

1 / 5

Linker Payload Contribution in GR activation of steroid-ADC as tested in HEK293/PRLR/GRE-Luc cells

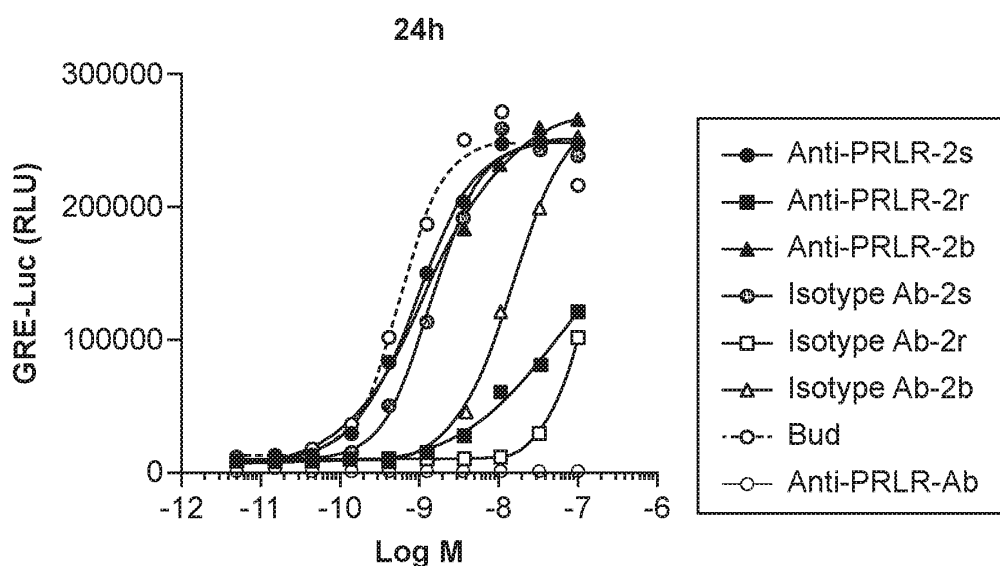


FIG. 1A

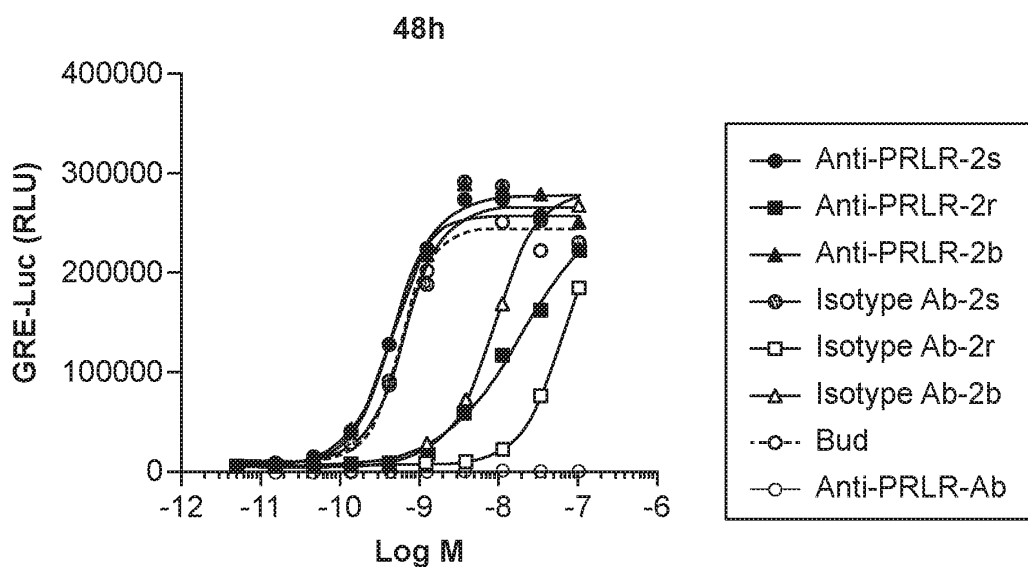


FIG. 1B

2 / 5

Linker Payload Contribution in GR activation of steroid-ADC as
tested in HEK 293/PRLR/GRE-Luc cells

