

Supporting Information

Lysosome-instructed self-assembly of amino-acid-functionalized perylene diimide for multidrug-resistant cancer cells

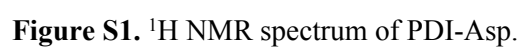
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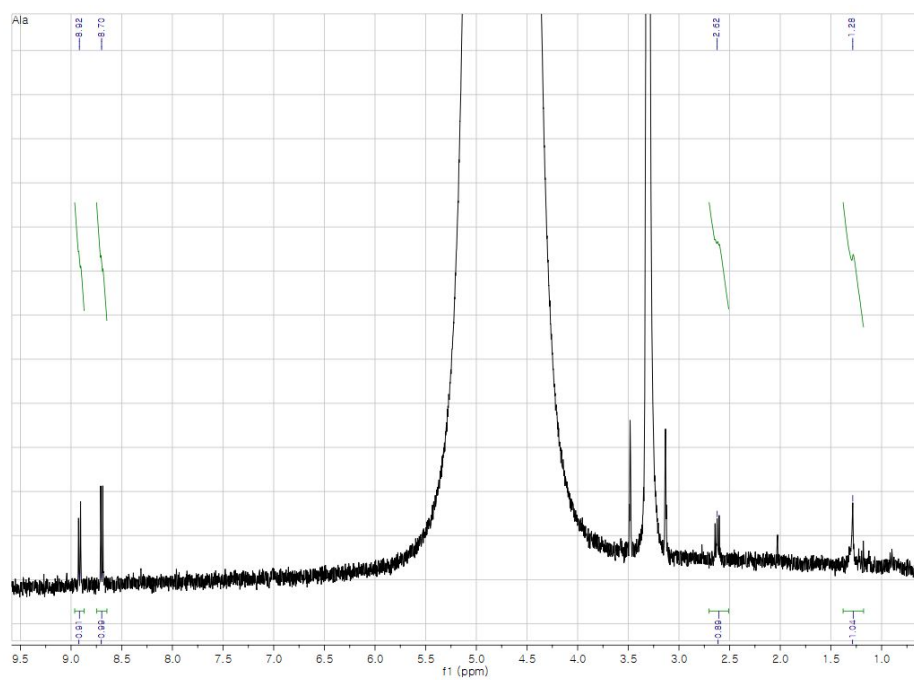


Figure S2. ^1H NMR spectrum of PDI- β Ala.

