

FIG. 1 Synthesis of 9a-9p

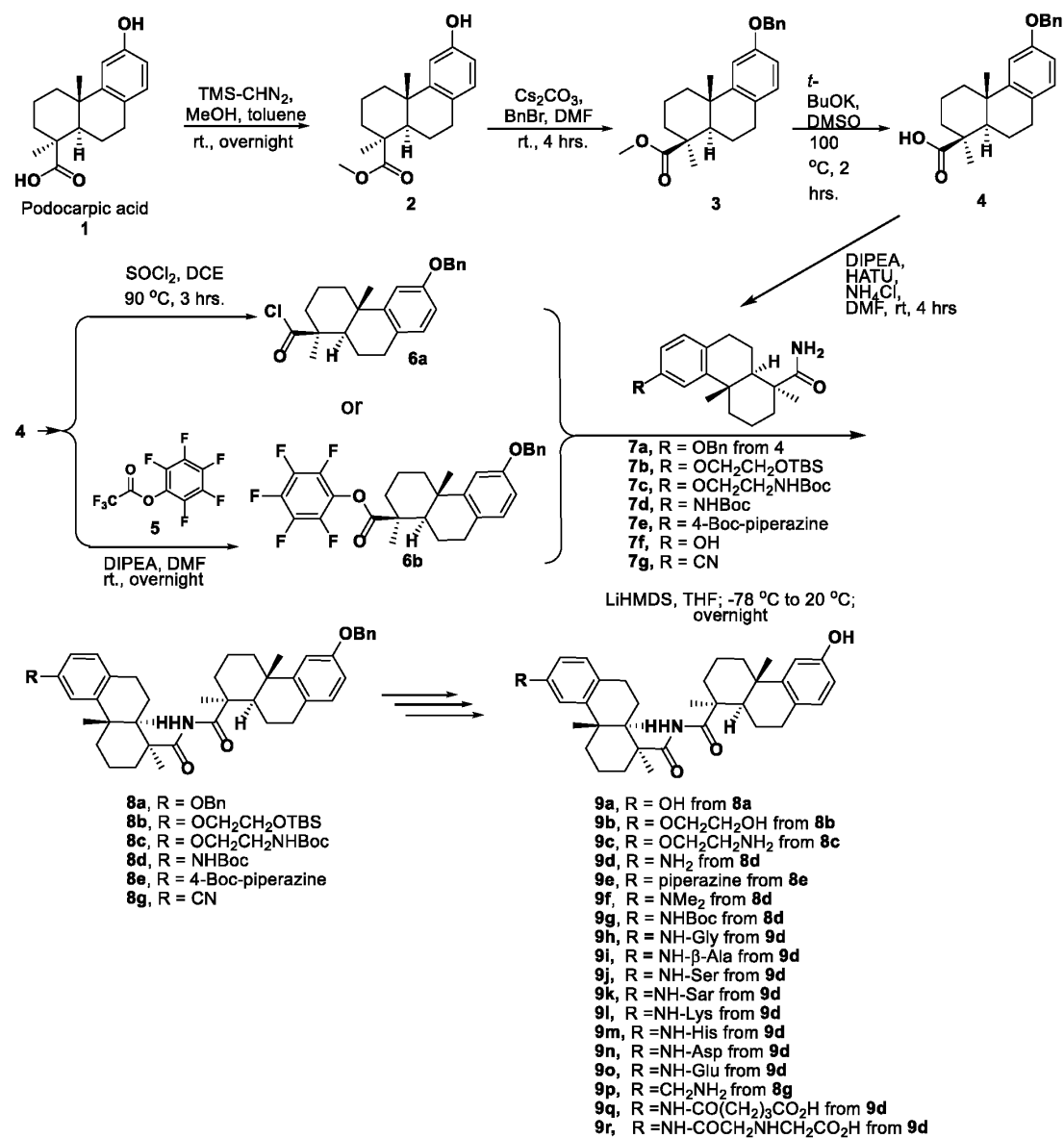


FIG. 1a Synthesis of 9d

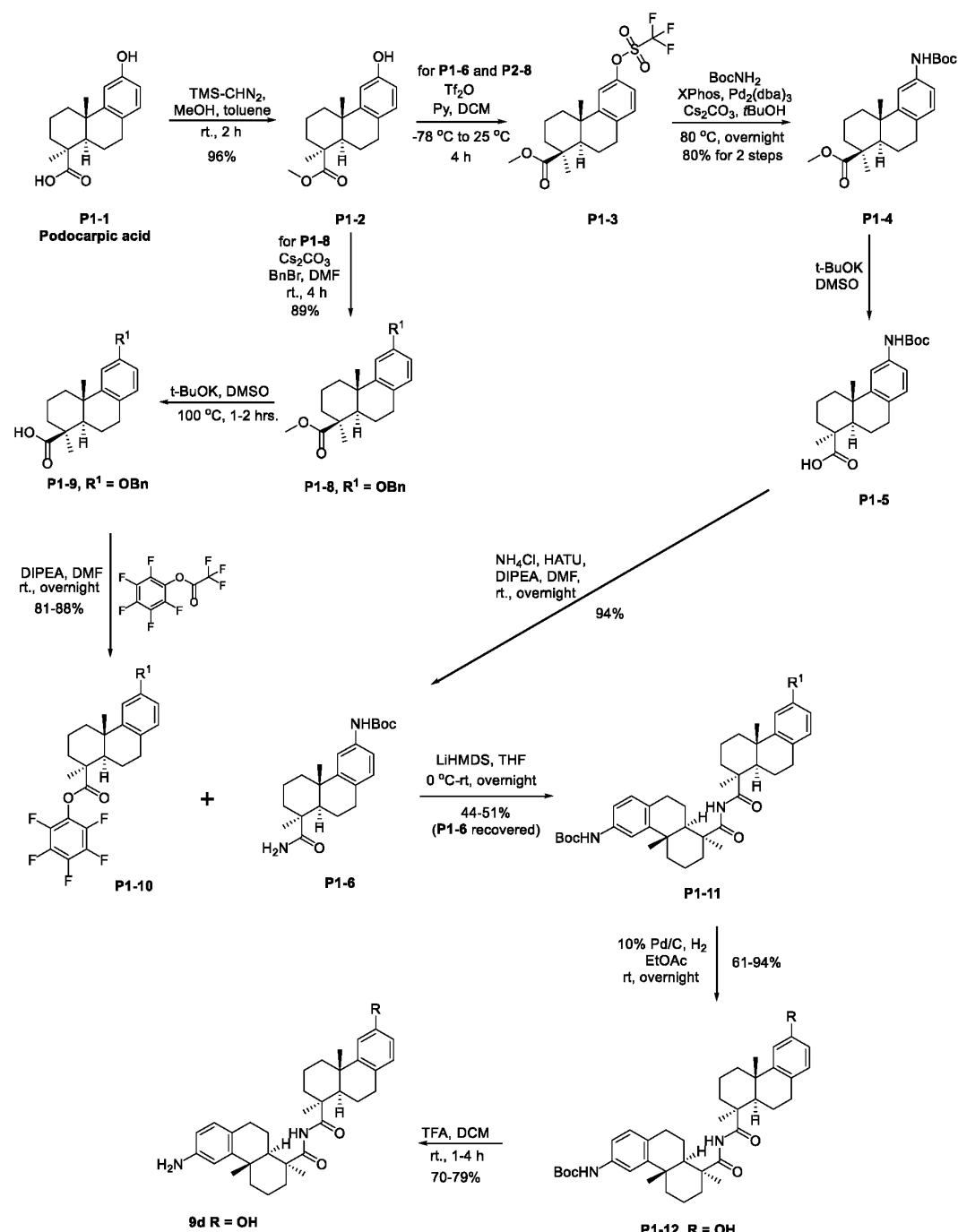


Figure 1b Synthesis of LP8

