


Formula I

X and Y independently represent hydrido, formyl [H-C(=O)-], aminocarbonyl [NH₂-C(=O)-], aminothiocabonyl [NH₂-C(=S)-], substituted or non-substituted alkyl, substituted or non-substituted aryl, substituted or non-substituted alkylacyl, substituted or non-substituted arylacyl, substituted or non-substituted alkyloxycarbonyl, substituted or non-substituted aryloxycarbonyl, substituted or non-substituted alkylaminocarbonyl, substituted or non-substituted arylaminocarbonyl, substituted or non-substituted alkylaminothiocarbonyl, substituted or non-substituted arylaminothiocarbonyl, substituted or non-substituted alkyloxythiocarbonyl, substituted or non-substituted aryloxythiocarbonyl, substituted or non-substituted alkylsulfonyl, substituted or non-substituted arylsulfonyl, substituted or non-substituted alkylphosphonyl radical, or substituted or non-substituted arylphosphonyl radical;

Z represents hydrido, hydroxy, substituted or non-substituted alkyloxy, substituted or non-substituted aryloxy, substituted or non-substituted amino, substituted or non-substituted alkyl, or substituted or non-substituted aryl radical;

$B_1, B_2, \dots, B_{n-1}$, and B_n are independently selected from natural nucleobases including adenine, thymine, guanine, cytosine and uracil, and unnatural nucleobases; and, at least four of $B_1, B_2, \dots, B_{n-1}$, and B_n are independently selected from unnatural nucleobases with a substituted or non-substituted amino radical covalently linked to the nucleobase moiety.

2. The peptide nucleic acid derivative according to claim 1, or a pharmaceutical salt thereof:

wherein,

n is an integer between 10 and 25;

the compound of **Formula I** possesses at least a 10-mer complementary overlap with the 14-mer pre-mRNA sequence of [(5' → 3') UGUUUAGGUACACU] within the human SCN9A pre-mRNA;

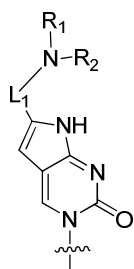
the compound of **Formula I** is fully complementary to the human SCN9A pre-mRNA, or partially complementary to the human SCN9A pre-mRNA with one or two mismatches;

$S_1, S_2, \dots, S_{n-1}, S_n, T_1, T_2, \dots, T_{n-1}$, and T_n independently represent deuterido, hydrido, substituted or non-substituted alkyl, or substituted or non-substituted aryl radical;

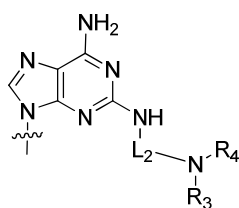
X and Y independently represent hydrido, formyl, aminocarbonyl, aminothiocarbonyl, substituted or non-substituted alkyl, substituted or non-substituted aryl, substituted or non-substituted alkylacyl, substituted or non-substituted arylacyl, substituted or non-substituted alkyloxycarbonyl, substituted or non-substituted aryloxycarbonyl, substituted or non-substituted alkylaminocarbonyl, substituted or non-substituted arylaminocarbonyl, substituted or non-substituted alkylaminothiocarbonyl, substituted or non-substituted arylaminothiocarbonyl, substituted or non-substituted alkyloxythiocarbonyl, substituted or non-substituted aryloxythiocarbonyl, substituted or non-substituted alkylsulfonyl, substituted or non-substituted arylsulfonyl, substituted or non-substituted alkylphosphonyl radical, or substituted or non-substituted arylphosphonyl radical;

Z represents hydrido, hydroxy, substituted or non-substituted alkyloxy, substituted or non-substituted aryloxy, substituted or non-substituted amino, substituted or non-substituted alkyl, or substituted or non-substituted aryl radical;