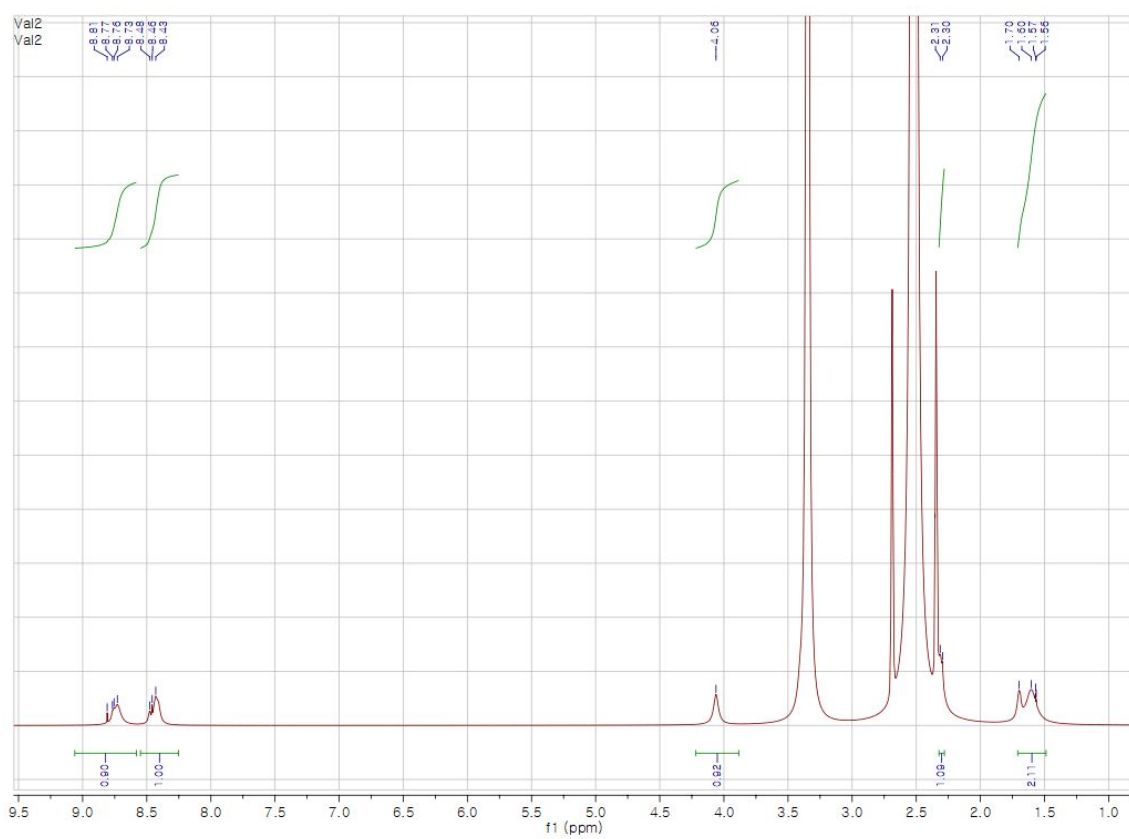


Figure S3. ^1H NMR spectrum of PDI-5AVA.

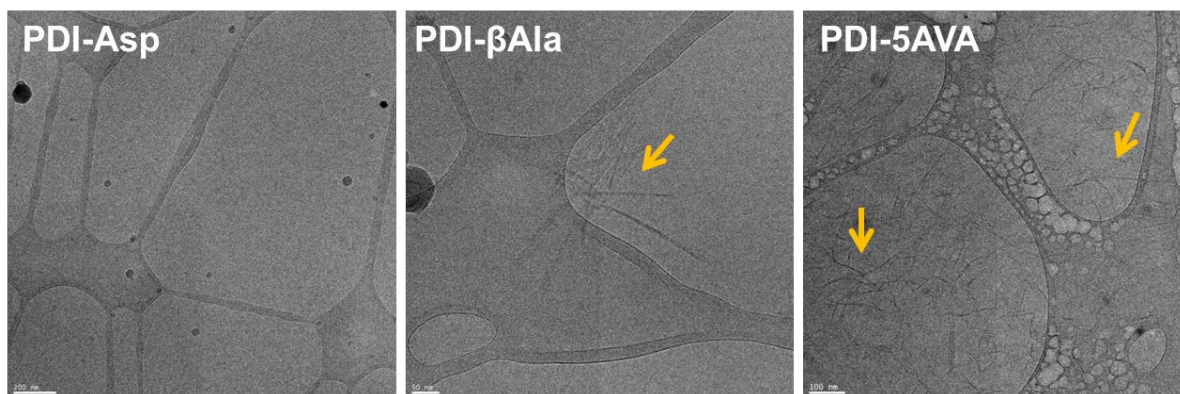


Figure S4. Cryo-TEM images of the self-assemblies of PDI derivatives in the acetate buffer solution (pH 5.0, PDI-AA concentration: 100 μ M). Arrows indicate fibrous self-assemblies.

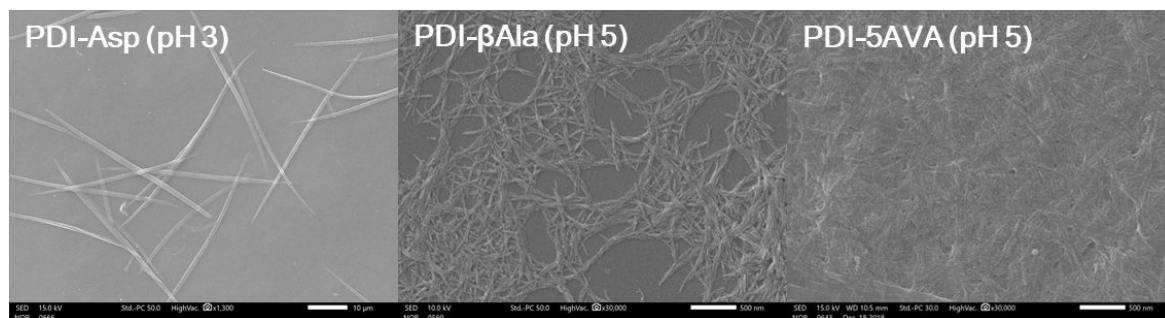


Figure S5. Scanning electron microscope (SEM) images of the fibrous self-assembled structures of PDI derivatives at pH under the apparent pK_a .