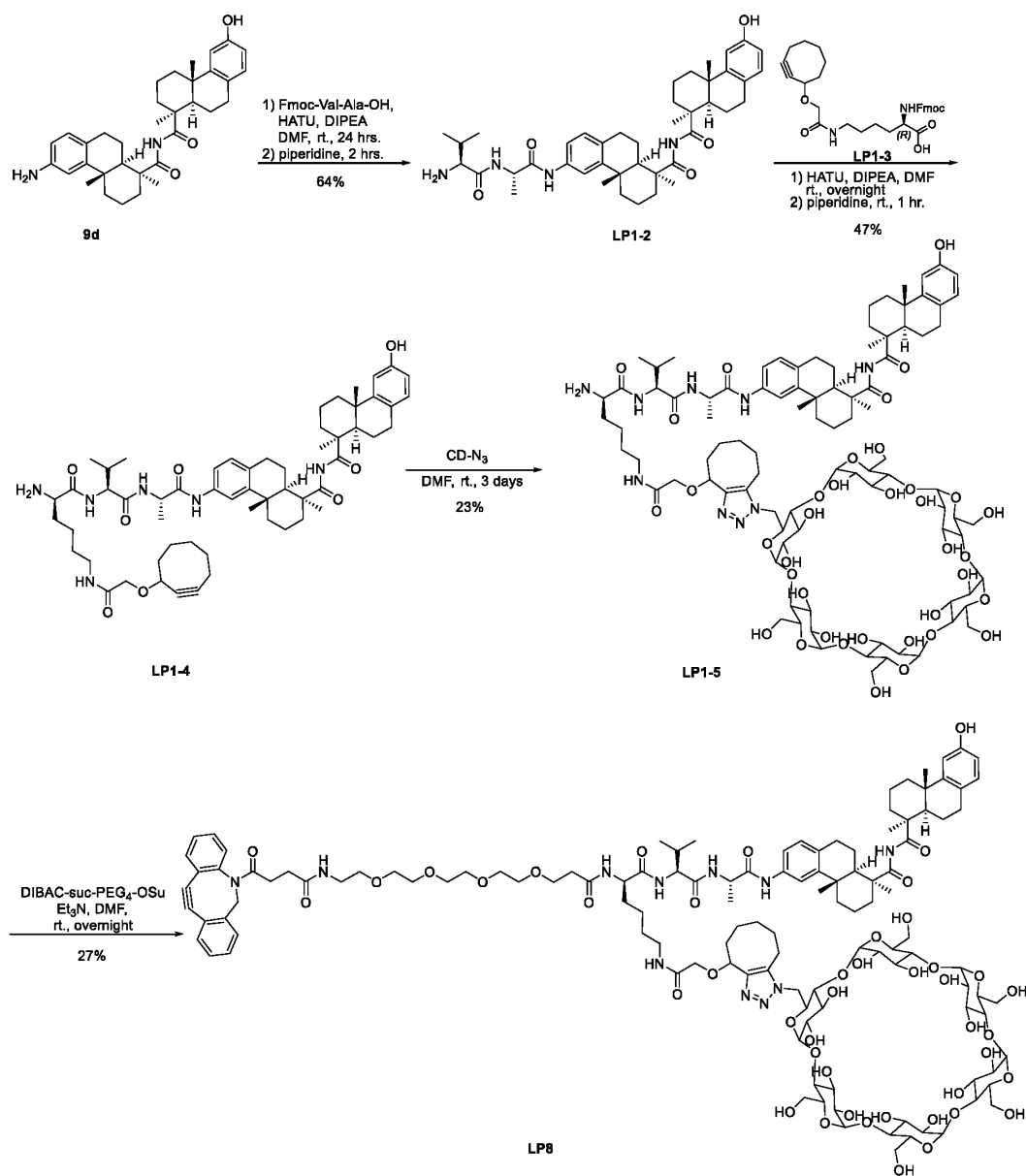


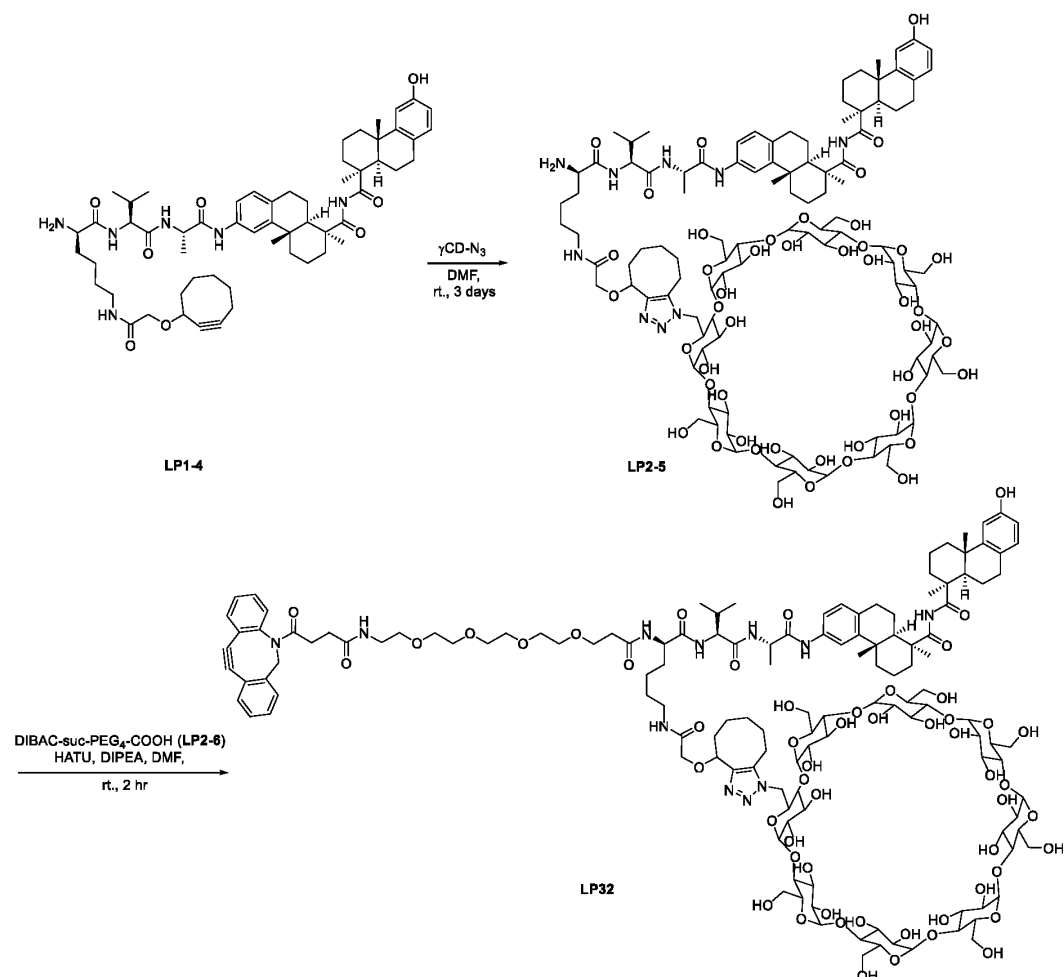
Figure 1c Synthesis of LP32

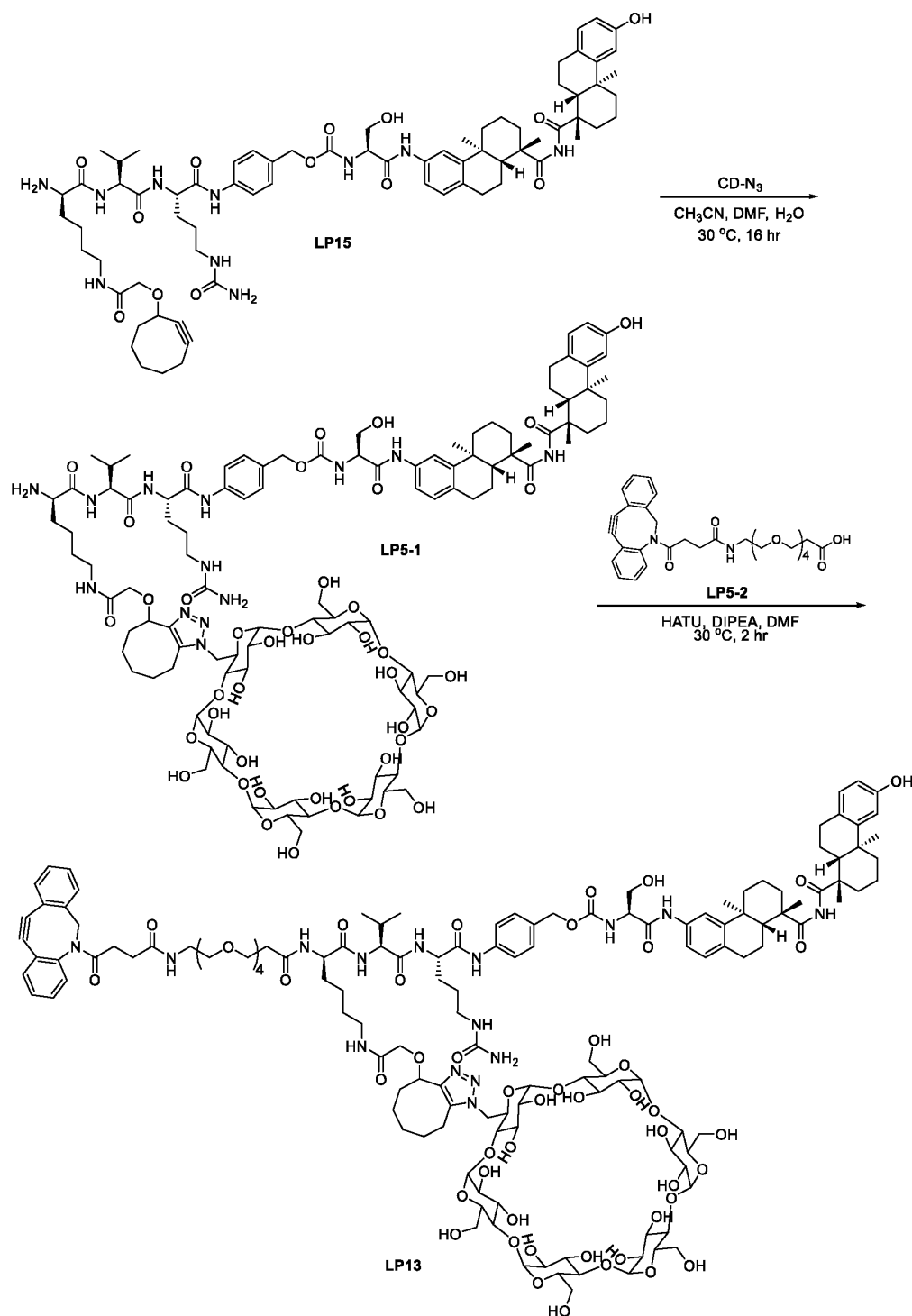
Figure 1d Synthesis of LP13

