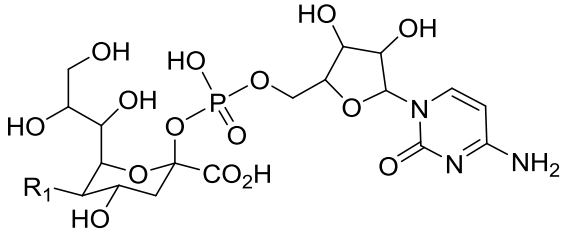
## CLAIMS

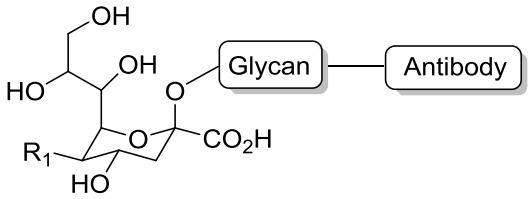
We claim:

1. A method of making an effector moiety conjugated antibody or antigen-binding fragment thereof comprising the steps of:

(a) reacting a cytidine monophosphate-sialic acid (CMP-sialic acid) derivative having the structure:




with a glycan attached to a glycosylation site of an antibody or antigen-binding fragment thereof to form a sialic acid derivative-conjugated antibody having the structure:




or antigen-binding fragment thereof through a sialylation reaction;

wherein $R_1$ is selected from the group consisting of $–NHC(O)CH_3$, $–NHC(O)CH_2OH$, $–NHC(O)CH_2CH_2C(O)CH_3$, $–NHC(O)CH_2N_3$, –NHC(O)SH, –OH, and $–N_3$; and

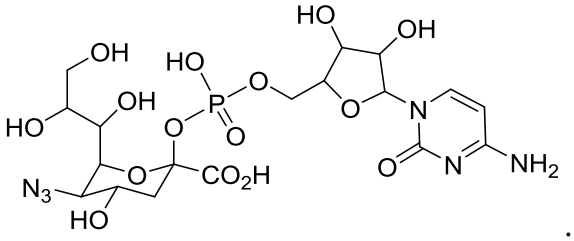
(b) reacting the $R_1$ group of the sialic acid derivative-conjugated antibody or antigen-binding fragment from step (a) with an effector moiety selected from the group consisting of: a drug moiety, a cytotoxic agent, a targeting agent, a diagnostic agent, an anti-cancer agent, an anti-inflammatory agent, an anti-cancer agent, an anti-infective agent, and an anesthetic agent,

to form the effector moiety conjugated antibody or antigen-binding fragment thereof using click chemistry,

wherein step (b) is performed in the absence of copper.

2. The method of claim 1, wherein $R_1$ is $N_3$.

3. The method of claim 1, wherein the CMP-sialic acid derivative has the structure of:



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4. The method of claim 2, wherein the effector moiety comprises or is bound to a cyclooctyne.

5. The method of claim 4, wherein the cyclooctyne is an azadibenzocyclooctyne.

6. The method of claim 4, wherein the cyclooctyne is a monofluorinated cyclooctyne.

7. The method of claim 1, wherein the antibody or antigen-binding fragment thereof is an antibody.

8. The method of claim 1, wherein the antibody comprises an S298N mutant.

9. The method of claim 1, wherein the antibody comprises a heavy chain of SEQ ID NO: 14 and a light chain of SEQ ID NO: 12.

10. The method of claim 1, wherein step (a) is catalyzed by α2,6 sialyltransferase.

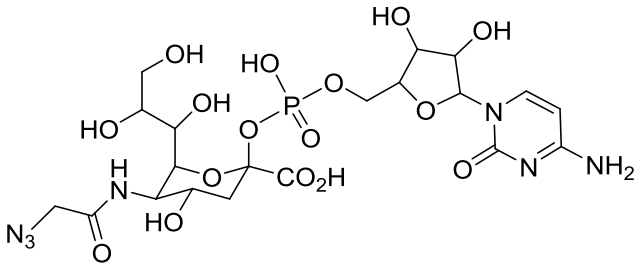
11. The method of claim 1, wherein the effector moiety comprises a terminal aminooxy moiety or is bound to a moiety comprising an aminooxy derivative.

