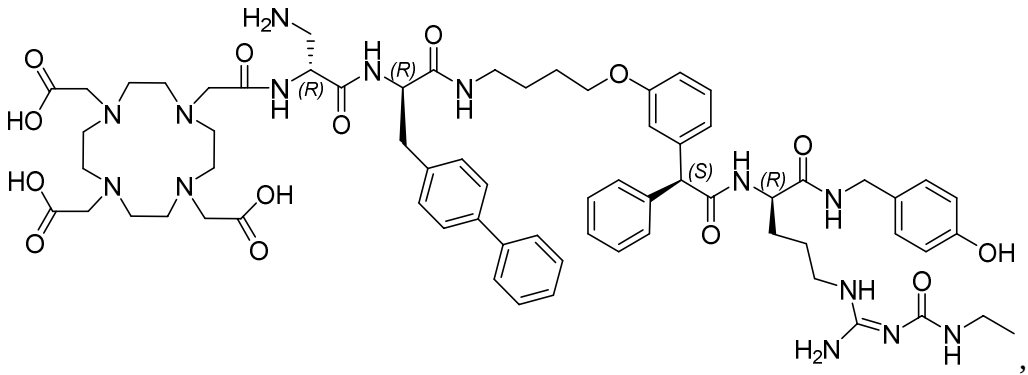

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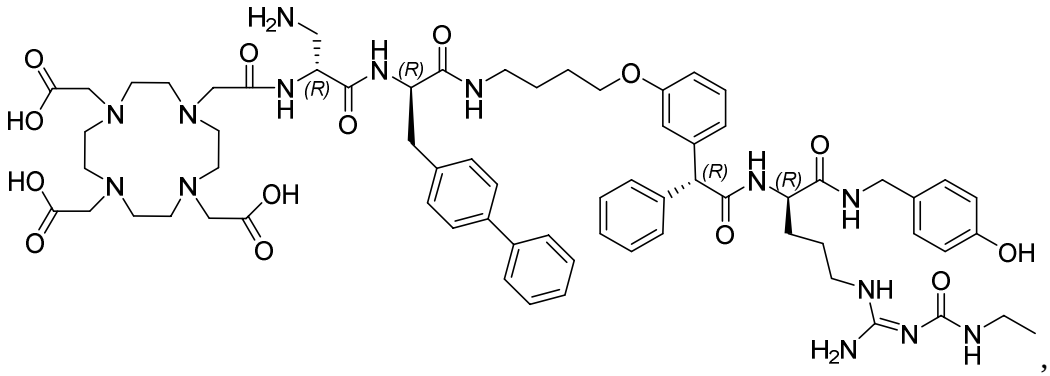

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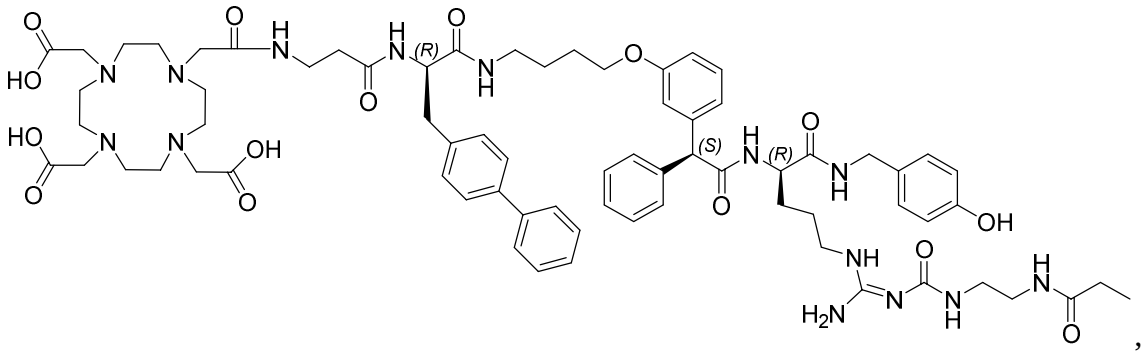

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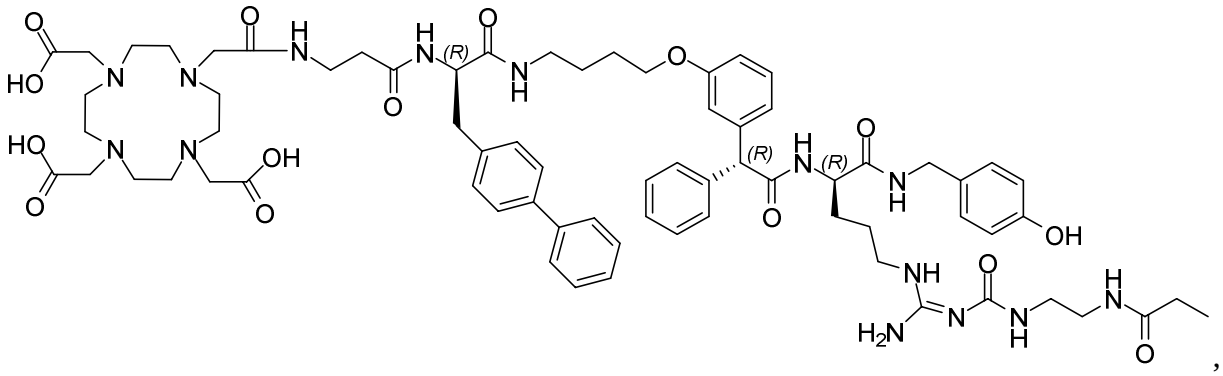

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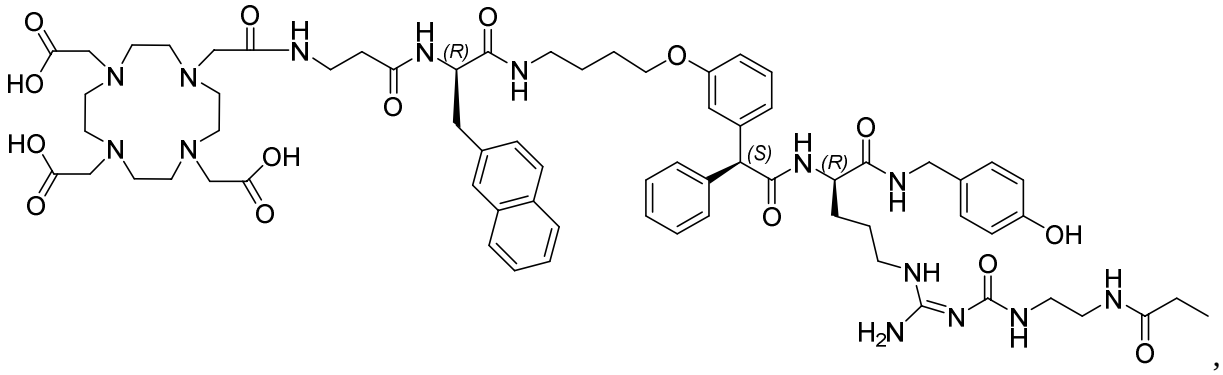

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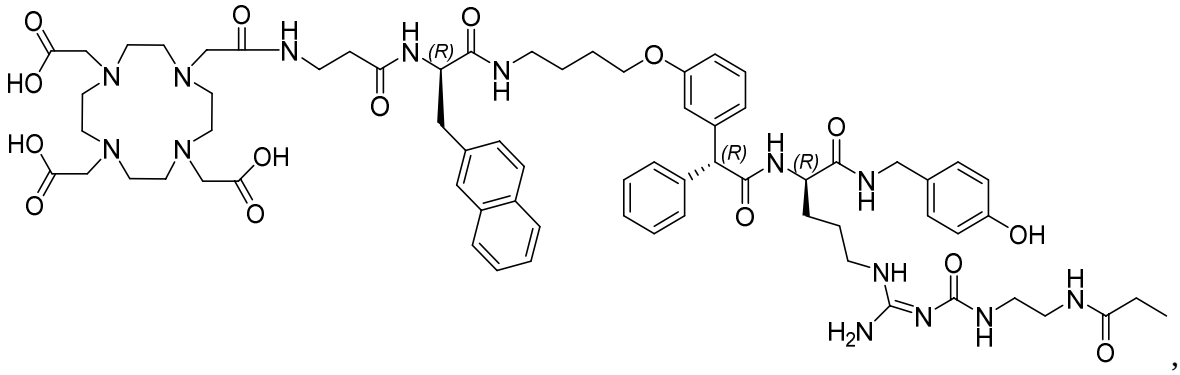

