

FIG. 1. Synthesis of P1 and P2

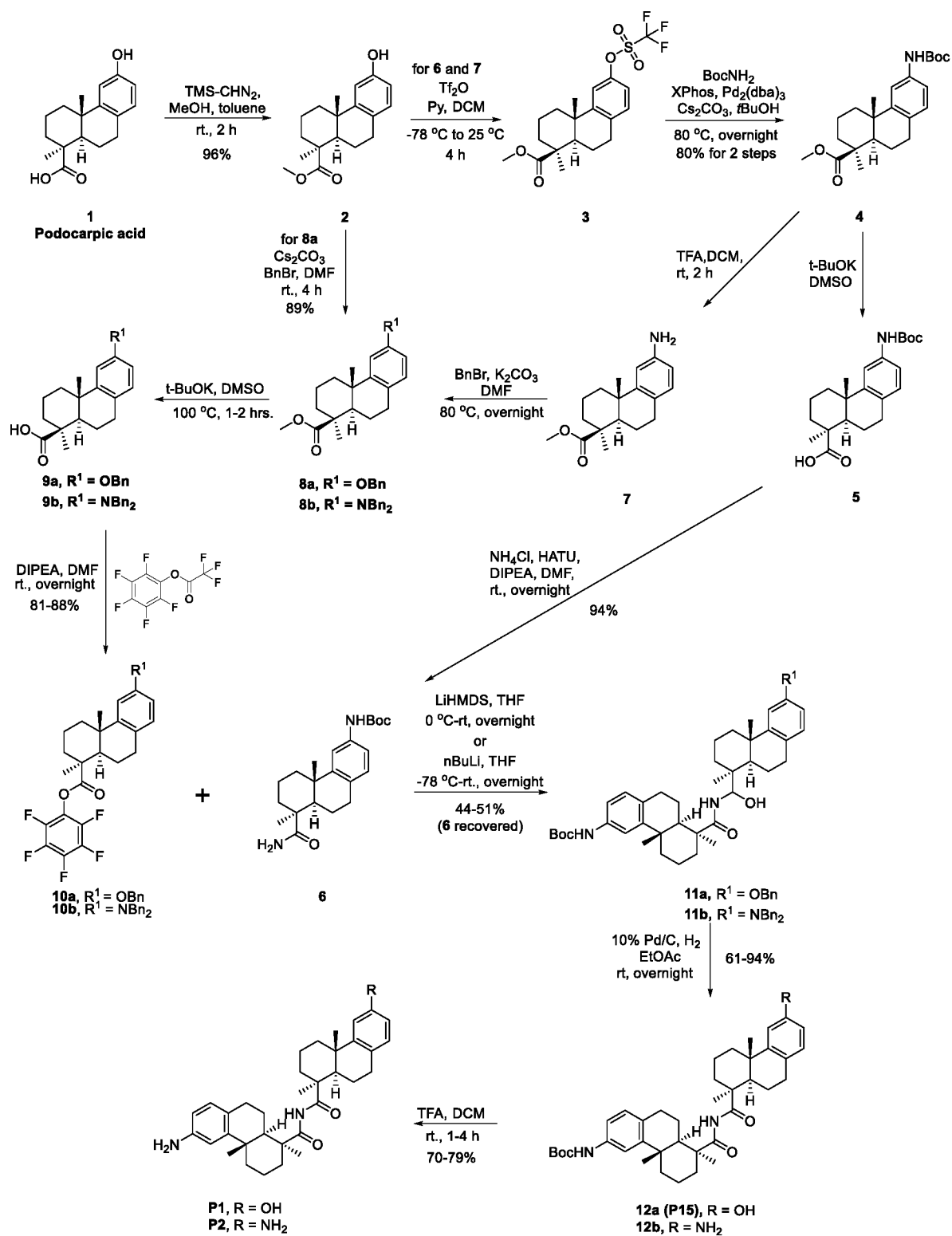


FIG. 2A. Synthesis of Payloads P3-P9, P13, P14, and P17

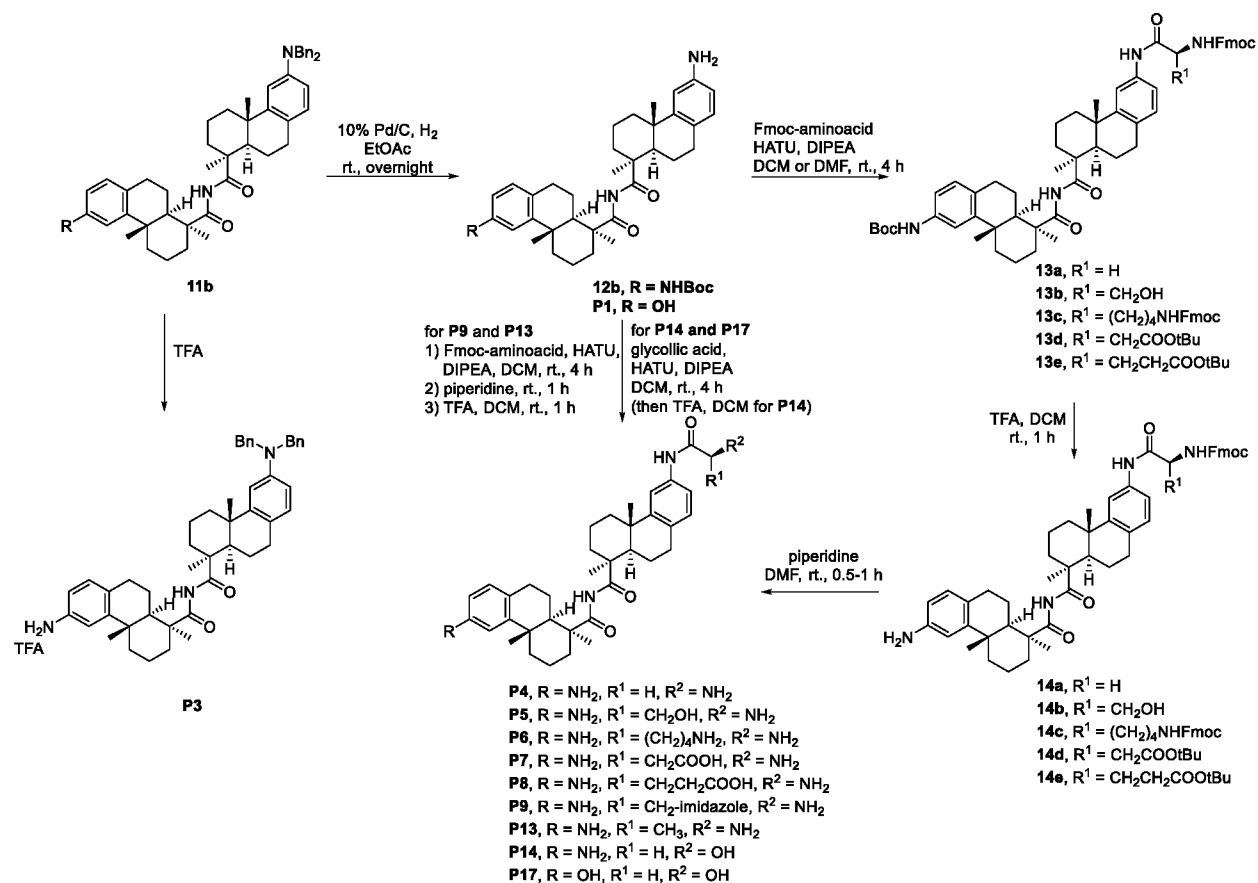


