

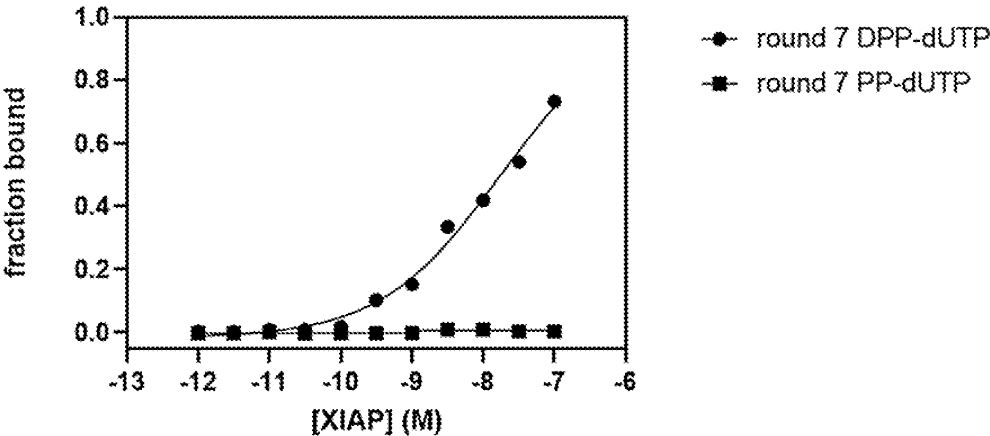


FIG. 1A

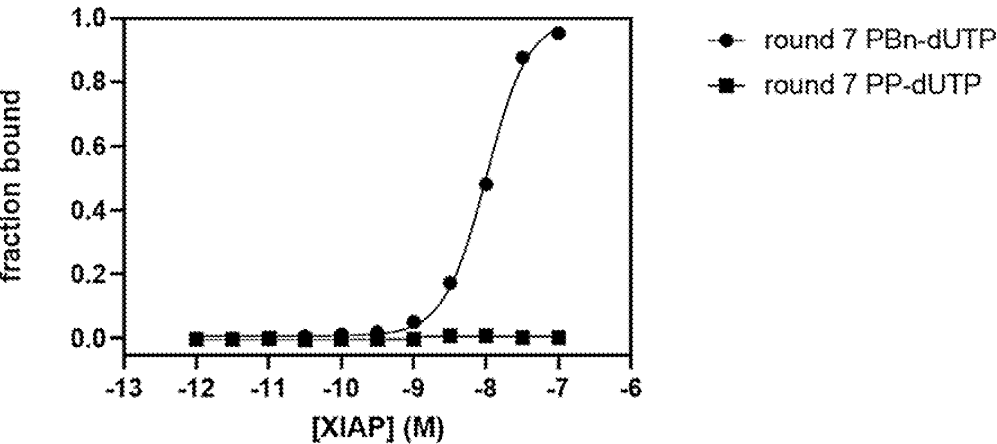


FIG. 1B

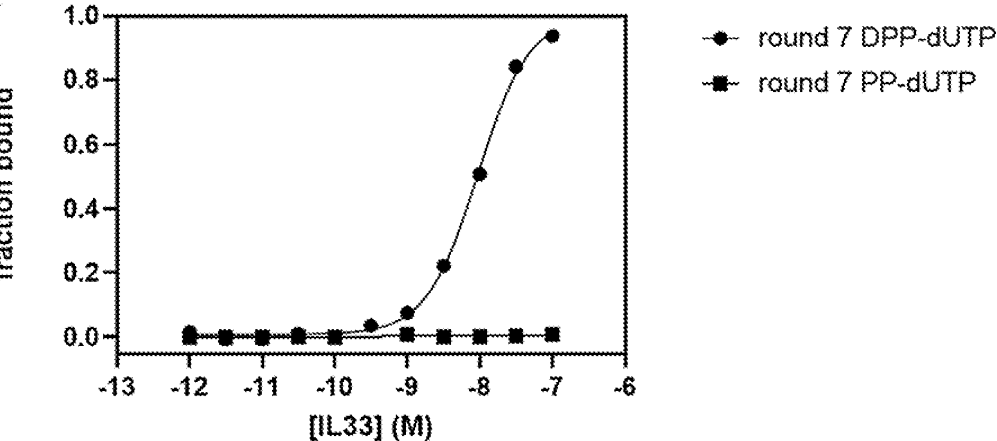


FIG. 1C

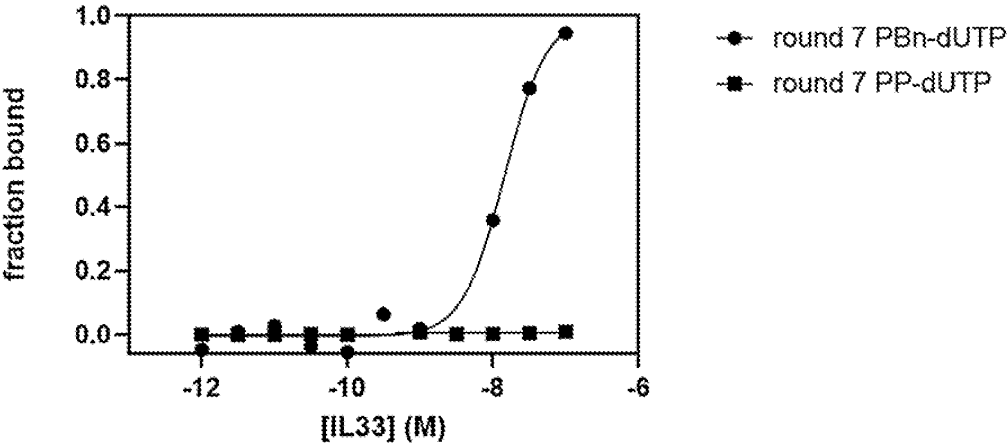


FIG. 1D

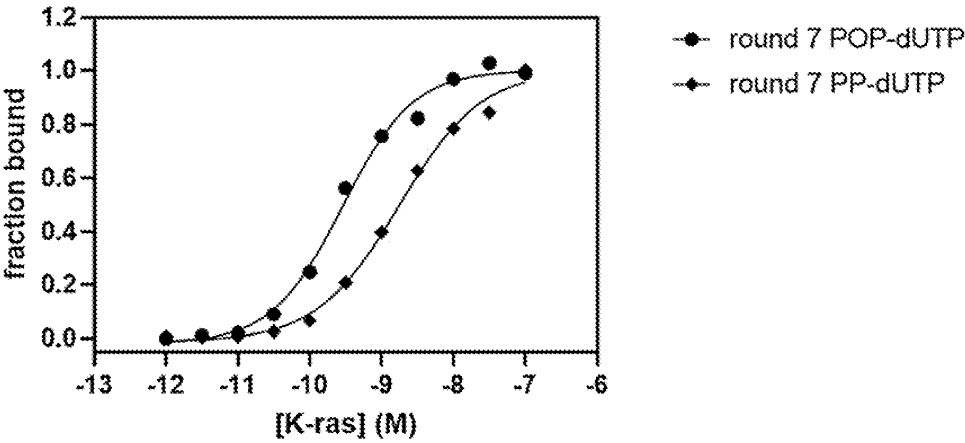


FIG. 1E