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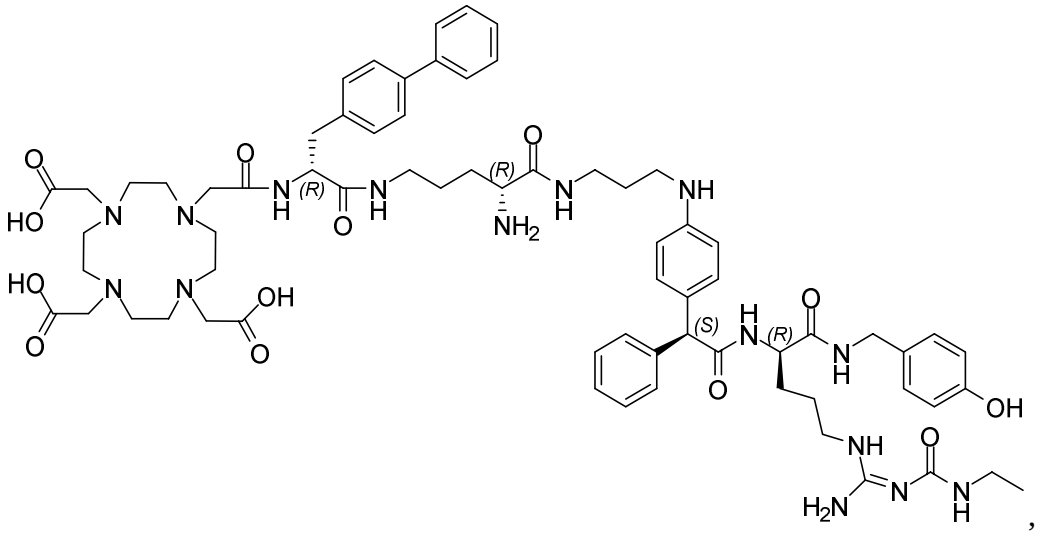

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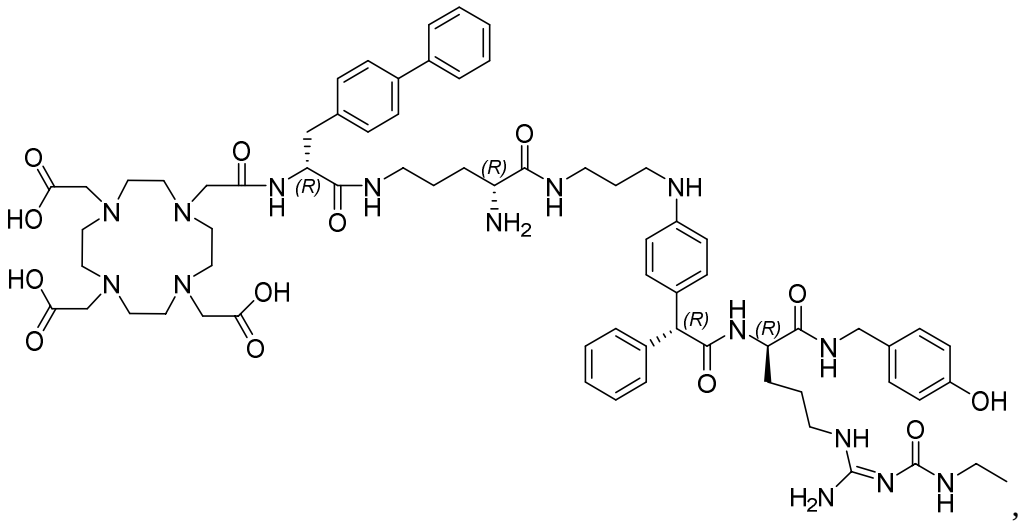

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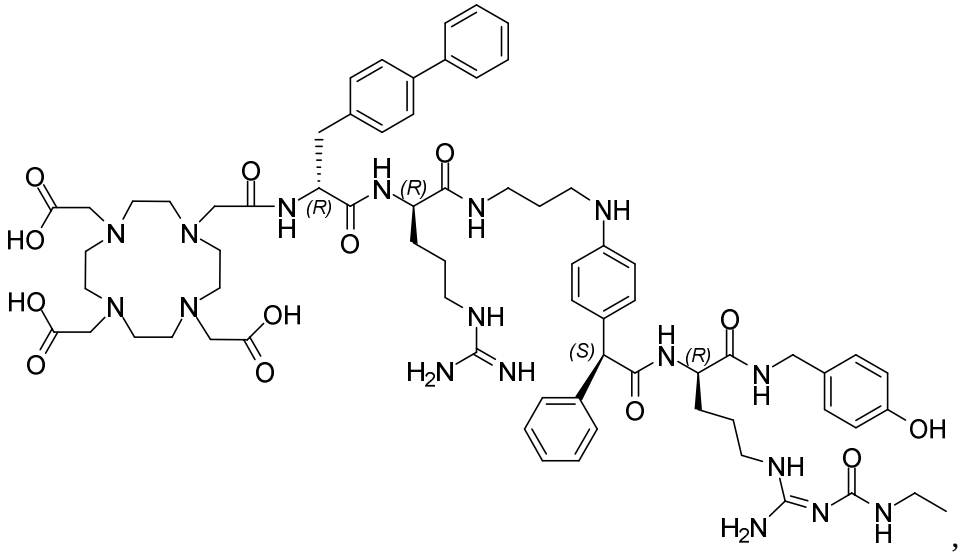

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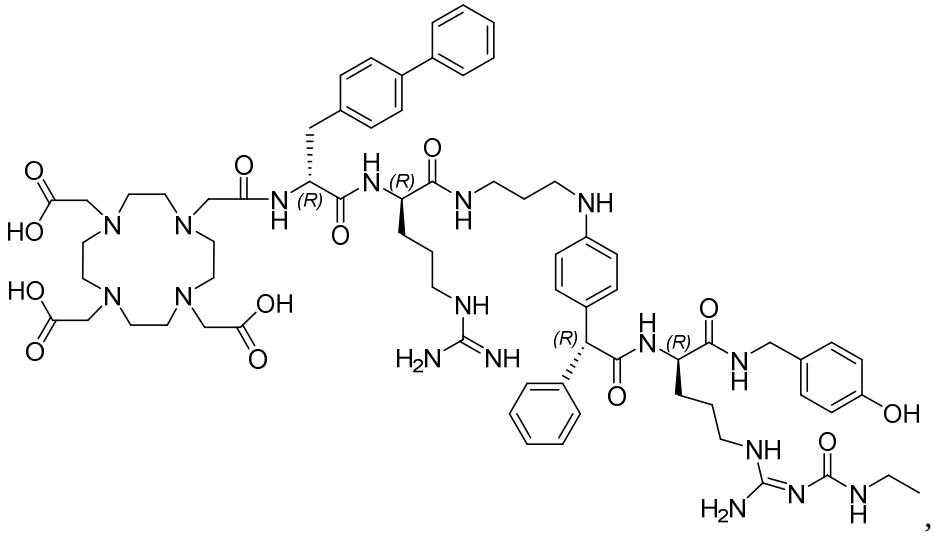

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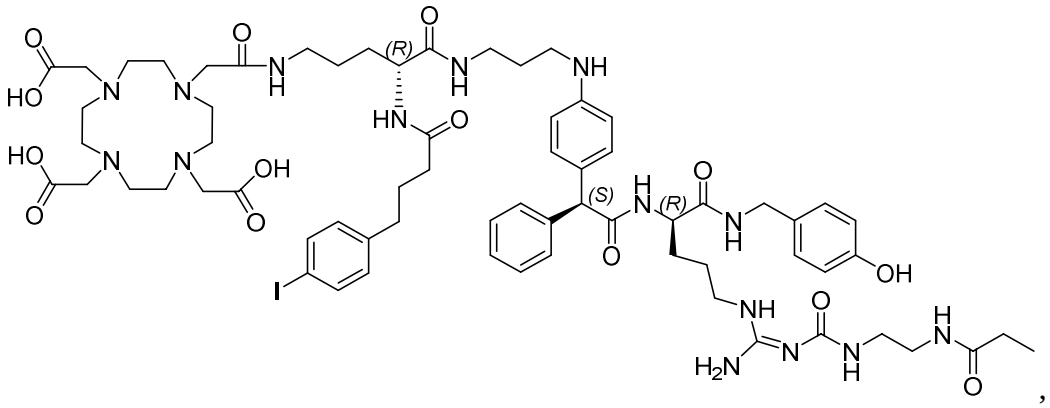