FIG. 2B. Synthesis of Payloads P10 and P11

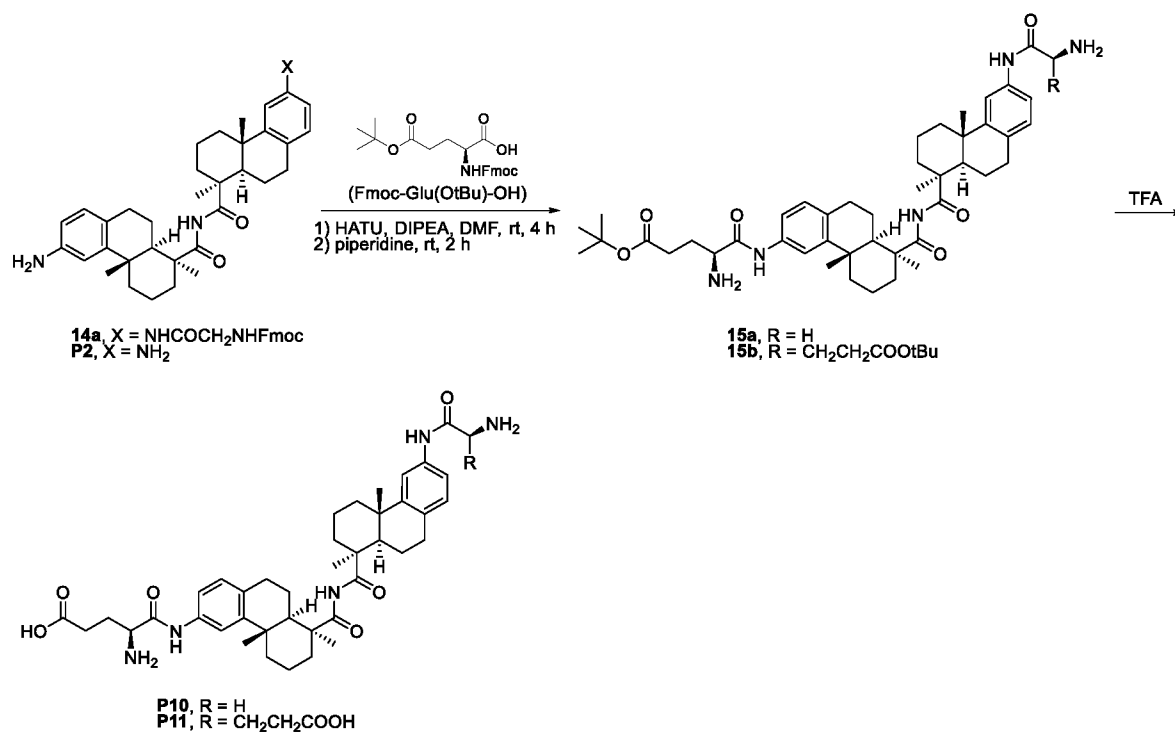


FIG. 2C. Synthesis of Payload P19

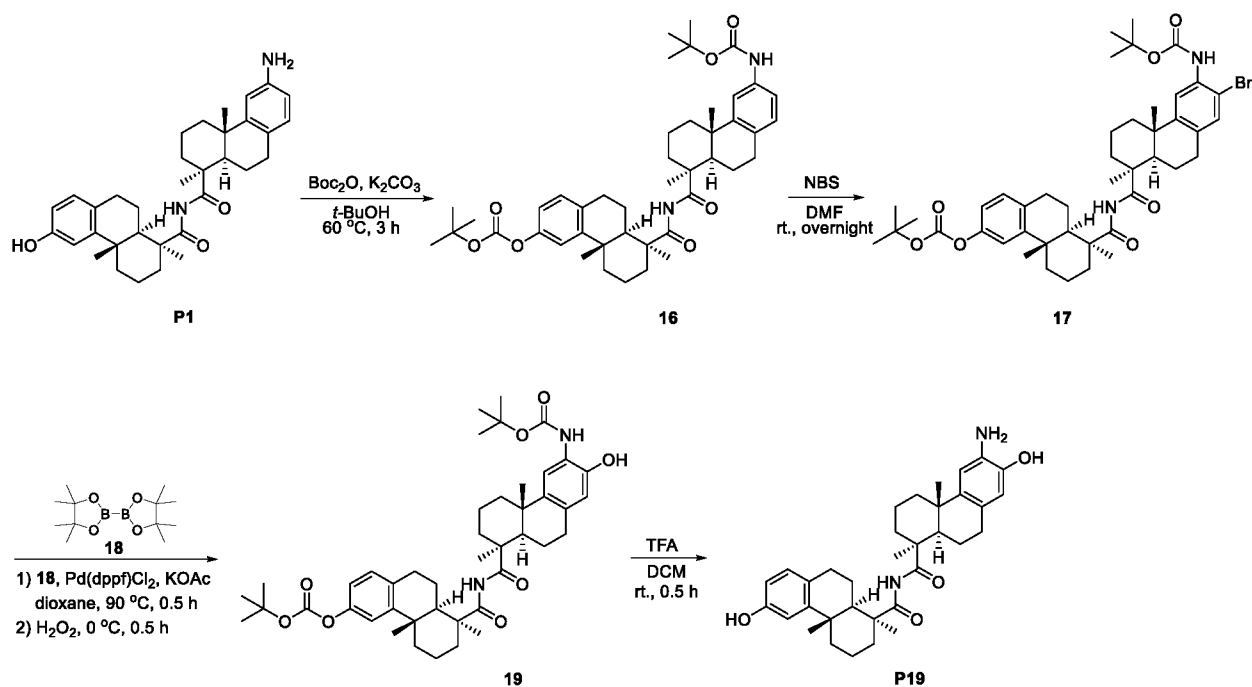
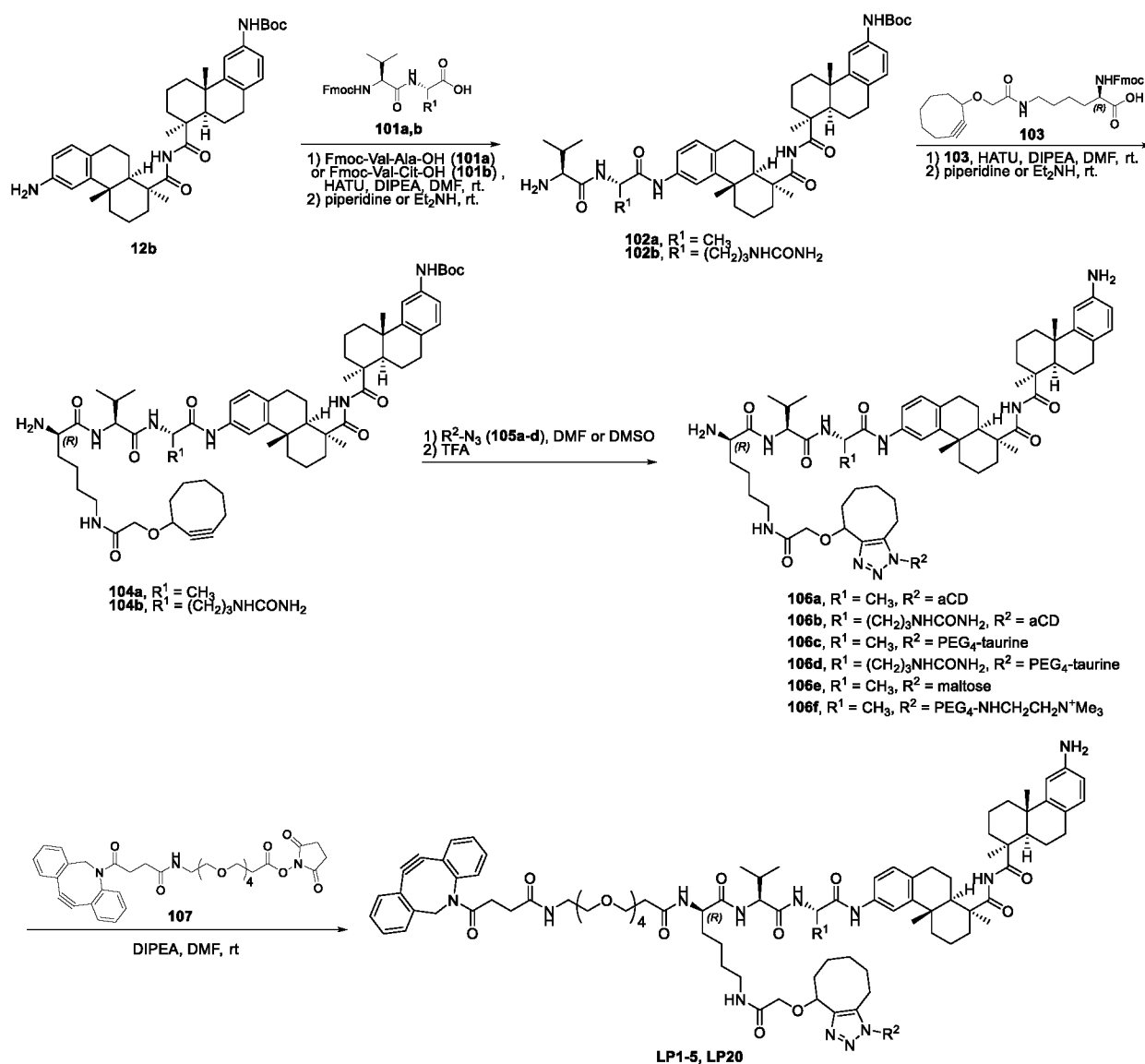