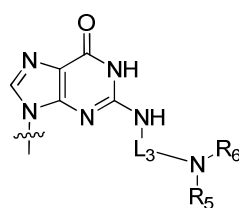
$B_1, B_2, \dots, B_{n-1}$, and B_n are independently selected from natural nucleobases including adenine, thymine, guanine, cytosine and uracil, and unnatural nucleobases; and, at least four of $B_1, B_2, \dots, B_{n-1}$, and B_n are independently selected from unnatural nucleobases represented by **Formula II**, **Formula III**, or **Formula IV**:



Formula II



Formula III

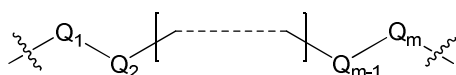


Formula IV

wherein,

R_1, R_2, R_3, R_4, R_5 and R_6 are independently selected from hydrido, and substituted or non-substituted alkyl radical;

L_1, L_2 and L_3 are a covalent linker represented by **Formula V** covalently linking the basic amino group to the nucleobase moiety:



Formula V

wherein,

Q_1 and Q_m are substituted or non-substituted methylene ($-\text{CH}_2-$) radical, and Q_m is directly linked to the basic amino group;

$Q_2, Q_3, \dots$, and Q_{m-1} are independently selected from substituted or non-substituted methylene, oxygen ($-\text{O}-$), sulfur ($-\text{S}-$), and substituted or non-substituted amino radical [$-\text{N}(\text{H})-$, or $-\text{N}(\text{substituent})-$]; and,

m is an integer between 1 and 15.

3. The peptide nucleic acid derivative of claim 2, wherein $S_1, S_2, \dots, S_{n-1}, S_n, T_1, T_2, \dots, T_{n-1}$, and T_n independently represent deuterido or hydrido radical and Z represents hydrido, hydroxy, substituted or non-substituted alkyloxy, substituted or non-substituted aryloxy, or substituted or non-substituted amino radical.

4. The peptide nucleic acid derivative of claim 2, wherein at least one of $S_1, S_2, \dots, S_{n-1}, S_n, T_1, T_2, \dots, T_{n-1}$, and T_n independently represents substituted or non-substituted alkyl, or substituted or non-substituted aryl radical, and/or Z represents substituted or non-substituted alkyl or substituted or non-substituted aryl radical.

5. The peptide nucleic acid derivative according to claim 1, or a pharmaceutical salt thereof:

wherein,

n is an integer between 11 and 21;

the compound of **Formula I** possesses at least a 10-mer complementary overlap with the 14-mer pre-mRNA sequence of [(5' → 3') UGUUUAGGUACACU] within the human SCN9A pre-mRNA;

the compound of **Formula I** is fully complementary to the human SCN9A pre-mRNA, or partially complementary to the human SCN9A pre-mRNA with one or two mismatches;

$S_1, S_2, \dots, S_{n-1}, S_n, T_1, T_2, \dots, T_{n-1}$, and T_n are hydrido radical;

X and Y independently represent hydrido, substituted or non-substituted alkyl, substituted or non-substituted aryl, substituted or non-substituted alkylacyl, substituted or non-substituted arylacyl, substituted or non-substituted alkyloxycarbonyl, substituted or non-substituted aryloxycarbonyl, substituted or non-substituted alkylaminocarbonyl, substituted or non-substituted arylaminocarbonyl, substituted or non-substituted alkylsulfonyl, or substituted or non-substituted arylsulfonyl radical;

Z represents substituted or non-substituted amino radical;

$B_1, B_2, \dots, B_{n-1}$, and B_n are independently selected from natural nucleobases including adenine, thymine, guanine, cytosine and uracil, and unnatural nucleobases;

at least four of $B_1, B_2, \dots, B_{n-1}$, and B_n are independently selected from unnatural nucleobases represented by **Formula II**, **Formula III**, or **Formula IV**;

R_1, R_2, R_3, R_4, R_5 and R_6 are independently selected from hydrido, and substituted or non-substituted alkyl radical;

Q_1 and Q_m are substituted or non-substituted methylene radical, and Q_m is directly linked to the basic amino group;

$Q_2, Q_3, \dots$, and Q_{m-1} are independently selected from substituted or non-substituted methylene, oxygen, and amino radical; and,

m is an integer between 1 and 11.

