

Figure 1

(A) Examples of natural or unnatural (modified) nucleobases selectable for the peptide nucleic acid derivative of **Formula I**.

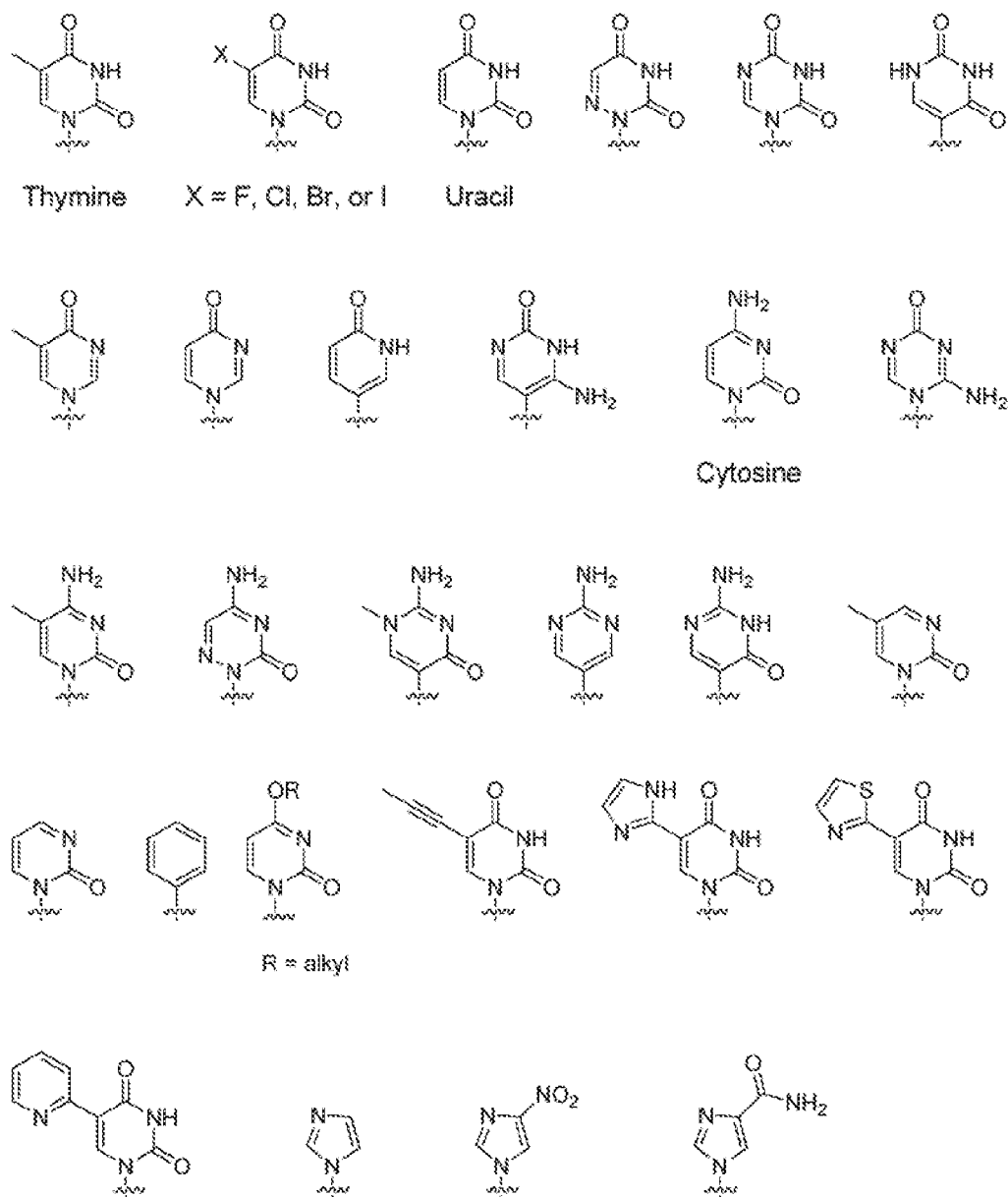
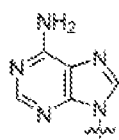


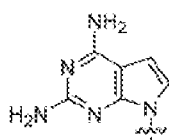
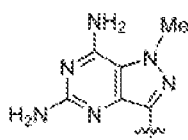
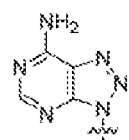
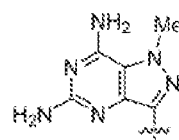
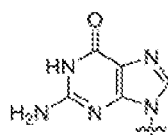
Figure 1

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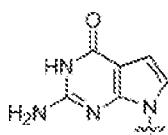
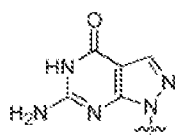
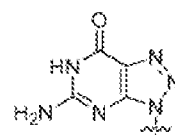
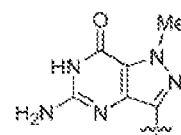
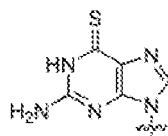
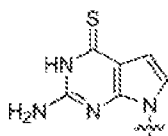
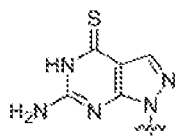
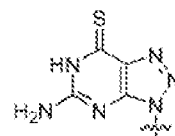
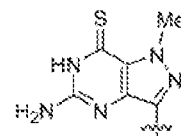
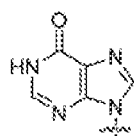
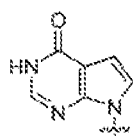
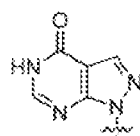
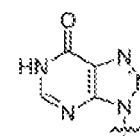
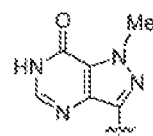
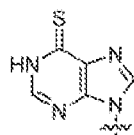
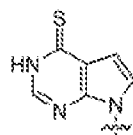
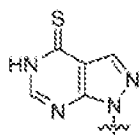
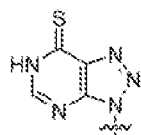
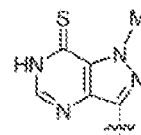
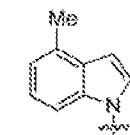
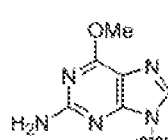
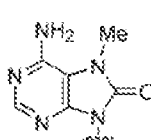
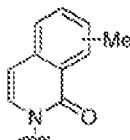
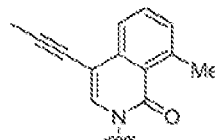
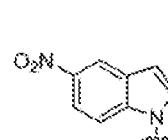
(B) Examples of natural or unnatural (modified) nucleobases selectable for the peptide nucleic acid derivative of **Formula I**.



Adenine



Guanine



6-Methylguanine

Figure 1

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(C) Examples of natural or unnatural (modified) nucleobases selectable for the peptide nucleic acid derivative of **Formula I**.