FIG. 3. General Synthesis of Linker and LP1-5 and LP20



LP	R ¹	R ²
LP1	CH ₃	αCD
LP2	(CH ₂) ₃ NHCONH ₂	αCD
LP3	CH ₃	PEG ₄ -taurine
LP4	(CH ₂) ₃ NHCONH ₂	PEG ₄ -taurine
LP5	CH ₃	Maltose
LP20	CH ₃	PEG ₄ -NHCH ₂ CH ₂ N ⁺ Me ₃

FIG. 4. Synthesis of LP6

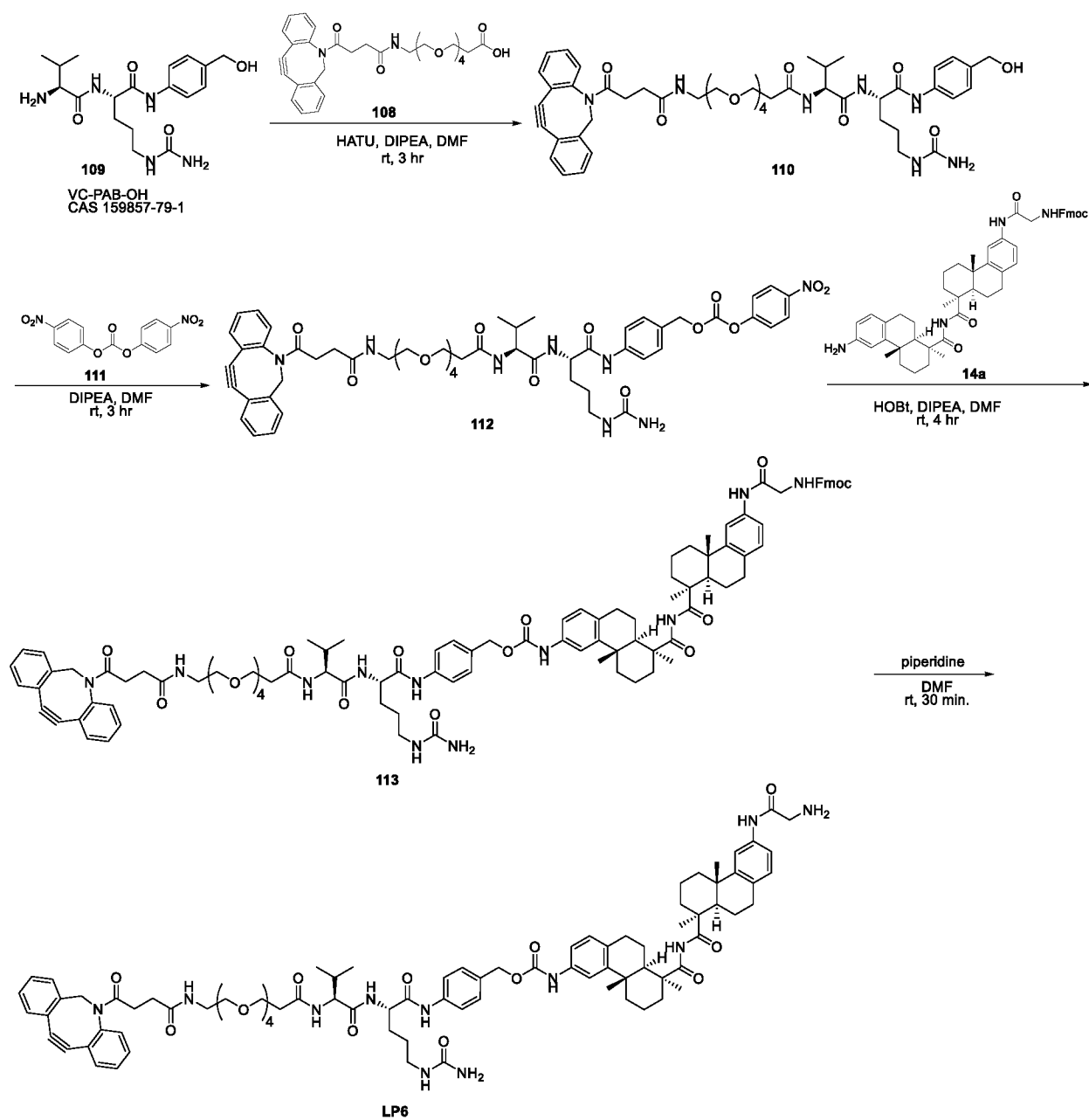


FIG. 5. Synthesis of LP7

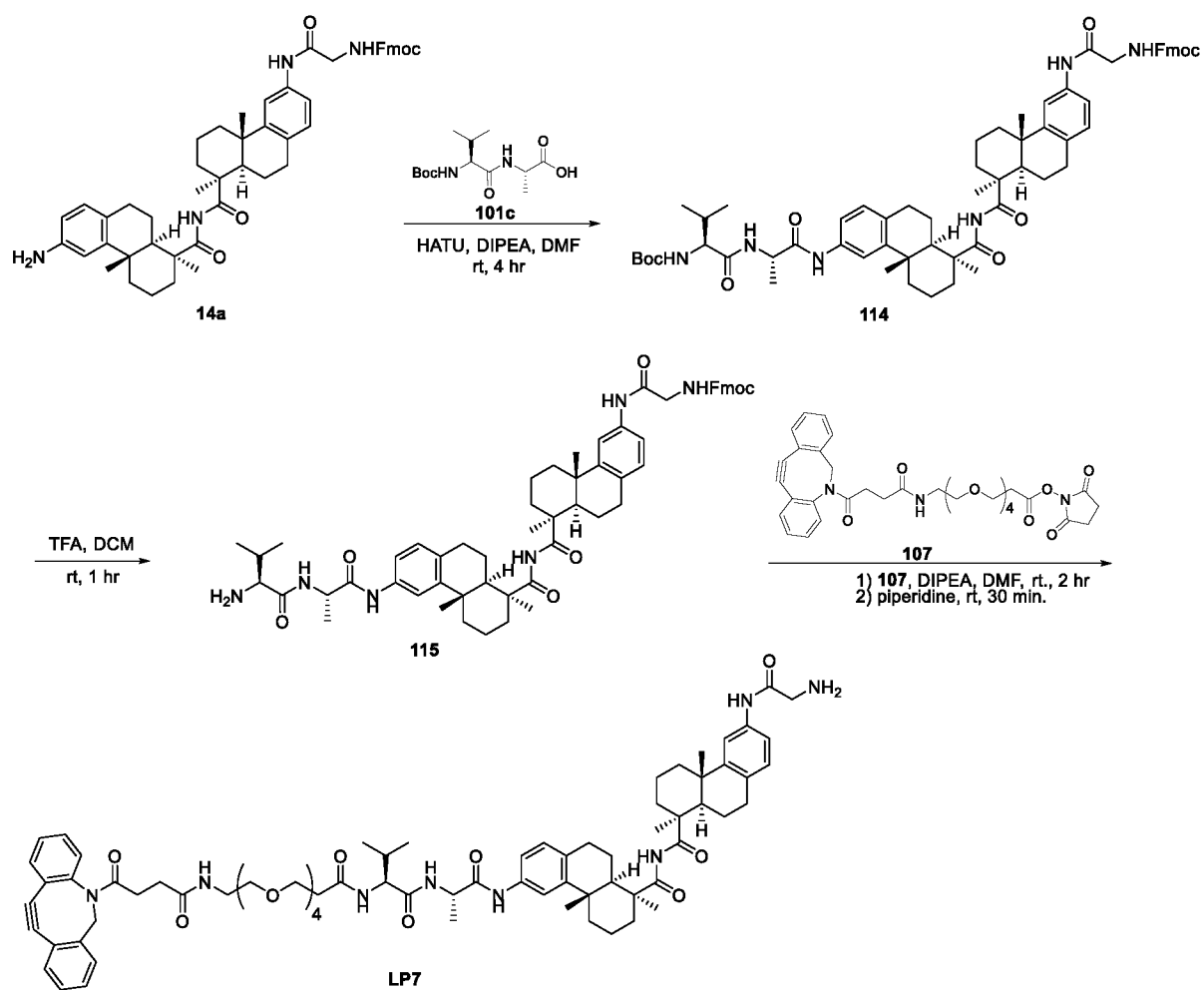


FIG. 6. Synthesis of LP8