6. The peptide nucleic acid derivative of claim 5, wherein X and Y independently represent hydrido, substituted or non-substituted alkyl, substituted or non-substituted aryl, substituted or non-substituted alkylacyl, substituted or non-substituted arylacyl, substituted or non-substituted alkyloxycarbonyl, or substituted or non-substituted aryloxycarbonyl radical.

7. The peptide nucleic acid derivative of claim 5, wherein at least one of X and Y independently represents substituted or non-substituted alkylaminocarbonyl, substituted or non-substituted arylaminocarbonyl, substituted or non-substituted alkylsulfonyl, or substituted or non-substituted arylsulfonyl radical.

8. The peptide nucleic acid derivative according to claim 1, or a pharmaceutical salt thereof:

wherein,

n is an integer between 11 and 19;

the compound of **Formula I** possesses at least a 10-mer complementary overlap with the 14-mer pre-mRNA sequence of [(5' → 3') UGUUUAGGUACACU] within the human SCN9A pre-mRNA;

the compound of **Formula I** is fully complementary to the human SCN9A pre-mRNA;

$S_1, S_2, \dots, S_{n-1}, S_n, T_1, T_2, \dots, T_{n-1}$, and T_n are hydrido radical;

X and Y independently represent hydrido, substituted or non-substituted alkyl, substituted or non-substituted aryl, substituted or non-substituted alkylacyl, substituted or non-substituted arylacyl, substituted or non-substituted alkyloxycarbonyl, substituted or non-

substituted alkylaminocarbonyl, substituted or non-substituted alkylsulfonyl, or substituted or non-substituted arylsulfonyl radical;

Z represents substituted or non-substituted amino radical;

$B_1, B_2, \dots, B_{n-1}$, and B_n are independently selected from natural nucleobases including adenine, thymine, guanine, cytosine and uracil, and unnatural nucleobases;

at least four of $B_1, B_2, \dots, B_{n-1}$, and B_n are independently selected from unnatural nucleobases represented by **Formula II**, **Formula III**, or **Formula IV**;

R_1, R_2, R_3, R_4, R_5 and R_6 are independently selected from hydrido, and substituted or non-substituted alkyl radical;

Q_1 and Q_m are methylene radical, and Q_m is directly linked to the basic amino group;

$Q_2, Q_3, \dots$, and Q_{m-1} are independently selected from methylene, oxygen, and amino radical; and,

m is an integer between 1 and 9.

9. The peptide nucleic acid derivative of claim 8, wherein X and Y independently represent hydrido, substituted or non-substituted alkylacyl, or substituted or non-substituted alkyloxycarbonyl radical.

10. The peptide nucleic acid derivative of claim 8, wherein at least one of X and Y independently represents substituted or non-substituted alkyl, substituted or non-substituted aryl, substituted or non-substituted arylacyl, substituted or non-substituted alkylaminocarbonyl, substituted or non-substituted alkylsulfonyl, or substituted or non-substituted arylsulfonyl radical.

11. The peptide nucleic acid derivative according to claim 1, or a pharmaceutical salt thereof:

wherein,

n is an integer between 11 and 19;

the compound of **Formula I** possesses at least a 10-mer complementary overlap with the 14-mer pre-mRNA sequence of [(5' → 3') UGUUUAGGUACACU] within the human SCN9A pre-mRNA;

the compound of **Formula I** is fully complementary to the human SCN9A pre-mRNA;

$S_1, S_2, \dots, S_{n-1}, S_n, T_1, T_2, \dots, T_{n-1},$ and T_n are hydrido radical;

X and Y independently represent hydrido, substituted or non-substituted alkyl, substituted or non-substituted aryl, substituted or non-substituted alkylacyl, substituted or non-substituted arylacyl, or substituted or non-substituted alkyloxycarbonyl radical;

