Electronic Supplementary Information

Epidermal growth factor receptor-targeted lipid nanoparticles retain self-assembled nanostructures and provide high specificity

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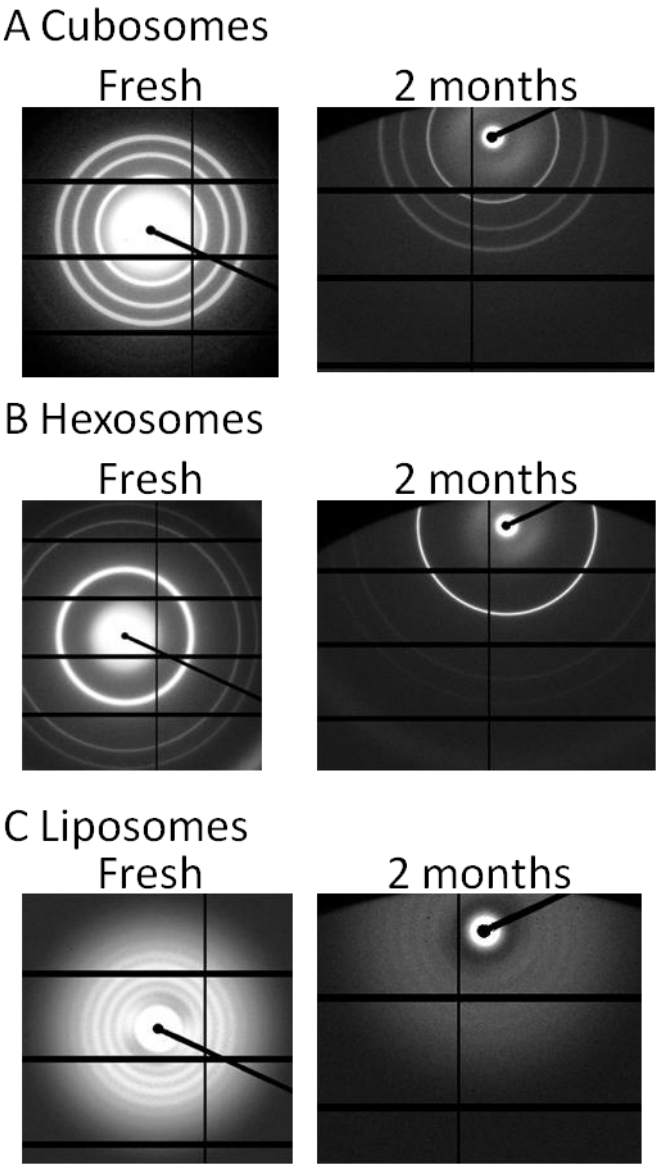
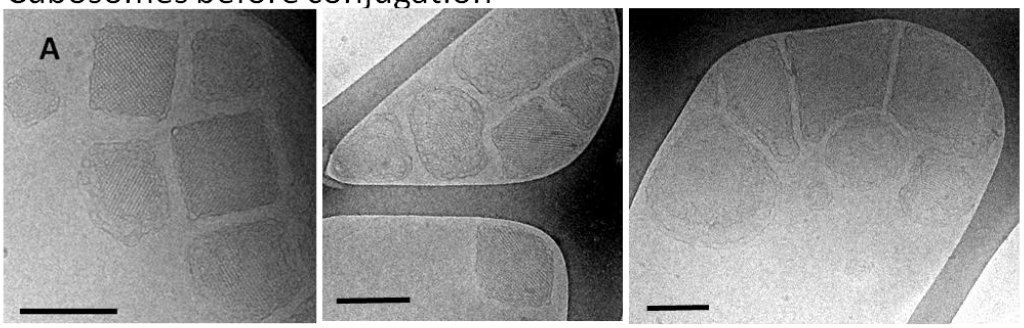
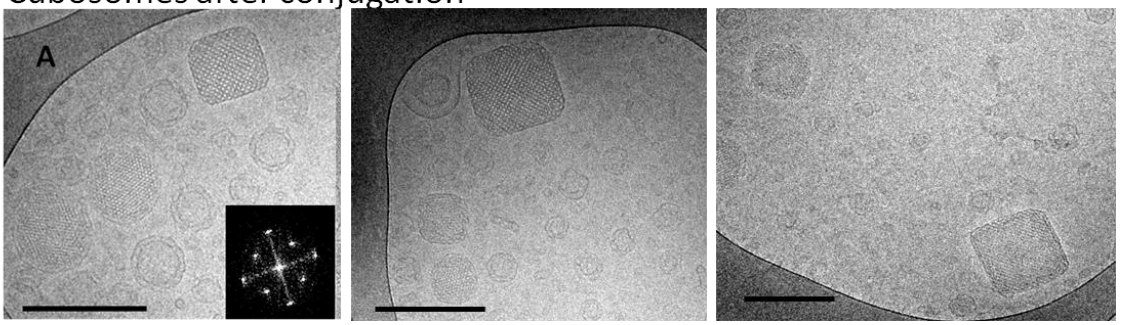


Figure S1: Synchrotron small angle X-ray (SAXS) 2D diffraction patterns of A) phytantriol cubosomes, B) phytantriol hexosomes, and C) unilamellar liposomes (DSPC:cholesterol). All nanoparticles contained 1 mM DSPE-$PEG_{Mw=3400}$-mal as the functional ingredient. Detailed composition and the molar ratio are in Table 1.