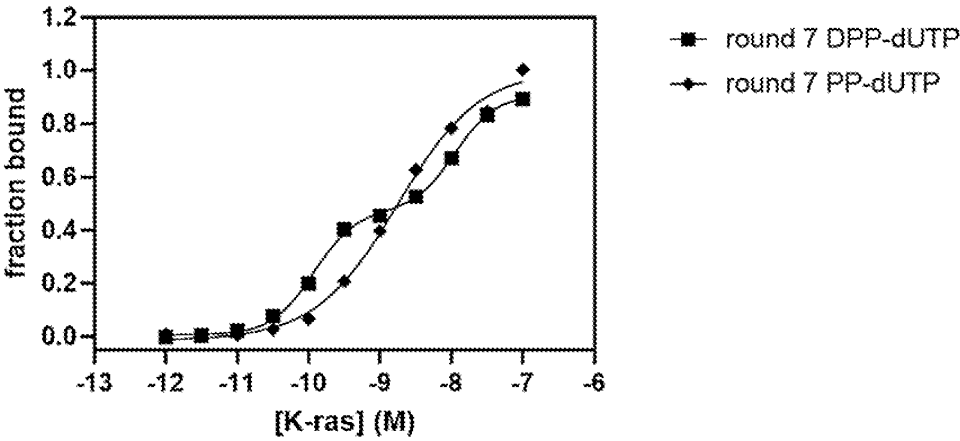


FIG. 1F

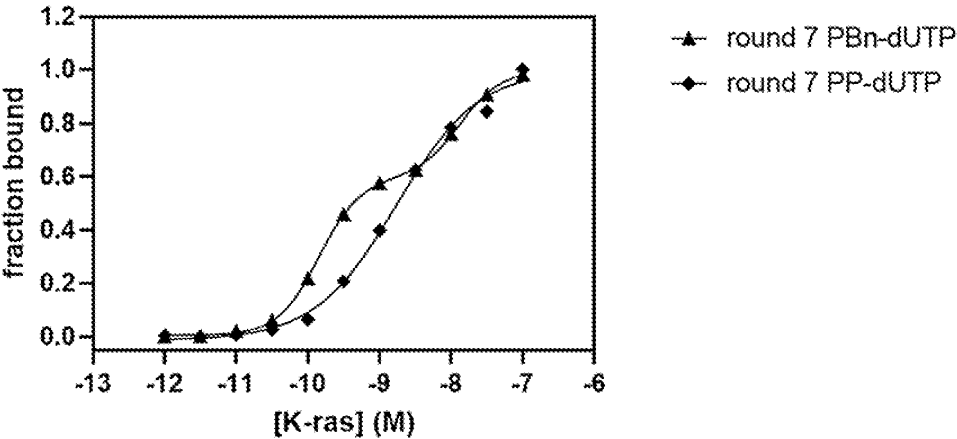


FIG. 1G

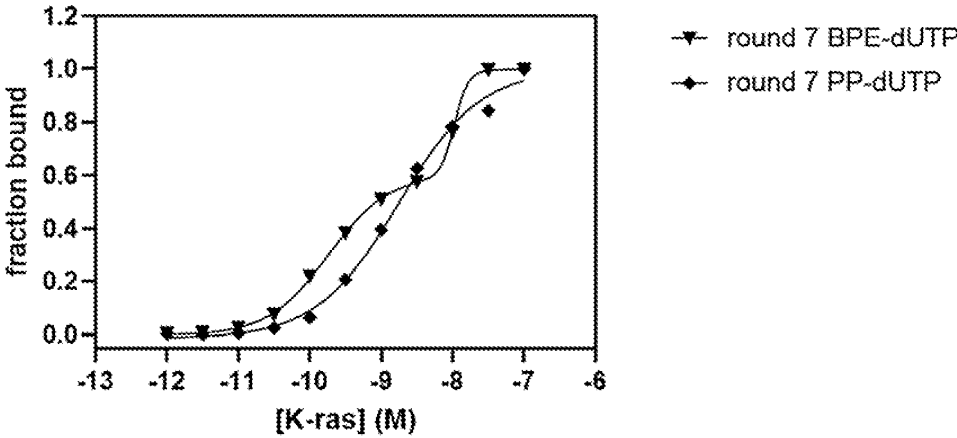


FIG. 1H

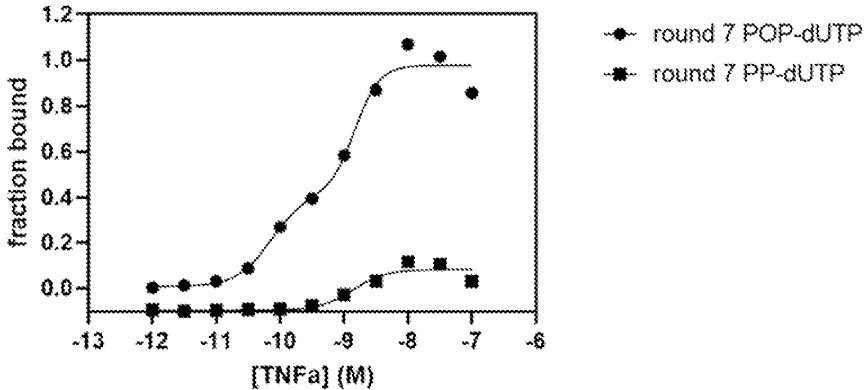
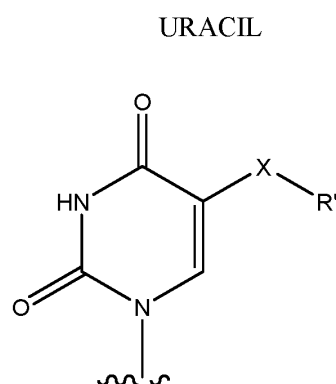
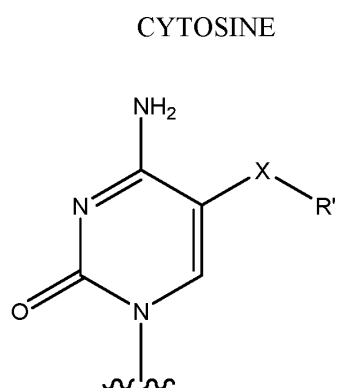


