

Supplementary Information

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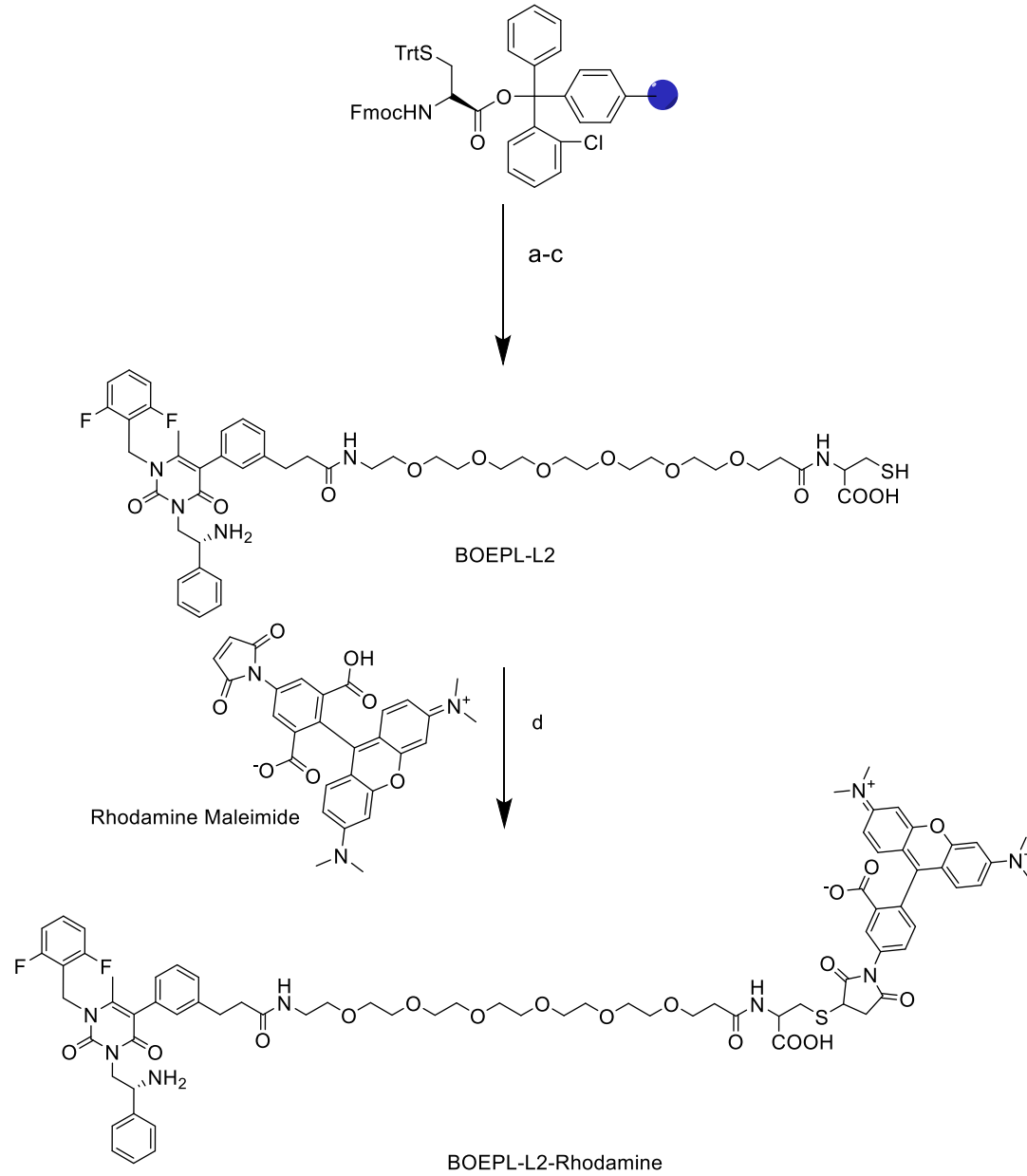