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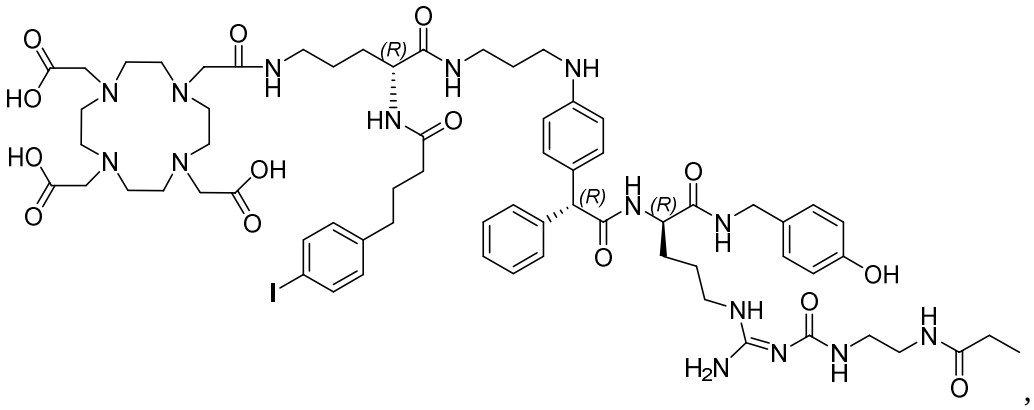

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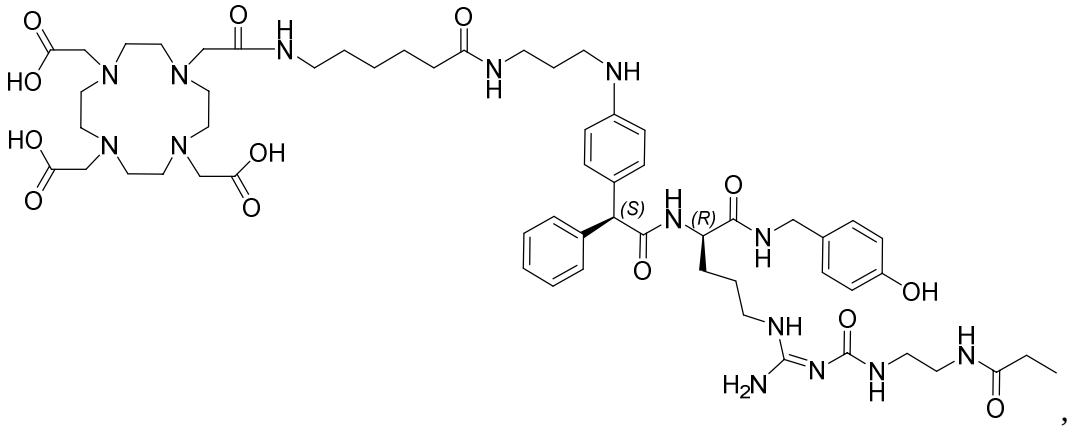

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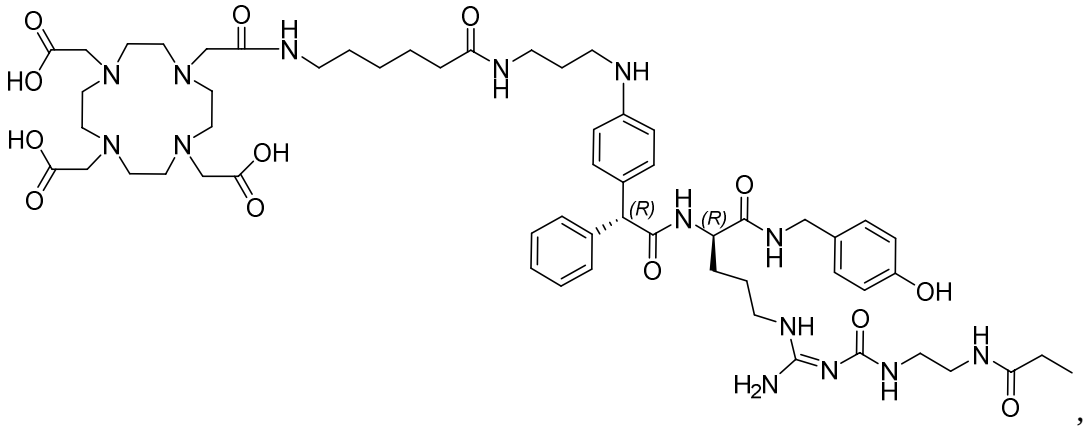

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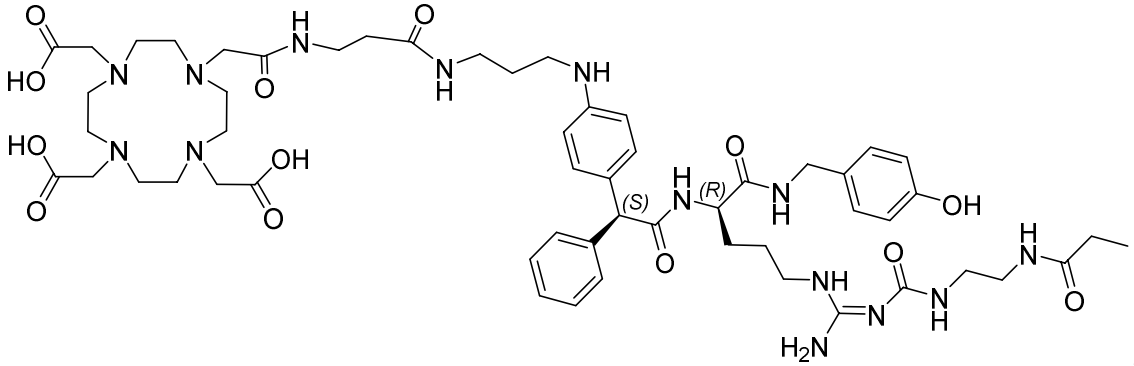
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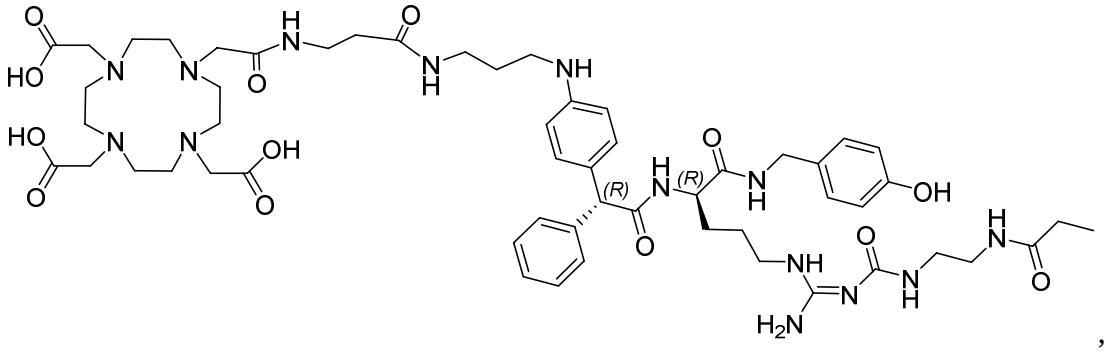
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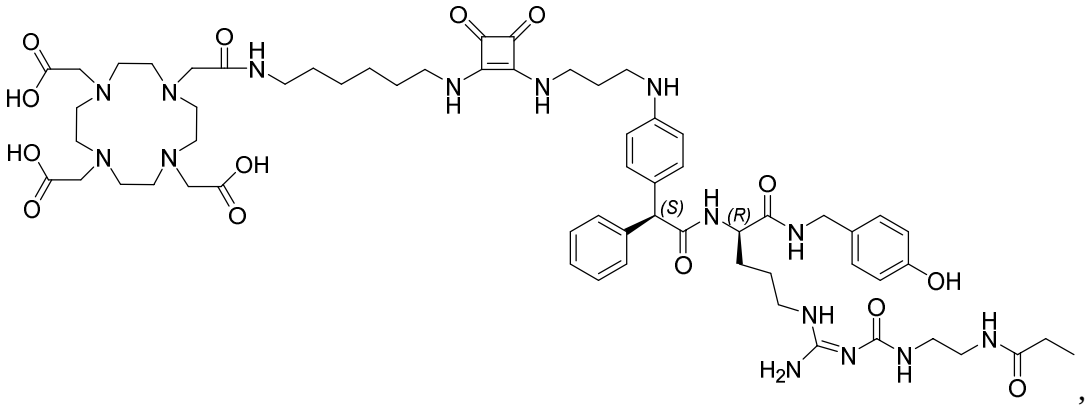
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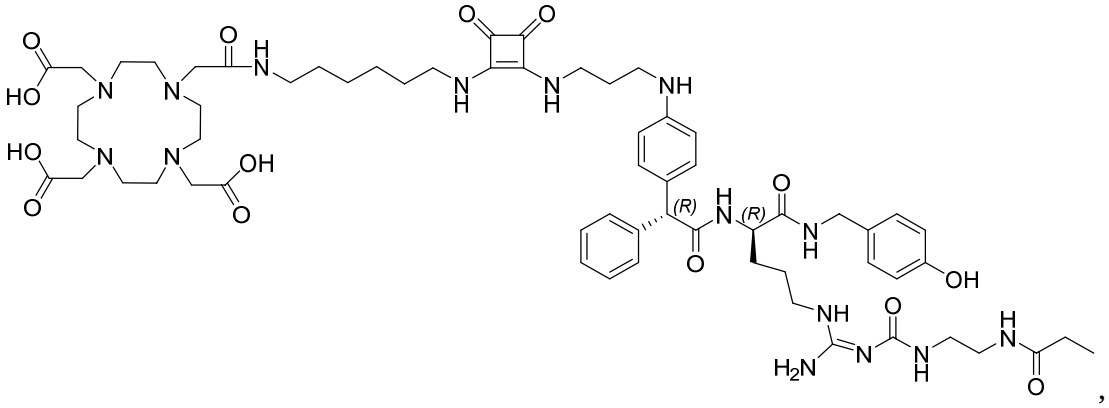
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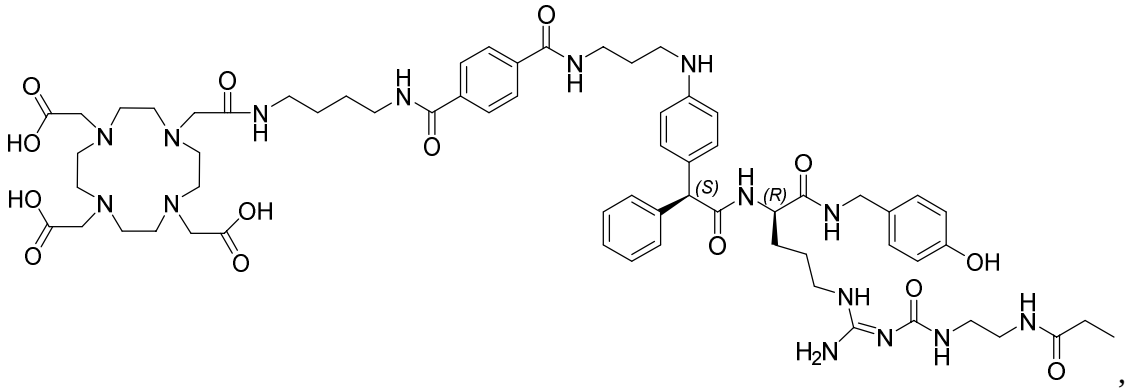