FIG. 1I

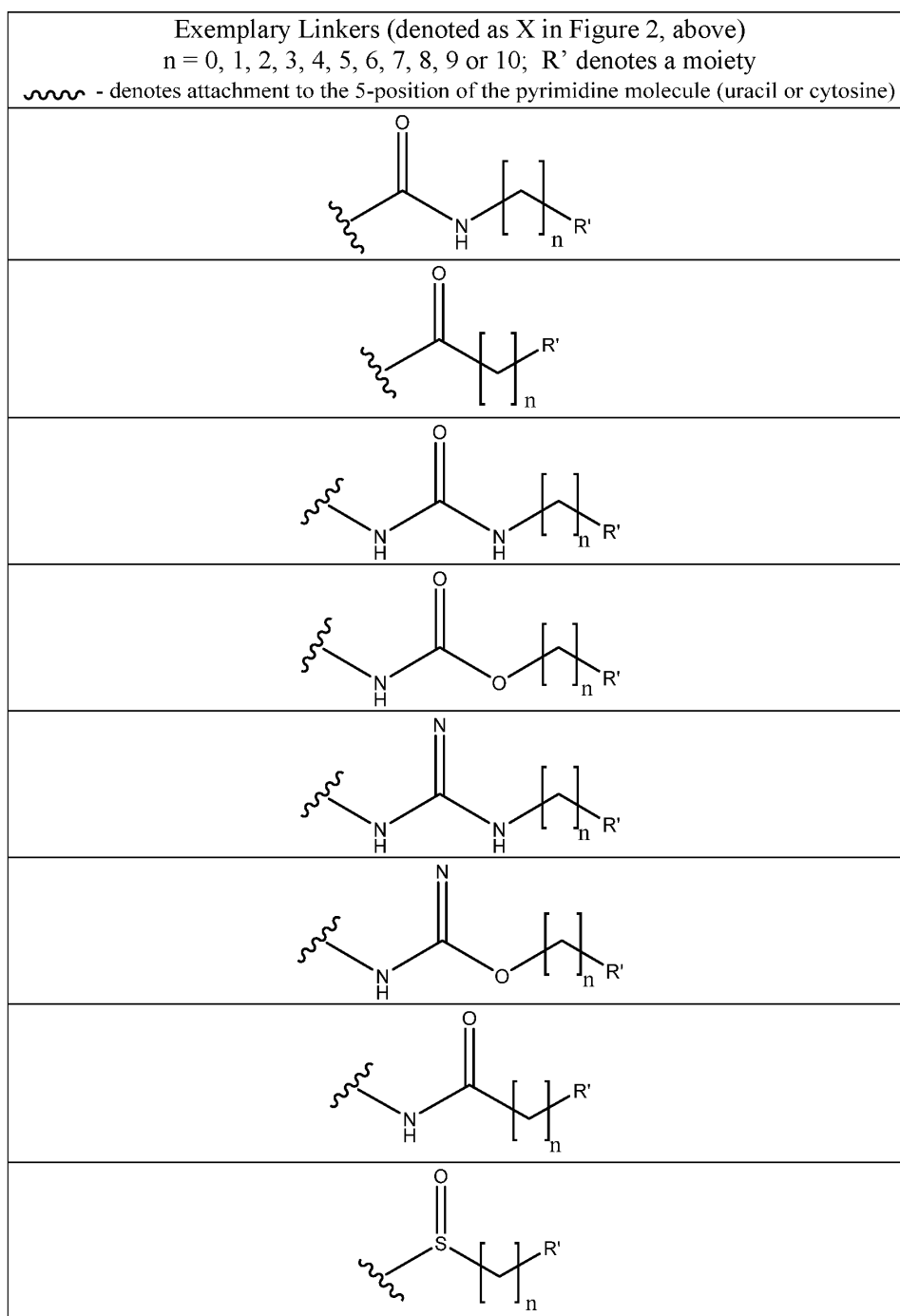


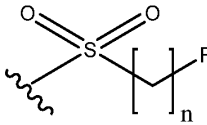
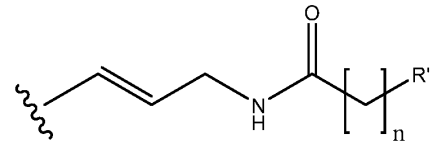
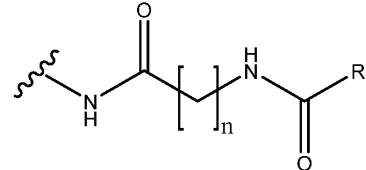
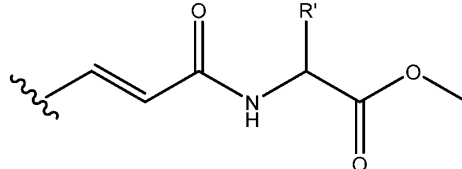
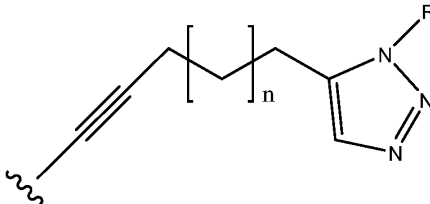
~~~~~ - denotes attachment to backbone of nucleic acid molecules (e.g., phosphate deoxyribose backbone)

X - denotes a linker attached to the 5-position of the pyrimidine molecule (uracil or cytosine)

R' - denotes a moiety attached to the 5-position of the pyrimidine molecule via the linker (X)

**FIG. 2**

**FIG. 2 (cont.)**

|                                                                                                                                                                                                                    |  |
|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|--|
| Exemplary Linkers (denoted as X in Figure 2, above)<br>n = 0, 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10; R' denotes a moiety<br>~~~~~ - denotes attachment to the 5-position of the pyrimidine molecule (uracil or cytosine) |  |
|                                                                                                                                   |  |
|                                                                                                                                  |  |
|                                                                                                                                  |  |
|                                                                                                                                 |  |
|                                                                                                                                |  |

**FIG. 2 (cont.)**

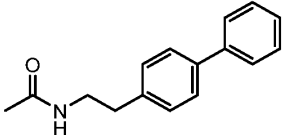
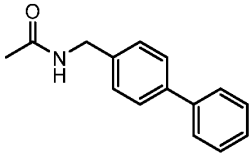
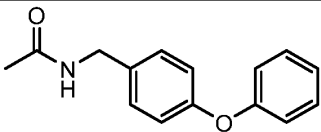
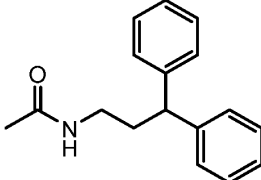
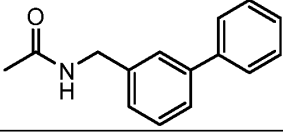
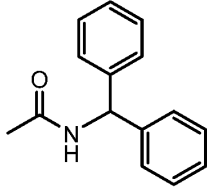