Figure S1. Synthesis of BOEPL-L2-Rhodamine, Reagents and conditions: (a) (i) 20% piperidine/DMF, rt, (ii) Fmoc-*N*-amido-dPEG₆-acid, PyBop, DMF, DIPEA, (b) (i) 20% piperidine/DMF, rt, 10 min (ii) BOEPL, PyBop, DMF, DIPEA, (c) TFA/H₂O/TIPS/EDT (92.5:2.5:2.5:2.5), 1 h, (d) BOEPL-L2, Rhodamine maleimide, anhydrous DMSO, DIPEA, rt.

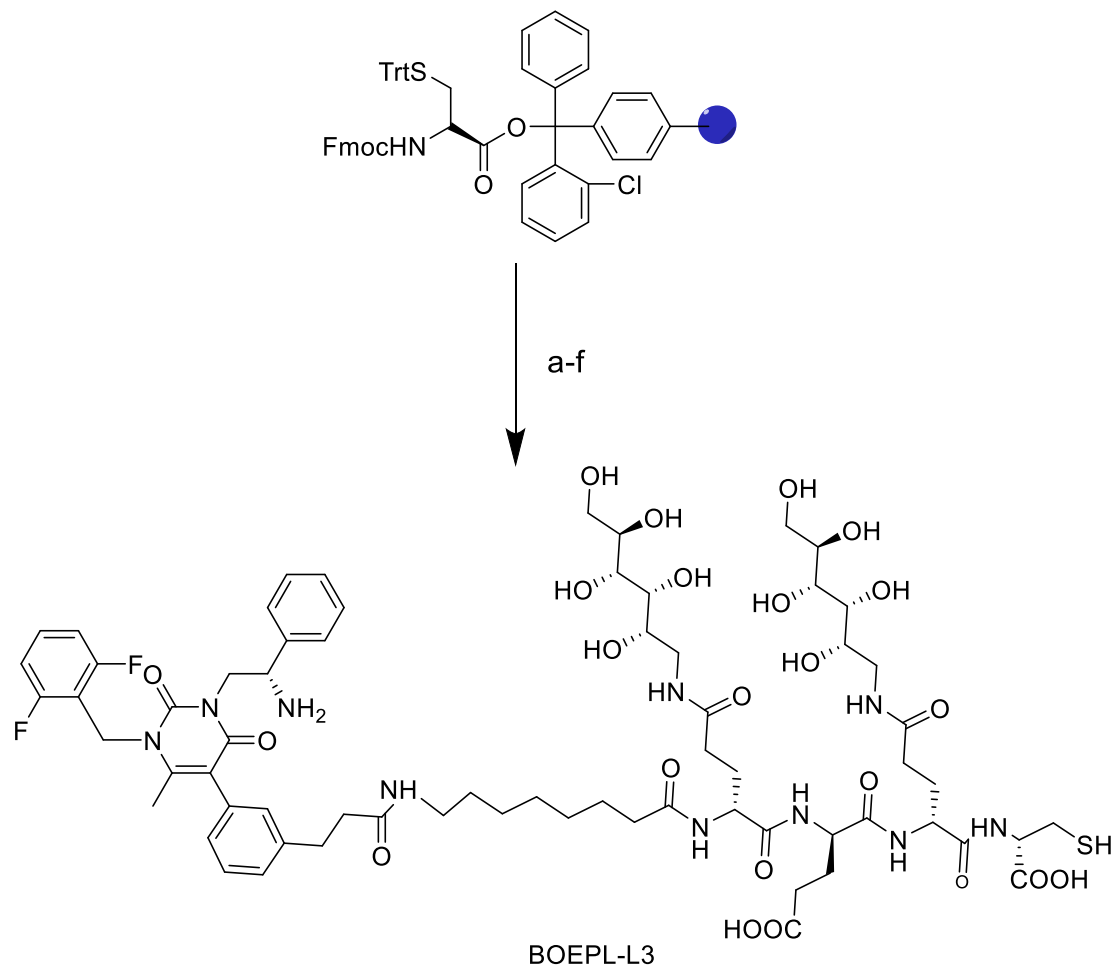


Figure S2. Synthesis of BOEPL-L3, Reagents and conditions: (a) (i) 20% piperidine/DMF, rt, (ii) 3,4,5,6-di-isopropylidene-1-amino-deoxy(Fmoc-Glu-OH)-D-lucitol, PyBop, DMF, DIPEA, (b) (i) 