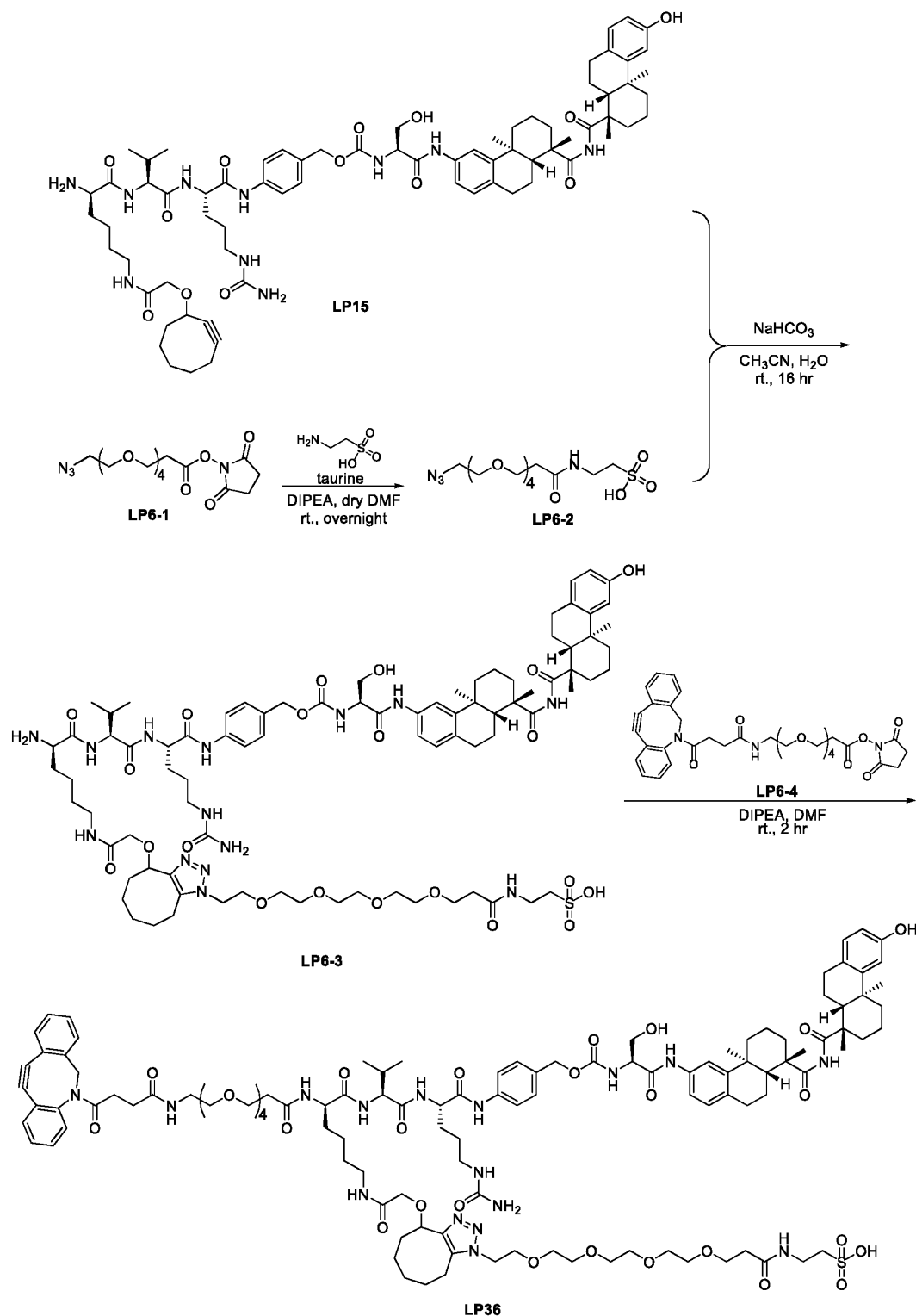
Figure 1e Synthesis of LP36

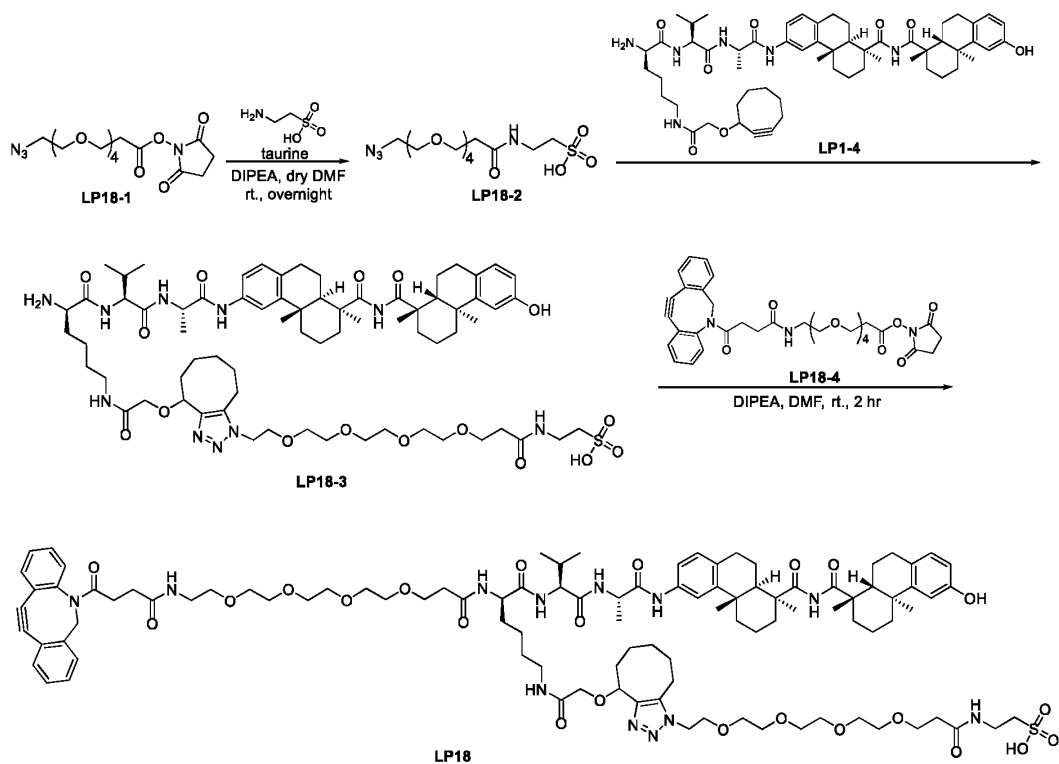
Figure 1f Synthesis of LP18

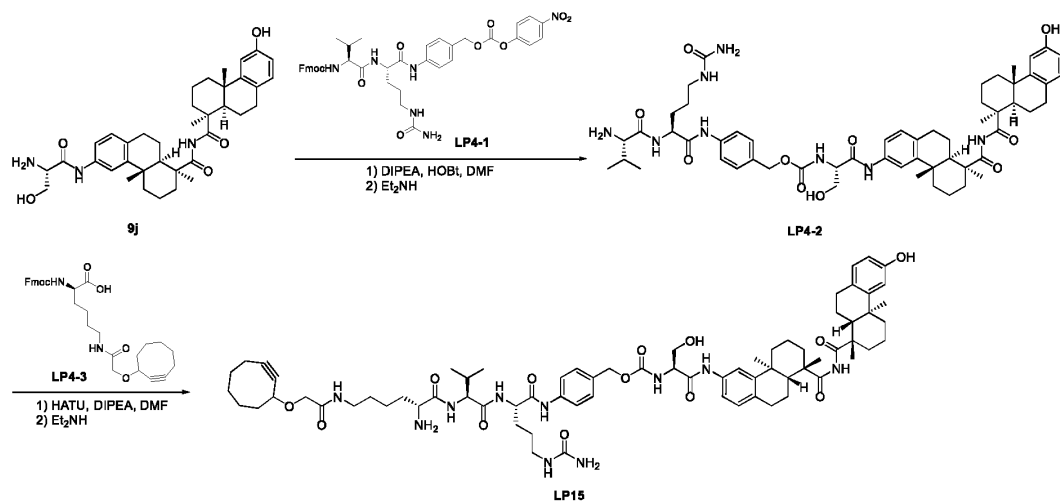