Z represents substituted or non-substituted amino radical;

$B_1, B_2, \dots, B_{n-1},$ and B_n are independently selected from natural nucleobases including adenine, thymine, guanine, cytosine and uracil, and unnatural nucleobases;

at least four of $B_1, B_2, \dots, B_{n-1},$ and B_n are independently selected from unnatural nucleobases represented by **Formula II**, **Formula III**, or **Formula IV**;

$R_1, R_3,$ and R_5 are hydrido radical, and $R_2, R_4,$ and R_6 independently represent hydrido, or substituted or non-substituted alkyl radical;

Q_1 and Q_m are methylene radical, and Q_m is directly linked to the basic amino group;

$Q_2, Q_3, \dots,$ and Q_{m-1} are independently selected from methylene, oxygen radical; and, m is an integer between 1 and 8.

12. The peptide nucleic acid derivative of claim 11, wherein X and Y independently represent hydrido, substituted or non-substituted alkylacyl, or substituted or non-substituted alkyloxycarbonyl radical.

13. The peptide nucleic acid derivative of claim 11, wherein at least one of X and Y independently represents substituted or non-substituted alkyl, substituted or non-substituted aryl, or substituted or non-substituted arylacyl radical.

14. The peptide nucleic acid derivative according to claim 1, or a pharmaceutical salt thereof:

thereof:

wherein,

n is an integer between 11 and 19;

the compound of **Formula I** possesses at least a 10-mer complementary overlap with the 14-mer pre-mRNA sequence of [(5' → 3') UGUUUAGGUACACU] within the human SCN9A pre-mRNA;

the compound of **Formula I** is fully complementary to the human SCN9A pre-mRNA;

$S_1, S_2, \dots, S_{n-1}, S_n, T_1, T_2, \dots, T_{n-1},$ and T_n are hydrido radical;

X and Y independently represent hydrido, substituted or non-substituted alkylacyl, substituted or non-substituted arylacyl, or substituted or non-substituted alkyloxycarbonyl radical;

Z represents substituted or non-substituted amino radical;

$B_1, B_2, \dots, B_{n-1},$ and B_n are independently selected from adenine, thymine, guanine, cytosine, and unnatural nucleobases;

at least five of $B_1, B_2, \dots, B_{n-1},$ and B_n are independently selected from unnatural nucleobases represented by **Formula II**, **Formula III**, or **Formula IV**;

$R_1, R_2, R_3, R_4, R_5,$ and R_6 are hydrido radical;

Q_1 and Q_m are methylene radical, and Q_m is directly linked to the basic amino group;

$Q_2, Q_3, \dots,$ and Q_{m-1} are independently selected from methylene, and oxygen radical;

and,

m is an integer between 1 and 8.

15. The peptide nucleic acid derivative of claim 14, wherein X and Y independently represent hydrido, substituted or non-substituted alkylacyl, or substituted or non-substituted alkyloxycarbonyl radical.

16. The peptide nucleic acid derivative of claim 14, wherein at least one of X and Y independently represents substituted or non-substituted arylacyl.

17. The peptide nucleic acid derivative according to claim 1, or a pharmaceutical salt thereof:

wherein,

n is an integer between 11 and 19;

the compound of **Formula I** possesses at least a 10-mer complementary overlap with the 14-mer pre-mRNA sequence of [(5' → 3') UGUUUAGGUACACU] within the human SCN9A pre-mRNA;

the compound of **Formula I** is fully complementary to the human SCN9A pre-mRNA;

$S_1, S_2, \dots, S_{n-1}, S_n, T_1, T_2, \dots, T_{n-1},$ and T_n are hydrido radical;

X is hydrido radical;

Y represents substituted or non-substituted alkylacyl, substituted or non-substituted arylacyl, or substituted or non-substituted alkyloxycarbonyl radical;

Z represents substituted or non-substituted amino radical;