| Group | R' Structures (* denotes the point of attachment of the R' group to X (linker)) |
|-------|---------------------------------------------------------------------------------|
| I     |                                                                                 |
| II    |                                                                                 |
| III   |                                                                                 |
| IV    |                                                                                 |
| V     |                                                                                 |
| VI    |                                                                                 |
| VII   |                                                                                 |
| VIII  |                                                                                 |
| IX    |                                                                                 |
| X     |                                                                                 |

**FIG. 2 (cont.)**

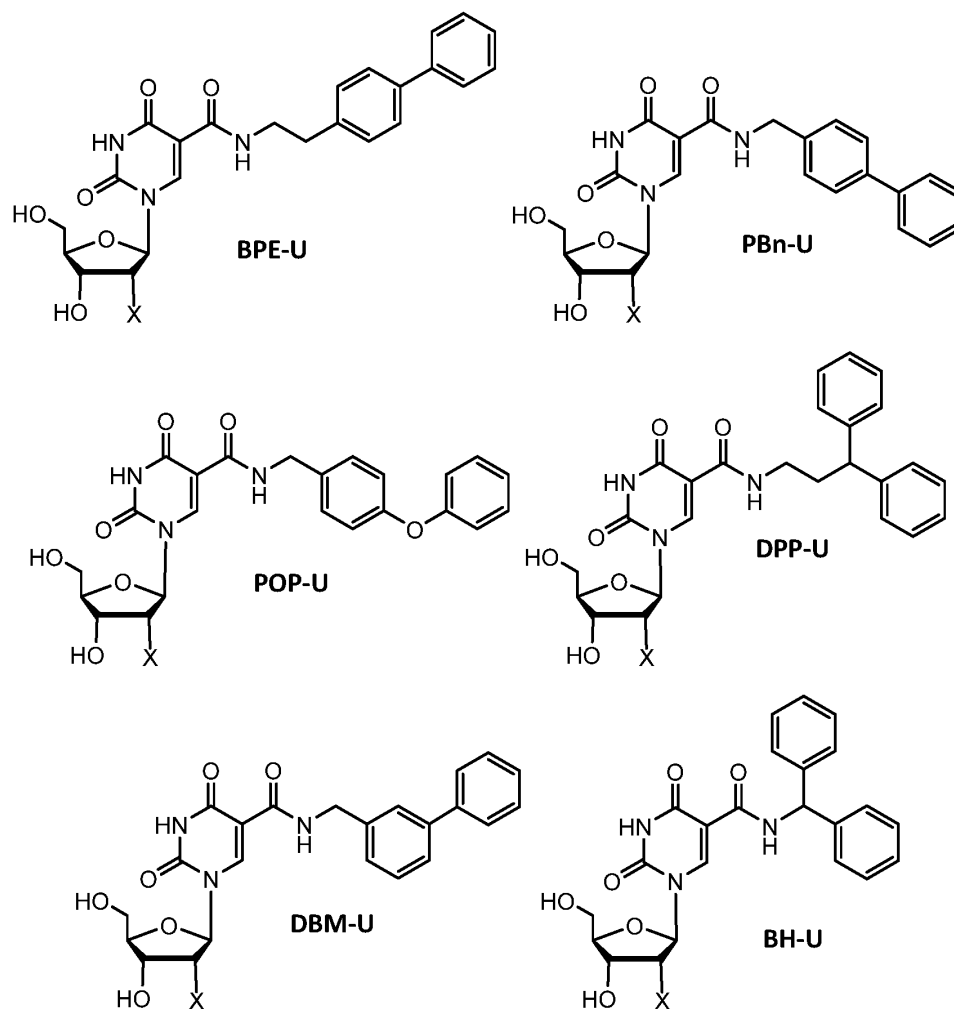
| Group | R' Structures (* denotes the point of attachment of the R' group to X (linker))                                                                                                                                                                                 |
|-------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| XI    |                                                                                                                                                                                                                                                                 |
| XII   |                                                                                                                                                                                                                                                                 |