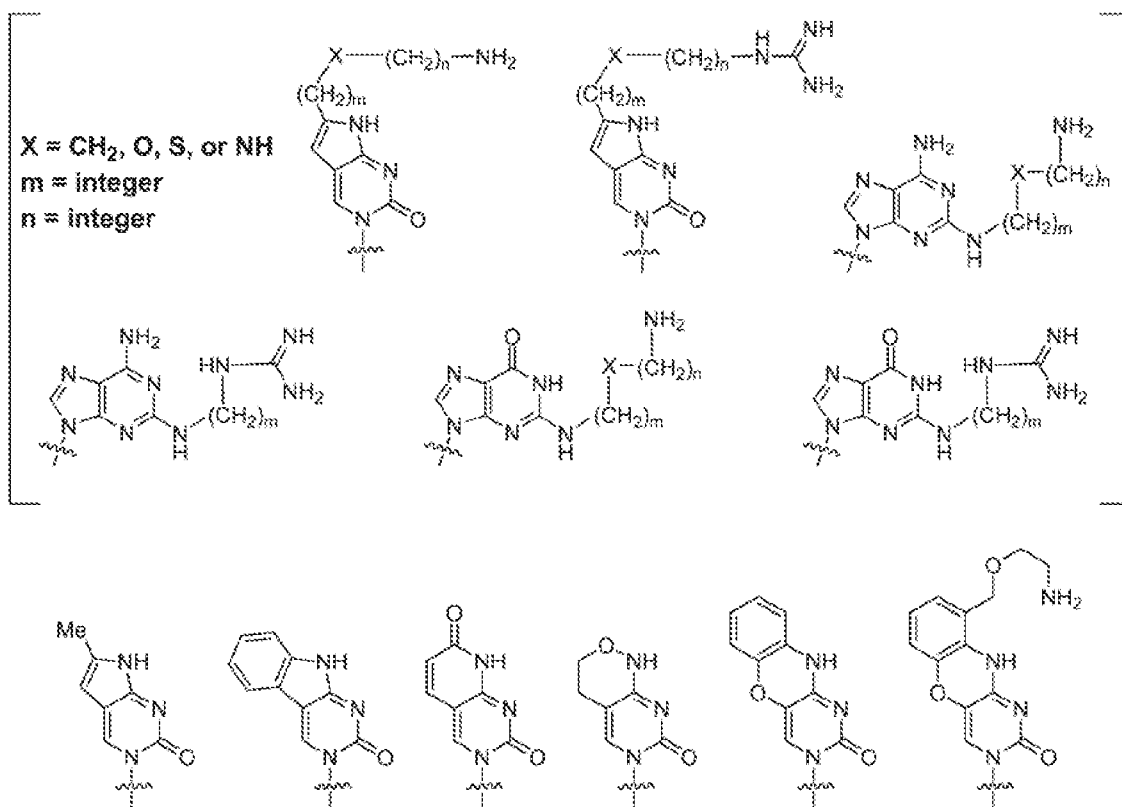
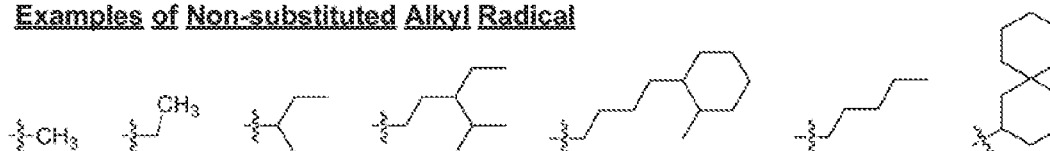


Figure 2

(A) Examples of substituents selectable for the peptide nucleic acid derivative of Formula I.

Examples of Non-substituted Alkyl Radical



Examples of Substituted Alkyl Radical

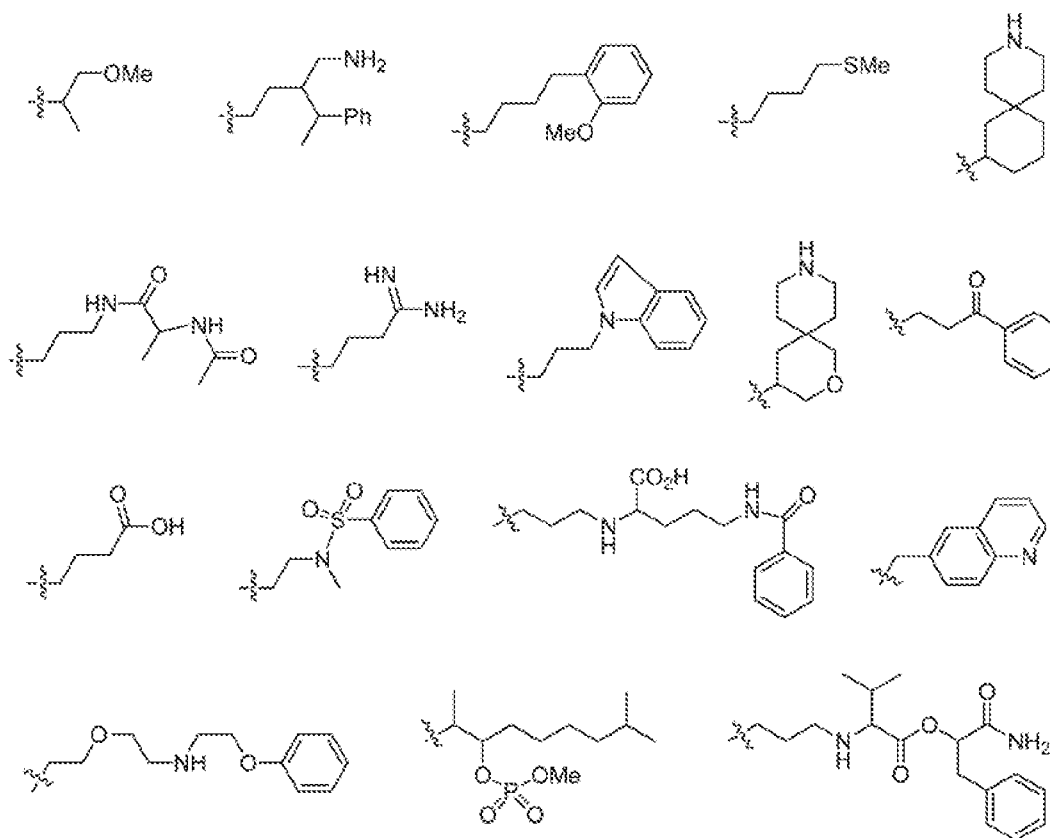


Figure 2

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(B) Examples of substituents selectable for the peptide nucleic acid derivative of Formula I.

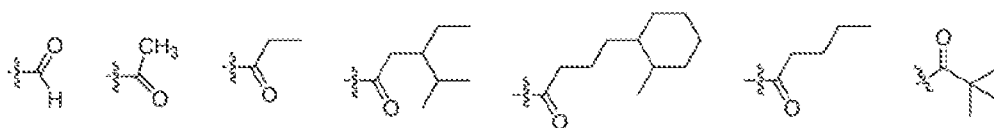
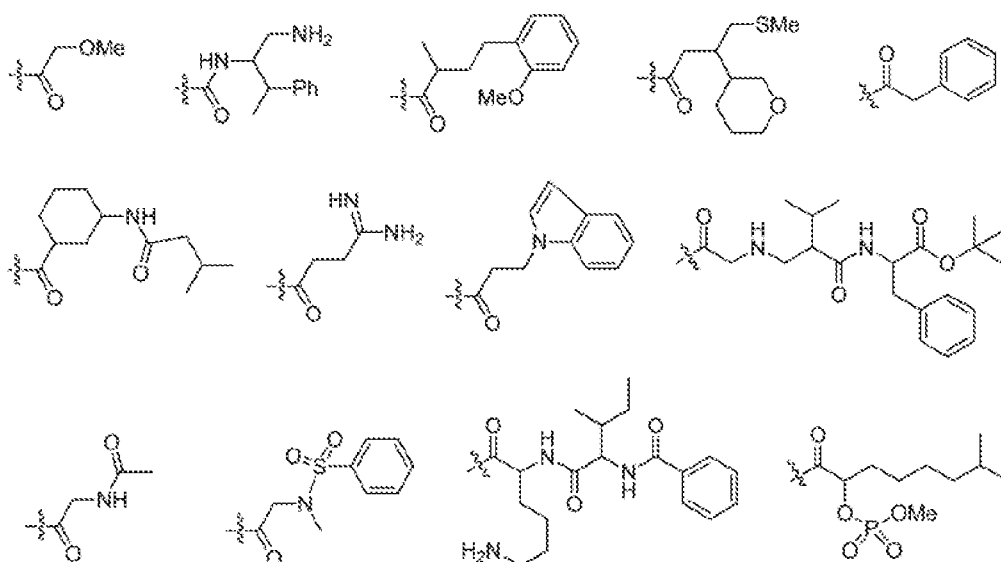
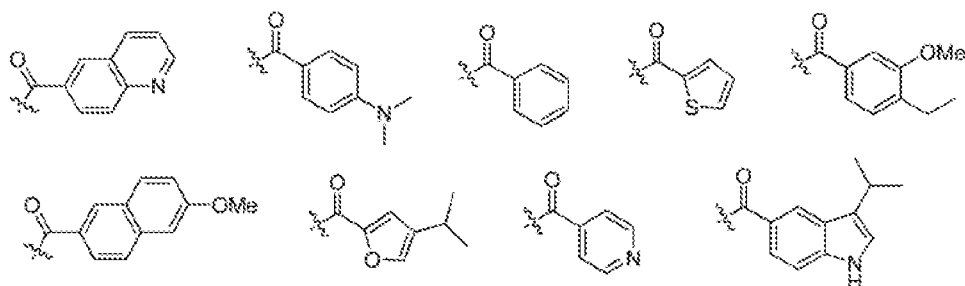
Examples of Non-substituted Alkylacyl RadicalExamples of Substituted Alkylacyl RadicalExamples of Substituted or Non-substituted Arylacyl Radical

Figure 2

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(C) Examples of substituents selectable for the peptide nucleic acid derivative of Formula I.

