Supplementary data

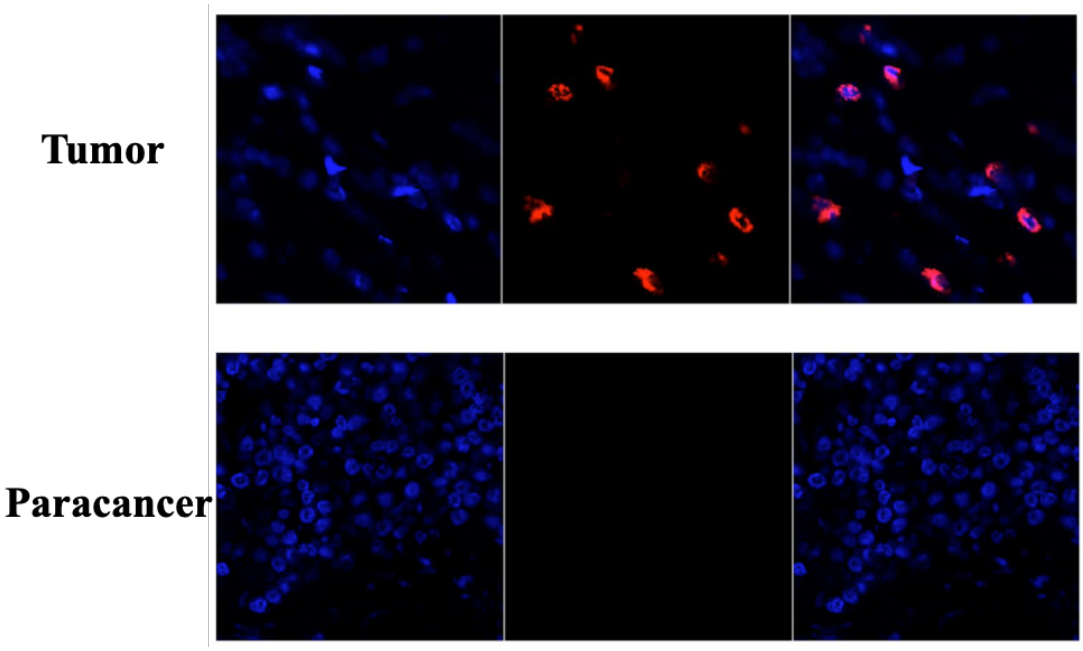


Figure S1. The observation of fluorescence signal of LLC2B-L-Cy5.5 from human esophagus cancer and paracancer of esophagus cancer by confocal microscope.

List of amino acids of 5'-XXXQ'A'E'XX-3' OBOC library:

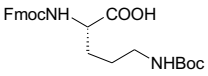
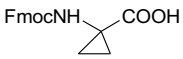
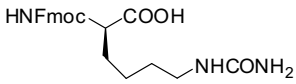
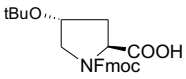
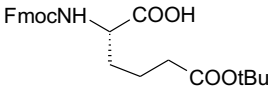
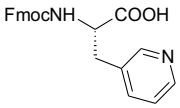
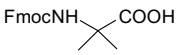
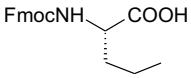
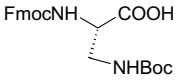
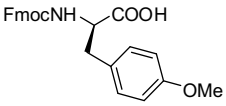
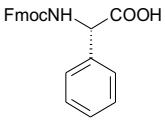
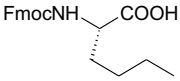
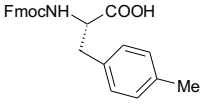
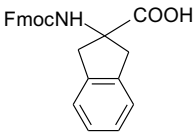
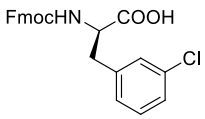
X: 42 natural/non-natural amino acids