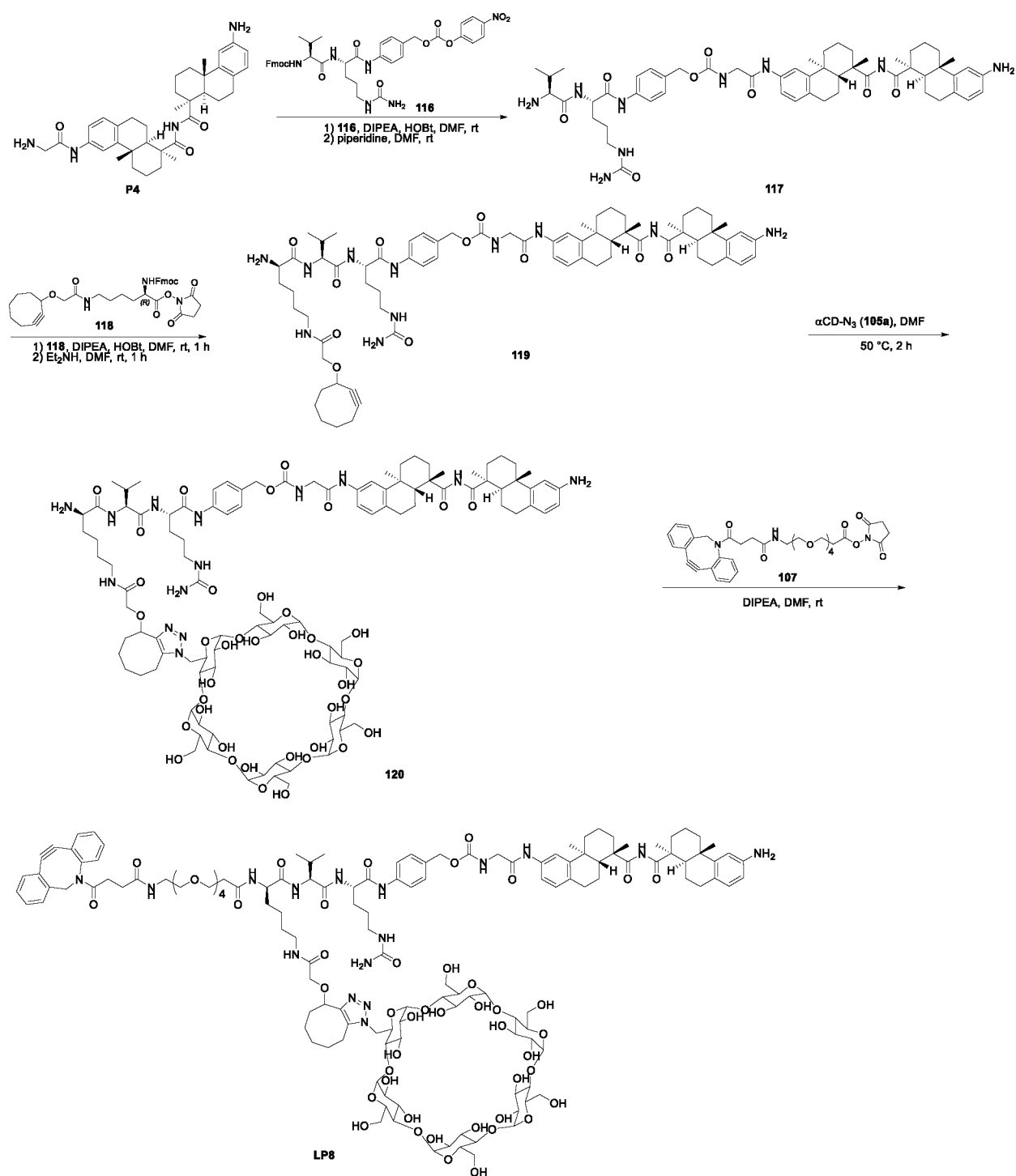


FIG. 7. Synthesis of LP9

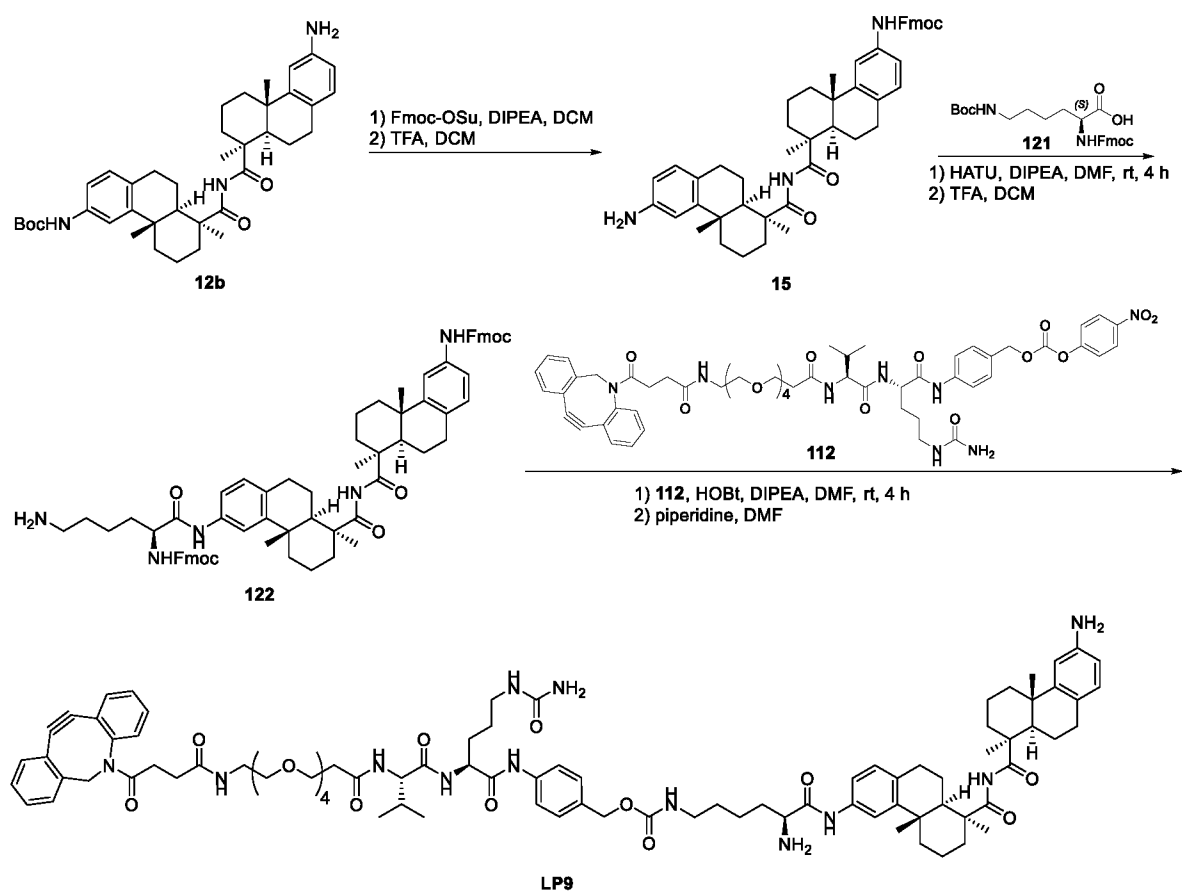


FIG. 8. Synthesis of LP10 and LP11

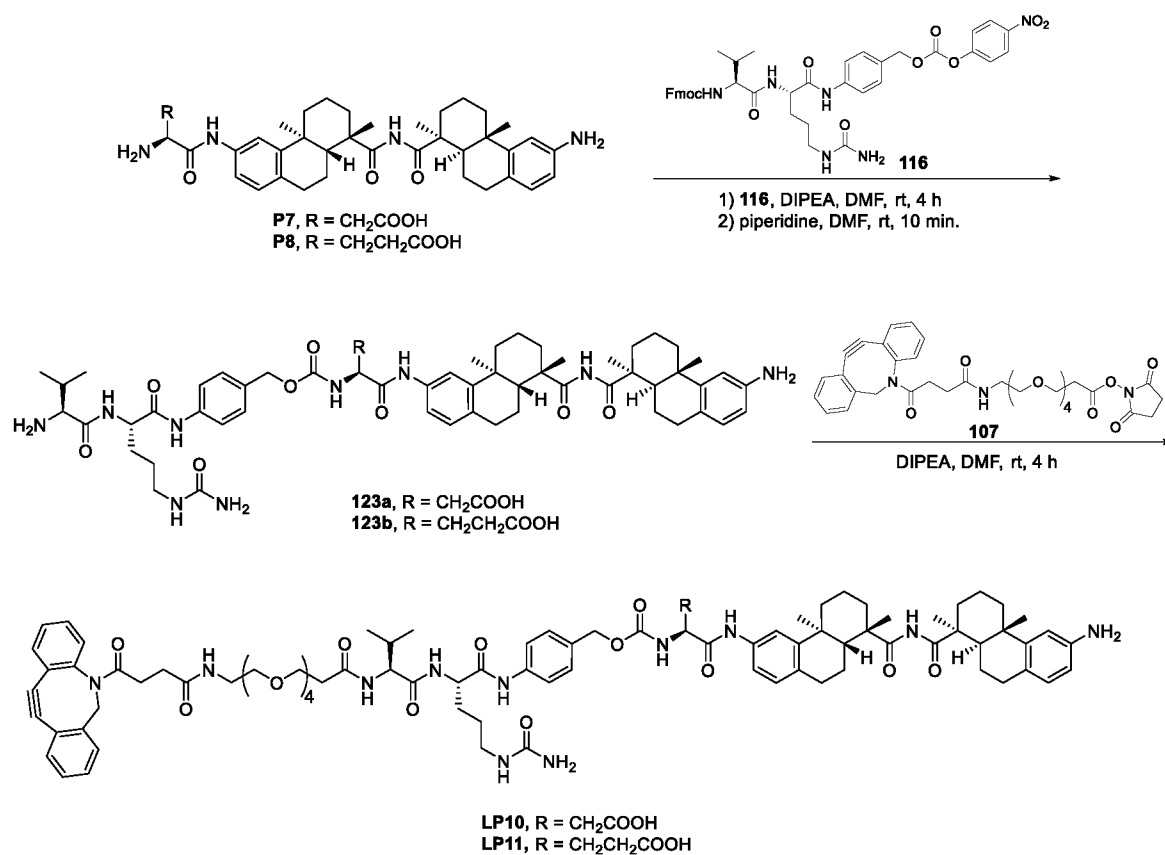


