Supporting Information

Co-delivery of paclitaxel and melittin by glycopeptide modified lipodisks for synergistic anti-glioma therapy

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Preparation and characterization of lipospheres

The lipospheres were prepared using the same method like lipodisks except the composition of POPC/cholesterol/mPEG$_{2000}$-DSPE/^{9}G-A7R-PEG$_{3400}$-DSPE (55:40:3:2, mol%). The morphology of lipospheres was characterized by cryogenic transmission electron microscope (Cryo-TEM) investigations using a FEI Tecnai G20 Transmission Electron Microscope (FEI, Hillsboro, USA). Size (diameter, nm) and polydispersity index (PDI) were measured by dynamic light scattering using a Zen 3600 Zetasizer (Malvern).

Biodistribution of lipodisks and liposoheres *in vivo*

To evaluate the tumor targeting efficiency of lipodisks and lipospheres, the subcutaneous xenograft tumor models were established by inoculation of 4 × 10^6 U87MG cells (cells suspended in 100 μL PBS) into the subcutaneous tissue of the right subaxillary of male Balb/c nude mice. After two weeks when the tumor volume was about 200 mm^3, the mice were injected with 100 μL of DiD-loaded lipodisks or lipospheres phosphate buffer. After 48 h of injection, blood, main organs and tumor tissues were collected and homogenized for fluorescence analysis by a microplate reader (Power Wave XS, Bio-TEK, USA).

Hemodynamics of the lipodisks and lipospheres

The kinetic properties of lipodisks and lipospheres were analyzed in ICR mice. Mice were injected with 100 μL DiD-loaded lipodisks or lipospheres via tail vein. At the time points of 1, 5, 10, 15, 30 min and 1, 2, 4, 8, 12, 24, 48, 72 h post injection, 50 μL blood was sampled from the retro-orbital sinus. The blood samples were diluted 4 times for fluorescence quantification by a microplate reader (Power Wave XS, Bio-TEK, USA). The pharmacokinetic parameters were analyzed by DAS2.0.

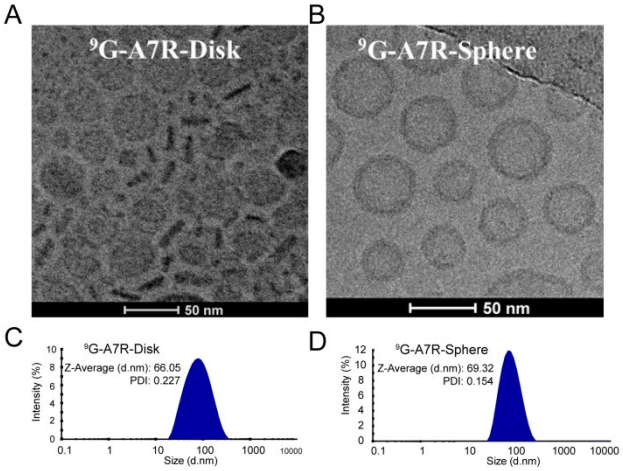

Figure S1. Morphology and size characterization of ^{9}G-A7R-Disk (A,C) and ^{9}G-A7R-Sphere (B,D) by Cryo-TEM and malvern laser particle size analyzer.

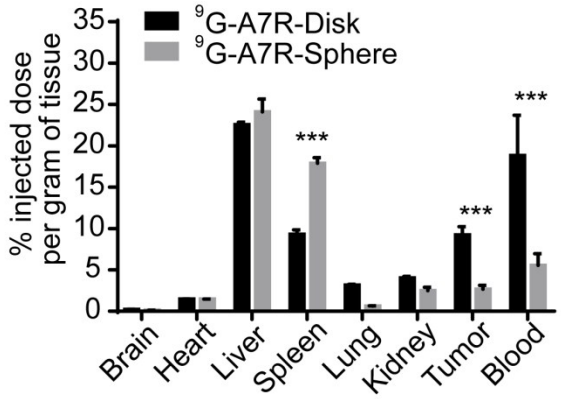

Figure S2. Biodistribution of ^{9}G-A7R-Disk and ^{9}G-A7R-Sphere in subcutaneous U87 xenograft bearing mice 48 h post injection (Mean ± SD, n = 3, ***p<0.001).

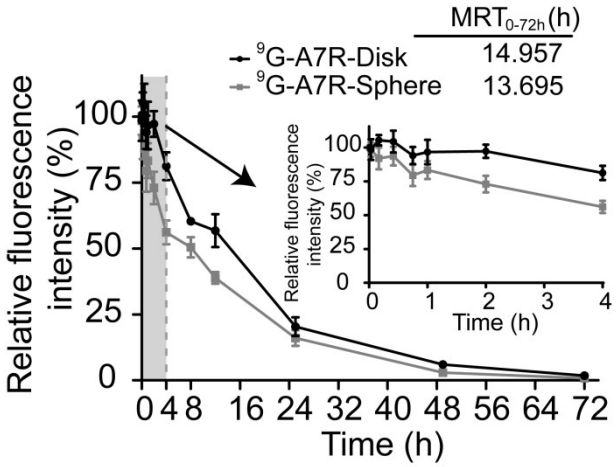

Figure S3. Blood dynamics of ^{9}G-A7R-Disk and ^{9}G-A7R-Sphere. Mean Residence Time (MRT) was calculated with the software DAS2.0.