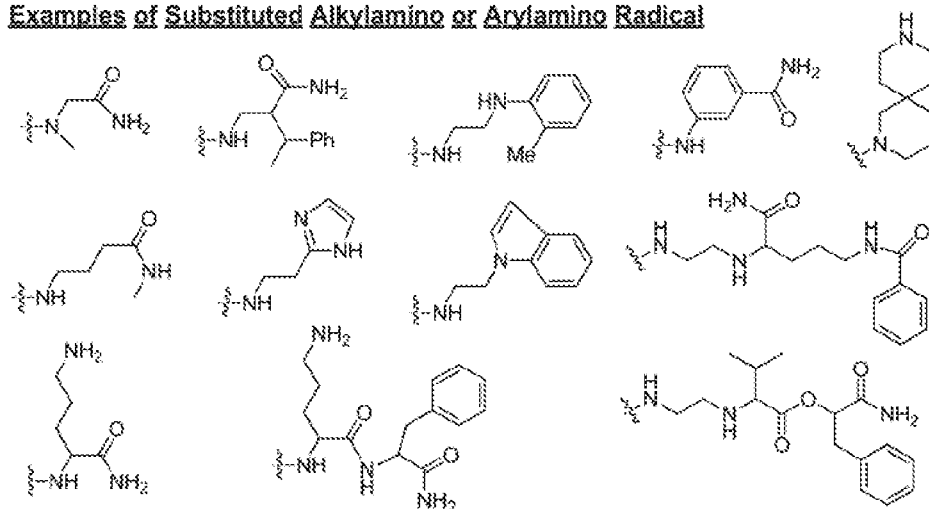
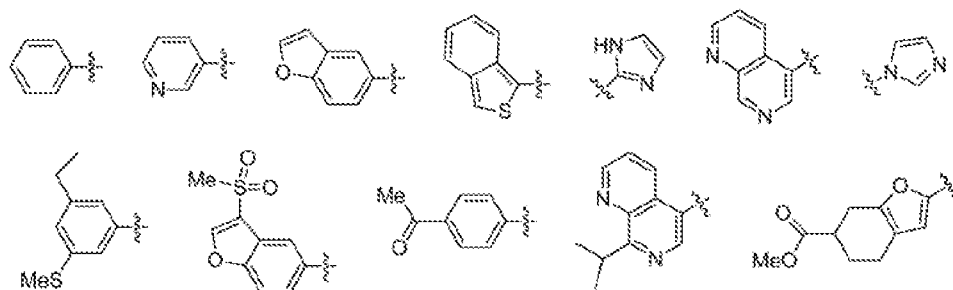
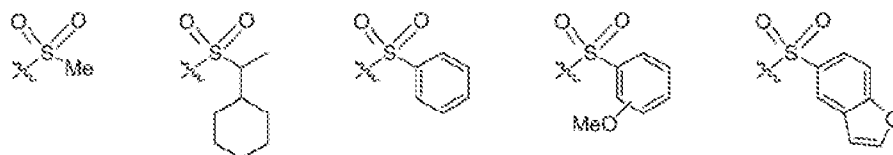
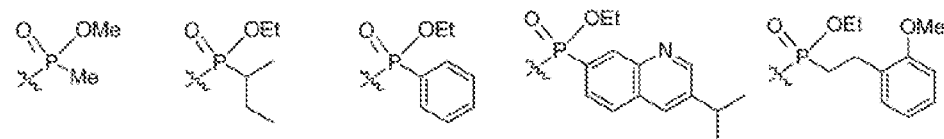
Examples of Substituted Alkylamino or Arylamino Radical**Examples of Substituted or Non-substituted Aryl Radical****Examples of Substituted or Non-substituted Alkylsulfonyl or Arylsulfonyl Radical****Examples of Substituted or Non-substituted Alkyl- or Aryl-phosphonyl Radical**

Figure 2

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(D) Examples of substituents selectable for the peptide nucleic acid derivative of Formula I.

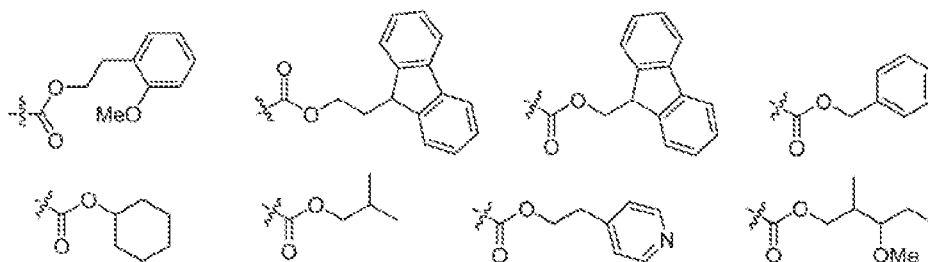
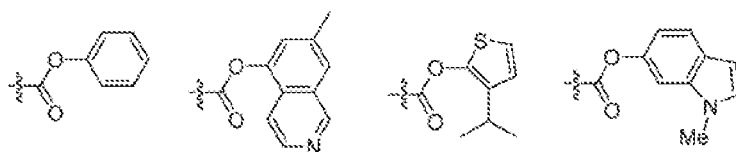
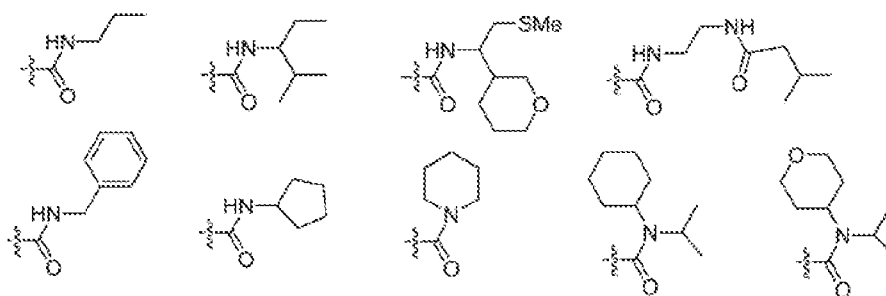
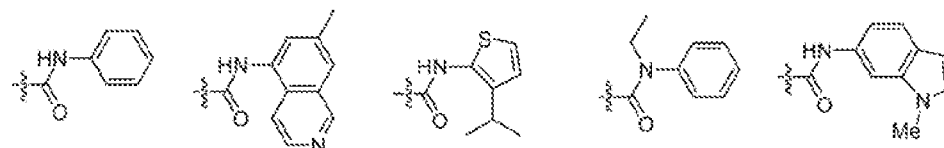
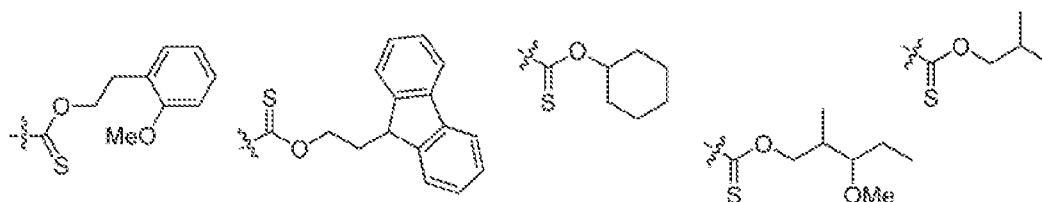
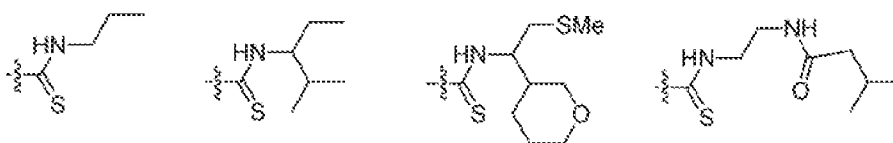
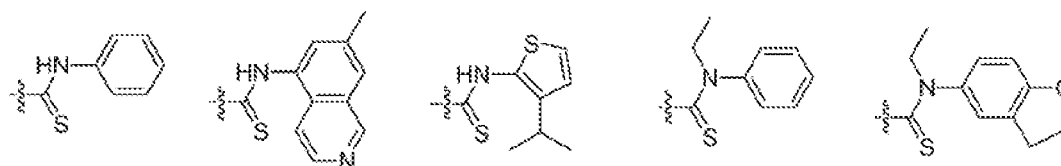
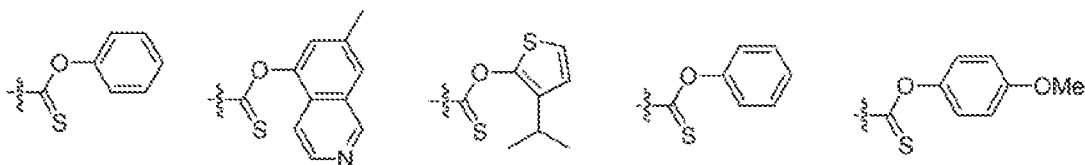
Examples of Substituted or Non-substituted Alkylloxycarbonyl Radical**Examples of Substituted or Non-substituted Aryloxycarbonyl Radical****Examples of Substituted or Non-substituted Alkylaminocarbonyl Radical****Examples of Substituted or Non-substituted Arylaminocarbonyl Radical**