12. The method of claim 1, wherein the effector moiety comprises a poly(ethylene glycol).

13. The method of claim 2, wherein step (b) occurs at ambient temperatures.

14. The method of claim 2, wherein the click reaction in step (b) is catalyzed by a metal other than copper.

15. The method of claim 1, wherein the CMP-sialic acid derivative has the structure of:



16. The method of claim 1, wherein the effector moiety comprises an alkyne or is bound to a moiety comprising an alkyne.

17. The method of claim 1, wherein the product of the click reaction in step (b) forms a triazole ring.

18. The method of claim 4, wherein the cyclooctyne is a difluorinated cyclooctyne.

19. The method of claim 1, wherein the antibody or antigen-binding fragment thereof comprises an A114N mutant in the CH1 domain.

20. The method of claim 14, wherein the metal is selected from the group consisting of ruthenium, nickel, palladium, platinum, iron, and a derivative thereof.

21. The method of claim 1, wherein the effector moiety is selected from the following:

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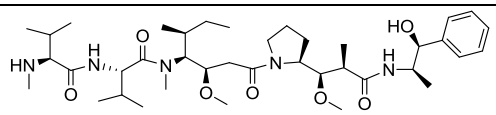
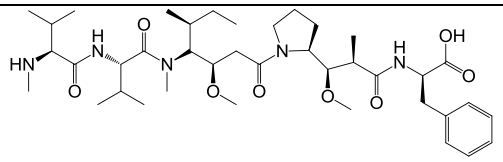
|  |  |