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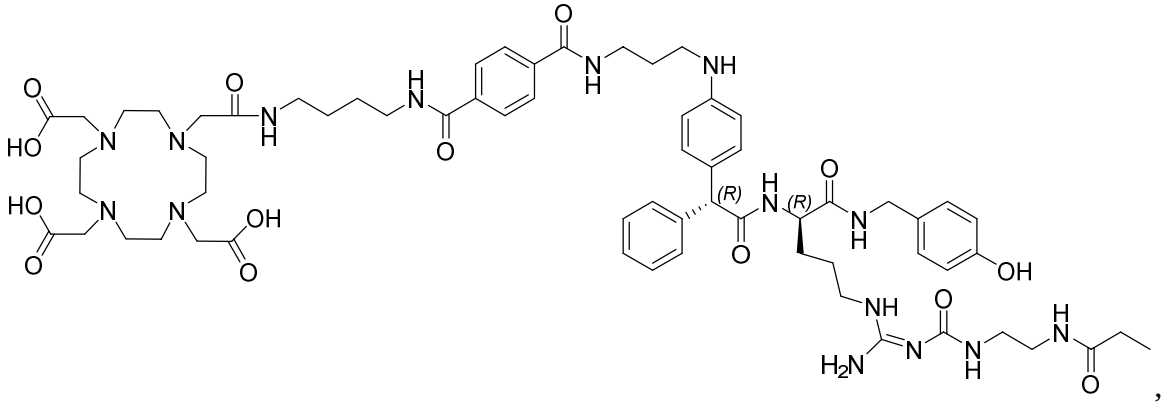

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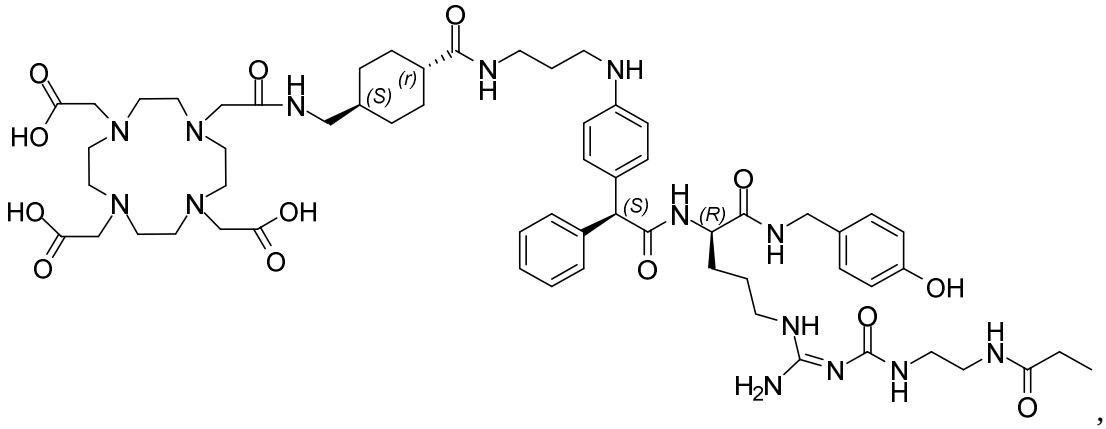

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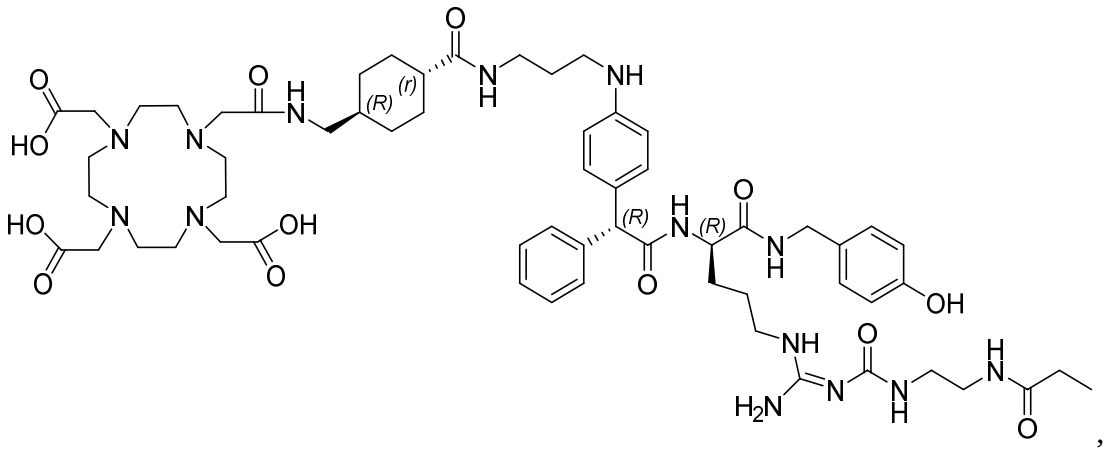