FIG. 9. Synthesis of P12 and LP12; and P16 and LP16

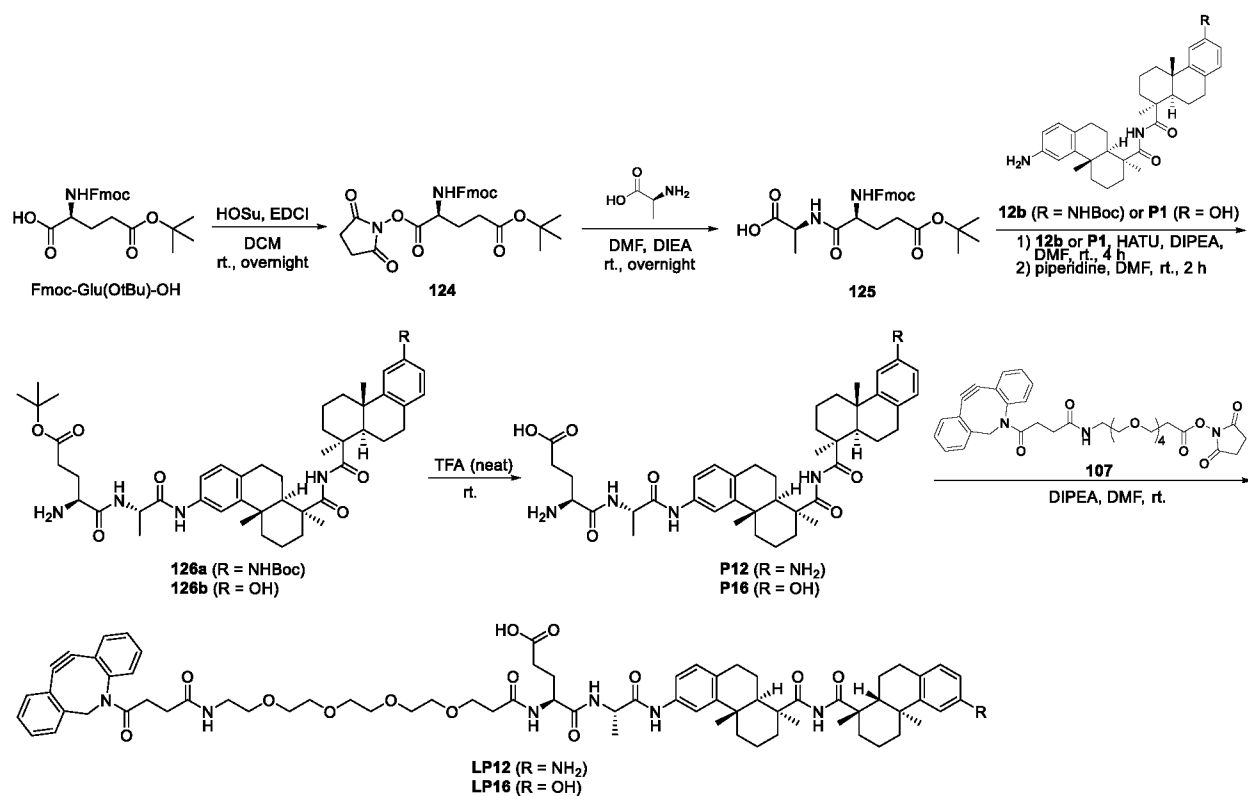


FIG. 9A. Synthesis of LP13 and LP14

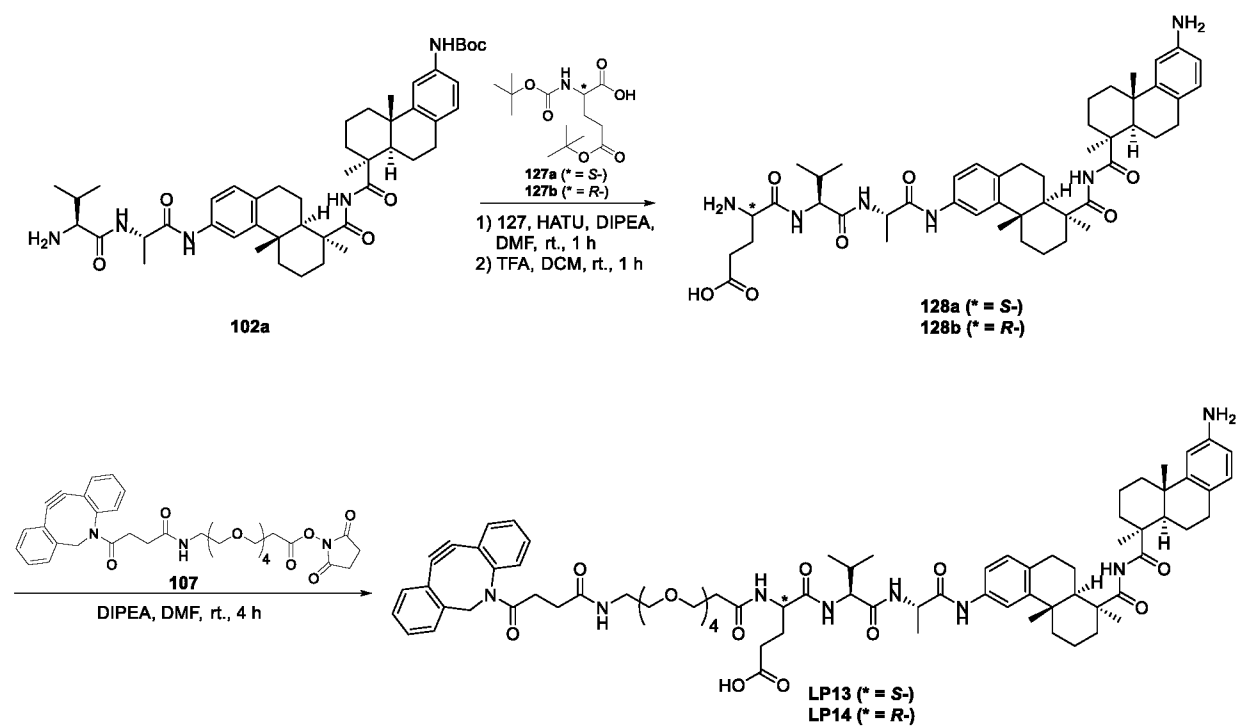
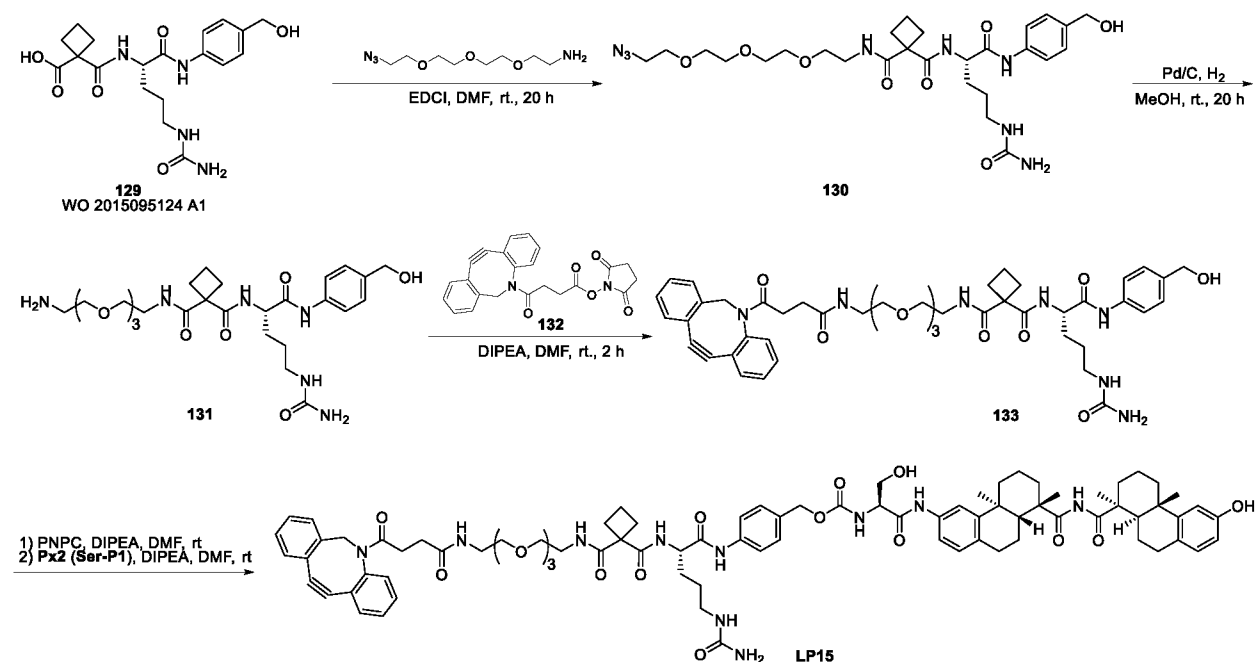