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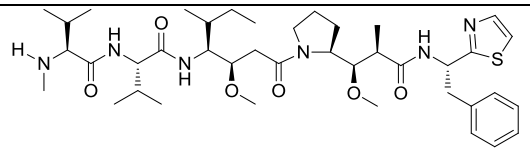
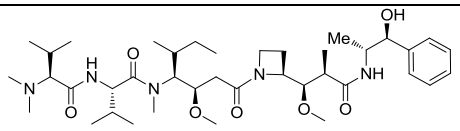
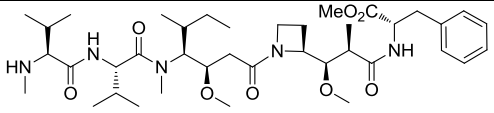
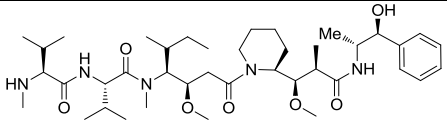
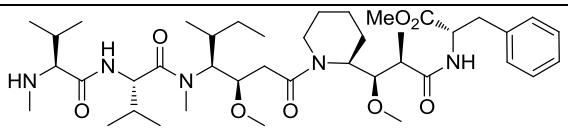
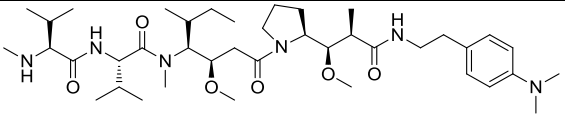
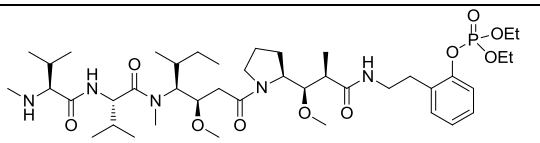
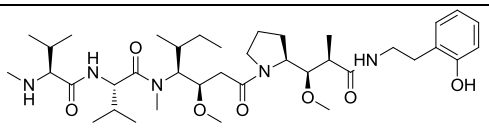
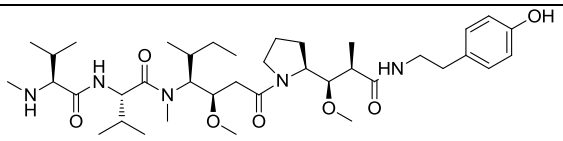
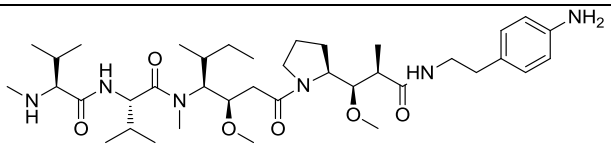
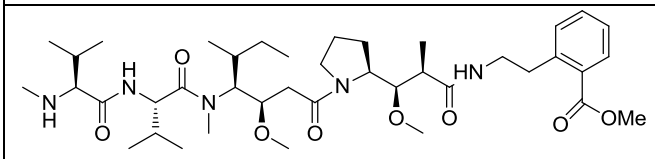