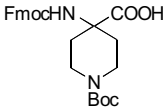
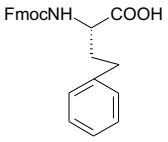
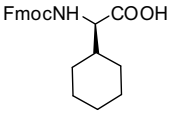
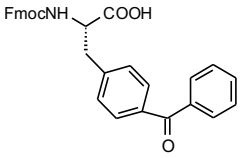
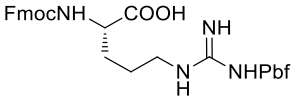
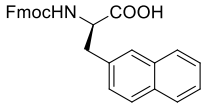
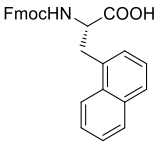
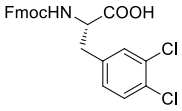
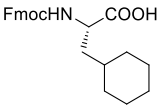
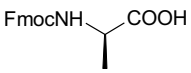
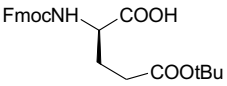
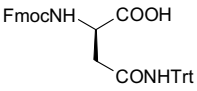
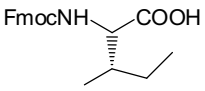
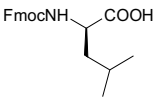
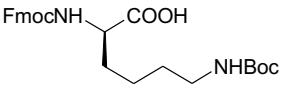
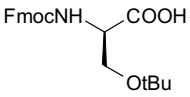
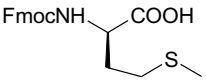
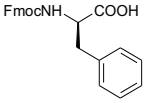
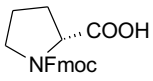
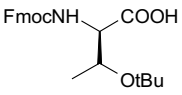
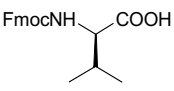
Amino acids at position Q': Q/Hocit/n/Cit

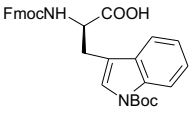
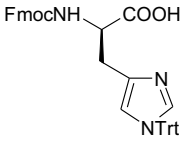
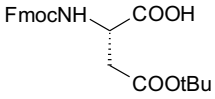
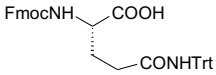
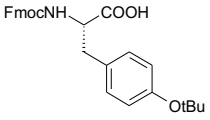
Amino acids at position A': A/G/Aib/V/Acpc

Amino acids at position E': E/d/Aad

Table S1 42 Fmoc-amino Acids

#1 Fmoc- Orn(Boc)-OH MW: 454.5 (132.12) 	#2 Fmoc-Acpc-OH MW: 323.35 (101.1) 	#3 Fmoc-L-HoCit MW: 411.4 	#4 Fmoc-Hyp(tBu)-OH MW: 409.0 
#5 Fmoc-Aad(OtBu)-OH MW: 439.6 	#6 Fmoc-D-3-Pal-OH MW: 388.4 	#7 Fmoc- Aib-OH MW: 325.5 	#8 Fmoc- Nva-OH MW: 339.4 
#9 Fmoc-Dpr(Boc)-OH MW: 426.4 	#10 Fmoc-D-Tyr(Me)-OH MW: 417.47 	#11 Fmoc-L-Phg-OH MW: 373.4 	#12 Fmoc-Nle-OH MW: 353.4 
#13 N-Fmoc-amino-(4-N-Boc-piperidinyl) carboxylic acid MW:466.53 (4-Apc)	#14 Fmoc-Phe(4-Me)-OH MW: 401.46 	#15 Fmoc-Aic-OH MW: 399.45 	#16 Fmoc-D-Phe(3-Cl)-OH MW: 421.9 

			
#17 Fmoc-D-HoPhe-OH MW: 401.5 	#18 Fmoc-D-Chg-OH MW: 379.4 	#19 Fmoc-Bpa-OH MW: 491.5 	#20 Fmoc-Arg(Pbf)-OH MW: 648.8 R 
#21 Fmoc-D-2-Nal-OH MW: 437.47 	#22 Fmoc-L-1-Nal-OH 	#23 Fmoc-Phe(3,4-diCl)-OH MW: 456.4 	#24 Fmpc-Cha-OH MW: 393.48 
#25 Fmoc-D-Ala-OH MW: 311.3 a 	#26 Fmoc-D-Glu(OtBu)-OH MW: 425.5 e 	#27 Fmoc-D-Asn(Trt)-OH MW: 596.7 n 	#28 Fmoc-Ile-OH MW:353.4 I 
#29 Fmoc-D-Leu-OH MW: 353.4 l 	#30 Fmoc-D-Lys(Boc)-OH MW:468.6 k 	#31 Fmoc-D-Ser(tBu)-OH MW: 383.4 s 	#32 Fmoc-D-Met-OH MW: 371.5 m 
#33 Fmoc-D-Phe-OH MW: 387.4 f 	#34 Fmoc-D-Pro-OH MW: 337.4 p 	#35 Fmoc-L-Thr(tBu)-OH MW: 397.5 T 	#36 Fmoc-L-Val-OH MW: 339.4 V 

#37 Fmoc-D-Trp(Boc)-OH MW: 526.6 w 	#38 Fmoc-D-His(Trt)-OH MW: 619.7 h 	#39 Fmoc-Asp(OtBu)-OH MW: 411.5 D 	#40 Fmoc-Gln(Trt)-OH MW: 610.7 Q 
#41 Fmoc-Tyr(tBu)-OH MW: 459.6 Y 	#42 Fmoc-Gly-OH MW: 297.3 G		