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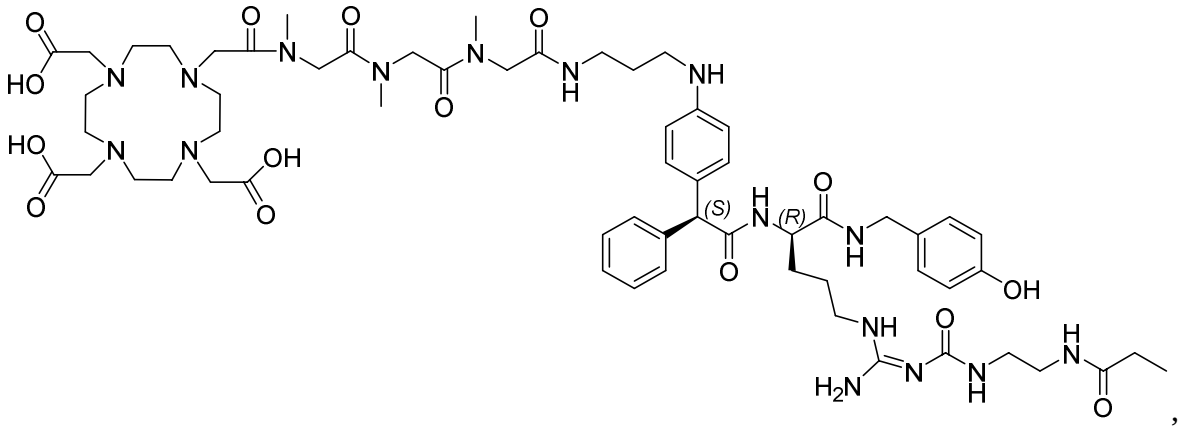
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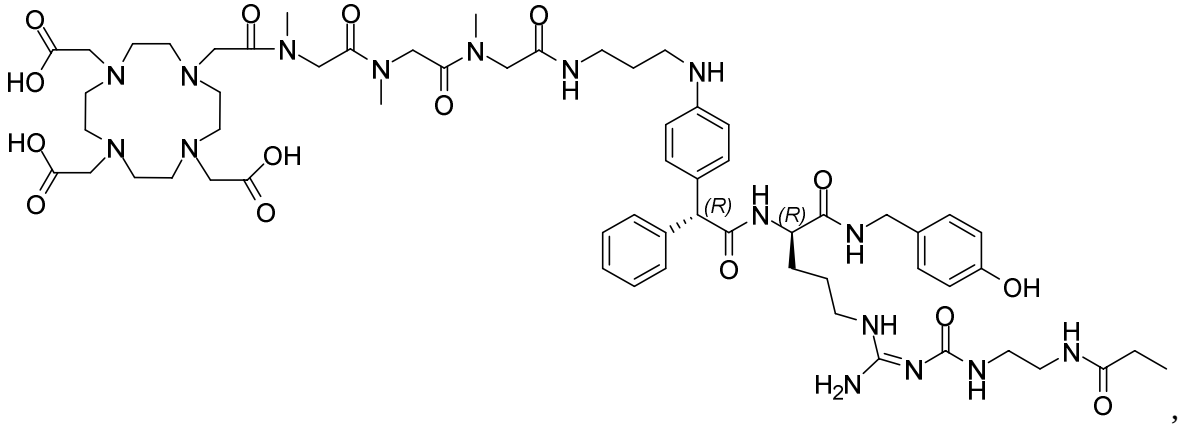
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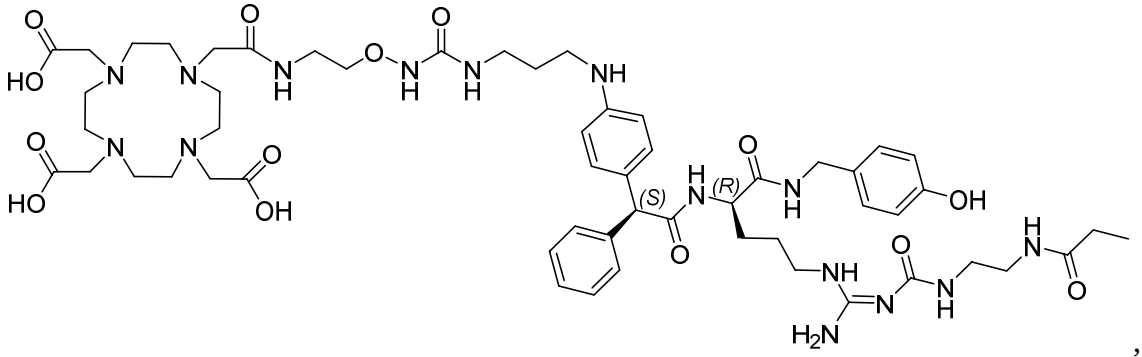
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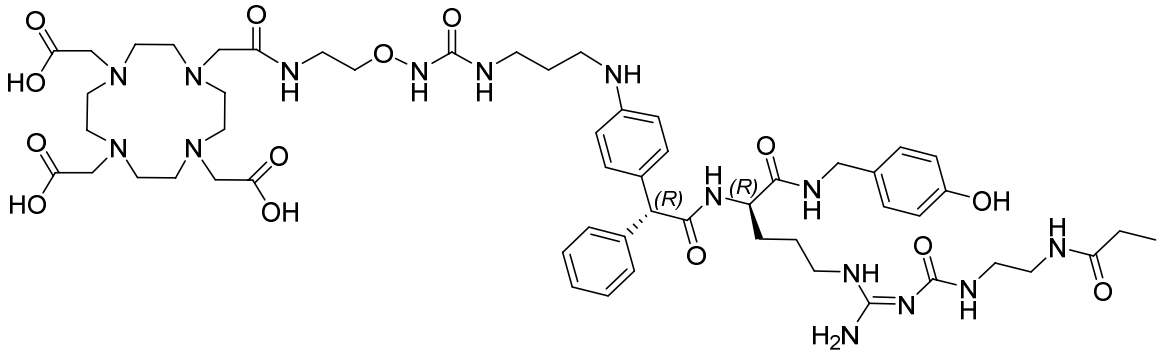
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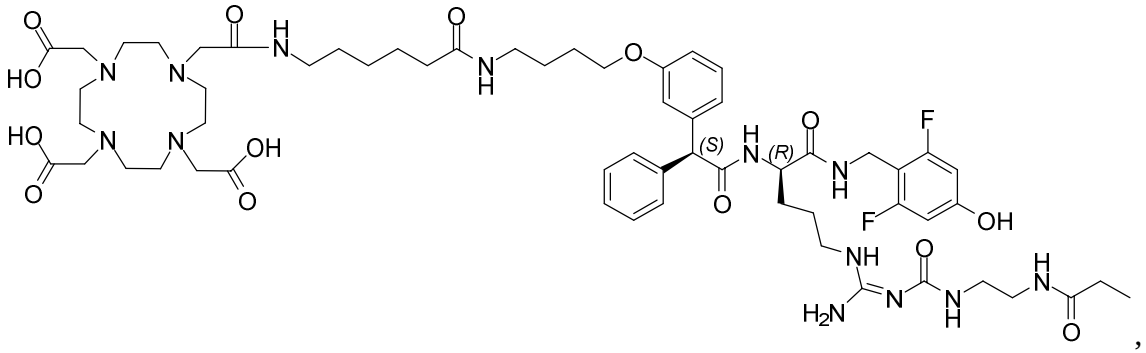

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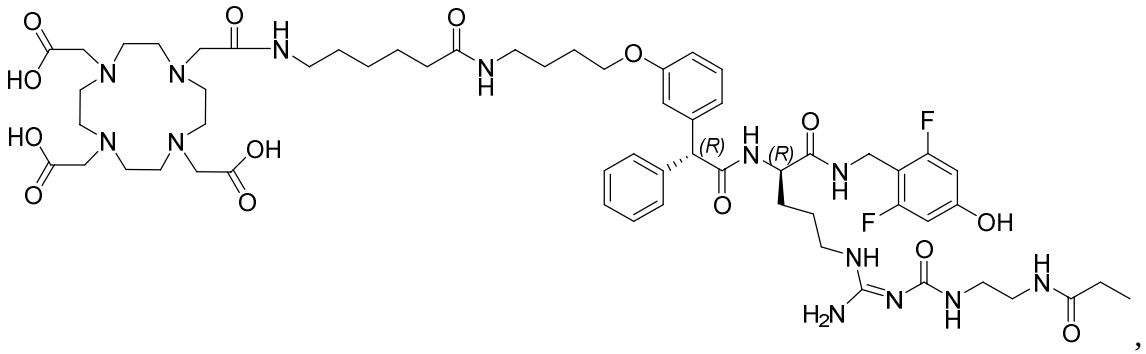

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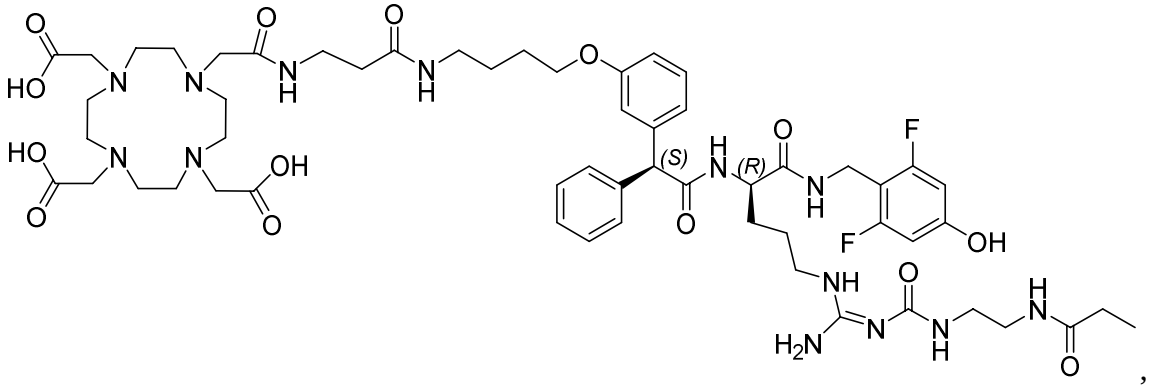