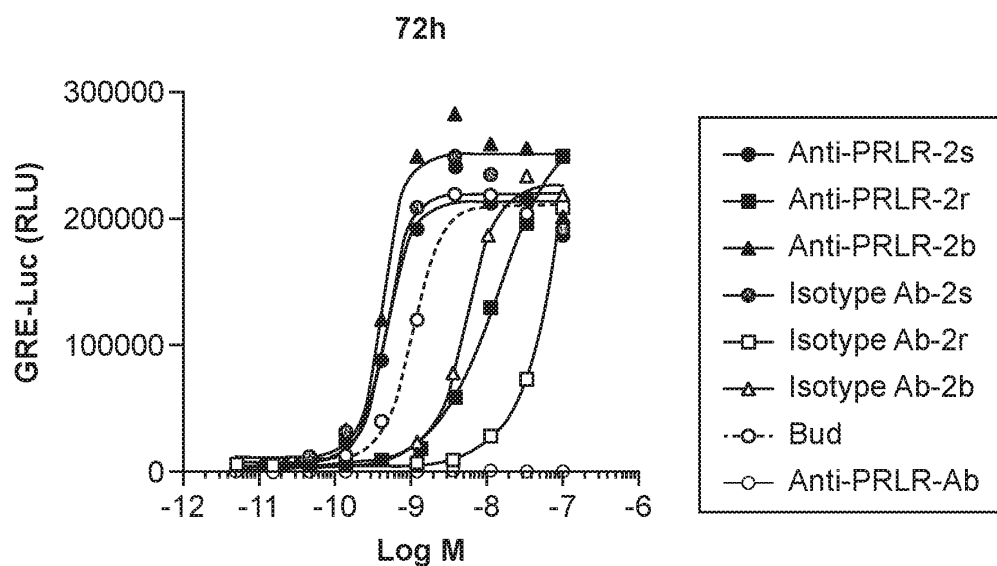


Table 8

Molecule	HEK293/PRLR/GRE-Luc cells		
	EC ₅₀ (24 hr)	EC ₅₀ (48 hr)	EC ₅₀ (72 hr)
Anti-PRLR Ab-2s	0.9078	0.4239	0.4823
Anti-PRLR Ab-2r	34.98	18.33	13.35
Anti-PRLR Ab-2b	1.225	0.4674	0.4291
Isotype Ab-2s	1.461	0.6594	0.4904
Isotype Ab-2r	109.2	64.01	310.9
Isotype Ab-2b	15.04	8.201	5.243
1a	0.5732	0.5679	1.043

FIG. 1C

3 / 5

Linker Payload Contribution in GR activation of steroid-ADC as tested in HEK293/PRLR/GRE-Luc cells

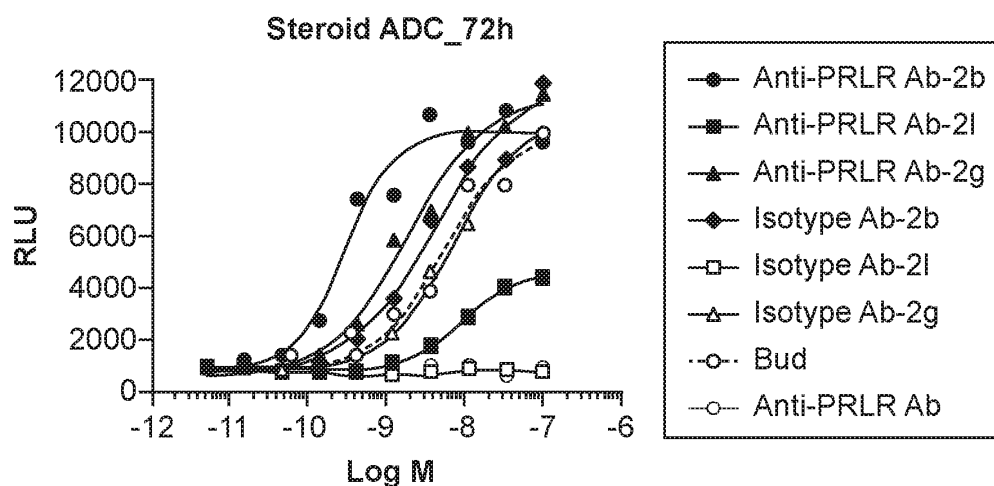


Table 9

Steroid ADCs	HEK293/PRLR/GRE-Luc cells EC ₅₀ (nM) at 72 hours
Anti-PRLR Ab-2b	0.29
Anti-PRLR Ab-2I	8.98
Anti-PRLR Ab-2g	1.90
Isotype Ab-2b	4.16
Isotype Ab-2I	NA
Isotype Ab-2g	7.11
Budesonide (1a)	5.42
Anti-PRLR Ab	NA
Isotype Ab	NA