20% piperidine/DMF, rt, (ii) Fmoc-Glu(OtBu)-OH, PyBop, DMF, DIPEA, (c) (i) 20% piperidine/DMF, rt, (ii) 3,4,5,6-Di-isopropylidene-1-amino-deoxy(Fmoc-Glu-OH)-D-glucitol, PyBop, DMF, DIPEA, (d) (i) 20% piperidine/DMF, rt, (ii) Fmoc-8-amino-octanoic acid, PyBop, DMF, DIPEA, 4 h (e) (i) 20% piperidine/DMF, rt, 10 min (ii) BOEPL, PyBop, DMF, DIPEA, (f) TFA/H₂O/TIPS/EDT (92.5:2.5:2.5:2.5), 1 h.

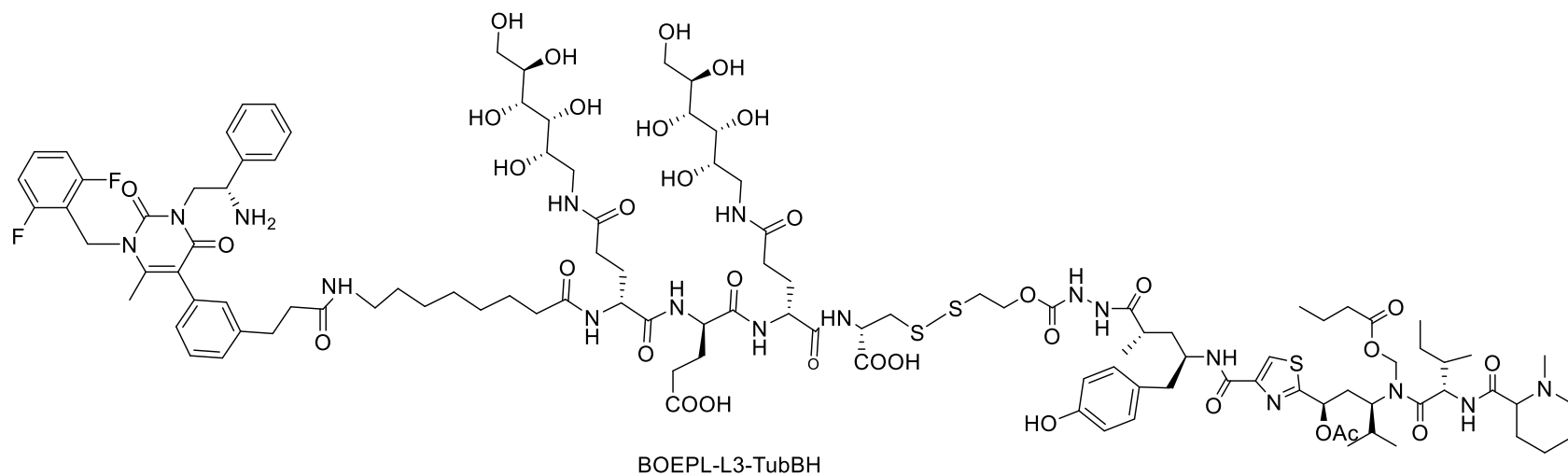
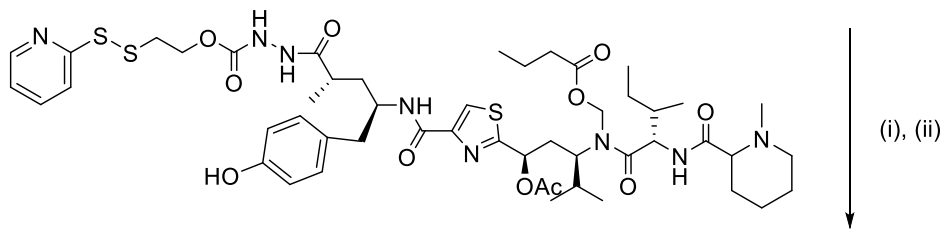
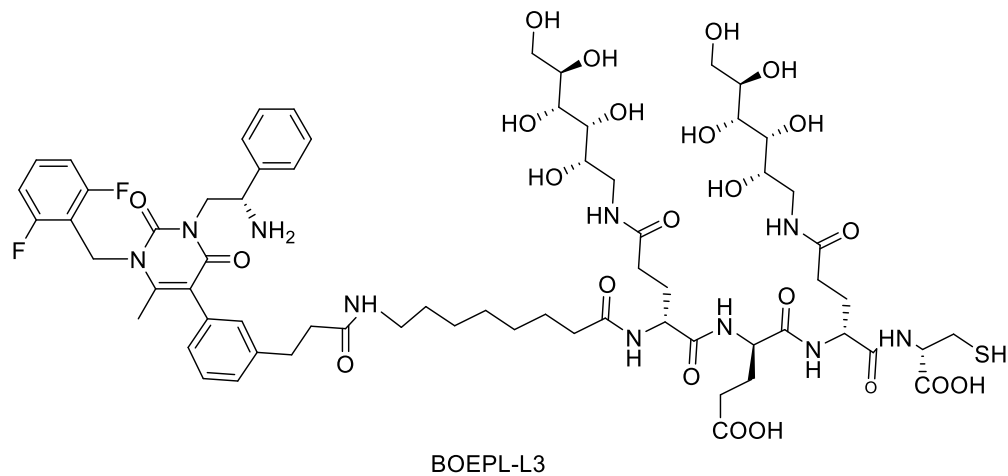