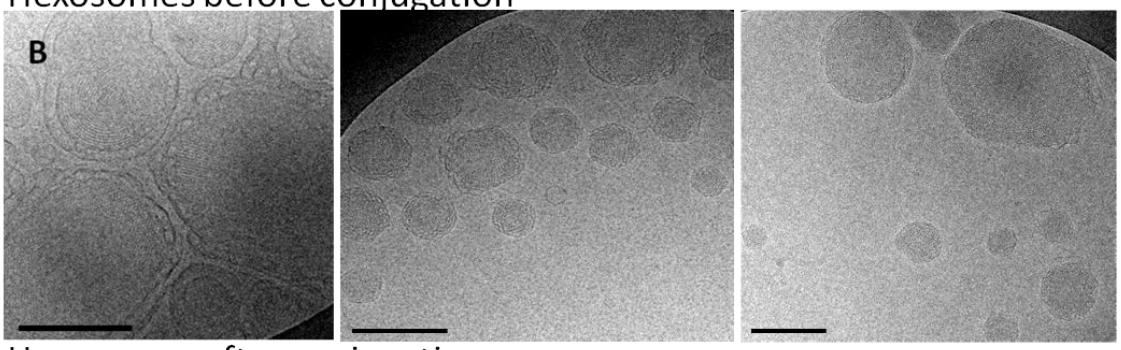
Cubosomes before conjugation



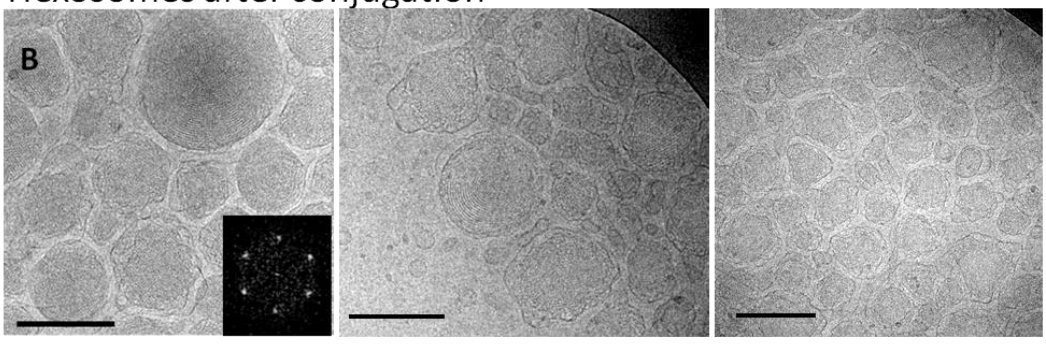
Cubosomes after conjugation



Hexosomes before conjugation



Hexosomes after conjugation



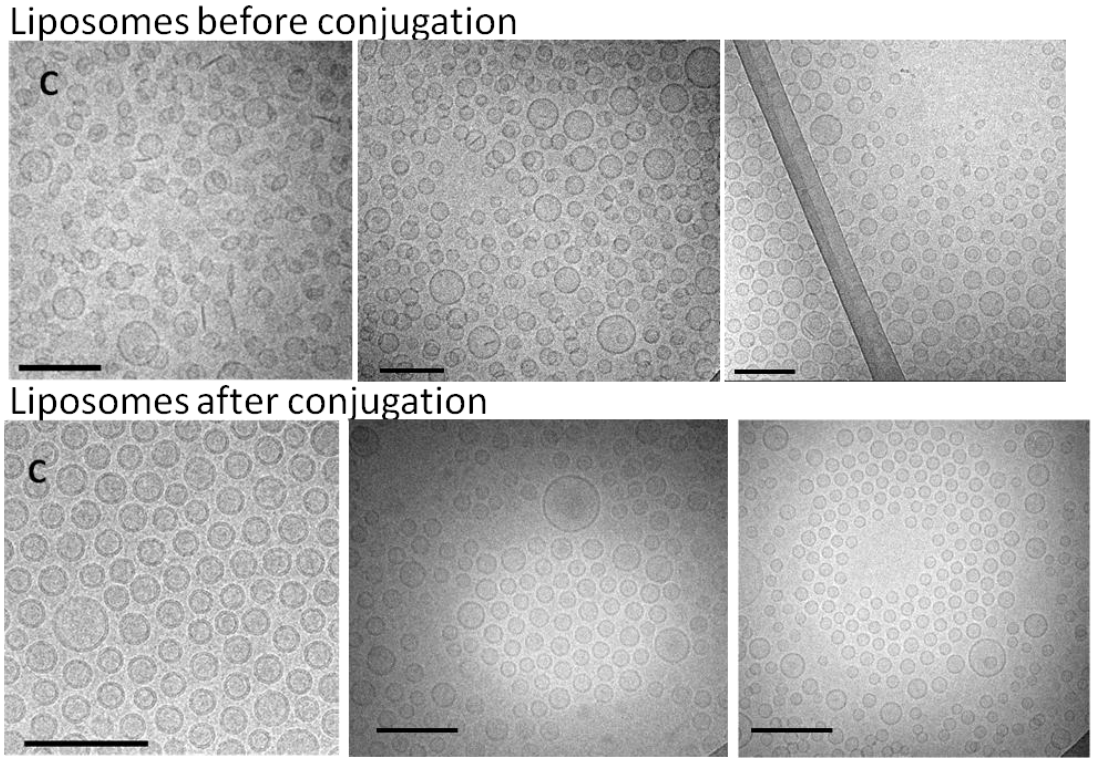


Figure S2: Representative cryo-TEM images of A) phytantriol cubosomes, B) phytantriol hexosomes, and C) unilamellar liposomes (DSPC:cholesterol) before and after conjugation reaction. Scale bars represent 200 nm.

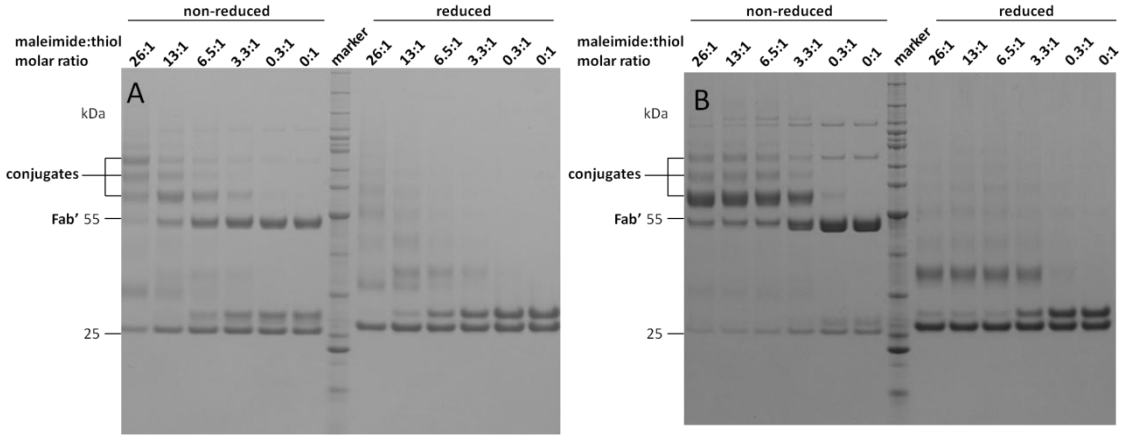


Figure S3: SDS-PAGE of conjugation of anti-EGFR Fab' to A) cubosomes and B) hexosomes at various ratios of DSPE-PEG-mal to the thiol groups of Fab'. Conjugation was performed at 4 °C overnight. Under the