| XIII  | $\text{*}-\text{CH}_3$ $\text{H}_3\text{C}-\text{CH}^*-\text{CH}_3$ $\text{H}_3\text{C}-\text{CH}^*-\text{CH}_2$ $\text{H}_3\text{C}-\text{C}^*(\text{CH}_3)_2$                                                                                                 |
| XIV   | $\text{*}-\text{CH}_2\text{OH}$ $\text{*}-\text{CH}(\text{OH})\text{CH}_3$ $\text{*}-\text{C}(\text{OH})(\text{CH}_3)_2$                                                                                                                                        |
| XV    | $\text{*}-\text{CH}_2\text{OR}''$ $\text{*}-\text{CH}(\text{OR}'')\text{CH}_3$ $\text{*}-\text{C}(\text{OR}'')(\text{CH}_3)_2$ $\text{*}-\text{CH}_2\text{OCH}_2\text{CH}_2\text{OCH}_3$                                                                        |
| XVI   | $\text{*}-\text{CH}_2\text{SR}''$ $\text{*}-\text{CH}(\text{SR}'')\text{CH}_3$ $\text{*}-\text{C}(\text{SR}'')(\text{CH}_3)_2$                                                                                                                                  |
| XVII  | $\text{*}-\text{CH}_2\text{N}^+(\text{R}'')_3$ $\text{*}-\text{CH}(\text{N}^+(\text{R}'')_2)\text{CH}_3$ $\text{*}-\text{C}(\text{N}^+(\text{R}'')_2)(\text{CH}_3)_2$                                                                                           |
| XVIII | $\text{*}-\text{C}(=\text{O})\text{OH}$ $\text{*}-\text{C}(=\text{O})\text{OR}''$ $\text{*}-\text{C}(=\text{O})\text{NH}_2$ $\text{*}-\text{C}(=\text{O})\text{NHR}''$ $\text{*}-\text{C}(=\text{O})\text{NR}''\text{R}'''$                                     |
| XIX   | $\text{*}-\text{C}(\text{N}=\text{NH}_2)$ $\text{*}-\text{C}(\text{N}=\text{NHR}'')$ $\text{*}-\text{C}(\text{N}=\text{NR}''\text{R}''')$ $\text{*}-\text{C}(\text{N}=\text{NR}'')\text{NHR}''$ $\text{*}-\text{C}(\text{N}=\text{NR}'')\text{NR}''\text{R}'''$ |
| XX    | $\text{*}-\text{CH}=\text{O}$ $\text{*}-\text{C}(=\text{O})\text{CH}_3$ $\text{*}-\text{CH}=\text{NOH}$ $\text{*}-\text{C}(\text{NOH})\text{CH}_3$ $\text{*}-\text{CH}=\text{NOR}''$ $\text{*}-\text{C}(\text{NOR}'')\text{CH}_3$                               |