$B_1, B_2, \dots, B_{n-1},$ and B_n are independently selected from adenine, thymine, guanine, cytosine, and unnatural nucleobases;

at least five of $B_1, B_2, \dots, B_{n-1},$ and B_n are independently selected from unnatural nucleobases represented by **Formula II**, **Formula III**, or **Formula IV**;

$R_1, R_2, R_3, R_4, R_5,$ and R_6 are hydrido radical;

L_1 represents $-(CH_2)_2-O-(CH_2)_2-$, $-CH_2-O-(CH_2)_2-$, $-CH_2-O-(CH_2)_3-$, $-CH_2-O-(CH_2)_4-$, or $-CH_2-O-(CH_2)_5-$ with the right end is directly linked to the basic amino group; and,

L_2 and L_3 are independently selected from $-(CH_2)_2-$, $-(CH_2)_3-$, $-(CH_2)_4-$, $-(CH_2)_5-$, $-(CH_2)_6-$, $-(CH_2)_7-$, $-(CH_2)_8-$, $-(CH_2)_2-O-(CH_2)_2-$, $-(CH_2)_3-O-(CH_2)_2-$, and $-(CH_2)_2-O-(CH_2)_3-$ with the right end is directly linked to the basic amino group.

18. The peptide nucleic acid derivative of claim 17, wherein Y represents substituted or non-substituted alkylacyl or substituted or non-substituted alkyloxycarbonyl radical.

19. The peptide nucleic acid derivative of claim 17, wherein Y represents substituted or non-substituted arylacyl.

20. The peptide nucleic acid derivative according to claim 1, which is selected from the group of peptide nucleic acid derivatives provided below, or a pharmaceutically acceptable salt thereof:

(N → C) Fethoc-TA(5)A-A(5)AG(6)-TG(6)T-A(5)CC(1O2)-TA(5)A-A(5)-NH₂;

(N → C) Fethoc-TA(5)A-A(4)AG(6)-TG(6)T-A(5)CC(1O3)-TA(5)A-A-NH₂;

- (N → C) Fmoc-TA(5)A-A(4)AG(6)-TG(6)T-A(5)CC(1O3)-TA(5)A-A-NH₂;
- (N → C) Piv-Leu-TA(5)A-A(5)AG(3O2)-TG(6)T-A(5)CC(1O2)-TA(5)A-A(5)-NH₂;
- (N → C) Fethoc-TA(5)T-A(5)AG(6)-TG(6)T-A(5)CC(1O2)-TA(5)A-A(5)-NH₂;
- (N → C) Benzoyl-Gly-TA(2O2)A-A(5)AG(6)-TG(6)T-A(5)CT-TA(5)A-Lys-NH₂;
- (N → C) Fethoc-AA(5)G-TG(6)T-A(5)CC(1O2)-TAA(5)-A-NH₂;
- (N → C) Fethoc-AA(5)G-CG(6)T-A(5)CC(1O2)-TAA(5)-A-NH₂;
- (N → C) Fmoc-AA(5)G-TG(6)T-A(5)CC(1O2)-TAA(5)-A-NH₂;
- (N → C) Benzenesulfonyl-AA(5)G-TG(6)T-A(5)CC(1O2)-TAA(5)-A-NH₂;
- (N → C) Ac-AA(5)G-TG(6)T-A(5)CC(1O2)-TAA(5)-A-NH₂;
- (N → C) Fethoc-AA(5)G-TG(5)T-A(5)CC(1O2)-TA(3)A-A(5)-NH₂;
- (N → C) Fmoc-AA(5)G-TG(6)T-AC(1O2)C-TAA(5)-A-NH₂;
- (N → C) Methyl-AA(5)G-TG(5)T-A(5)CC(1O2)-TA(3)A-A(5)-Gly-Arg-NH₂;
- (N → C) Fethoc-A(5)AG-TG(6)T-A(5)CC(1O2)-TAA-A(5)-NH₂;
- (N → C) Ac-Val-A(5)AG-TG(6)T-A(5)CC(1O2)-TAA-A(5)-NH₂;
- (N → C) Fethoc-AAG(6)-TG(6)T-A(5)CC(1O2)-TA(5)A-A-NH₂;
- (N → C) Fethoc-AA(5)G-TG(5)T-A(5)CC(1O2)-TA(5)A-A(5)-NH₂;
- (N → C) Fethoc-AA(5)G-TG(5)T-A(5)CC(1O2)-TA(5)A-A(5)C-NH₂;
- (N → C) Fethoc-AA(5)G-TG(5)T-A(5)AC(1O2)-TA(5)T-A(5)C-NH₂;
- (N → C) H-AA(5)G-TG(2O2)T-A(5)CC(1O2)-TA(5)A-A(5)C-NH₂;
- (N → C) Fethoc-Lys-Leu-AA(5)G-TG(5)T-A(5)CC(1O2)-TA(2O2)A-A(5)C-Lys-NH₂;
- (N → C) [N-(2-Phenylethyl)amino]carbonyl-AA(3)G-TG(5)T-A(5)CC(1O5)-TA(5)A-A(5)C-NH₂;
- (N → C) N,N-Phenyl-Me-AA(5)G-TG(5)T-A(5)CC(1O2)-TA(5)A-A(4)C-NH₂;
- (N → C) Fethoc-AA(5)G-TA(5)T-A(5)CC(1O2)-TG(5)A-A(5)C-NH₂;