Figure 2

(continued from previous page)

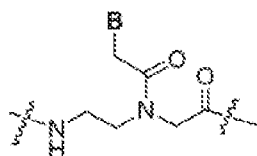
(E) Examples of substituents selectable for the peptide nucleic acid derivative of Formula I.

Examples of Substituted or Non-substituted Alkyloxythiocarbonyl Radical**Examples of Substituted or Non-substituted Alkylaminothiocarbonyl Radical****Examples of Substituted or Non-substituted Arylaminothiocarbonyl Radical****Examples of Substituted or Non-substituted Aryloxythiocarbonyl Radical**

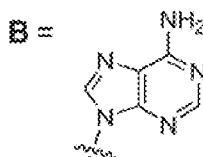
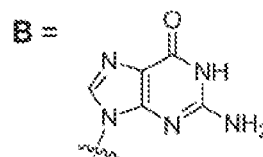
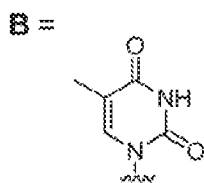
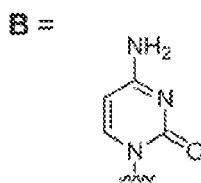
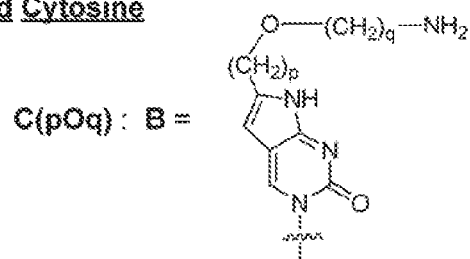
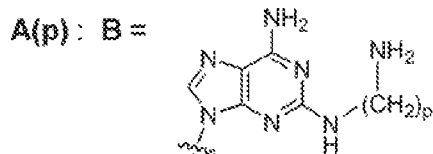
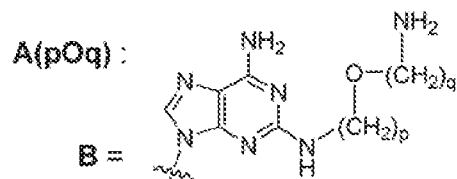
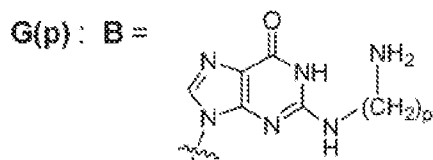
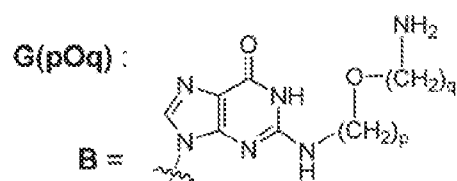
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Figure 3

Chemical structures of PNA monomers with natural or modified nucleobase.