R'' and R''' are, independently, selected from a halogen (-F, -Cl, -Br, -I); nitrile (-CN); -NH<sub>2</sub>; -OH; branched lower alkyl (C1-C20); linear lower alkyl (C1-C20); primary amide; secondary amide; tertiary amide; and alkoxy group.

**FIG. 2 (cont.)**

| Abbreviation | 5-dU Modification Attached via Amide Linkage | Chemical Structure                                                                    |
|--------------|----------------------------------------------|---------------------------------------------------------------------------------------|
| BPE          | ((1,1'-biphenyl)-4-yl)ethyl                  |    |
| PBnd         | 4-phenylbenzyl                               |    |
| POP          | 4-phenoxybenzyl                              |     |
| DPP          | 3,3-diphenylpropyl                           |   |
| DBM          | 3-phenylbenzyl                               |  |
| BH           | benzhydryl                                   |  |

**FIG. 3**

**FIG. 3 (cont.)**

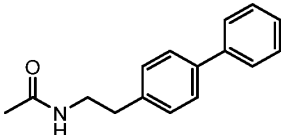
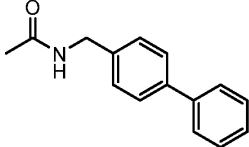
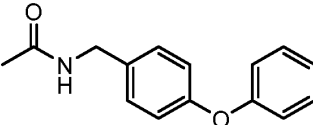
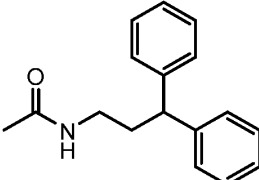
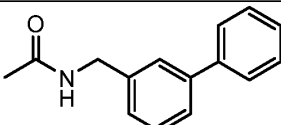
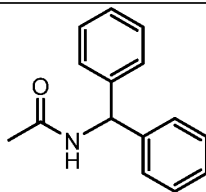
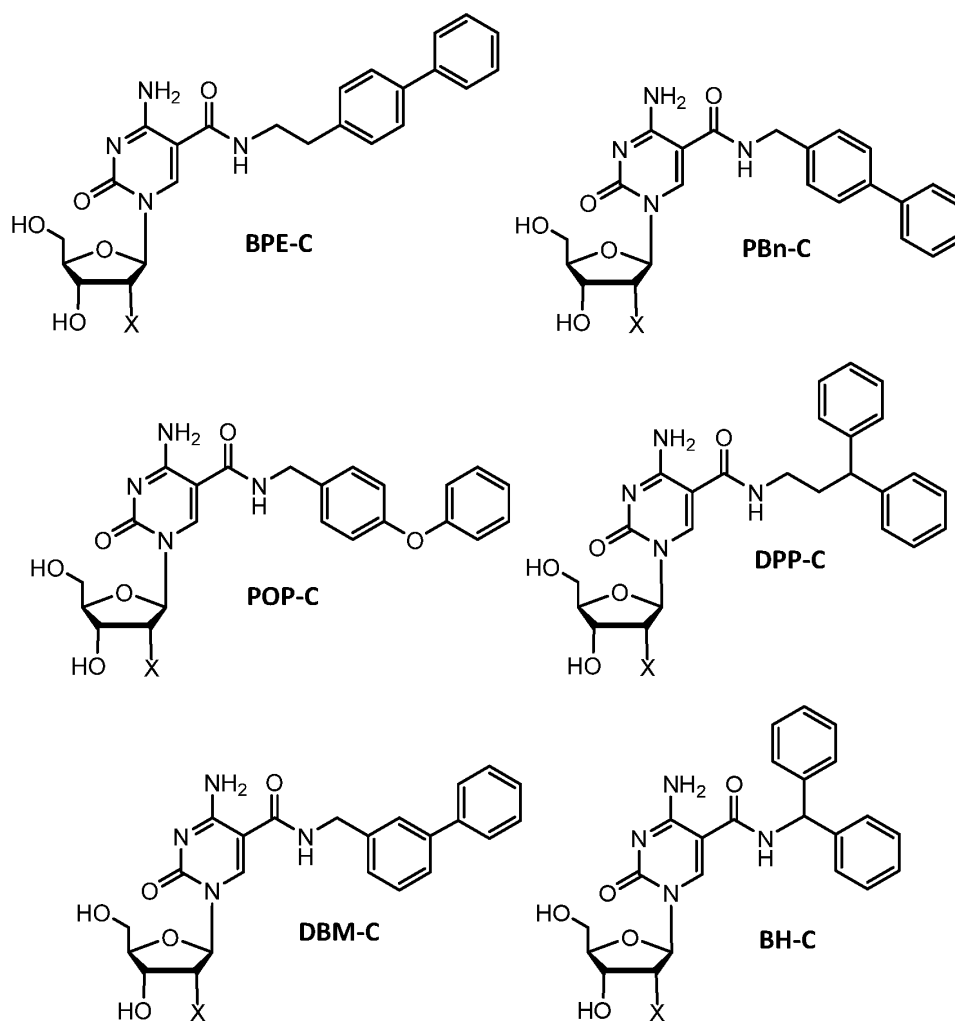
| Abbreviation | 5-dC Modification Attached via Amide Linkage | Chemical Structure                                                                    |
|--------------|----------------------------------------------|---------------------------------------------------------------------------------------|
| BPE          | ((1,1'-biphenyl)-4-yl)ethyl                  |    |
| PBnd         | 4-phenylbenzyl                               |    |
| POP          | 4-phenoxybenzyl                              |     |
| DPP          | 3,3-diphenylpropyl                           |   |
| DBM          | 3-phenylbenzyl                               |  |
| BH           | benzhydryl                                   |  |

FIG. 4

**FIG. 4 (cont.)**

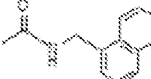
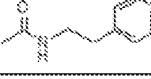