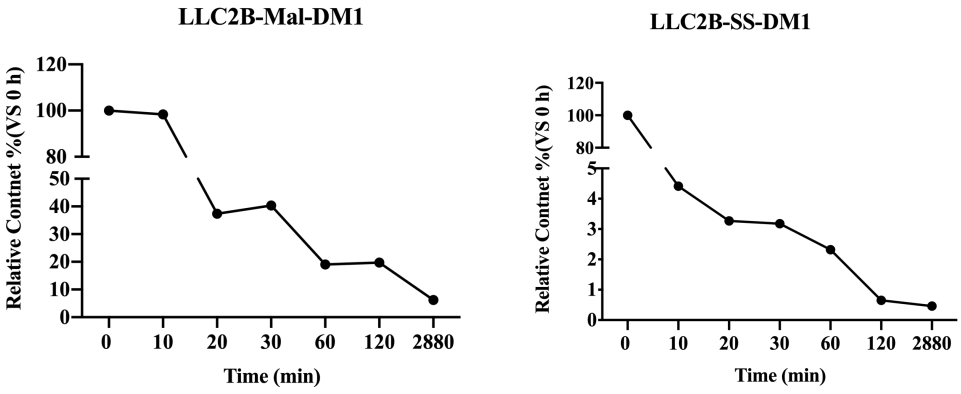


Figure S2. The remaining percentage of LLC2B-Mal-DM1, LLC2B-SS-DM1 that's being mixed with murine plasma at different time points

Table S2 Tumor volume (mm^3) in the PBS, free DM1, LLC2B-SS-DM1group

	PBS	0.5 mg Free DM1/kg	0.5 mg DM1 equiv./kg	1.0 mg DM1 equiv./kg	2.0 mg DM1 equiv./kg
0 d	156.87±67.61	175.68±59.92	101.07±81.57	118.88±32.94	126.80±38.96
2 d	679.76±202.24	314.80±122.30	226.16±129.38	184.22±33.22	223.67±53.70
4 d	876.51±185.09	551.00±238.38	304.04±157.48	283.28±88.46	321.17±107.85
6 d	944.05±289.43	557.43±209.97	389.20±198.90	272.22±113.49	280.78±95.68
8 d	1203.71±398.07	654.39±219.50	426.44±198.04	334.59±117.92	360.58±82.08
10 d	1290.61±441.17	650.06±336.33	499.04±194.24	395.08±132.16	273.01±107.62
12 d	1529.18±536.95	772.79±324.13	541.61±312.25	388.28±121.27	355.51±151.42
14 d	1707.01±431.41	788.24±379.02	593.61±364.12	393.89±187.58	461.17±136.73
16 d	1895.95±561.93	873.66±422.26	781.68±522.51	466.38±156.74	411.41±168.66
18 d	1977.21±609.36	893.32±477.86	790.28±366.88	564.61±188.93	540.99±193.86
20 d	2315.02±430.85	1110.11±531.65	713.09±359.65	567.38±204.08	604.21±201.81

Table S3 Tumor volume (mm^3) in the PBS, free DM1, LLC2B-Mal-DM1group

	PBS	1.0 mg Free DM1/kg	1.0 mg DM1 equiv./kg	2.0 mg DM1 equiv./kg	4.0 mg DM1 equiv./kg
0 d	212.98±113.45	167.91±51.37	123.92±35.19	204.16±84.38	187.26±94.71
2 d	651.09±182.05	333.54±106.62	184.85±129.03	329.89±146.35	408.83±131.11
4 d	880.35±160.43	499.47±119.89	301.27±140.11	461.08±181.64	535.64±174.77
6 d	989.95±262.97	653.81±266.46	357.78±258.20	651.88±257.36	706.23±296.92
8 d	1223.14±346.38	985.99±232.74	488.31±344.39	678.53±157.79	754.99±348.08
10 d	1312.66±383.96	838.17±157.44	562.06±270.65	552.08±177.84	858.62±298.09
12 d	1539.65±465.37	1176.08±97.85	705.13±200.23	787.04±251.09	806.65±165.51
14 d	1662.22±381.58	1287.25±178.41	752.16±212.63	817.69±244.31	1050.39±437.35
16 d	1898.21±486.66	1286.39±189.90	773.25±228.24	890.28±412.67	965.55±308.55
18 d	1992.15±528.35	1395.67±243.57	904.65±254.13	1025.35±439.18	1047.92±374.01
20 d	2349.32±377.83	1727.06±280.01	1250.63±342.99	1130.29±354.15	1166.16±373.54