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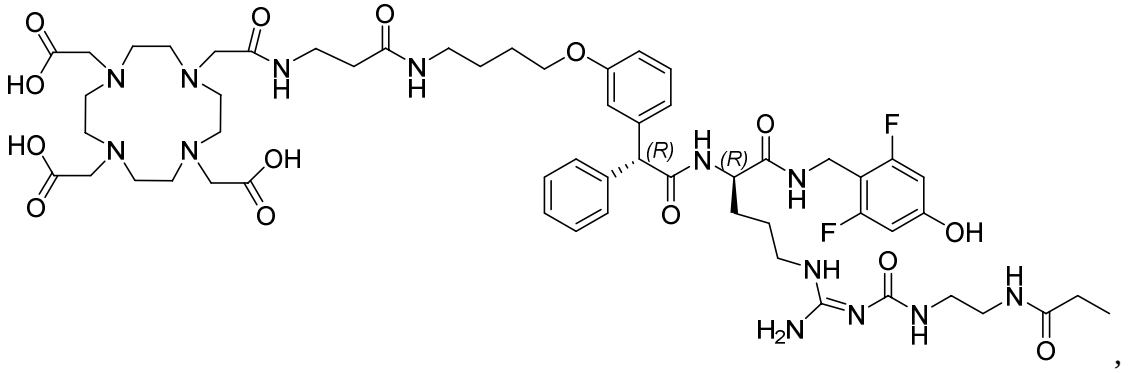

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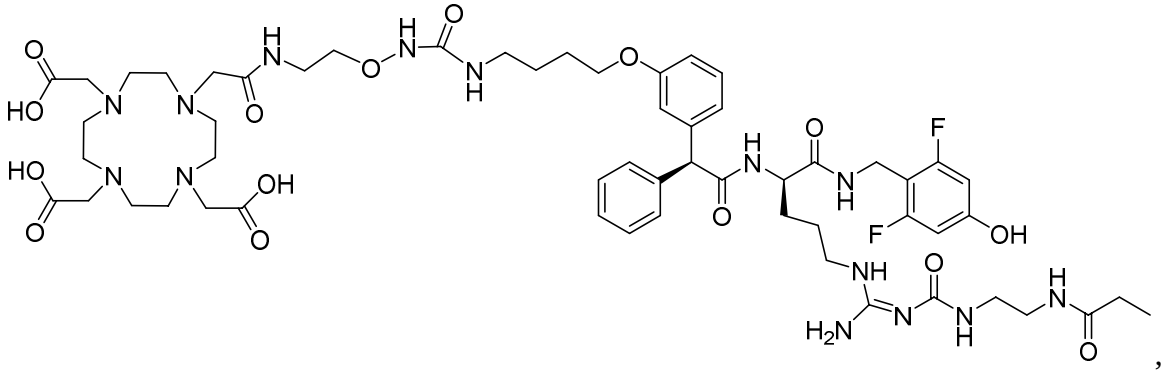

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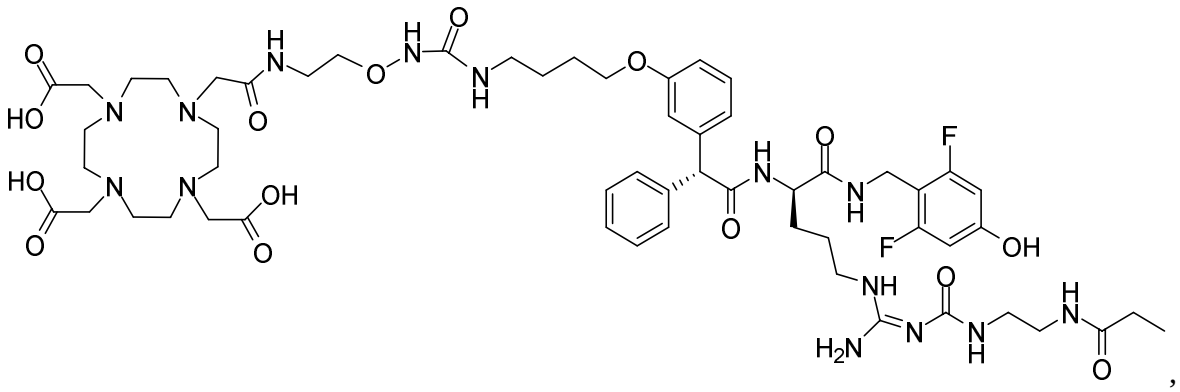

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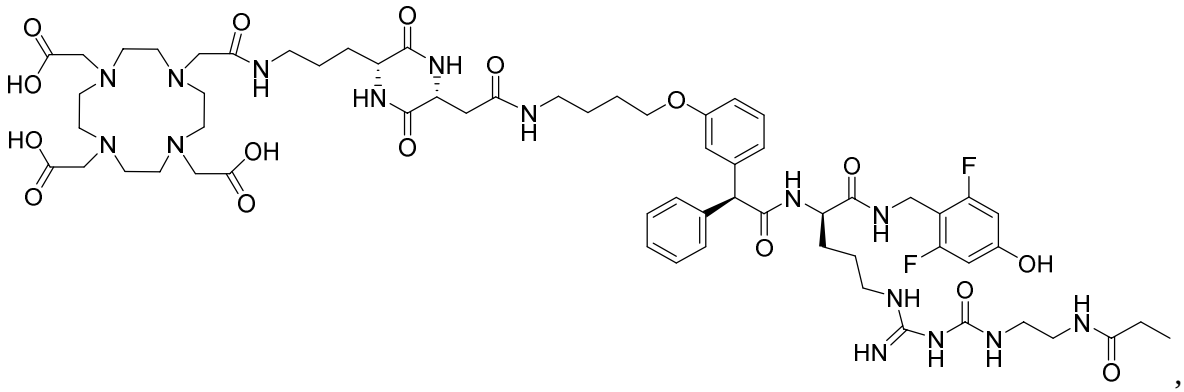

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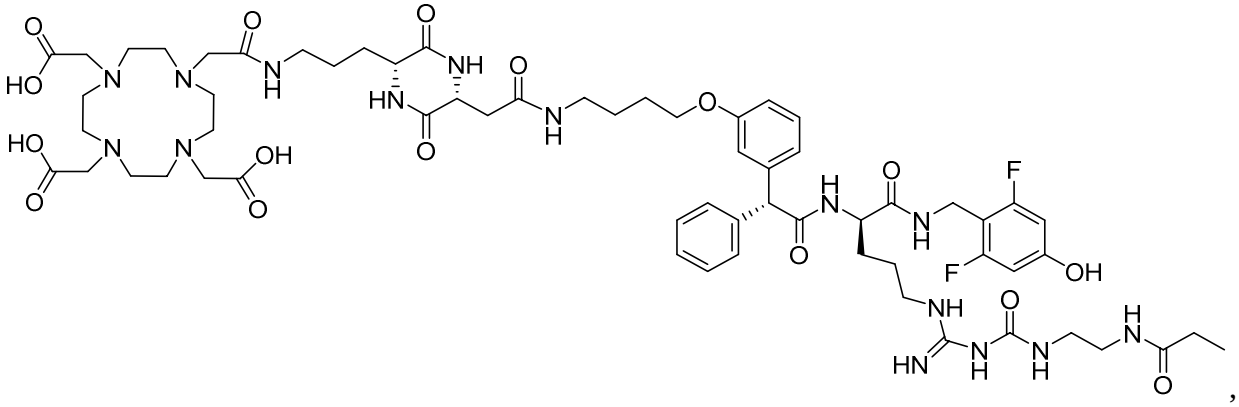

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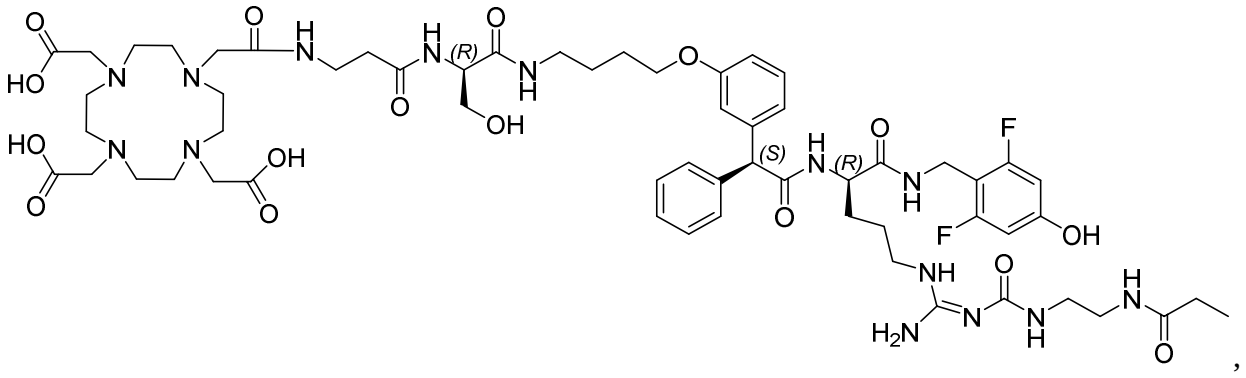