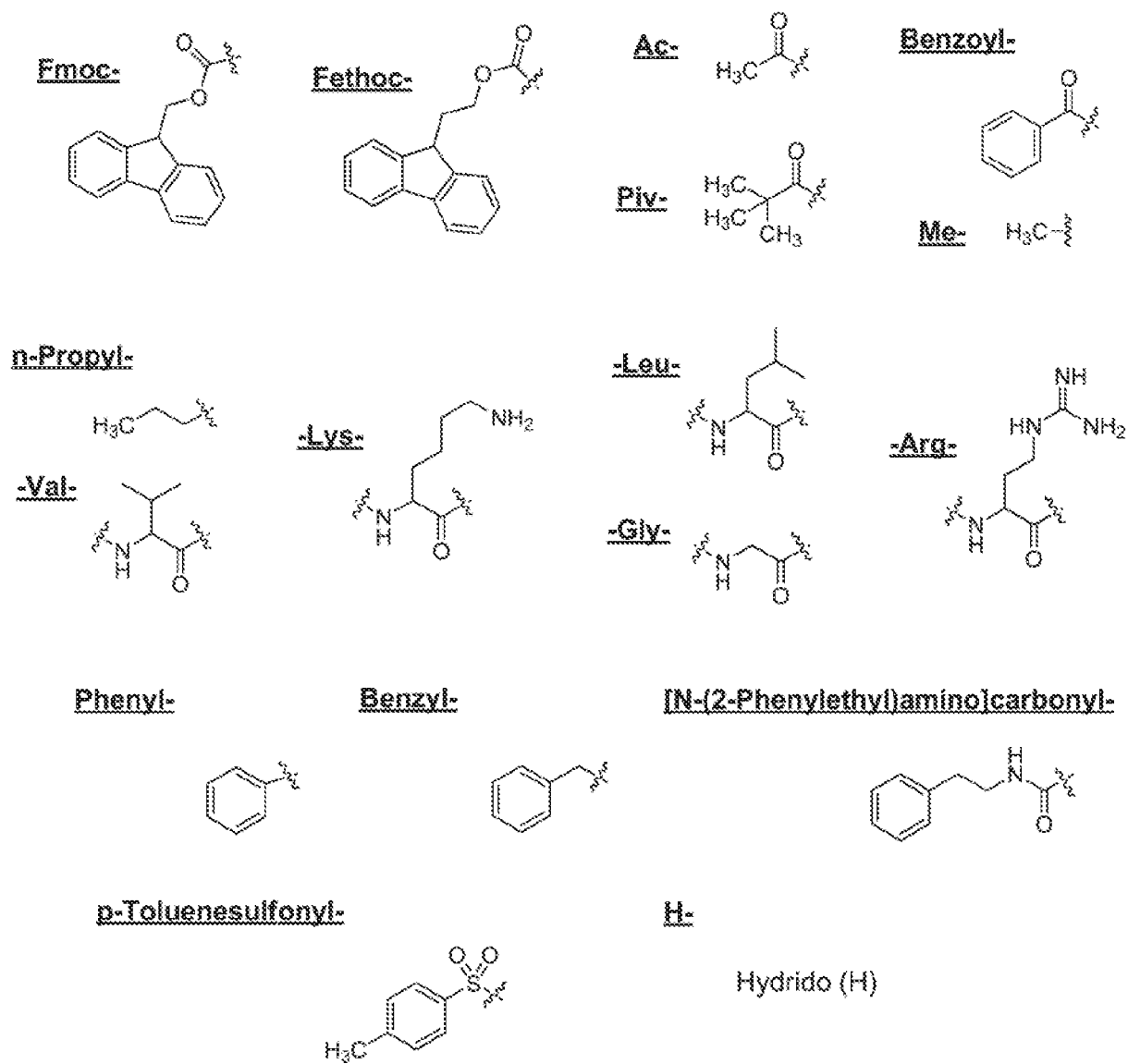
PNA Monomer

B : Nucleobase
p : Integer
q : Integer

AdenineGuanineThymineCytosineModified CytosineModified AdenineModified Guanine

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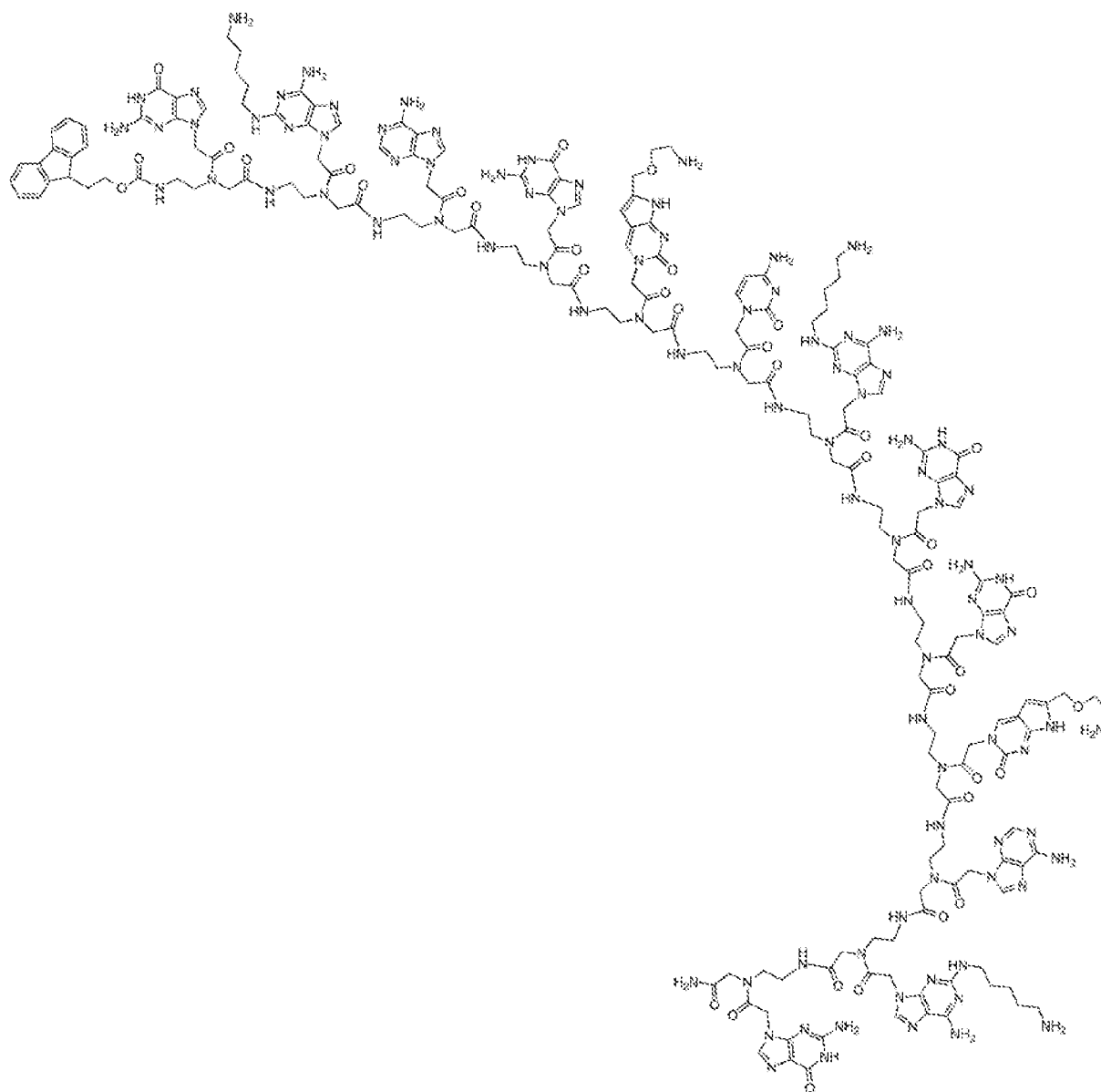
Figure 4

Chemical Structures for Abbreviations for N- or C-terminus Substituents

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Figure 5(A)

Chemical Structure for
Fethoc-GA(5)A-GC(102)C-A(5)GG-C(102)AA(5)G-NH₂



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Figure 5(B)