Figure S3. Synthesis of BOEPL-L3-TubBH, Reagents and conditions: (i) BOEPL-L3, $\text{H}_2\text{O}/\text{NaHCO}_3$ (pH= 7.0-7.2), Argon, r.t. (ii) activated TubBH, anhydrous THF, argon, r.t.

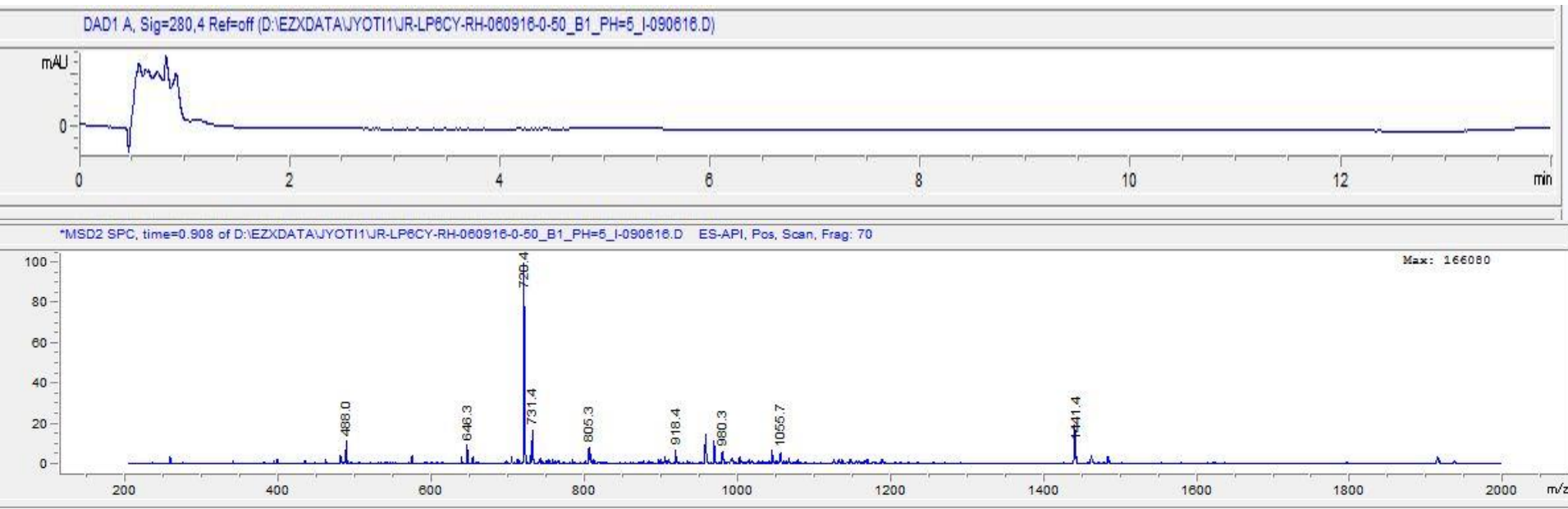


Figure S4. Chemical Structure of BOEPL-L2-Rhodamine and LRMS-LC/MS trace