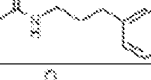
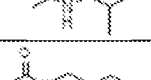
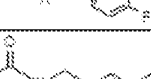
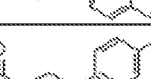
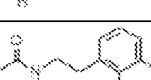
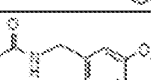
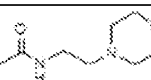
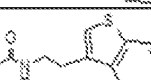
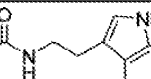
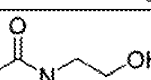
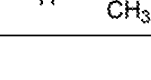
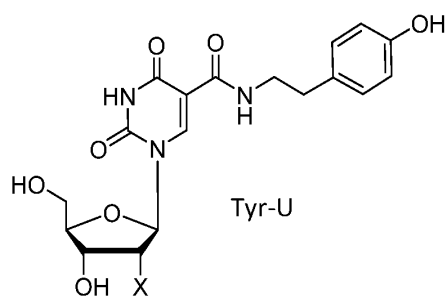
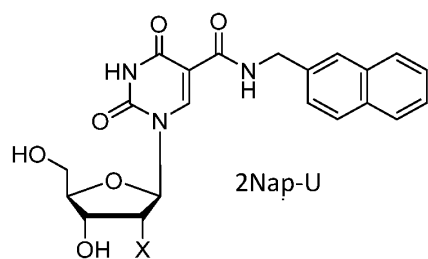
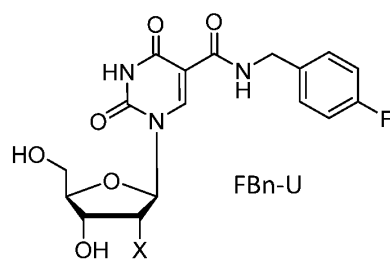
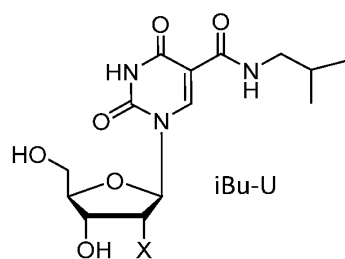
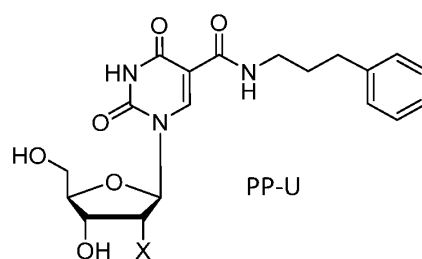
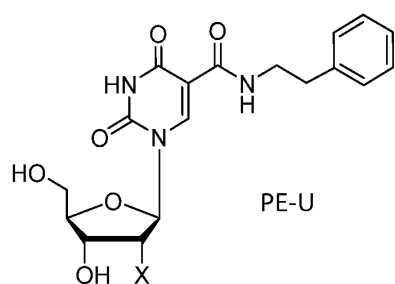
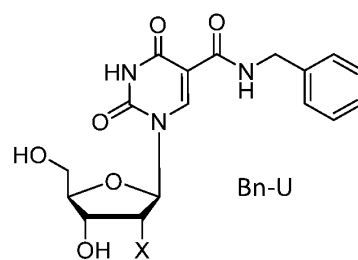
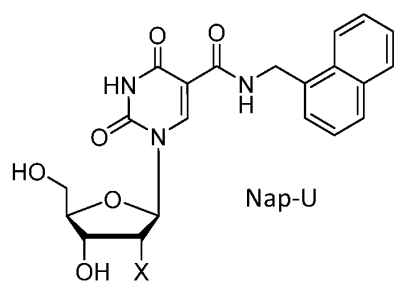
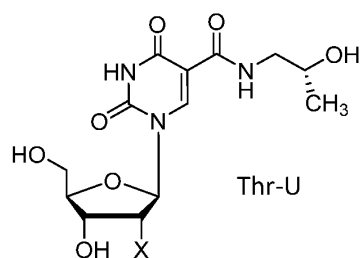
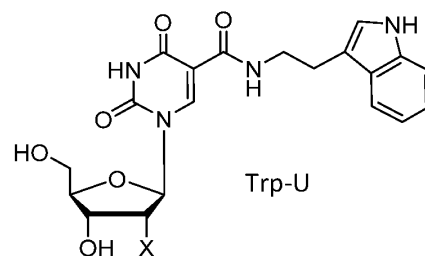
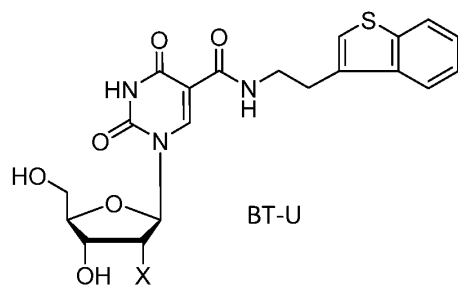
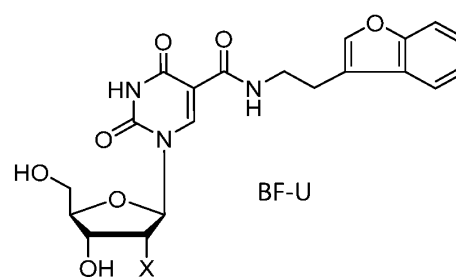
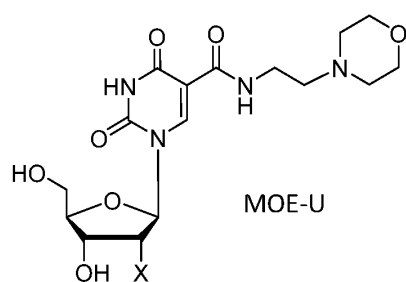
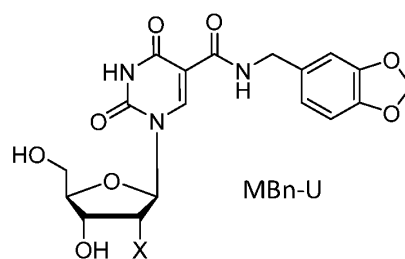
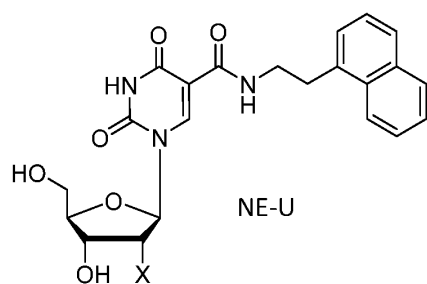
| Abbreviation | 5-dU Modification Attached via Amide Linkage | Chemical Structure                                                                    |
|--------------|----------------------------------------------|---------------------------------------------------------------------------------------|
| Bn           | benzylmethyl                                 |    |
| Nap          | <i>l</i> -naphthylmethyl                     |    |
| PE           | 2-phenylethyl                                |    |
| PP           | 3-phenylpropyl                               |    |
| iBu          | <i>iso</i> -butyl                            |    |
| FBn          | 4-fluorobenzylmethyl                         |    |
| 2Nap         | 2-naphthylmethyl                             |   |
| Tyr          | tyrosyl                                      |  |
| NE           | <i>l</i> -naphthylethyl                      |  |
| MBn          | 3,4-methylenedioxy benzyl                    |  |
| MOE          | morpholinoethyl                              |  |