FIG. 9B. Synthesis of LP15



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FIG. 9C. Synthesis of P18 and LP17 and LP18

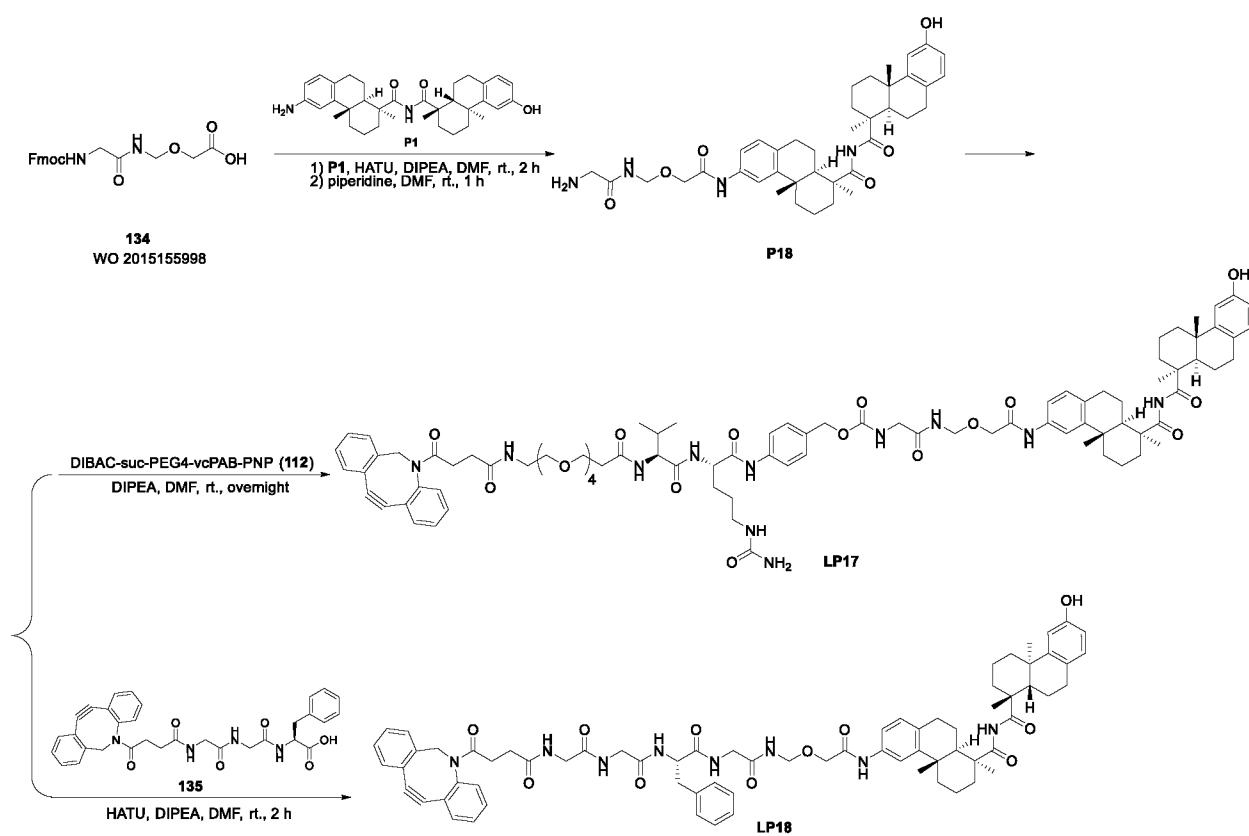


FIG. 9D. Synthesis of LP19

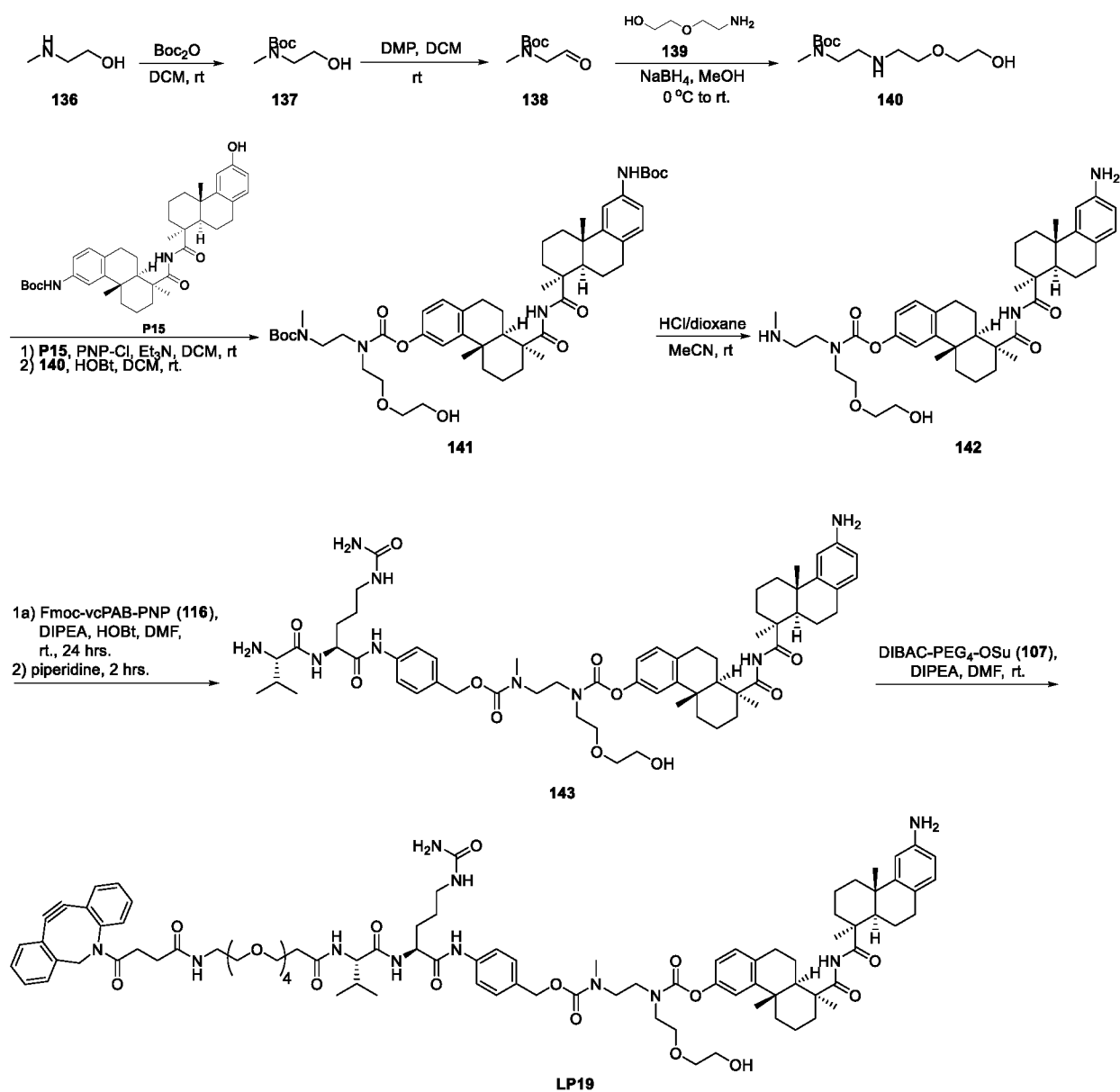


FIG. 10. Synthesis of Azide 105a