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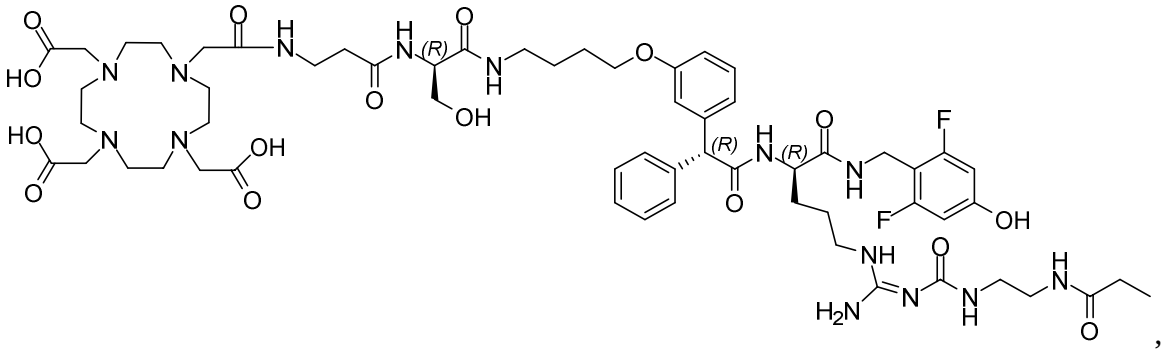

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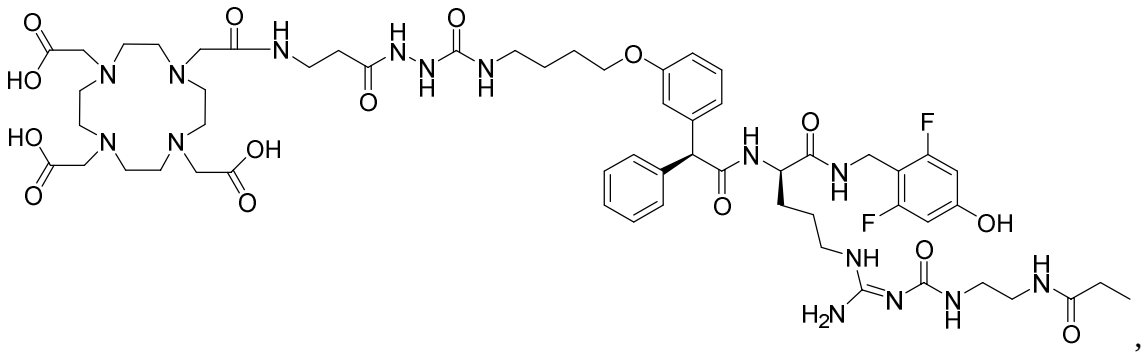

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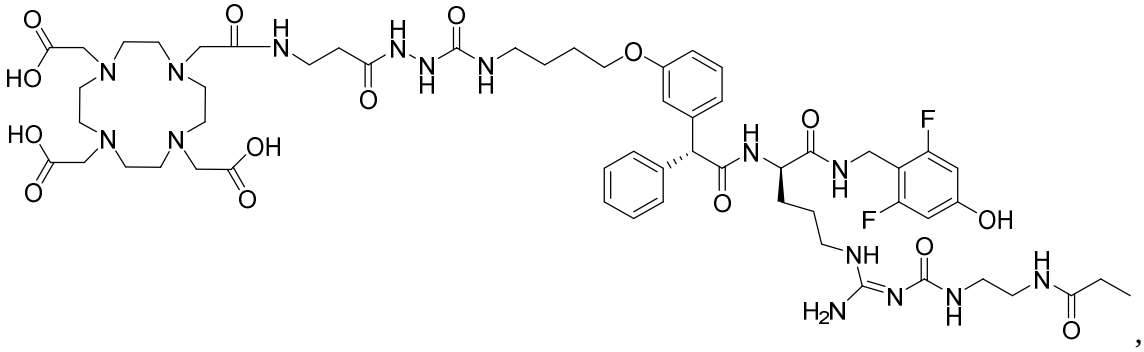

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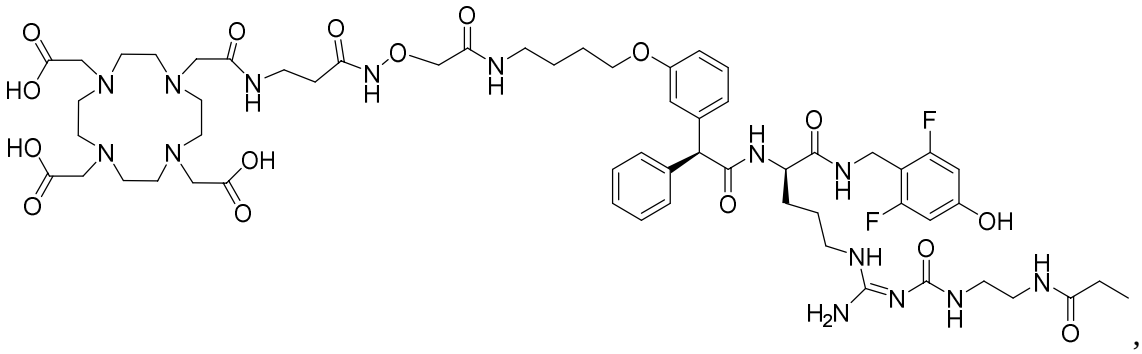

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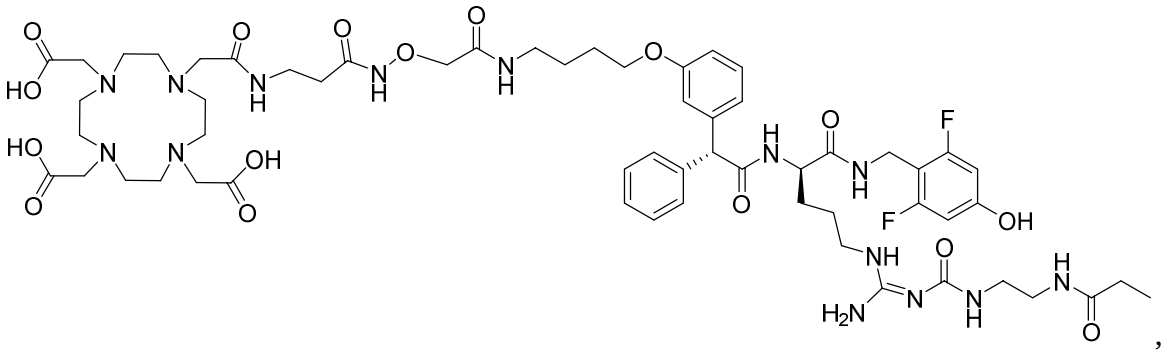