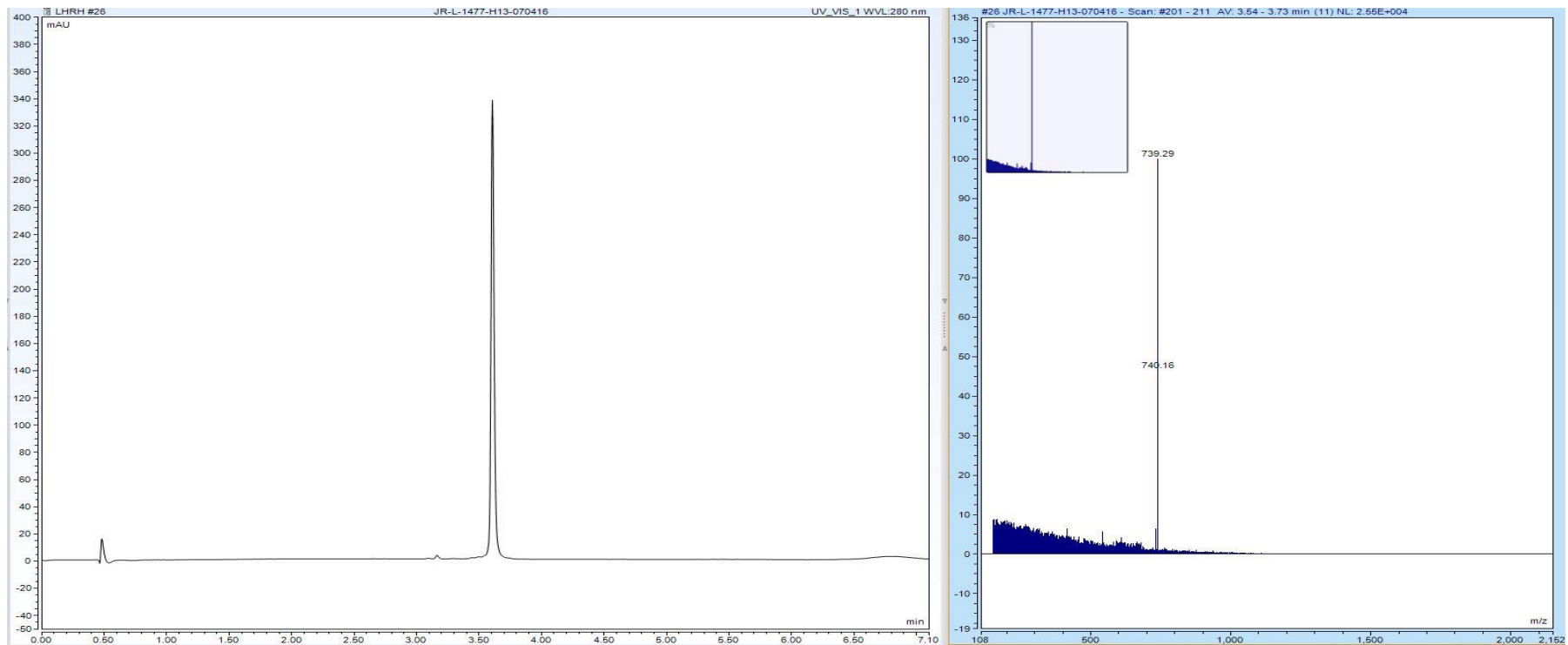
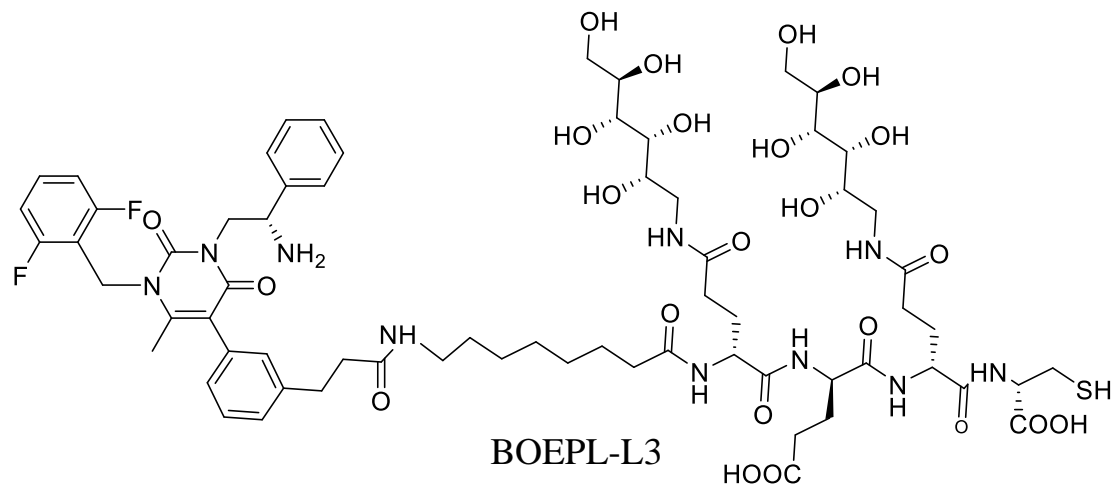
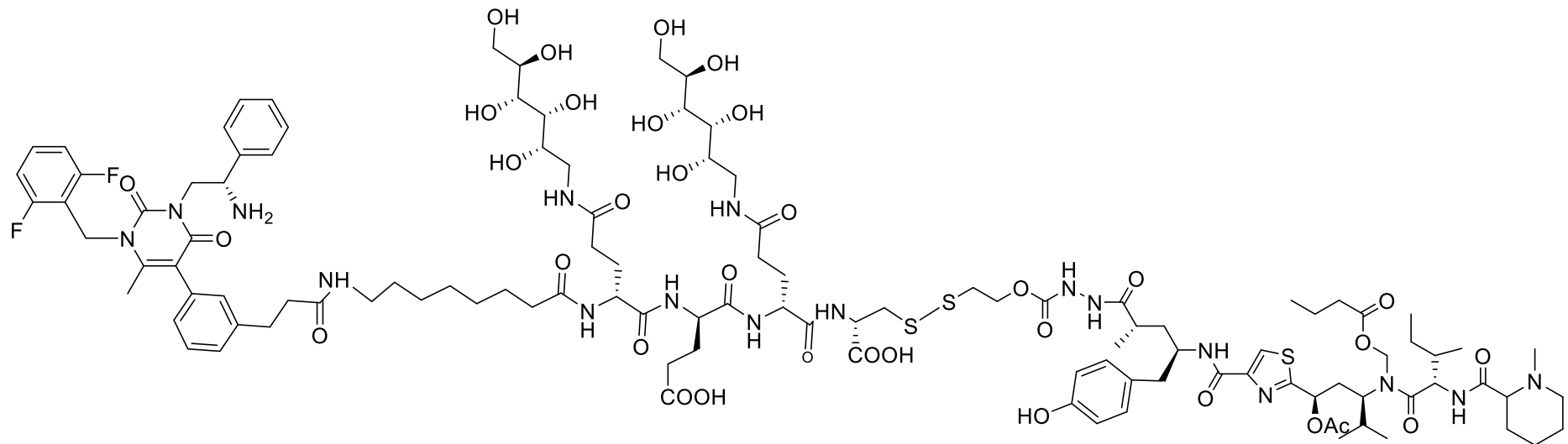