where NA, means not active

FIG. 2

4 / 5

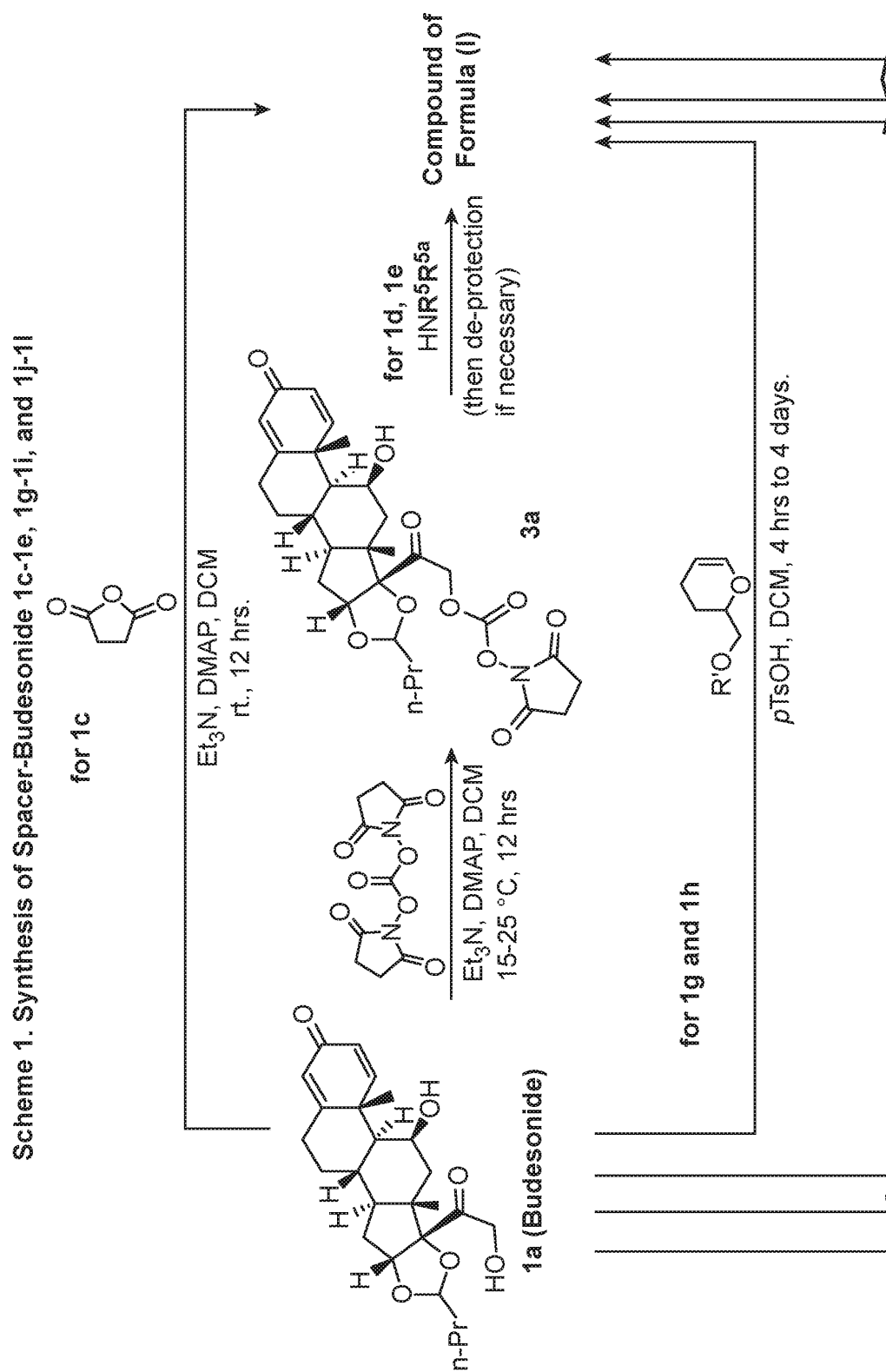
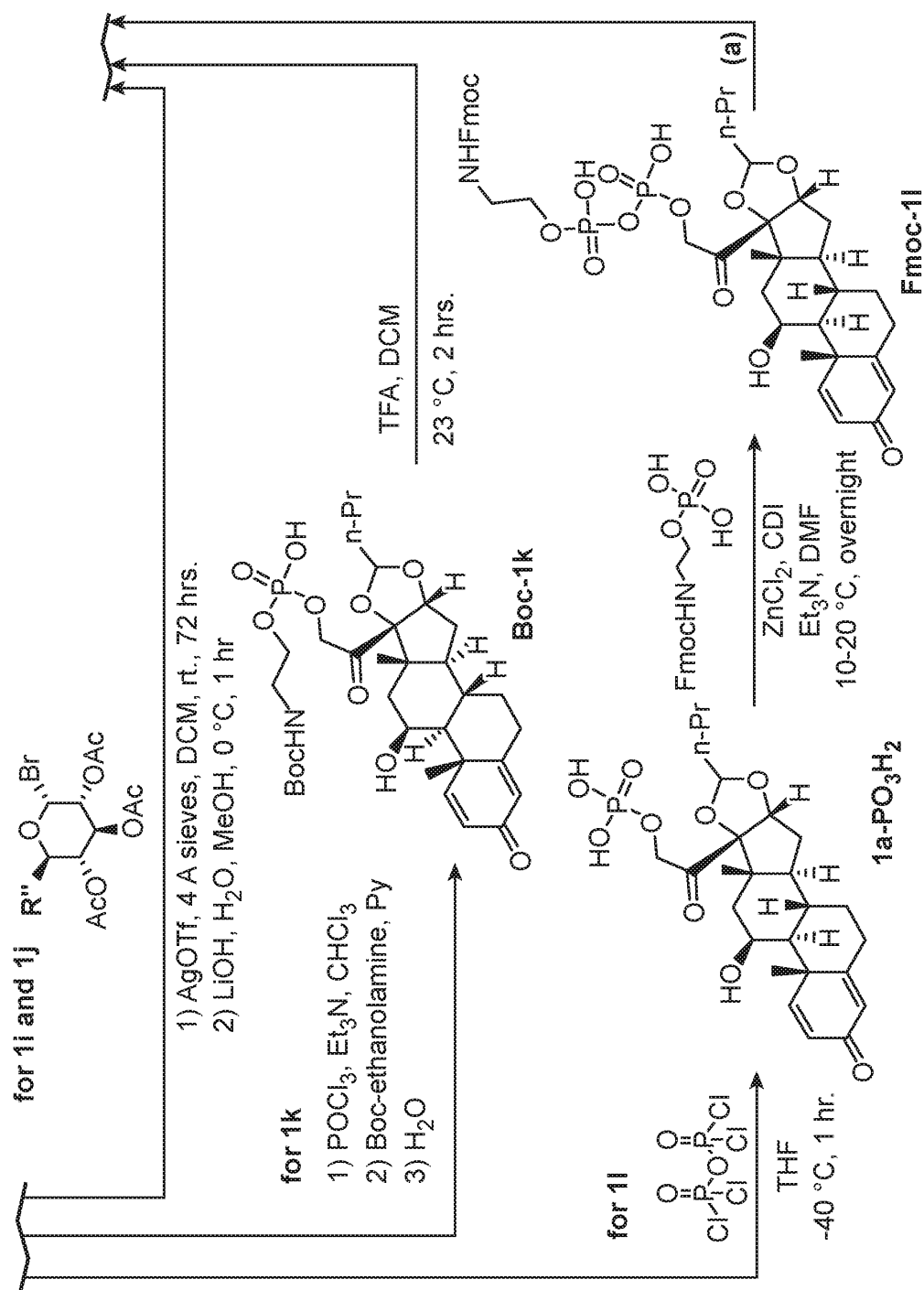


FIG. 3



(a) piepidine, DCM, 10-20 °C, 16 hrs.

Where the Compound of Formula I is 1c, 1d, 1e, 1g, 1h, 1i, 1j, 1k, 1l

FIG. 3 (Cont.)