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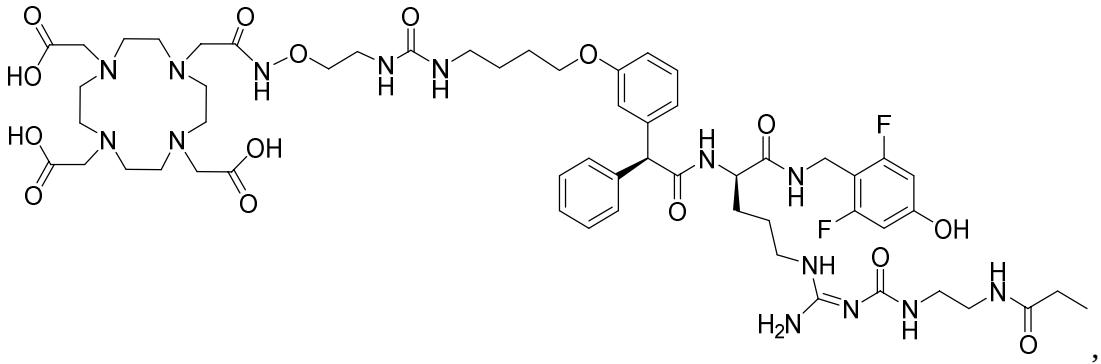
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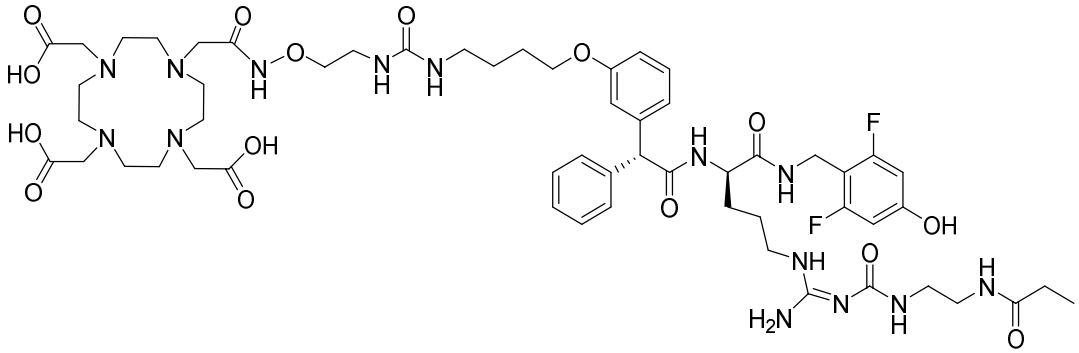
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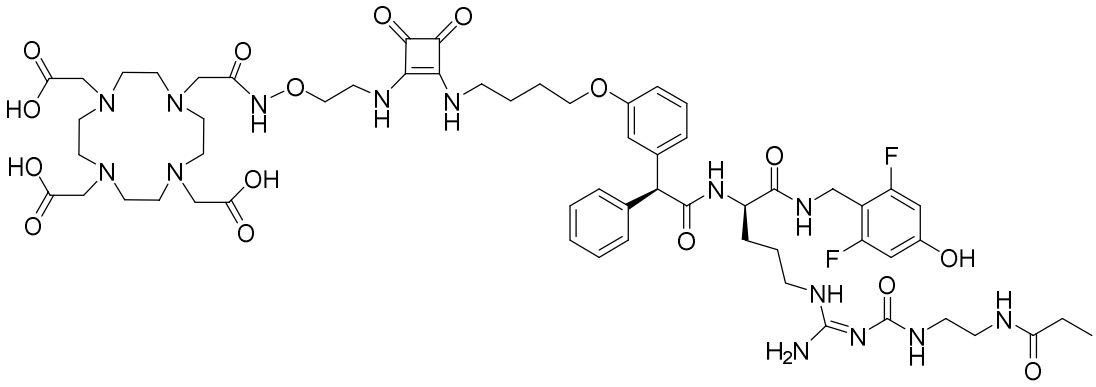
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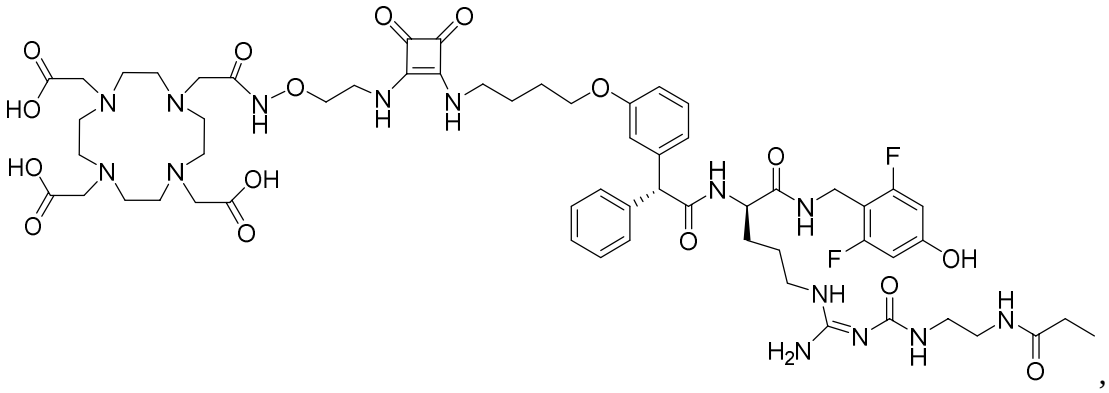
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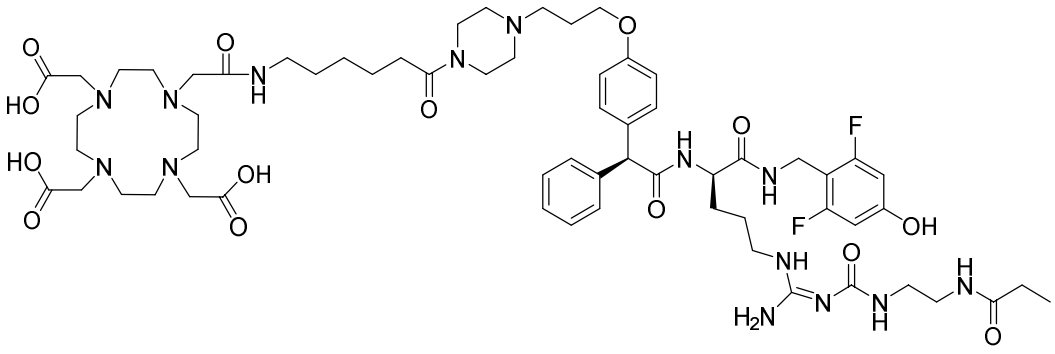
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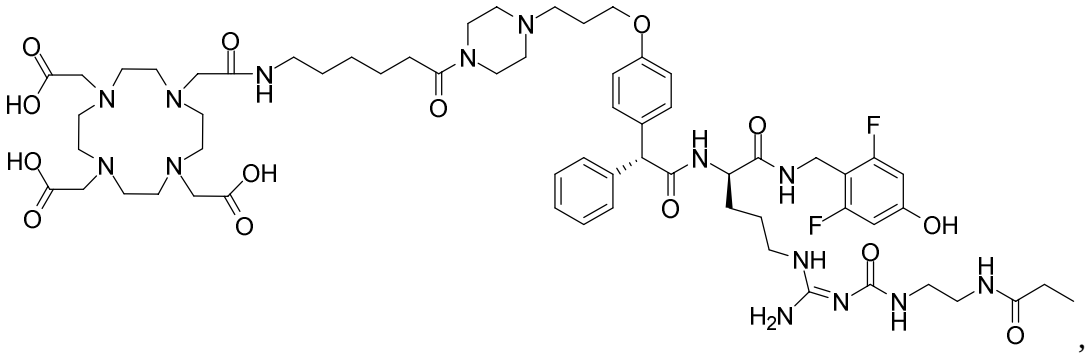

or a radionuclide complex thereof.

18. The compound of any one of claims 1-17, or a pharmaceutically acceptable salt thereof, wherein: the radionuclide of the radionuclide complex is actinium, bismuth, cesium, cobalt, copper, dysprosium, erbium, gold, indium, iridium, gallium, lead, lutetium, manganese, palladium, platinum, radium, rhenium, samarium, strontium, technetium, ytterbium, yttrium, or zirconium.

19. The compound of claim 18, or a pharmaceutically acceptable salt thereof, wherein the radionuclide of the radionuclide complex is 111-indium (^{111}In), 115-indium (^{115}In), 67-gallium (^{67}Ga), 68-gallium (^{68}Ga), 70-gallium (^{70}Ga), 225-actinium (^{225}Ac), 175-lutetium (^{175}Lu), or 177-lutetium (^{177}Lu).

20. A pharmaceutical composition comprising a compound of any one of claims 1-17, or a pharmaceutically acceptable salt thereof, and at least one pharmaceutically acceptable excipient.

21. Use of a compound of any one of claims 1-17, or a pharmaceutically acceptable salt thereof in the manufacture of a medicament for the treatment of cancer, wherein an effective amount of a compound of any one of claims 1-17, or a pharmaceutically acceptable salt thereof is to be administered to a mammal, wherein the cancer is breast cancer, kidney cancer, ovarian cancer, melanoma, gastrointestinal stromal tumor (GIST), Ewing's sarcoma, nephroblastoma, or adrenal gland tumors.

22. Use of a compound of any one of claims 1-17 in the manufacture of a medicament for the *in vivo* imaging of tumors expressing the neuropeptide Y1 receptor (NPY1R) in a mammal, the in vivo imaging comprising performing positron emission tomography (PET) analysis, single-photon emission computerized tomography (SPECT), or magnetic resonance imaging (MRI); wherein the subject has been administered with the medicament and wherein the compound of any one of claims 1-17, or a pharmaceutically acceptable salt thereof, comprises a diagnostic radionuclide.