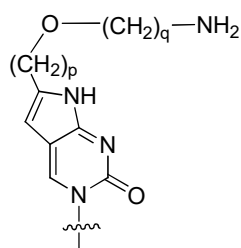
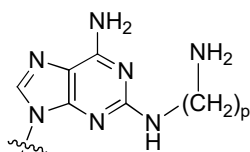
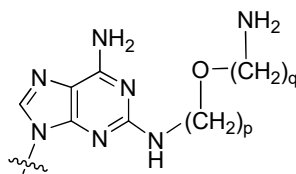
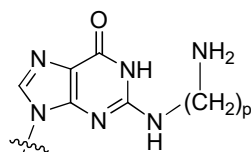
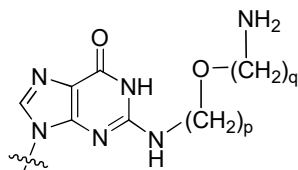
(N → C) p-Toluenesulfonyl-AA(5)G-TG(5)T-A(5)CC(1O2)-TA(5)A-A(5)C-NH₂;
 (N → C) p-Toluenesulfonyl-AA(5)G-TG(2O3)T-A(5)CC(1O2)-TA(5)A-A(5)C-Lys-
 NH₂;
 (N → C) Fethoc-AA(5)G-TG(2O3)T-A(5)CC(1O3)-TA(7)A-A(5)C-NH₂;
 (N → C) n-Propyl-AA(5)G-TG(5)T-A(5)CC(1O3)-TA(5)A-A(5)C-NH₂;
 (N → C) Benzoyl-AA(5)G-TG(5)T-A(5)CC(1O2)-TA(5)A-A(5)C-NH₂;
 (N → C) Benzyl-AA(5)G-TG(5)T-A(5)CC(1O3)-TA(5)A-A(5)C-NH₂;
 (N → C) Benzoyl-AA(5)G-TG(3)T-A(5)CC(2O2)-TA(5)A-A(5)C-NH₂;
 (N → C) Fethoc-AA(5)G-TG(5)T-A(5)CC(1O2)-TA(5)A-A(5)C-Leu-Lys-NH₂;
 (N → C) Piv-AA(5)G-TG(5)T-A(5)CC(1O2)-TA(5)A-A(5)C-NH₂;
 (N → C) Fethoc-TA(5)G-TG(5)T-A(5)CC(1O2)-TA(5)A-A(5)C-NH₂;
 (N → C) Fethoc-AA(5)C-TG(5)T-A(5)CC(1O2)-TA(5)A-A(5)C-NH₂;
 (N → C) Methylsulfonyl-AA(5)G-TG(5)T-A(5)CC(1O2)-TA(5)A-A(5)C-NH₂;
 (N → C) n-Hexyl-AA(5)G-TG(5)T-A(5)CC(1O2)-TA(5)A-A(5)C-NH₂;
 (N → C) n-Hexanoyl-AA(5)G-TG(5)T-A(5)CC(1O2)-TA(5)A-A(5)C-NH₂;
 (N → C) n-Hexanoyl-AA(5)G-TG(5)T-A(5)CC(1O2)-TA(8)A-A(5)C-NH₂;
 (N → C) Fethoc-AA(3)G-TG(2O2)T-A(5)CC(1O2)-TA(5)A-A(5)C-NH₂;
 (N → C) Fethoc-AA(3)G-TG(2O2)T-A(5)CC(1O3)-TA(5)A-A(5)C-NH₂;
 (N → C) Fethoc-AA(3)G-TG(2O2)T-A(5)CC(2O2)-TA(5)A-A(5)C-NH₂;
 (N → C) FAM-HEX-HEX-AA(3)G-TG(2O2)T-A(5)CC(2O2)-TA(5)A-A(5)C-NH₂;
 (N → C) Fethoc-A(5)GT-G(5)TA(5)-CC(1O2)T-A(5)AA(5)-C-NH₂;
 (N → C) Fethoc-AG(5)T-G(7)TA-CC(1O2)T-AA(6)A-C-NH₂;
 (N → C) Fethoc-AA(6)G-TG(5)T-A(6)CC(1O2)-TA(6)A-A(6)C-NH₂;
 (N → C) Fethoc-AA(5)G-TG(5)T-A(5)CC(1O2)-TA(5)A-A(3)CA-C(1O5)AA-NH₂;
 (N → C) Fethoc-AA(5)G-TCT-A(5)CC(1O2)-TA(5)A-A(3)CA-C(1O5)AA-NH₂;