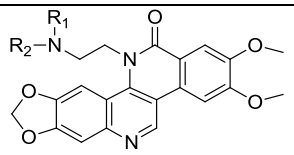
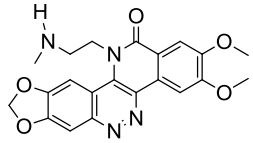
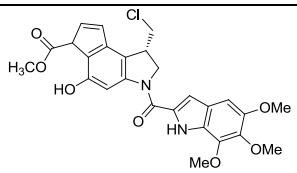
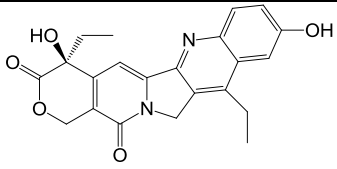
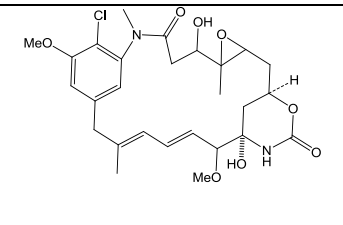
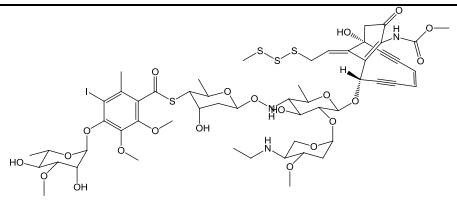
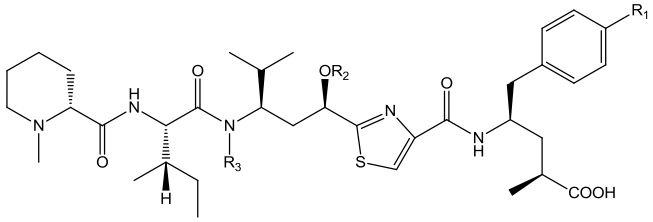
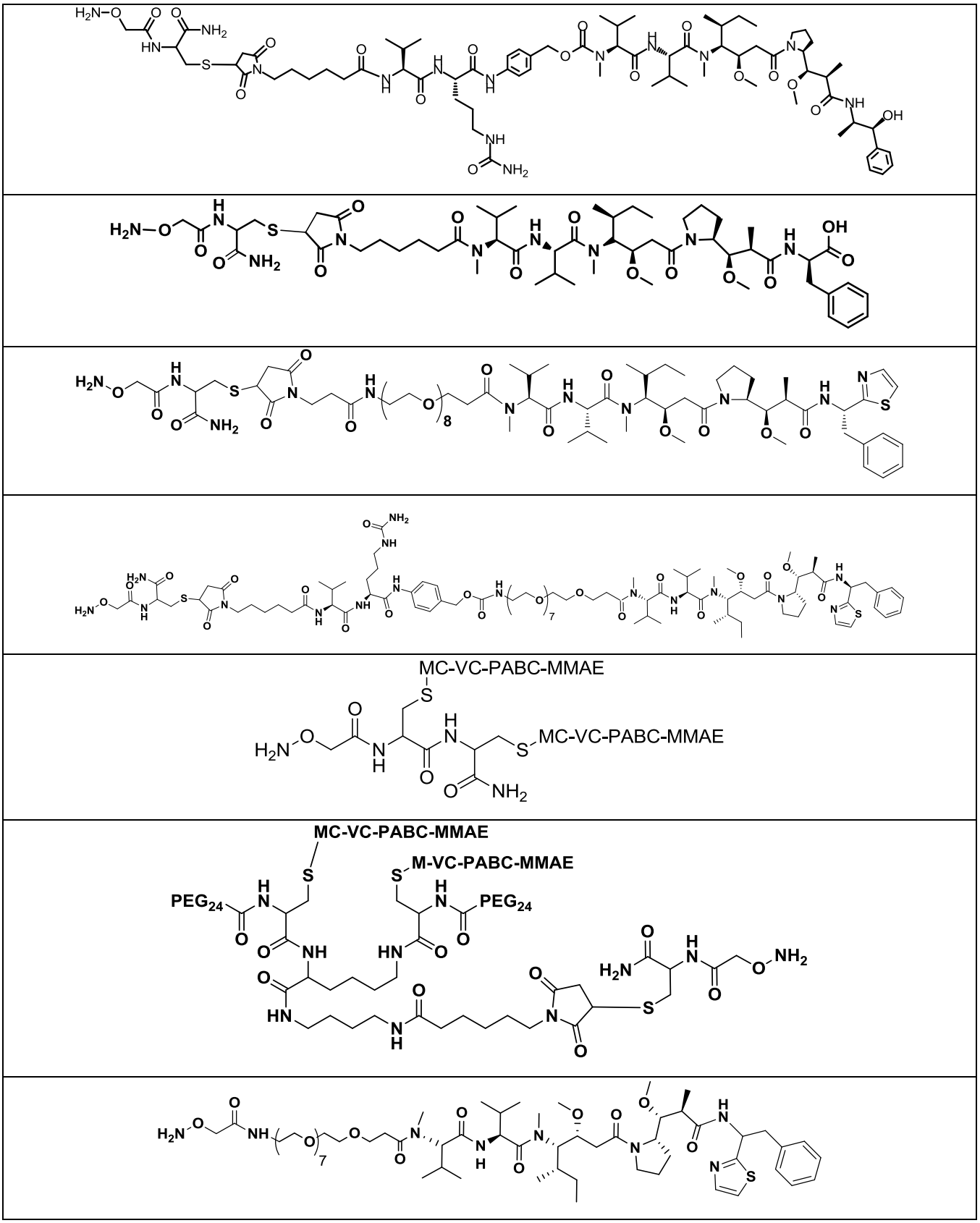
|  |  |
|  |  |
|  |  |
|  |  |
|  |  |
|  |  |
|  |  |
|  |  |
|  |  |
|  |  |
| | $R_1$= alkyl, aryl, alkoxy, aryloxy, $R_2$, $R_3$= alkyl, aryl |