| BF           | 3-benzofuranylethyl                          |  |
| BT           | 3-benzothiophenylethyl                       |  |
| Trp          | 3-indole-2-ethyl                             |  |
| Thr          | ( <i>R</i> )-2-hydroxypropyl                 |  |

FIG. 5

**FIG. 5 (cont.)**

**FIG. 5 (cont.)**

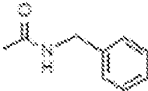
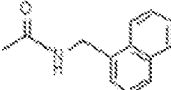
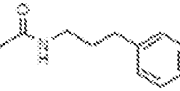
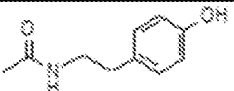
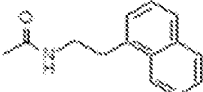
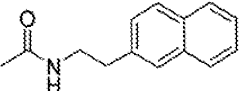
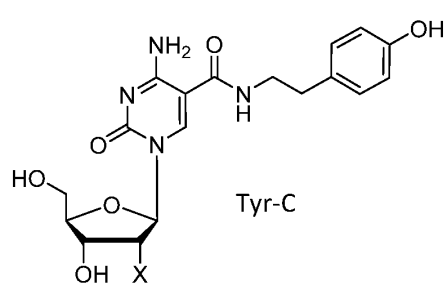
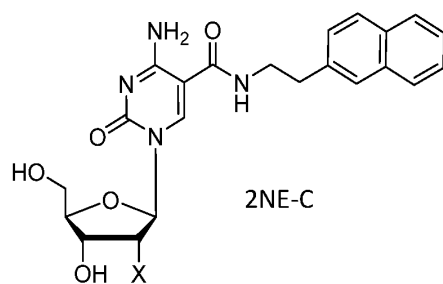
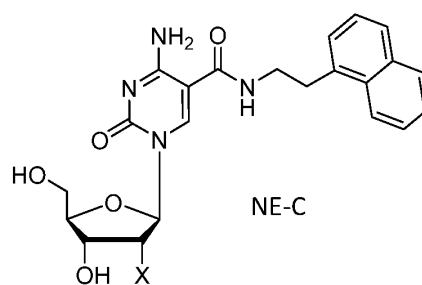
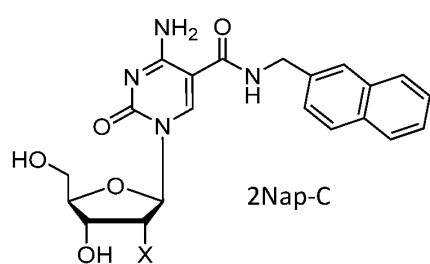
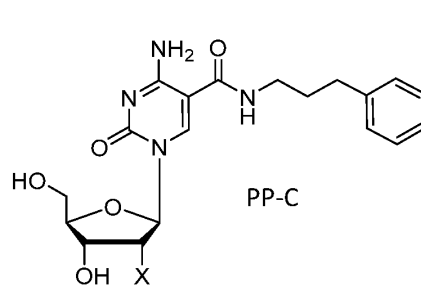
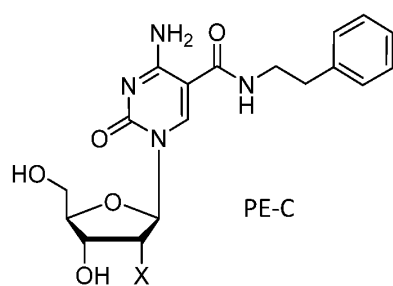
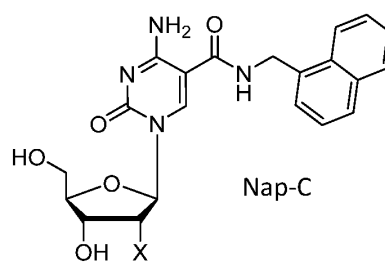
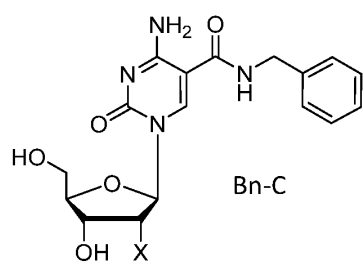
| Abbreviation | 5-dC Modification Attached via Amide Linkage | Chemical Structure                                                                    |
|--------------|----------------------------------------------|---------------------------------------------------------------------------------------|
| Bn           | benzylmethyl                                 |    |
| Nap          | <i>l</i> -naphthylmethyl                     |    |
| PE           | 2-phenylethyl                                |    |
| PP           | 3-phenylpropyl                               |    |
| 2Nap         | 2-naphthylmethyl                             |    |
| Tyr          | tyrosyl                                      |   |
| NE           | <i>l</i> -naphthylethyl                      |  |
| 2NE          | 2-naphthyl-2-ethyl                           |  |

FIG. 6

**FIG. 6 (cont.)**

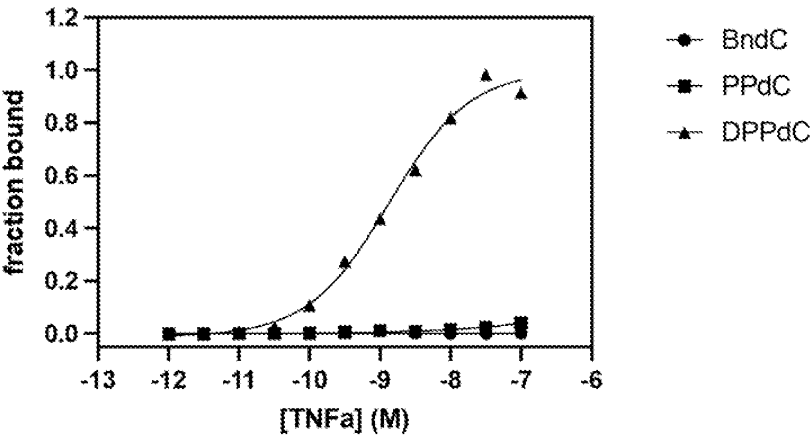


FIG. 7A

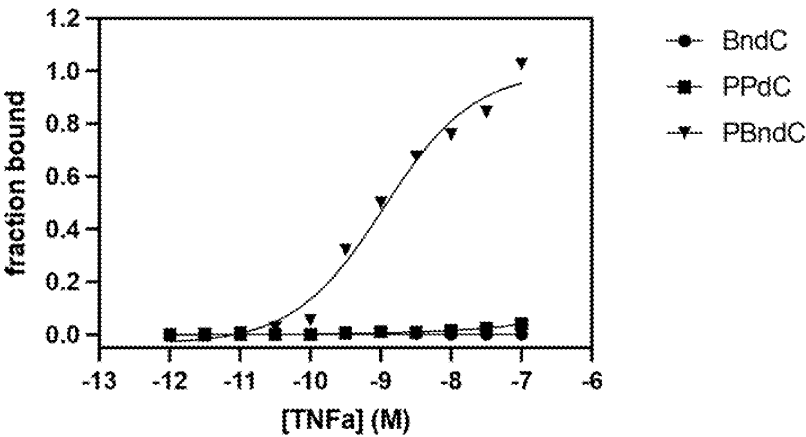


FIG. 7B

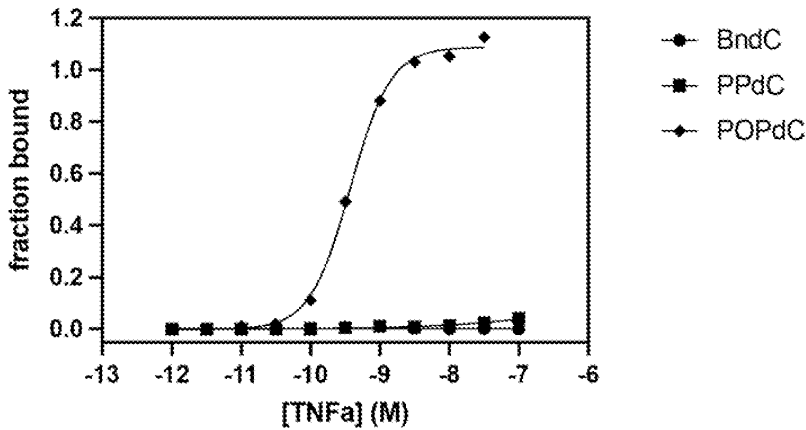


FIG. 7C

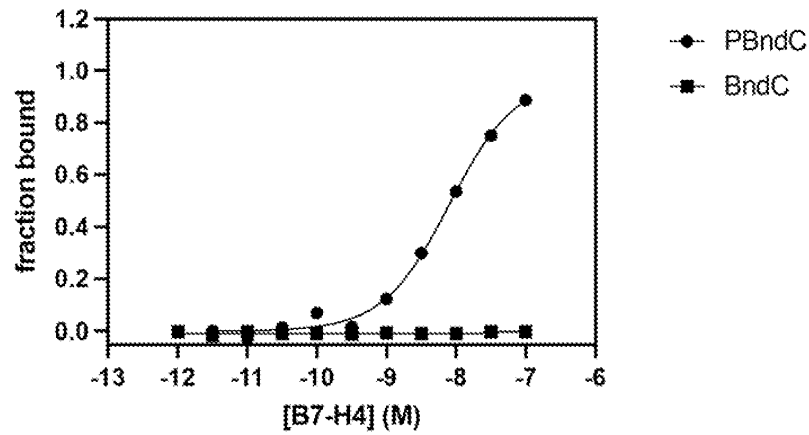


FIG. 7D

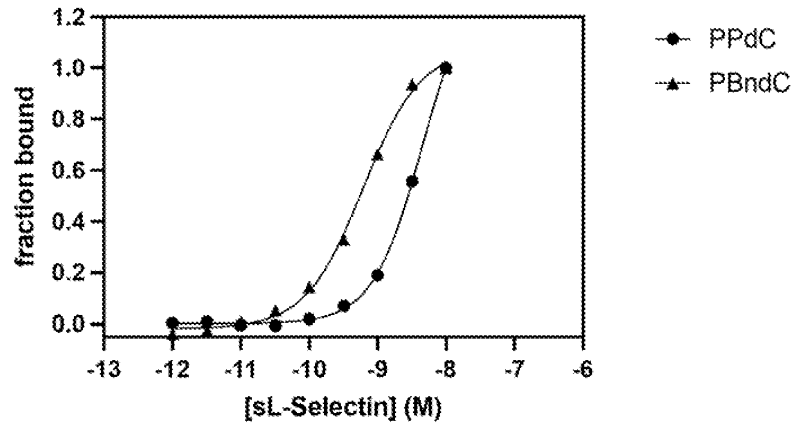


FIG. 7E

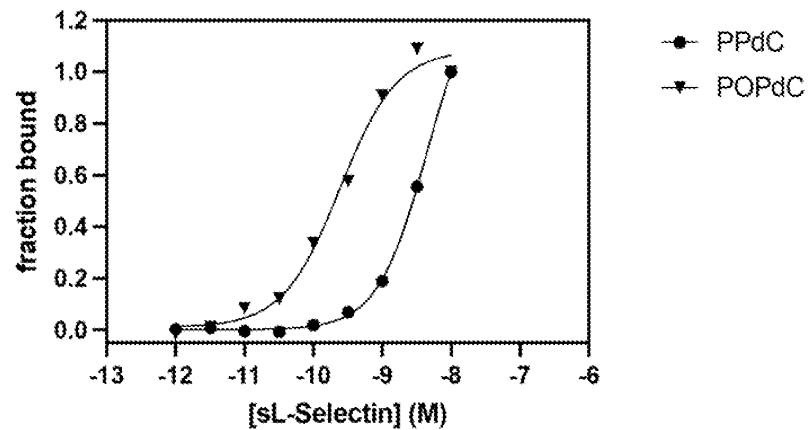


FIG. 7F