non-reduced condition, intact and conjugated Fab' were present. Under the reduced condition, samples were completely reduced by adding 0.5 M DTT as shown by the presence of the Fab' LC and conjugated HC.

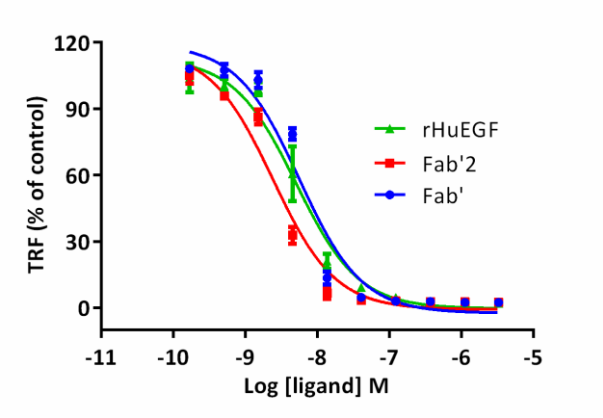


Figure S4: Ligand binding affinities of rHuEGF, anti-EGFR Fab'2 and Fab' of the 528 mAb. The competition assay was performed using Eu-EGF (100 pM) to dilute the ligands at a range of Fab' concentrations as indicated. TRF of europium in a mixture of Eu-EGF and the ligands was measured by a plate reader (Ex/Em filters = 340/615 nm, 400 µs delay). Values are normalised to the signal of Eu-EGF alone (100%) with each assay point representing the mean of triplicates.