MC-VC-PABC-MMAE
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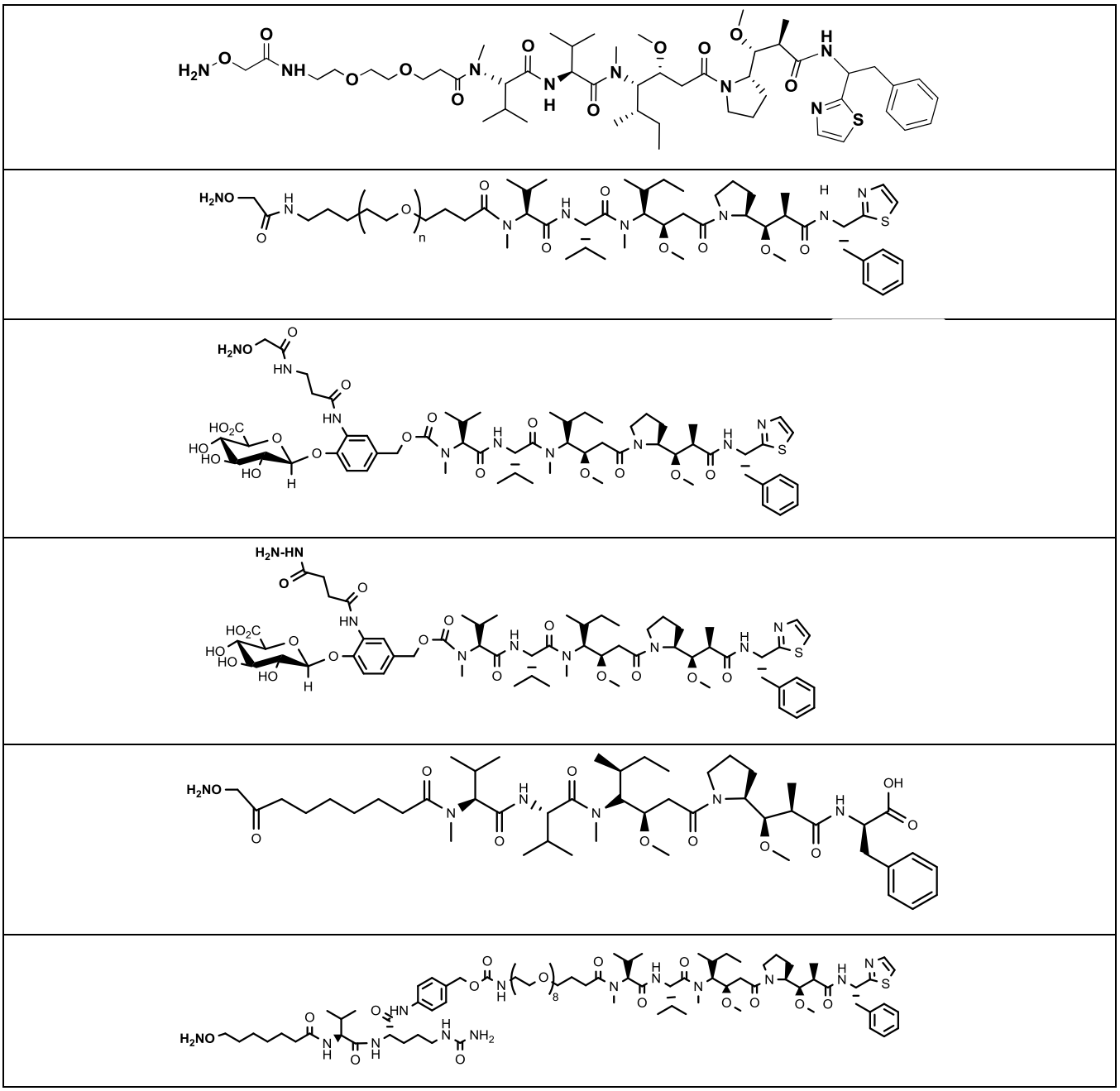