Figure 1g Synthesis of LP15

Figure 1h Synthesis of LP311

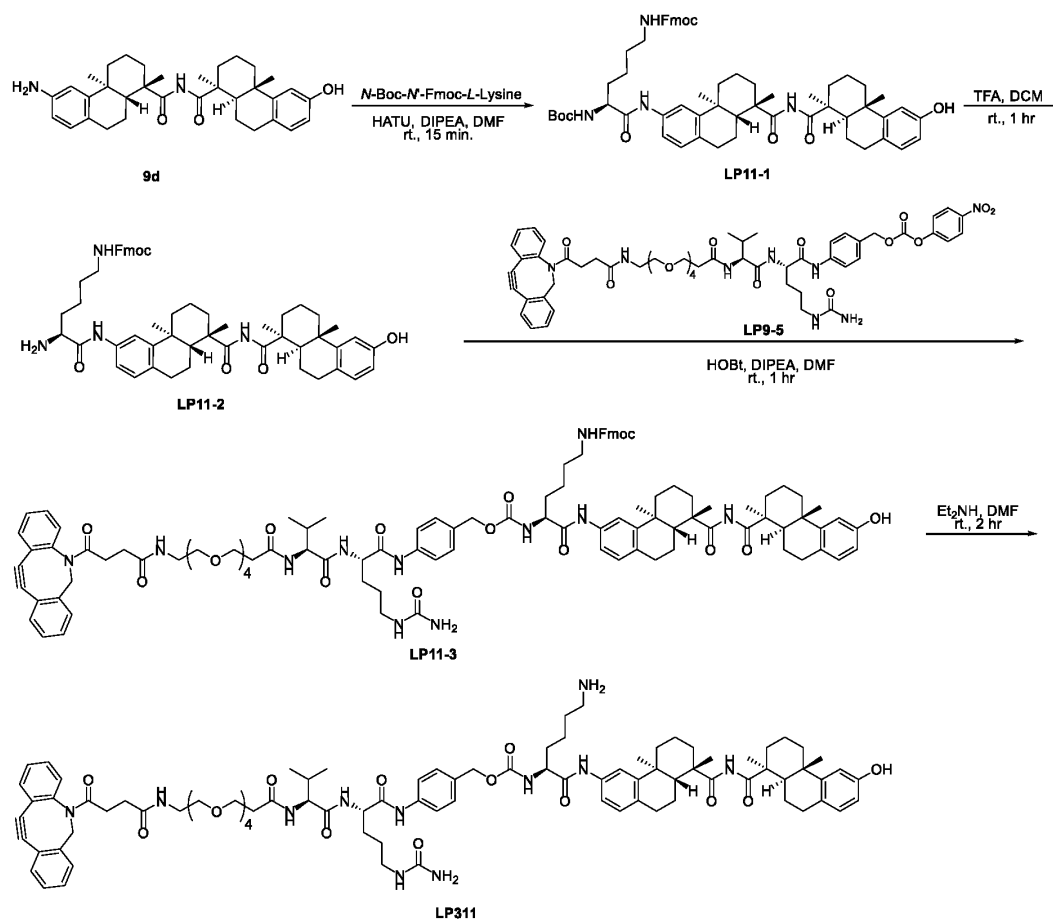
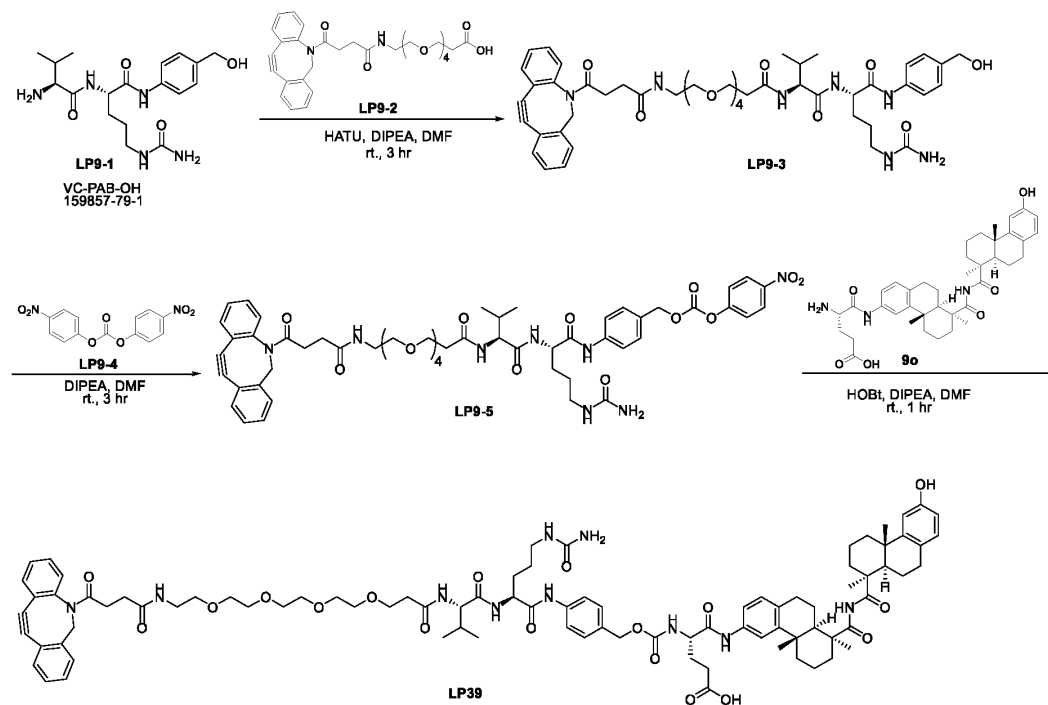


Figure 1i Synthesis of LP39

[illegible]