Figure S5. Chemical Structure of BOEPL-L3 and LRMS-LC/MS trace



BOEPL-L3-TubBH

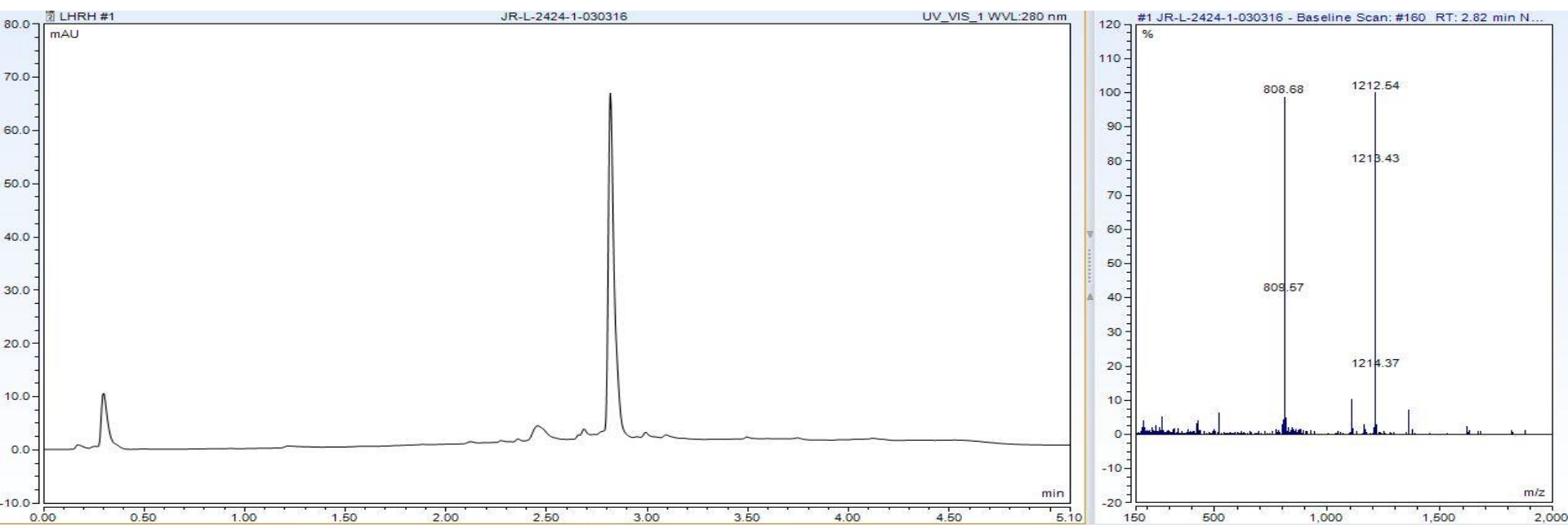


Figure S6. Chemical Structure of BOEPL-L3-TubBH and LRMS-LC/MS trace