$(N \rightarrow C)$ Fethoc-AA(5)G-TCT-A(5)CC(1O2)-TA(5)A-A(3)CA(3)-CTA-NH₂;
 $(N \rightarrow C)$ Fethoc-TG(5)T-A(5)CC(1O2)-TA(5)A-A(3)CA-CA(7)A-NH₂;
 $(N \rightarrow C)$ Fethoc-AA(5)G-TG(5)T-A(5)CC(1O2)-TA(5)A-A(3)CA(5)-C-NH₂;
 $(N \rightarrow C)$ Fethoc-TG(5)T-A(5)CC(1O2)-TA(5)A-A(3)CA(5)-C-NH₂;
 $(N \rightarrow C)$ p-Toluenesulfonyl-TG(2O3)T-A(5)CC(1O2)-TA(5)A-A(3)CA-C-Lys-NH₂;
 $(N \rightarrow C)$ Fethoc-TG(5)T-A(5)CC(2O2)-TA(5)A-A(3)CA-CA(5)A-NH₂;
 $(N \rightarrow C)$ Piv-TG(5)T-A(5)CC(2O2)-TA(5)A-A(3)CA-CA(5)A-NH₂;
 $(N \rightarrow C)$ Benzoyl-TG(5)T-A(5)CC(2O2)-TA(5)A-A(3)CA-CA(5)A-NH₂;
 $(N \rightarrow C)$ Propionyl-TG(5)T-A(5)CC(2O2)-TA(5)A-A(3)CA-CA(5)A-NH₂;
 $(N \rightarrow C)$ Fethoc-TG(5)T-A(5)CC(2O2)-TA(5)A-A(3)CA-CA(5)A-Arg-Val-NH₂;
 $(N \rightarrow C)$ Fethoc-AA(5)G-TG(5)T-A(5)CC(1O2)-TA(5)A-A(5)G-NH₂;
 $(N \rightarrow C)$ Fethoc-AG(5)G-TG(5)T-A(5)CC(1O2)-TA(5)A-A(5)G-NH₂;
 $(N \rightarrow C)$ Fethoc-AA(5)G-TG(5)T-A(5)CC(1O2)-TA(5)A-A(5)CA-NH₂;
 $(N \rightarrow C)$ Fethoc-AA(5)G-TG(5)T-A(5)CC(1O2)-TA(5)A-A(5)GG-NH₂; and,
 $(N \rightarrow C)$ Fethoc-AA(5)G-TG(5)T-ACC(1O2)-TA(5)A-A(5)CA(5)-C-NH₂;