FIG. 3a

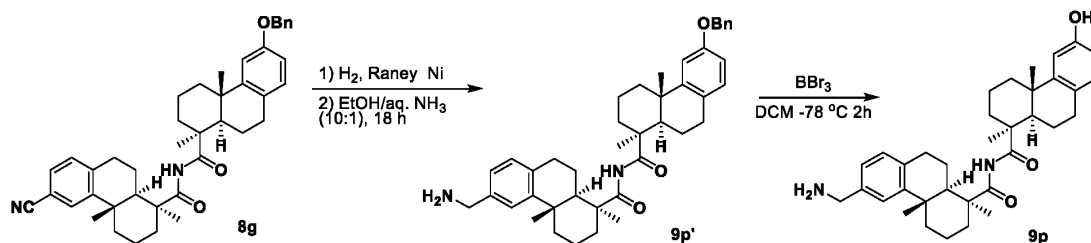


FIG. 3b

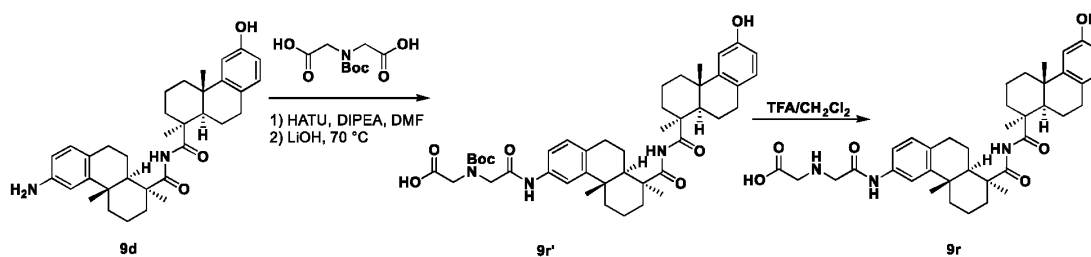


FIG. 3c

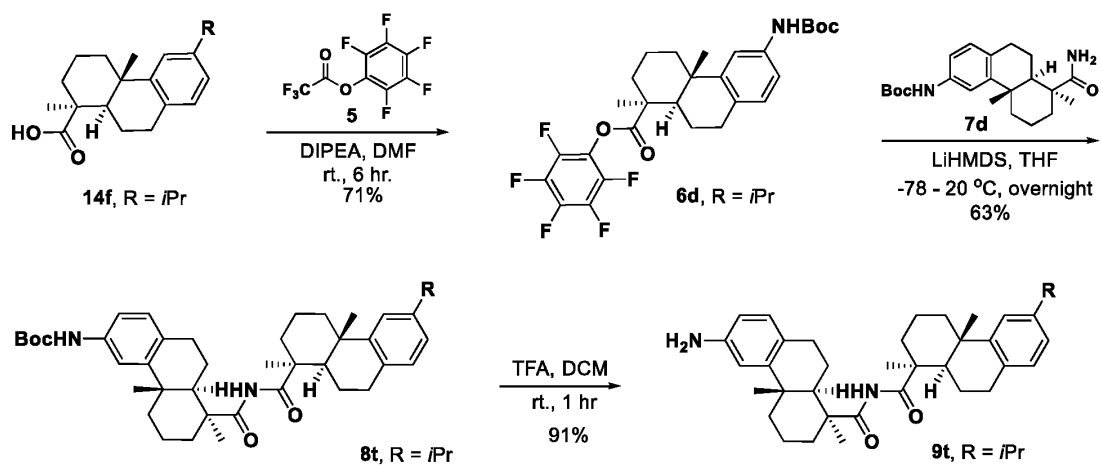


FIG. 3d

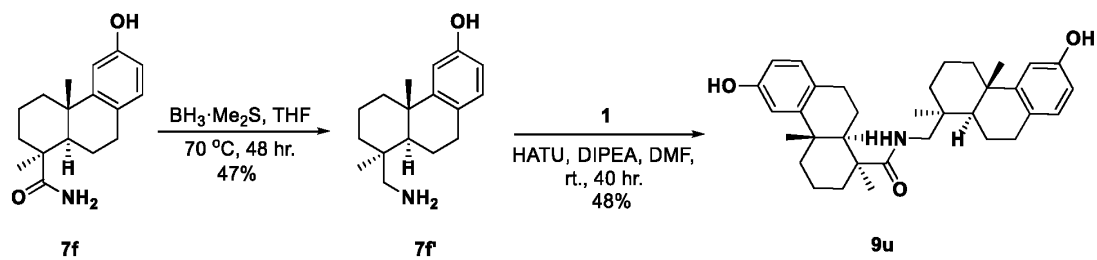


FIG. 3e

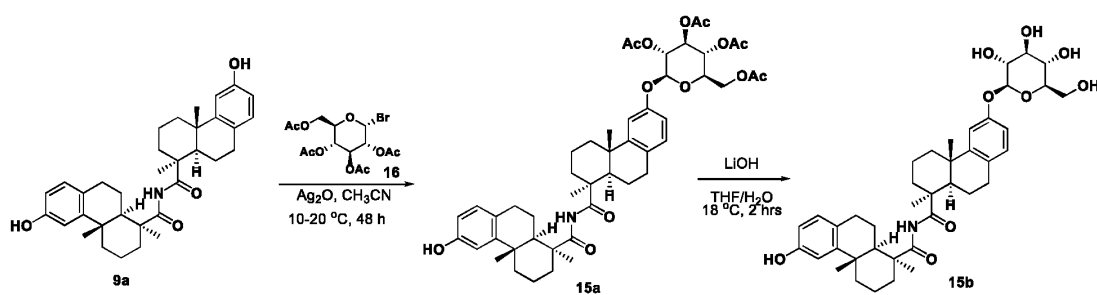
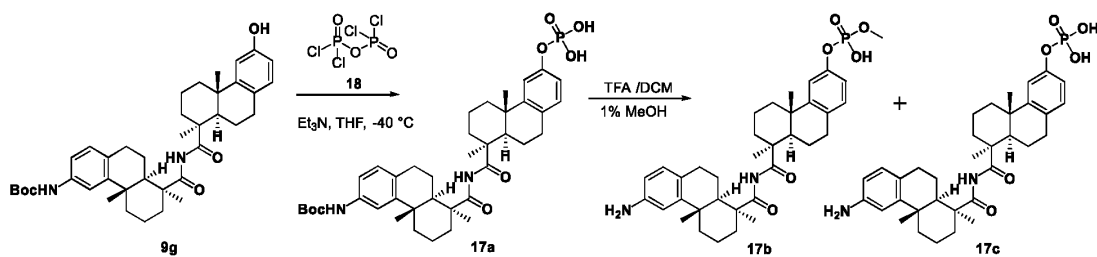


FIG. 4



Fmoc-vc-PAB-PNP (19)
 1) DIPEA, DMF, rt, 24 hrs.
 2) piperidine, rt, 2 hrs.
OR

Fmoc-VA (20)
 1) DIPEA, HATU, DMF
 rt., 24 hrs.
 2) piperidine, DMF, rt., 3 hrs

9c, A = O(CH₂)₂NH₂
9d, A = NH₂
9h, A = NHC(O)CH₂NH₂
9j, A = NHC(O)CH(CH₂OH)NH₂

21
c, R = (CH₂)₃NHCONH₂; A =
d, R = CH₃, A = NH
h, R = (CH₂)₃NHCONH₂; A =
j, R = (CH₂)₃NHCONH₂, A =

22
d1, R = CH₃, A = NH
d2, R = (CH₂)₂NHCONH₂, A = NH
j, R = (CH₂)₃NHCONH₂, A =

21c $\xrightarrow{\text{1) HATU, NMM, DMF, rt., 4 hrs. 2) piperidine, rt., 2 hrs.}}$ **23c1** $\xrightarrow{\text{1) NMM, DMF, rt., 4 hrs. 2) TFA, DCM, rt., 2 hrs.}}$ **23c2**