Chemical Structure for
Benzoyl-Lys-Val-C(1O2)TT-A(5)CC-A(5)GG-C(1O2)AA(5)G-NH₂

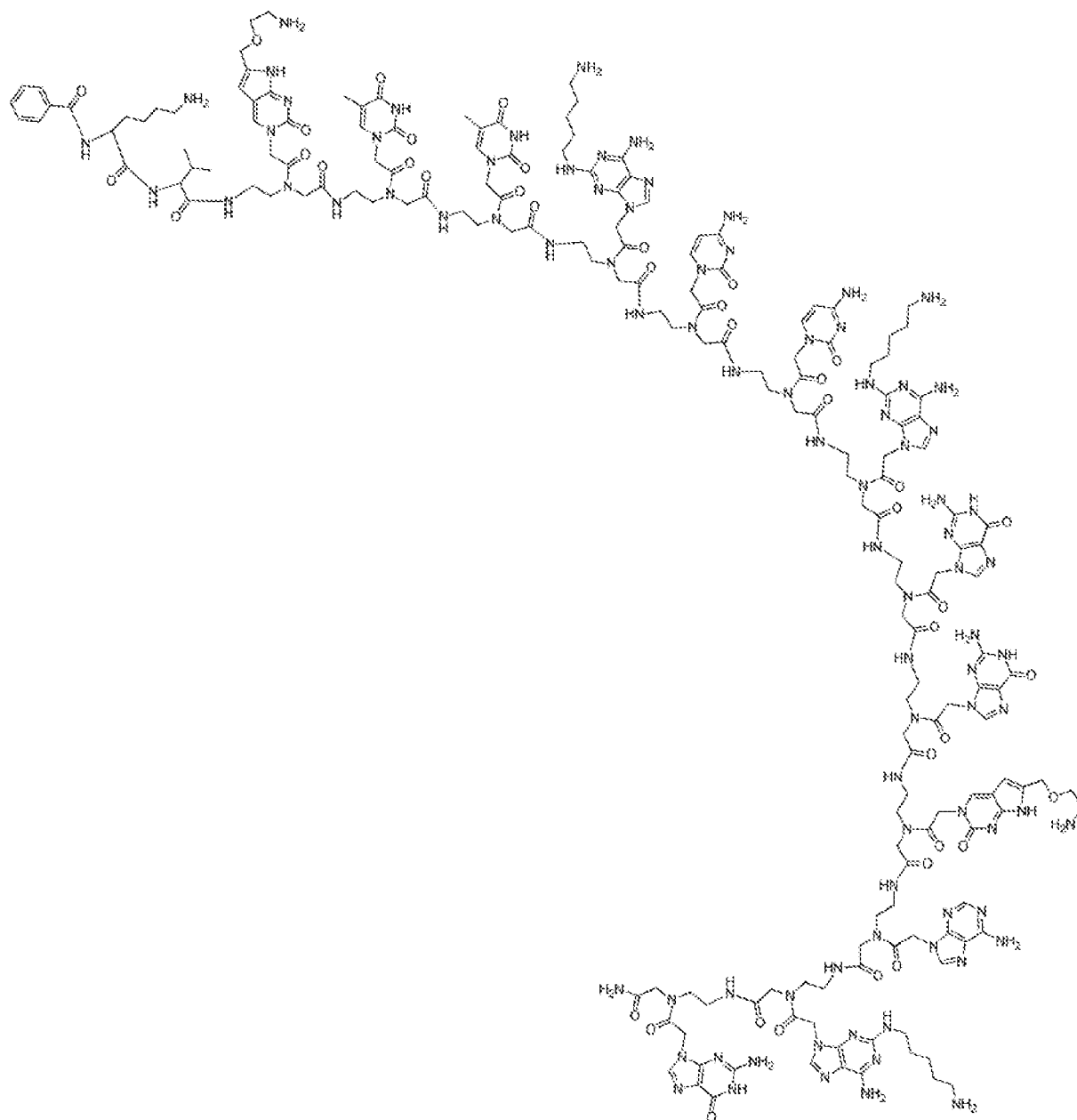


Figure 6

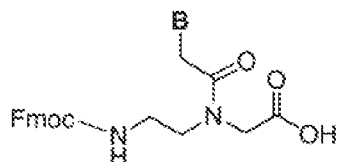
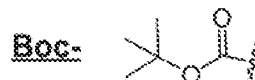
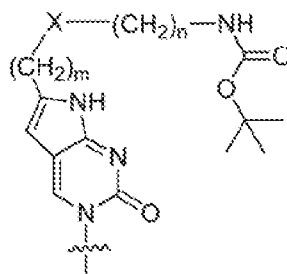
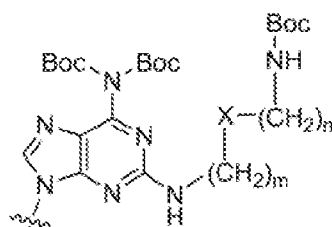
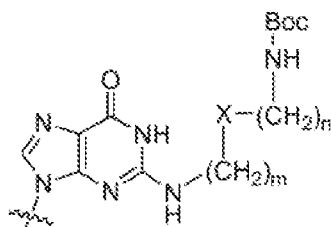
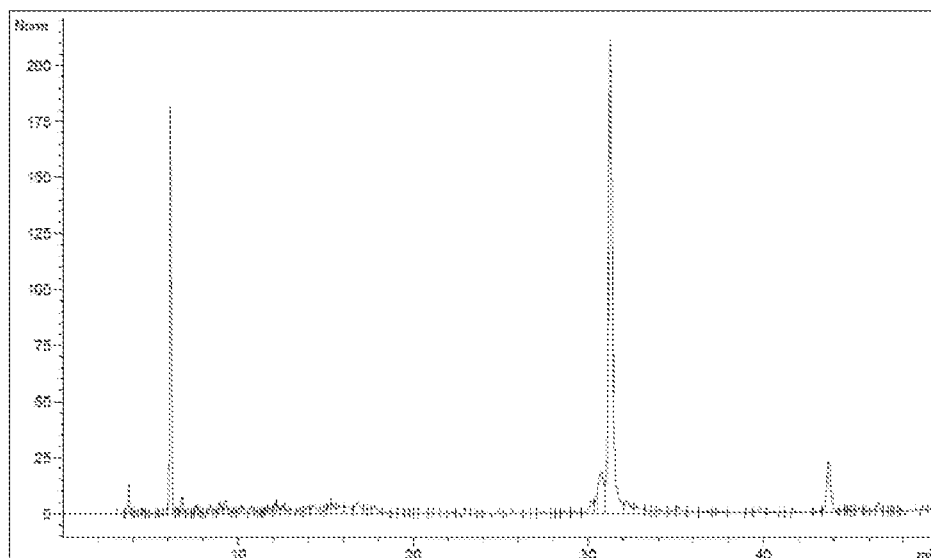
Fmoc-PNA Monomer**B** : Nucleobase with protecting group(s)**X** : methylene, oxygen, sulfur, or Boc-protected amino**m** : Integer**n** : IntegerModified Cytosine**C(mXn) : B =**Modified Adenine**A(mXn) :****B =**Modified Guanine**G(mXn) :****B =**

Figure 7

(A) C₁₈-reverse phase HPLC chromatogram for "ASO 1" before HPLC purification.



(B) C₁₈-reverse phase HPLC chromatogram for "ASO 1" after HPLC purification

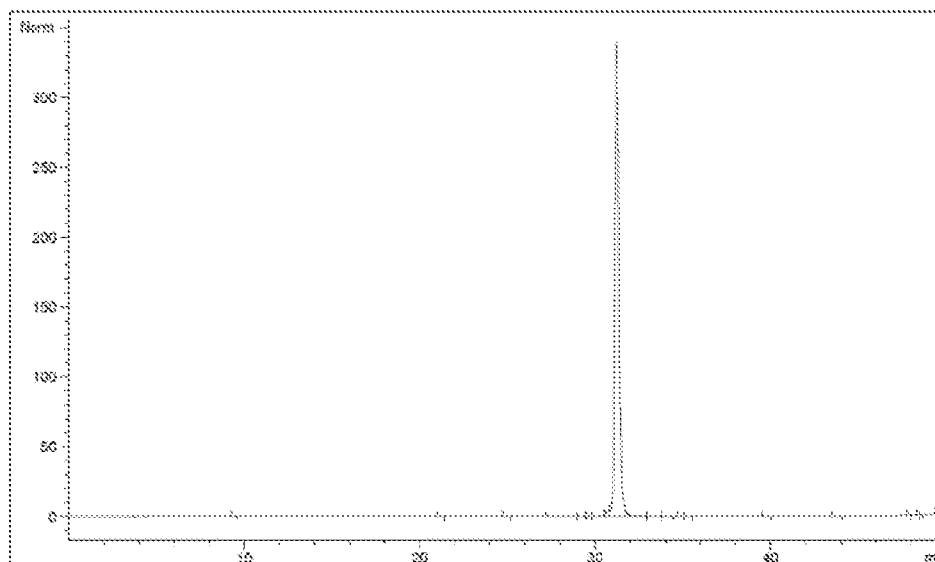
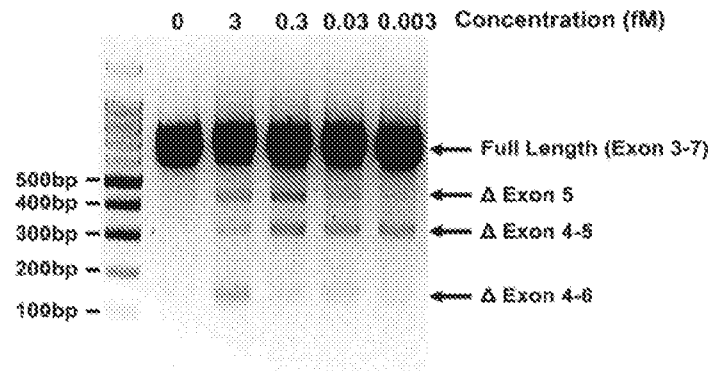


Figure 8

(A) Electrophoretic analysis of the nested PCR products of MCF7 cells treated with 0 aM (negative control), 3 aM, 30 aM, 300 aM, or 3 fM "ASO 5".



(B) Schematic representation of the PCR band for the skipping of exons 4-5 along with sequencing data.

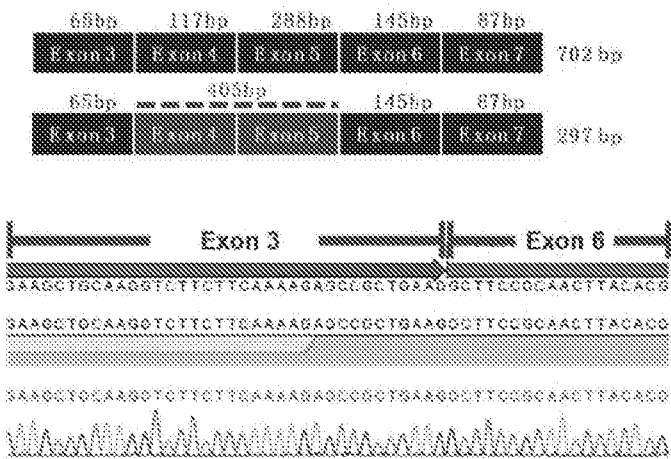
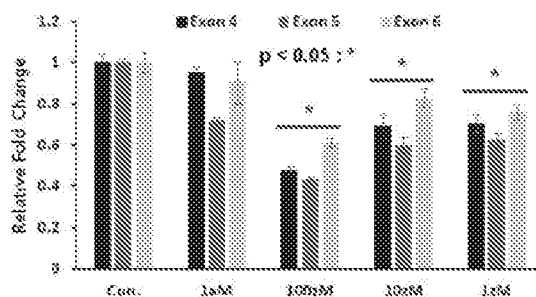
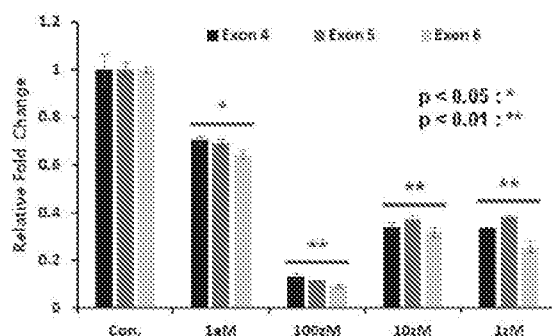