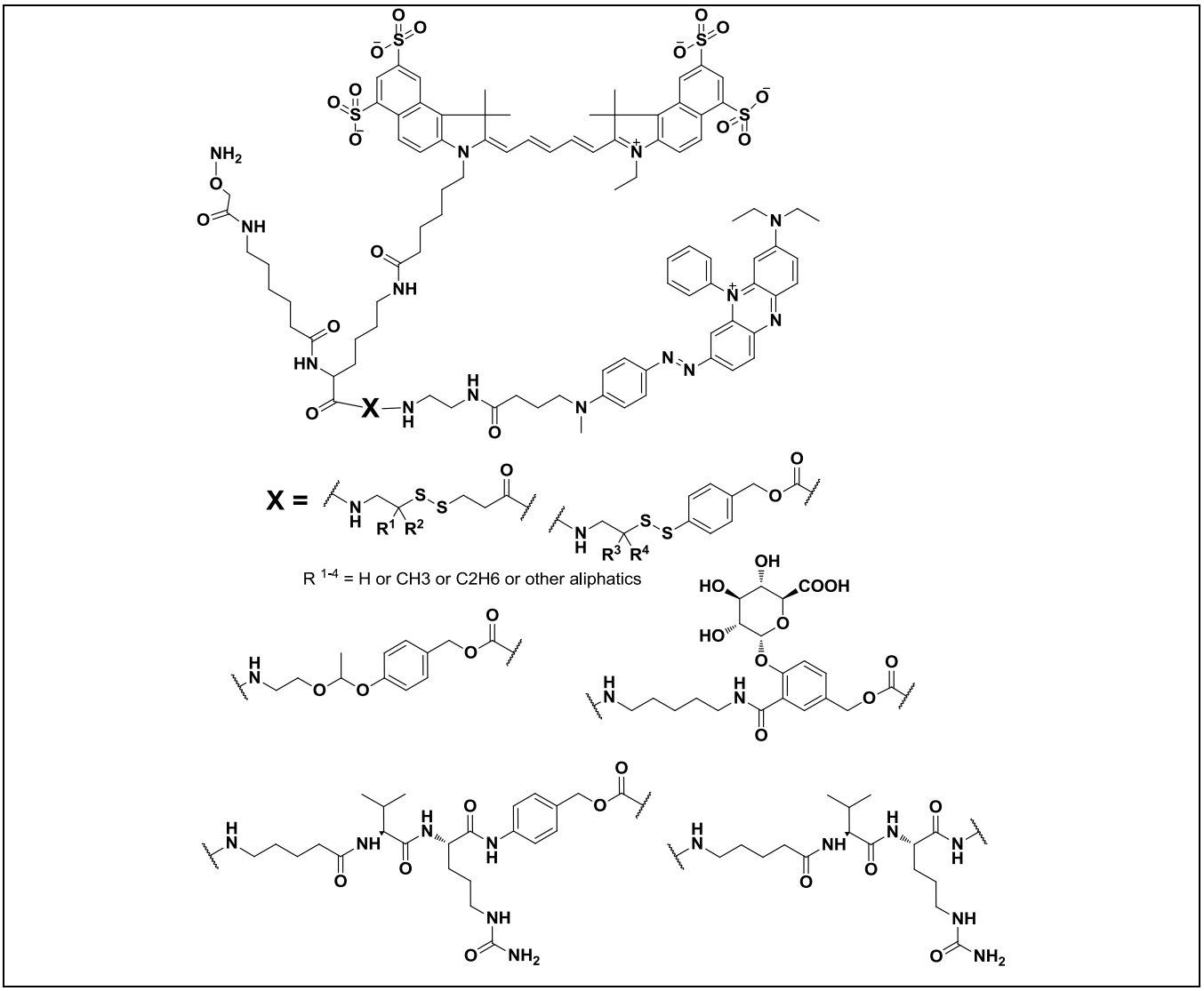
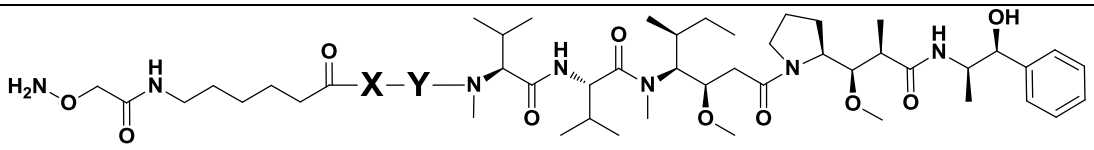