23c

Example 34, 24c

FIG. 7

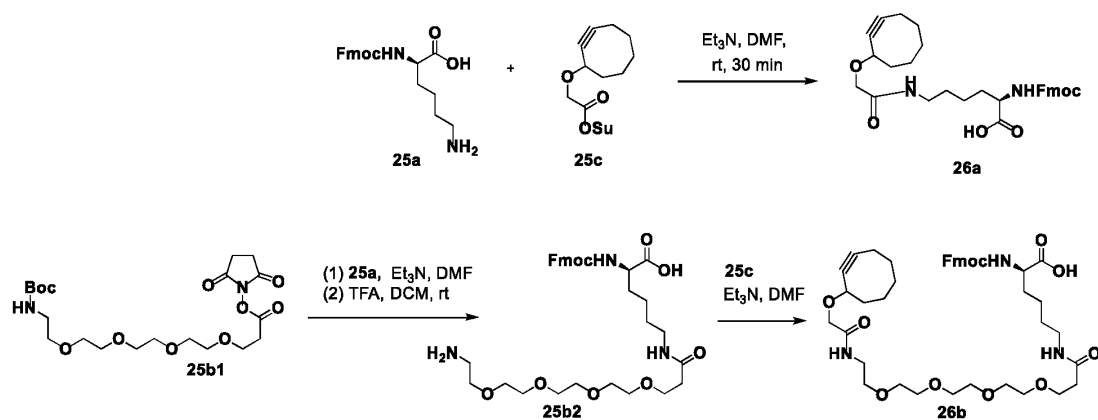


FIG. 8

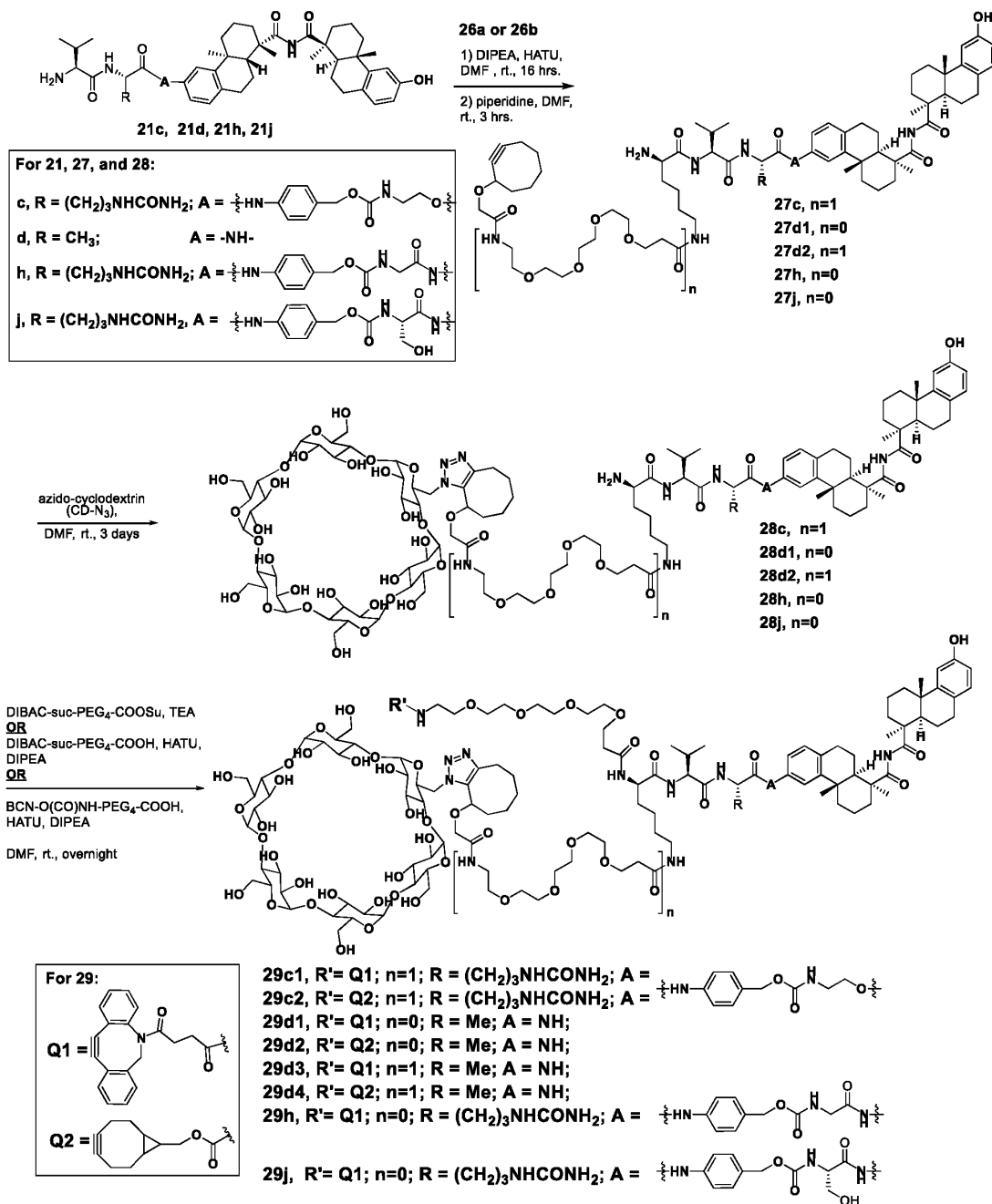


FIG. 9

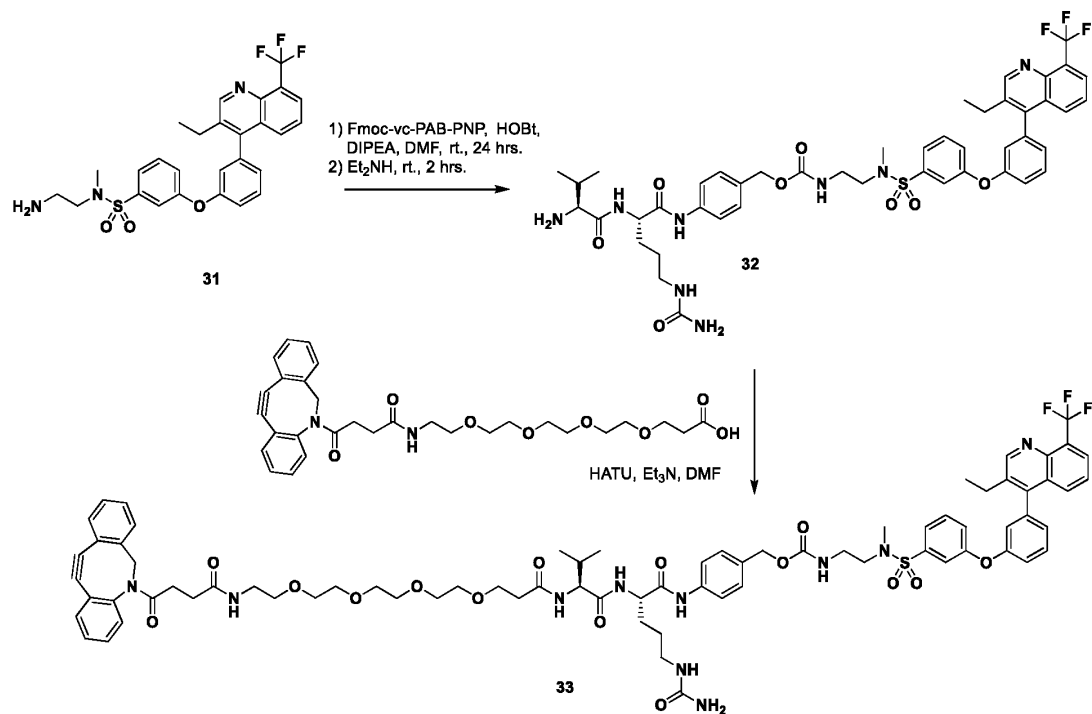


FIG. 10

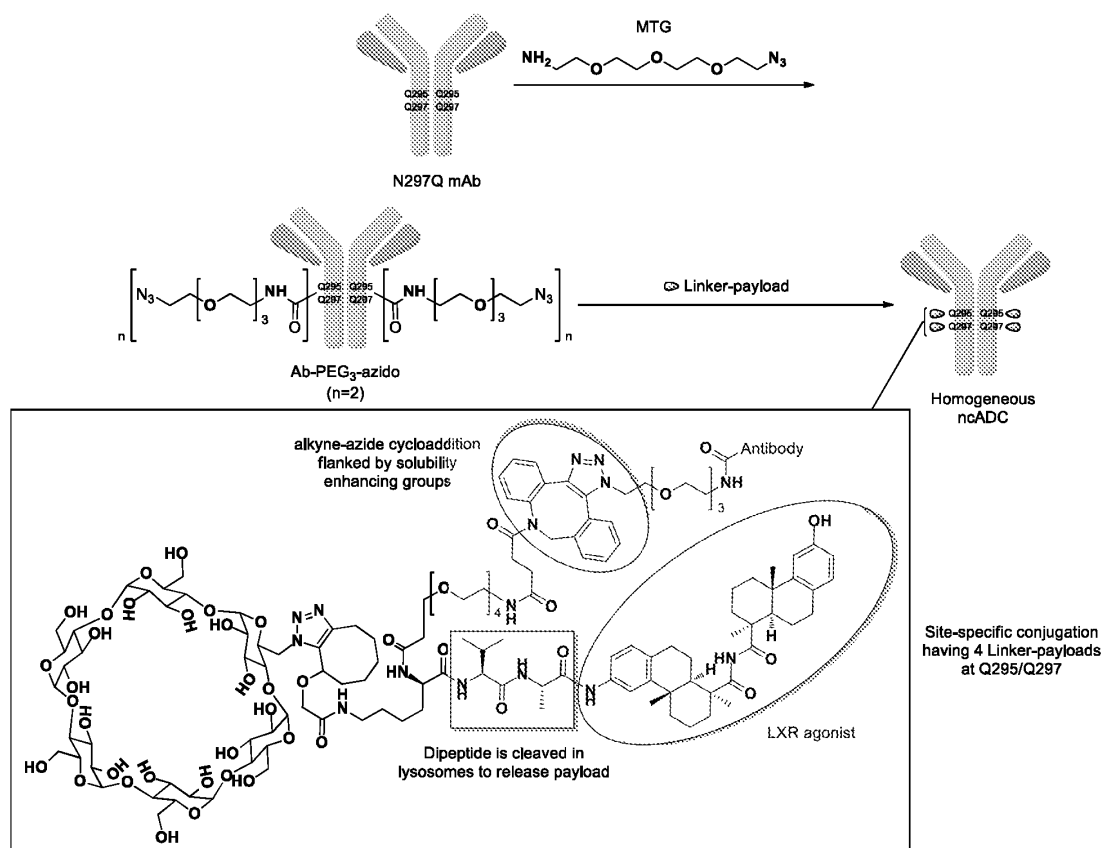


FIG. 11

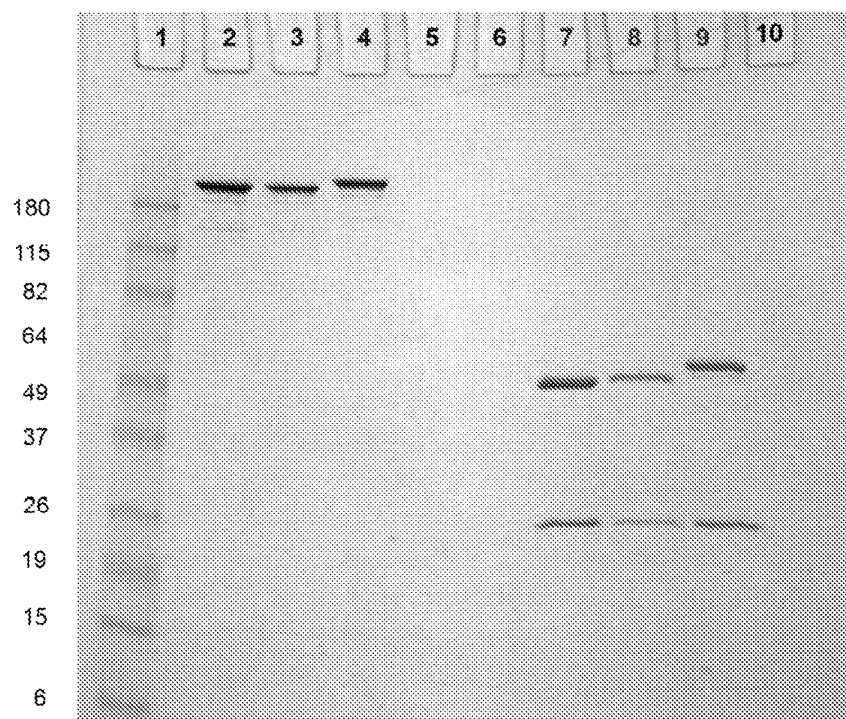


FIG. 12

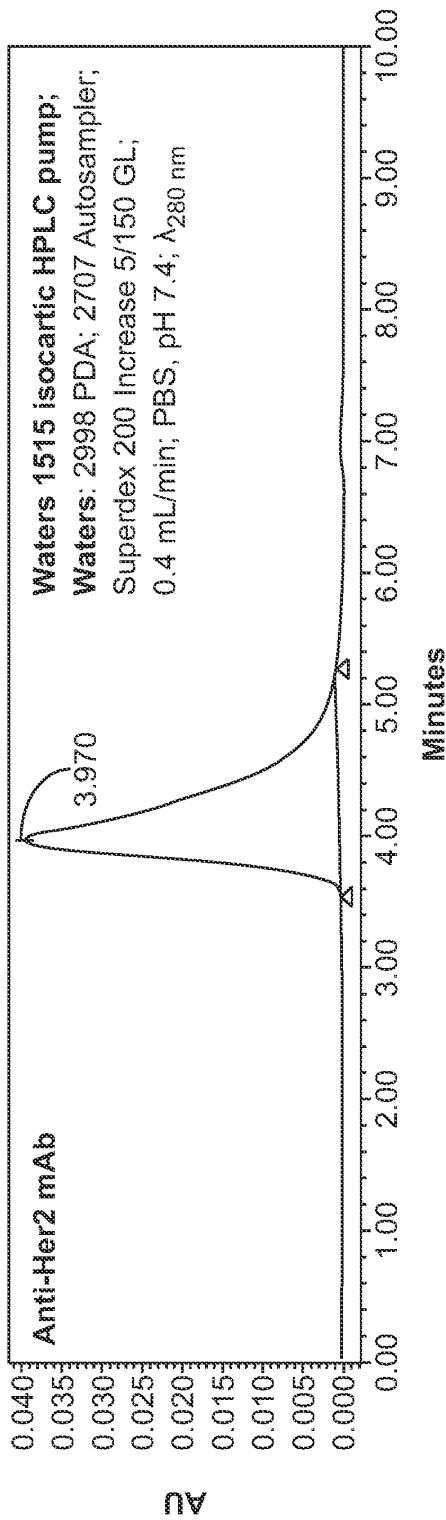