Figure 9

(A) Changes in the relative levels of exons 4-6 in MCF7 cells treated with "ASO 5" at 0 zM (negative control), or 1 zM to 1 aM for 5 hours. (statistical analysis by student's t-test)



(B) Changes in the relative levels of exons 4-6 in MCF7 cells treated with "ASO 1" at 0 zM (negative control), or 1 zM to 1 aM for 5 hours. (statistical analysis by student's t-test)



(C) Changes in the relative levels of exons 4-6 in MCF7 cells treated with "ASO 10" at 0 zM (negative control), or 1 zM to 1 aM for 5 hours. (statistical analysis by student's t-test)

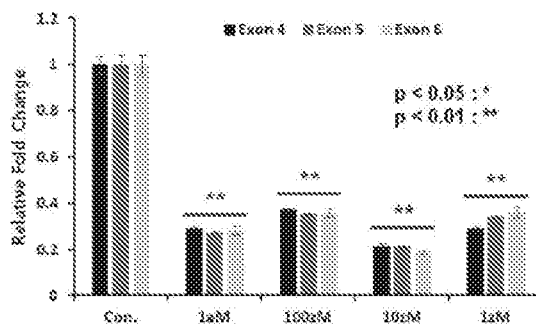
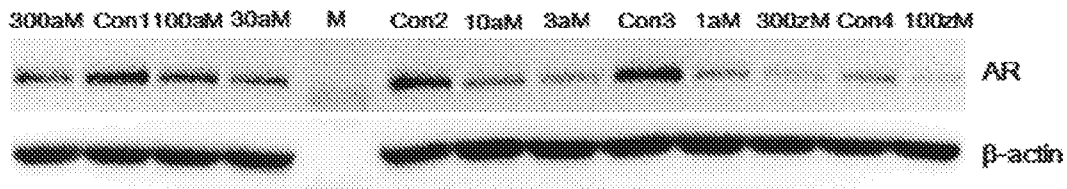
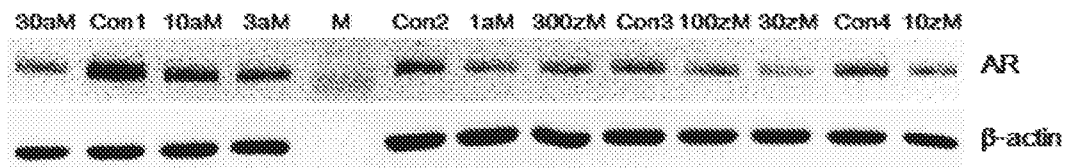


Figure 10

(A) Western blot data for MCF7 cells treated with "ASO 1" at 0 zM (negative control), or 100 zM to 300 aM.



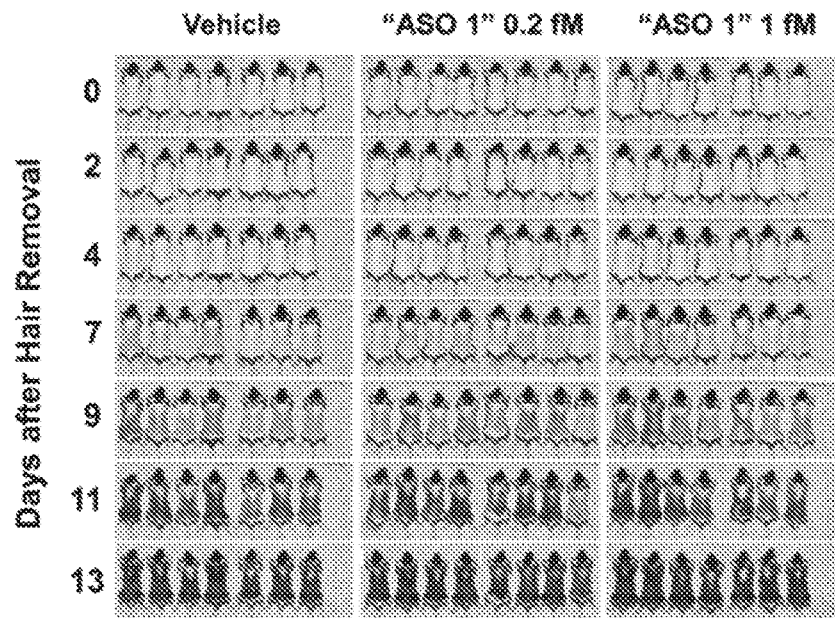
(B) Western blot data for MCF7 cells treated with "ASO 5" at 0 zM (negative control), or 10 zM to 30 aM.



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Figure 11

(A) Hair growth images by group in the skin area of hair removal with days after hair removal.



(B) Relative brightness scores of the "ASO 1" treatment groups against the negative control (vehicle) group. (statistical analysis by student's t-test)

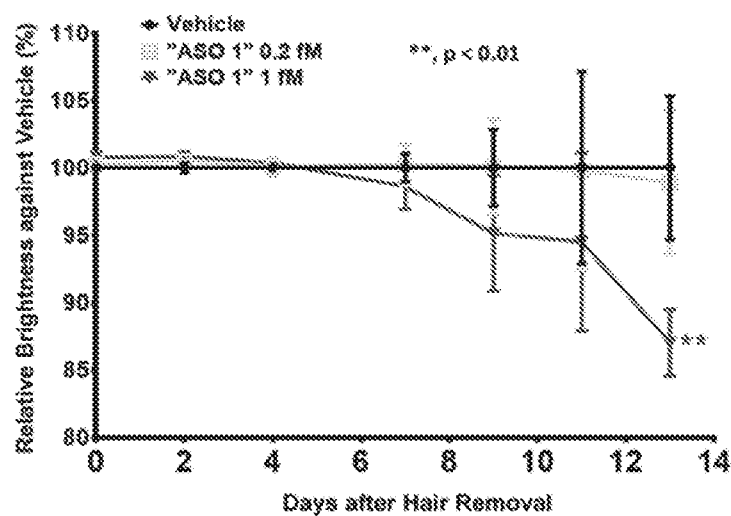
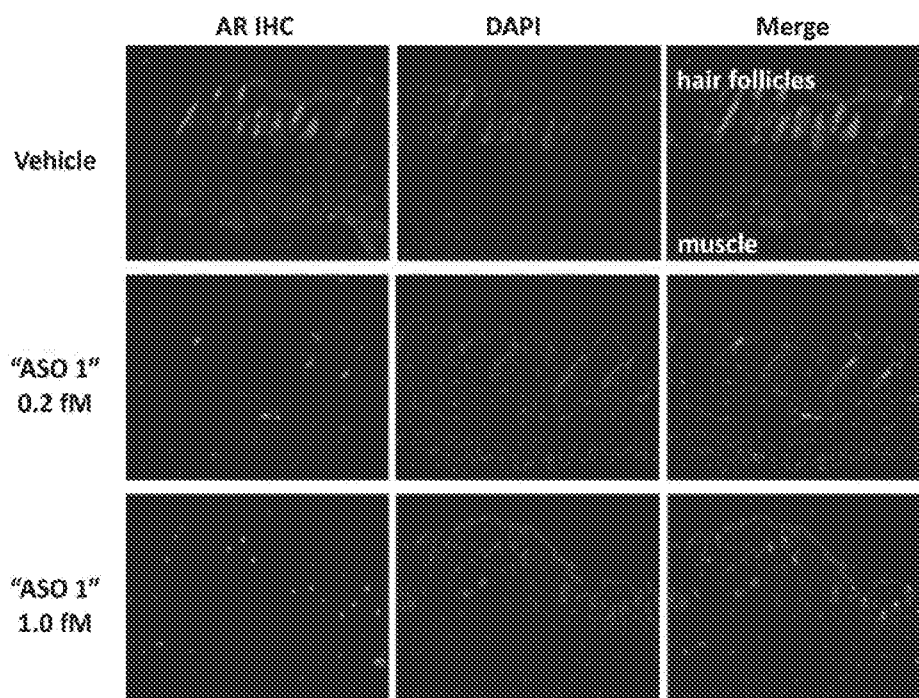


Figure 12

Representative sets of AR IHC (red) and DAPI (blue) fluorescence images for skin samples obtained from animals treated with "ASO 1" at 0 fM (negative control, vehicle), 0.2 fM or 1 fM.