wherein,

A, G, T, and C are PNA monomers with a natural nucleobase of adenine, guanine, thymine, and cytosine, respectively;

C(pOq), A(p), A(pOq), G(p), and G(pOq) are PNA monomers with an unnatural nucleobase represented by **Formula VI**, **Formula VII**, **Formula VIII**, **Formula IX**, and **Formula X**, respectively;

**Formula VI****Formula VII****Formula VII****Formula IX****Formula X**

wherein,

p and q are integers; and,

the abbreviations for the N- and C-terminus substituents are specifically defined as follows: "Fmoc-" is the abbreviation for "[(9-fluorenyl)methyloxy]carbonyl-"; "Fethoc-" for "[2-(9-fluorenyl)ethyl-1-oxy]carbonyl-"; "Ac-" for "acetyl-"; "n-Hexanoyl-" for "1-(n-hexanoyl)-"; "Benzoyl-" for "benzenecabonyl-"; "Piv-" for "pivalyl-"; "n-Propyl-" for "1-(n-propyl)-"; "n-Hexyl-" for "1-(n-hexyl)-"; "H-" for "hydrido-"; "p-Toluenesulfonyl" for "(4-methylbenzene)-1-sulfonyl-"; "Benzenesulfonyl" for "benzene-1-sulfonyl-"; "Methylsulfonyl" for "methyl-1-sulfonyl-"; "-Lys-" for amino acid residue "lysine"; "-Val-" for amino acid residue "valine"; "-Leu-" for amino acid residue "leucine"; "-Arg-" for amino acid residue "arginine"; "-Gly-" for amino acid residue "glycine"; "[N-(2-Phenylethyl)amino]carbonyl-" for "[N-1-(2-phenylethyl)-amino]carbonyl-"; "Benzyl-" for "1-(phenyl)methyl-"; "Phenyl-" for "phenyl-"; "Me-" for "methyl-"; "-HEX-" for "6-amino-1-hexanoyl-", "FAM-" for "5, or 6-fluorescein-carbonyl-" (isomeric mixture), and "-NH₂" for non-substituted "-amino" group.

21. A peptide nucleic acid derivative of any one of claims 1-20, for use in the treatment of a condition involving Na_v1.7 activity or pain.

22. A peptide nucleic acid derivative of any one of claims 1-20, for use in the treatment of chronic pain.

23. A peptide nucleic acid derivative of any one of claims 1-20, for use in the treatment of neuropathic pain.

24. A peptide nucleic acid derivative of any one of claims 1-20, for use in the treatment of pain involving $\text{Na}_v1.7$ activity.

25. Use of a peptide nucleic acid derivative of any one of claims 1-20 in the manufacture of a medicament for the treatment of a condition involving $\text{Na}_v1.7$ activity or pain.

26. Use of a peptide nucleic acid derivative of any one of claims 1-20 in the manufacture of a medicament for the treatment of chronic pain.

27. Use of a peptide nucleic acid derivative of any one of claims 1-20 in the manufacture of a medicament for the treatment of neuropathic pain.

28. Use of a peptide nucleic acid derivative of any one of claims 1-20 in the manufacture of a medicament for the treatment of pain involving $\text{Na}_v1.7$ activity.