FIG. 12A

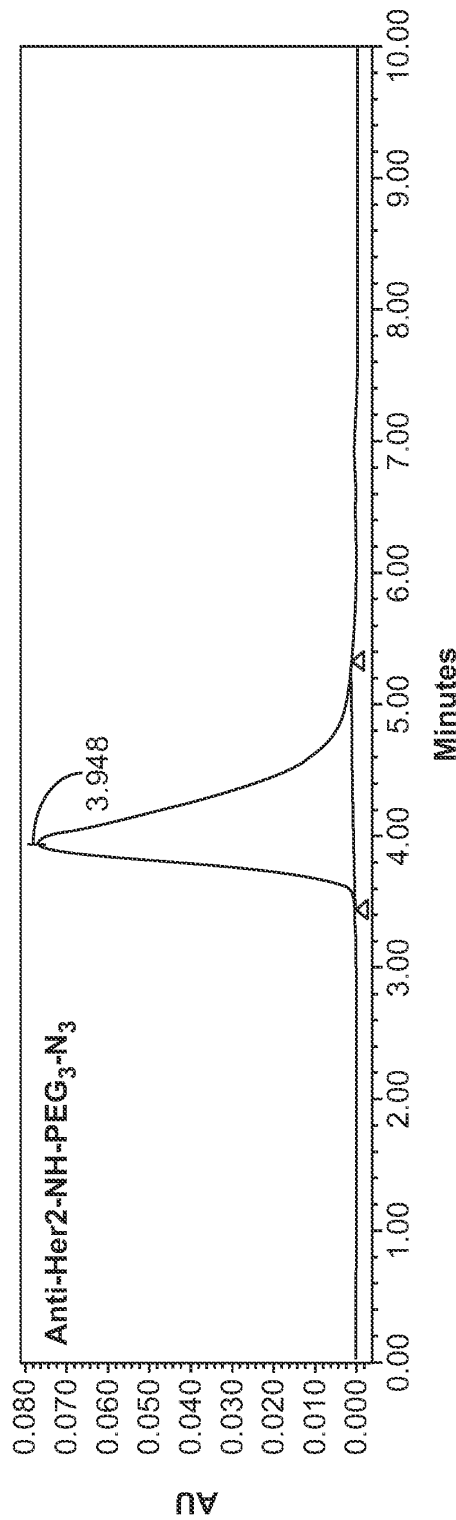


FIG. 12B

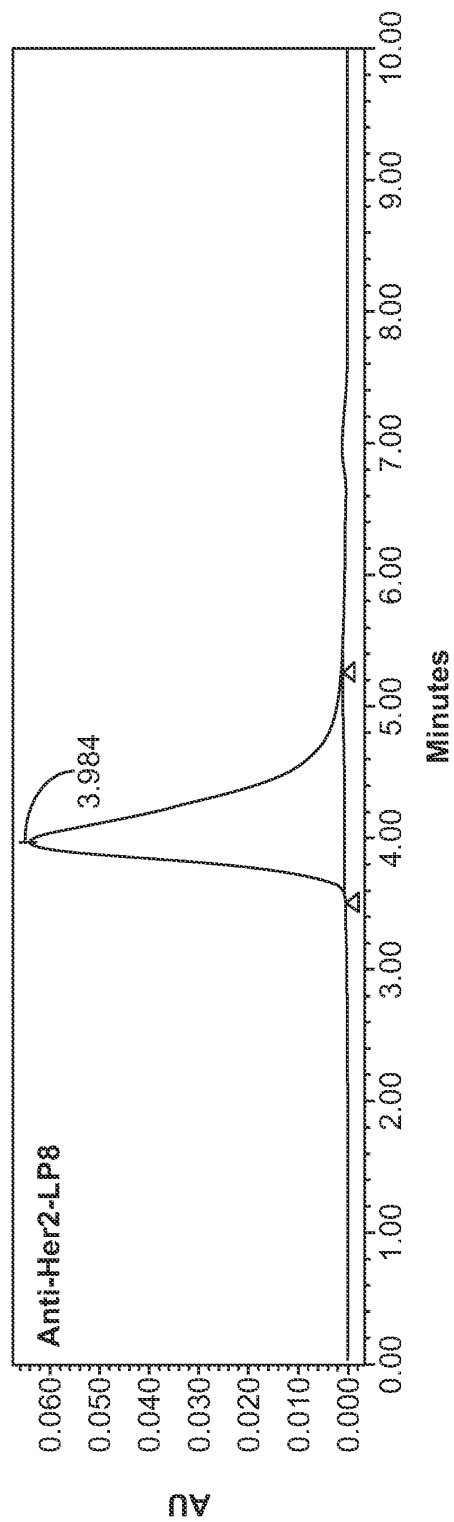
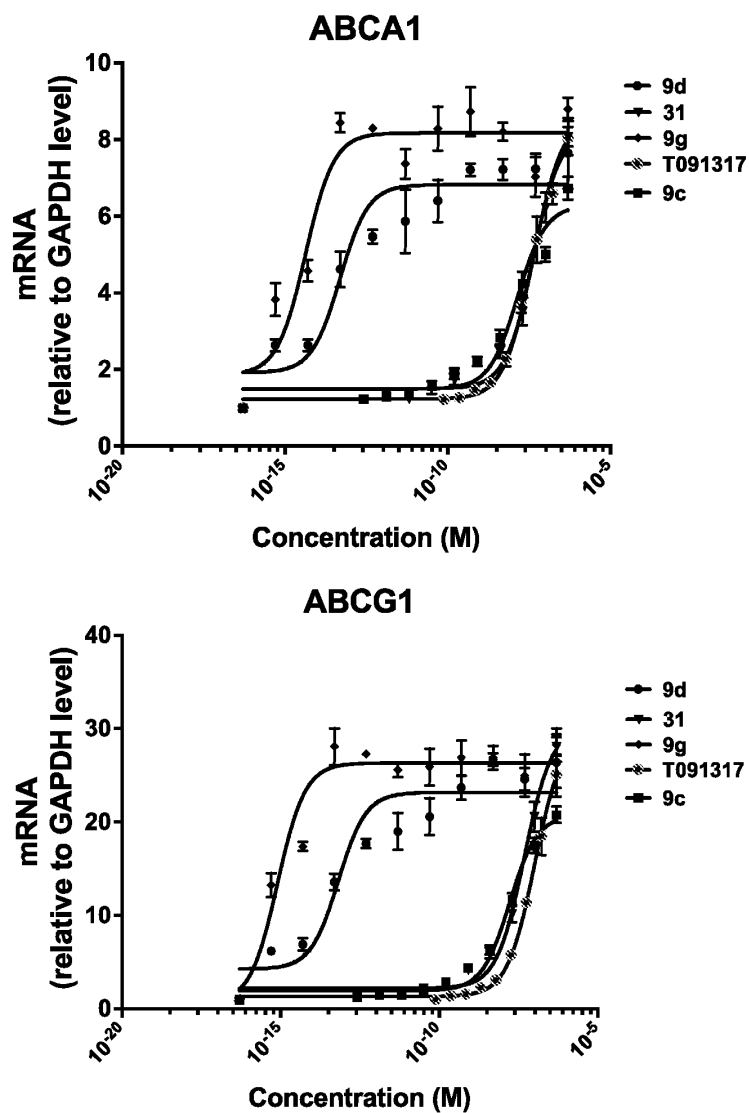


FIG. 12C

FIG. 13



Compound	ABCA1 induction EC ₅₀ (M)	ABCG1 induction EC ₅₀ (M)
9d	4.9E-14	6.6E-14
9c	1.5E-08	1.5E-08
31	4.6E-08	4.8E-08
9h	4.3E-15	8.0E-16
T091317	3.8E-08	1.0E-07