X =
R 1-4 = H or CH3 or C2H6 or other aliphatics



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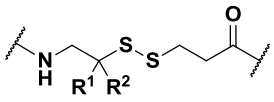
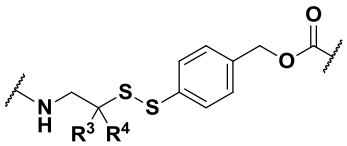
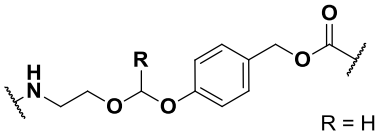
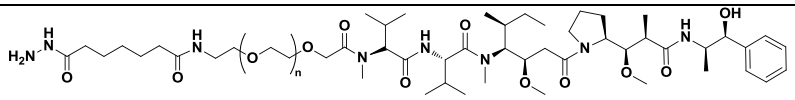
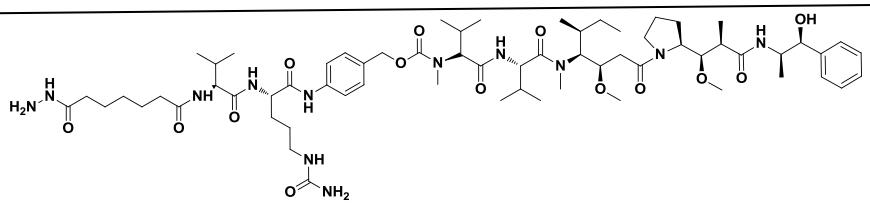
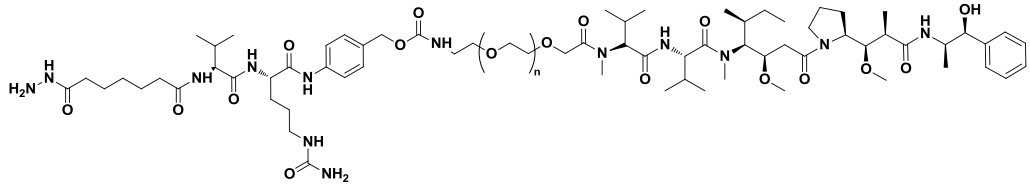
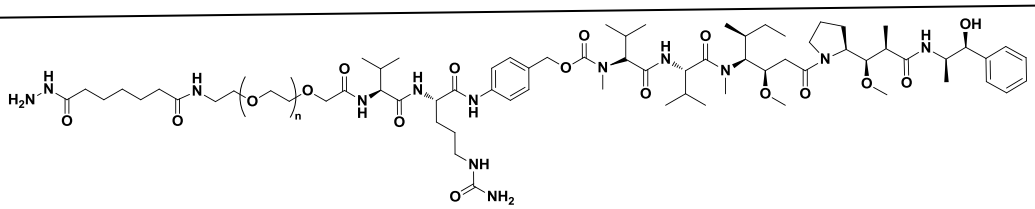
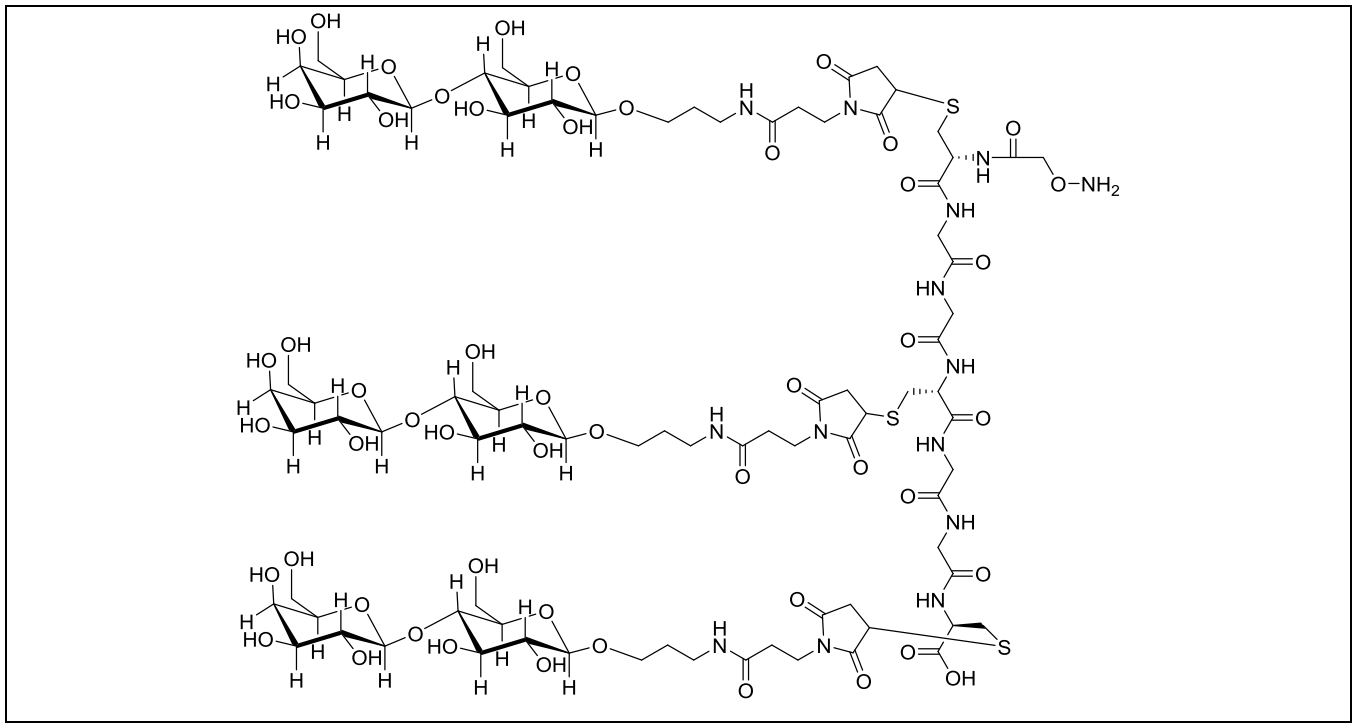




$R^{1\text{-}4}$ = H or $CH_3$ or $C_2H_6$ or other aliphatics



R = H or substituted or unsunstituted alkyl, alkylaryl groups