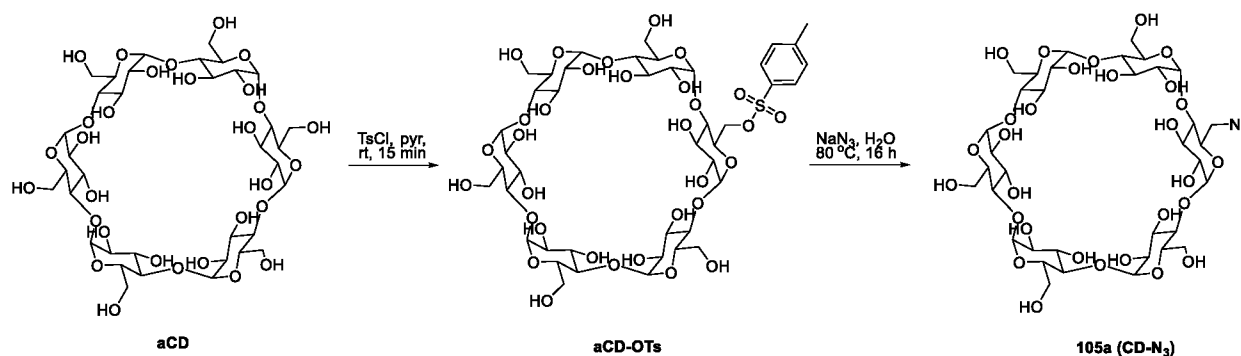


FIG. 11. Synthesis of Azide 105b

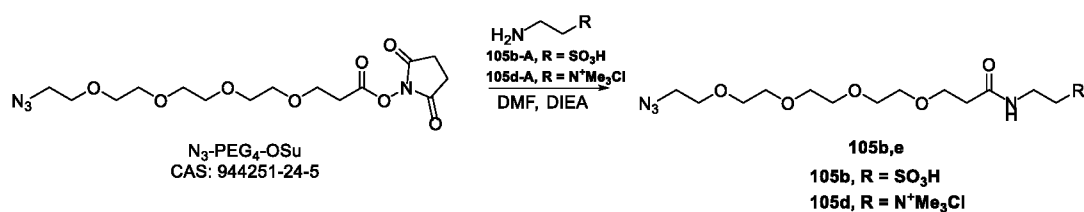


FIG. 12. Synthesis of intermediate 105c

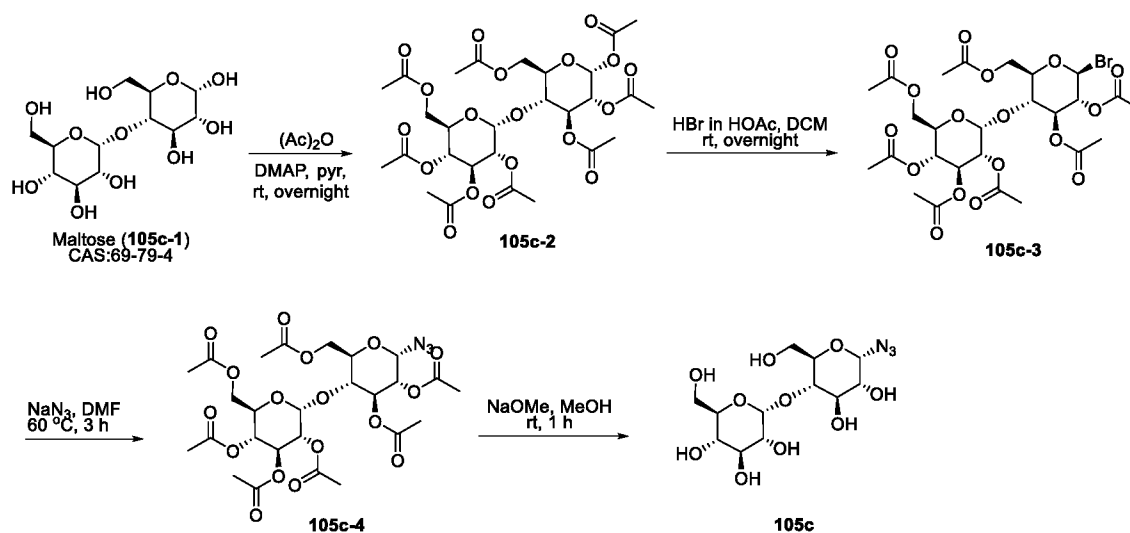


FIG. 13. Activation of Cholesterol Efflux by an anti-MSR1 ADC Having a P2 Payload