FIG. 14

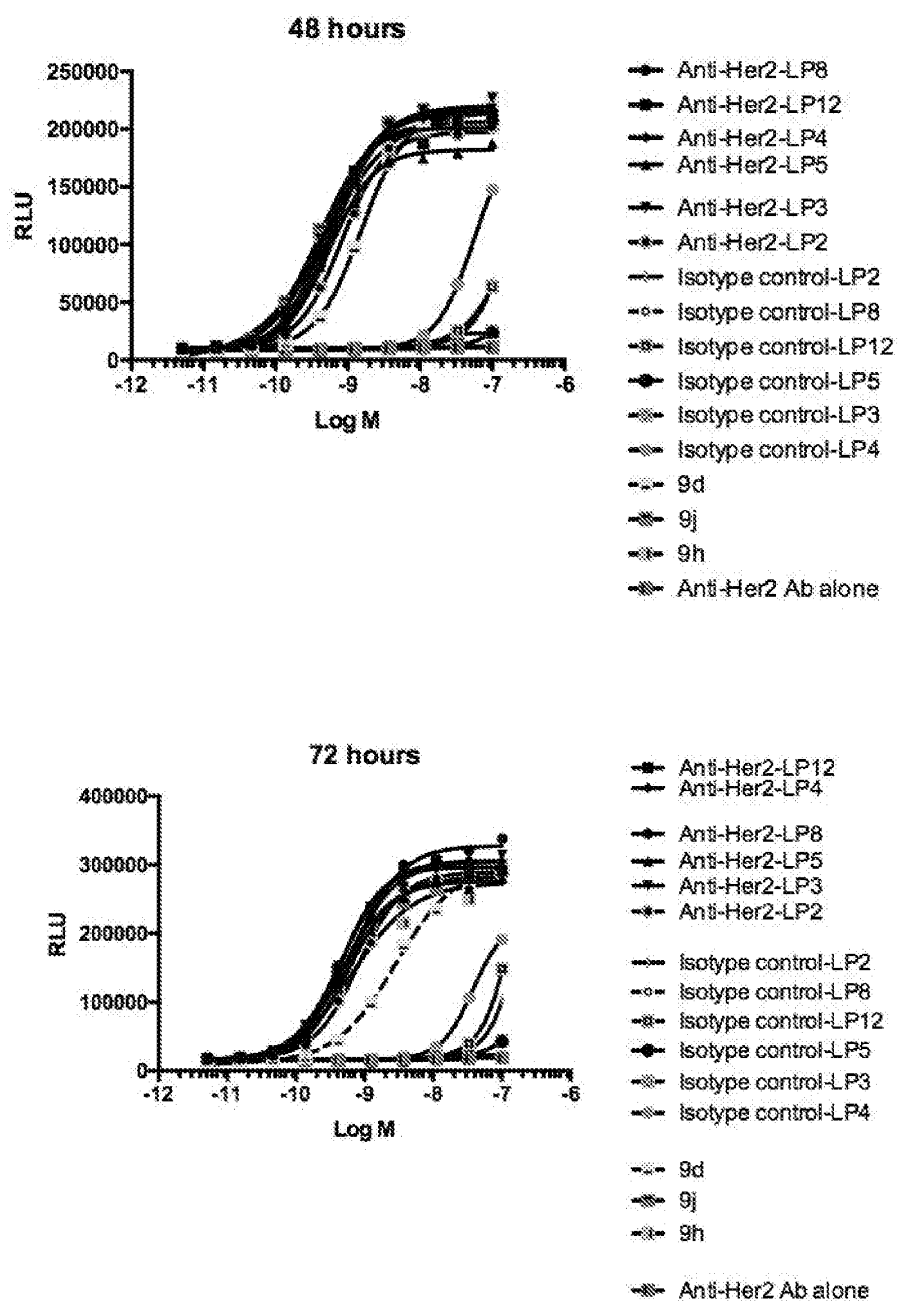


FIG. 15

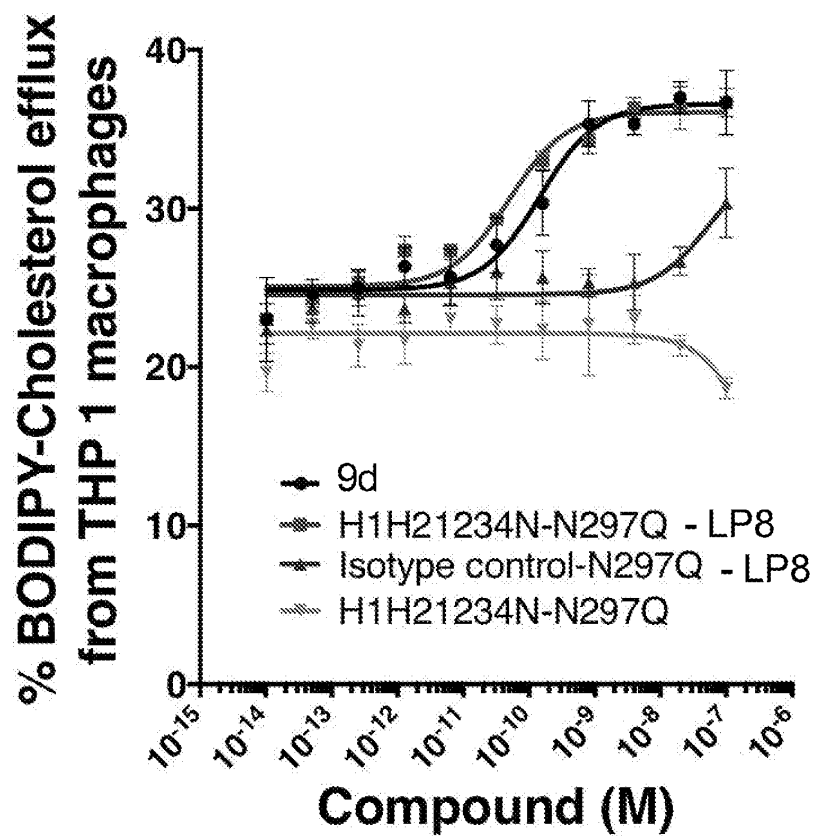


FIG. 16

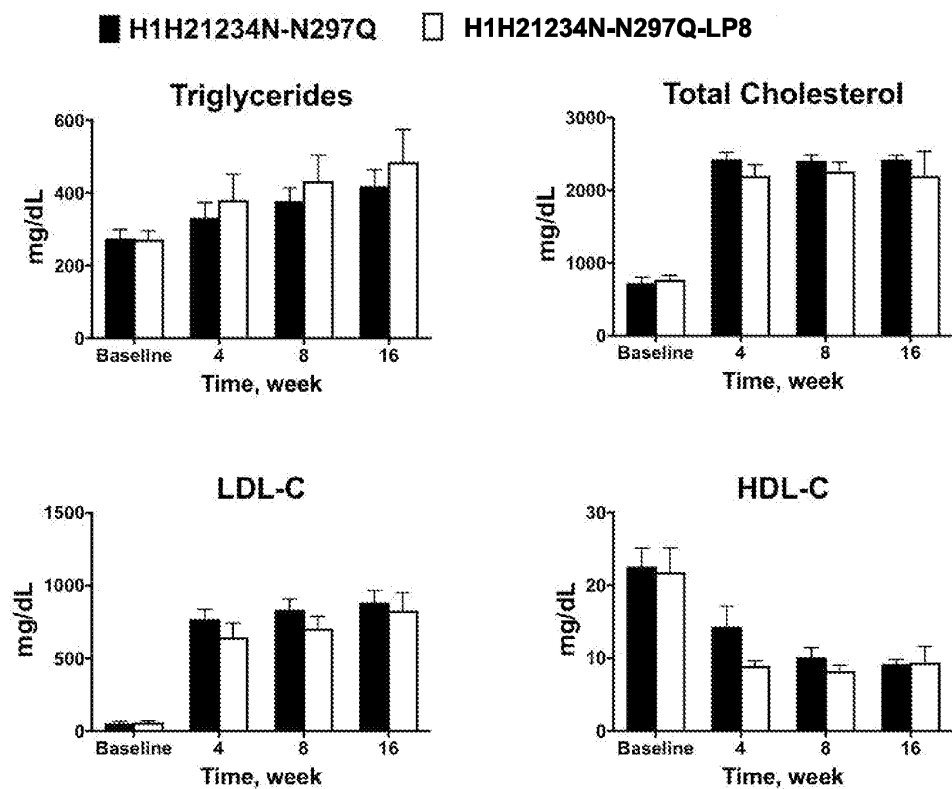
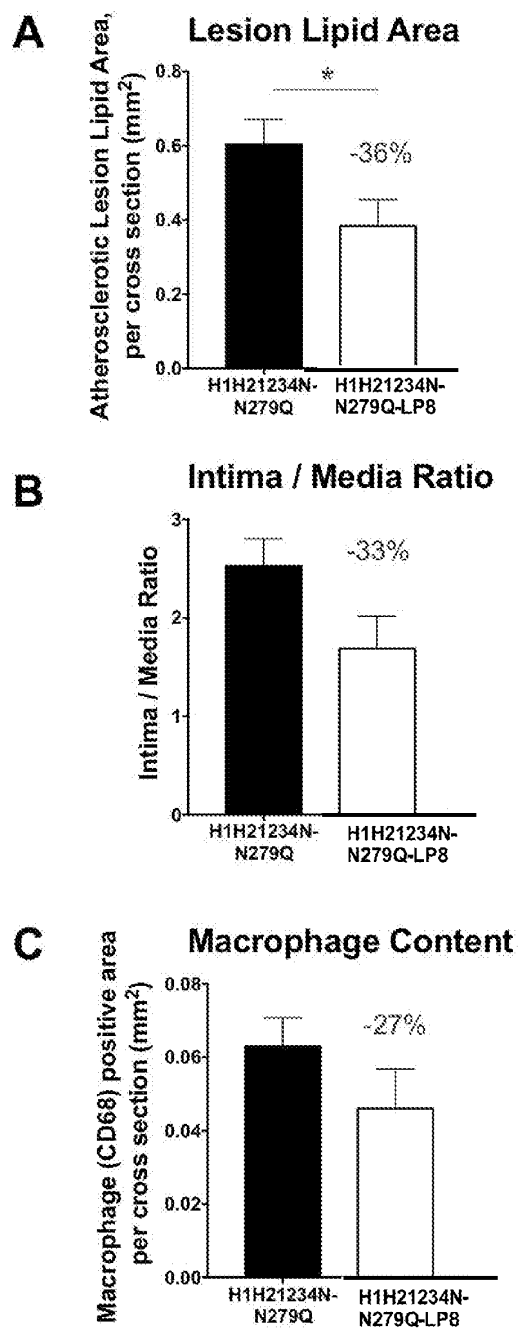


FIG. 17



Statistical comparison by Welch's t-test, *p<0.05

FIG. 18

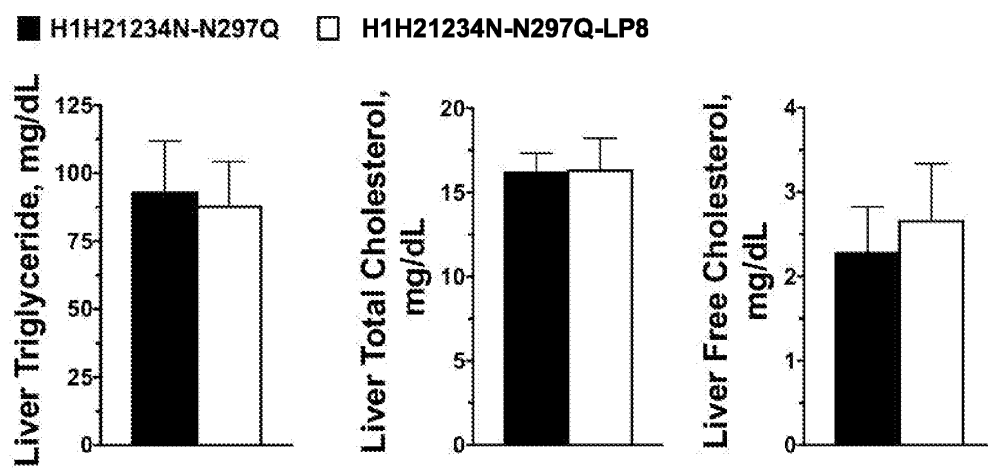


FIG. 19