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Figure 13

Relative brightness scores of the "ASO 5" treatment groups against the negative control (vehicle) group. (statistical analysis by student's t-test)

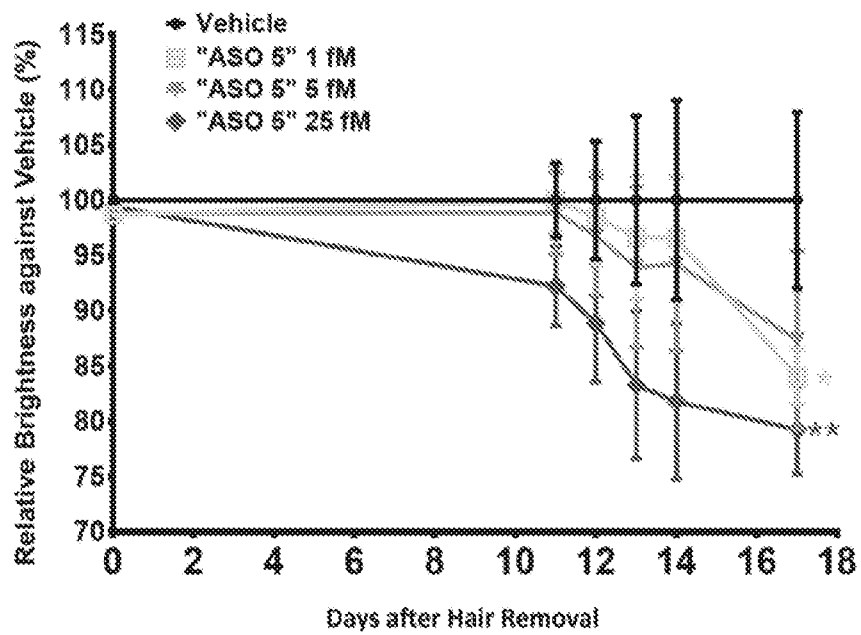
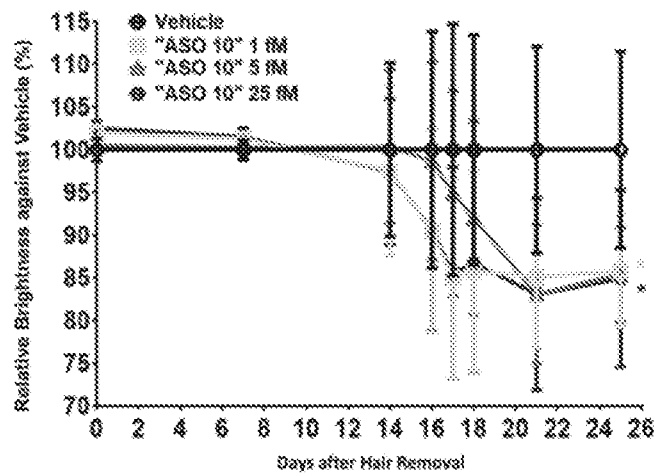


Figure 14

(A) Relative brightness scores of the "ASO 10" treatment groups against the negative control (vehicle) group. (statistical analysis by student's t-test)



(B) Representative sets of AR IHC (red) and DAPI (blue) fluorescence images for skin samples obtained from animals treated with "ASO 10" at 0 (negative control, vehicle), 1, 5 or 25 fM.

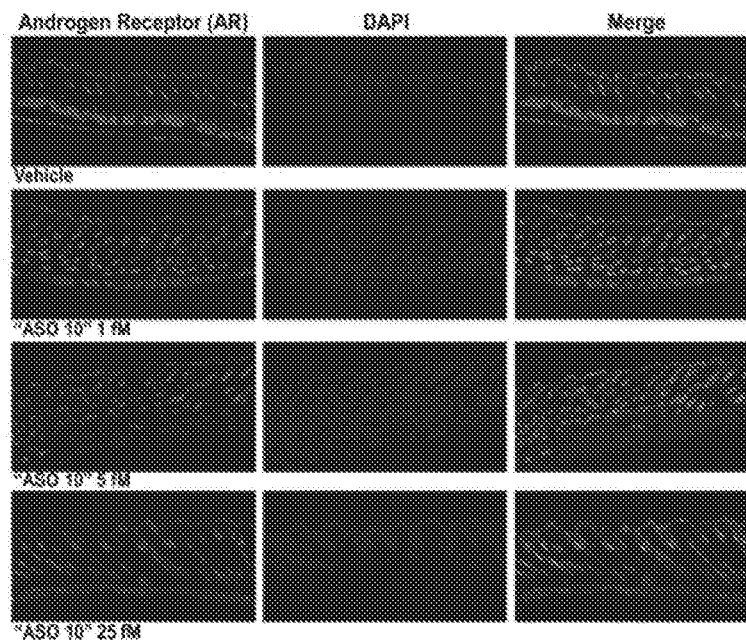


Figure 15

qPCR data for the relative AR mRNA level by TaqMan assay in MCF7 cells treated with "ASO 10" at 0 (negative control), 1, 10, 100, or 1,000 zM for 24 hours against the negative control. (statistical analysis by student's t-test)

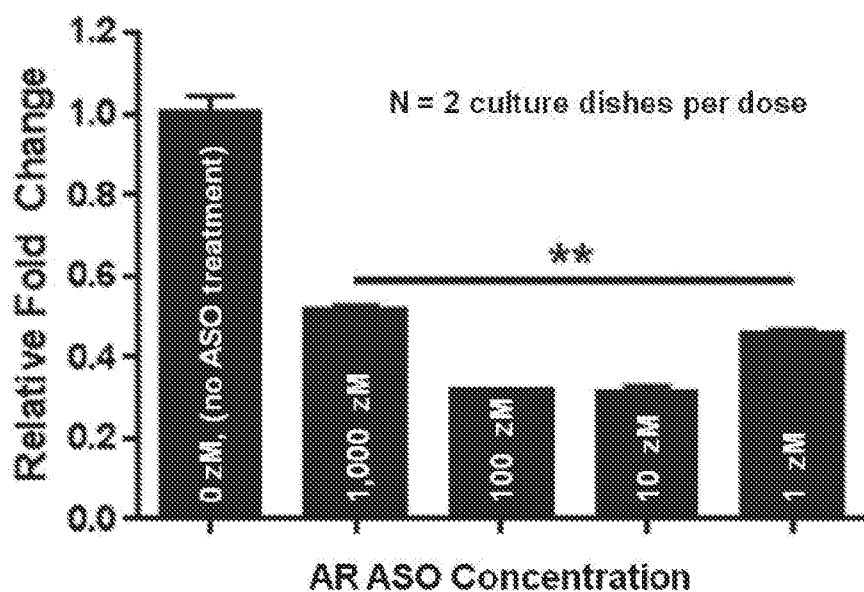


Figure 16

Representative sets of AR IHC (red) and DAPI (blue) fluorescence images for tissue samples obtained from mice subcutaneously administered with "ASO 10" at 0 (negative control), 0.01 or 0.1 pmole/Kg, 2X per week for 4 weeks.