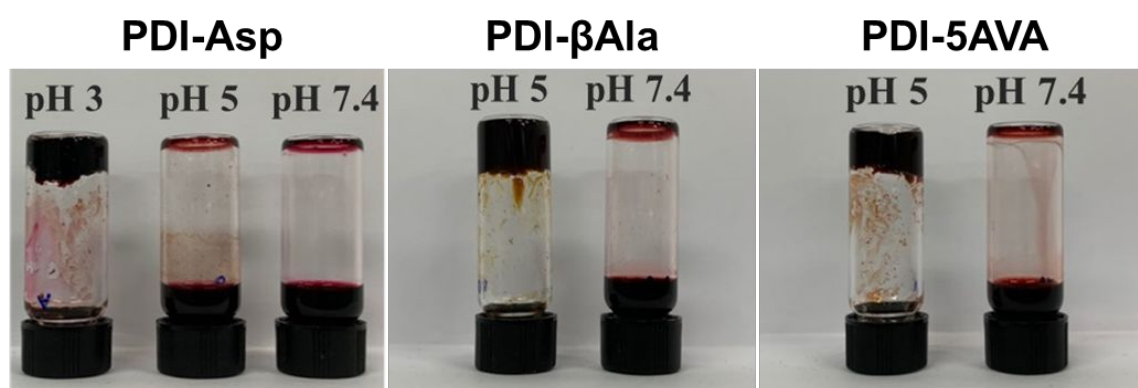


Figure S6. Gelation of PDI derivatives in acidic conditions

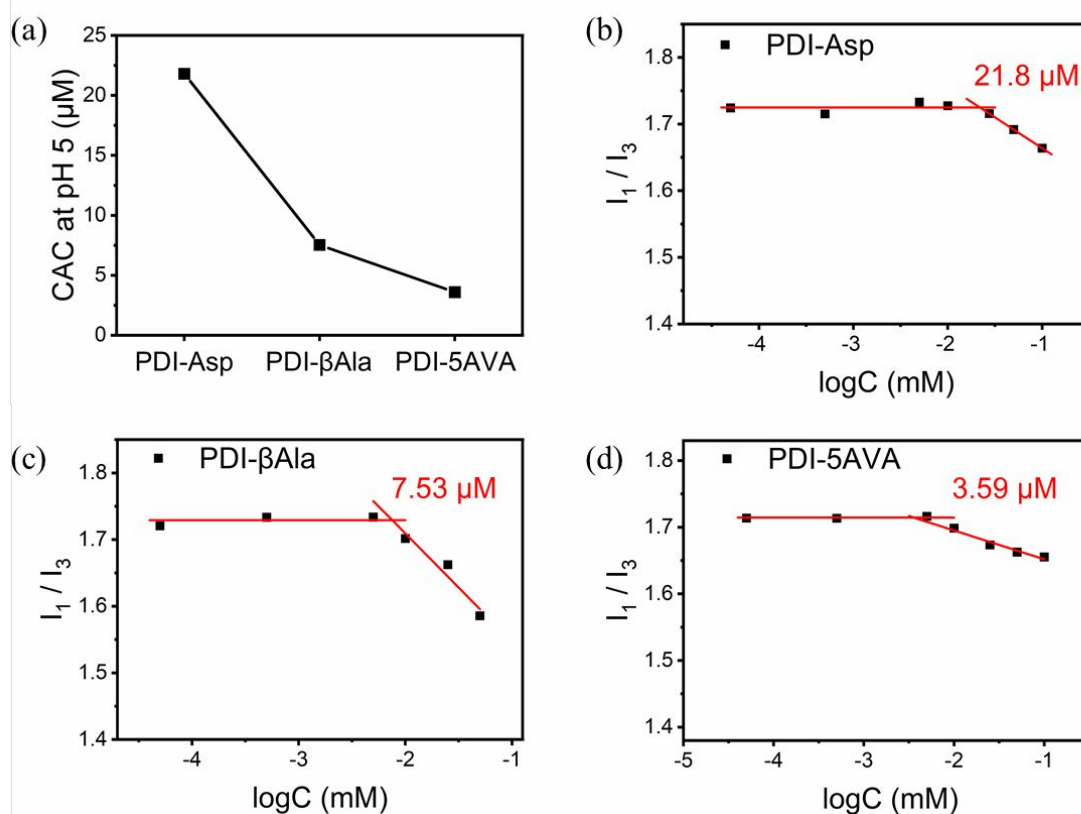


Figure S7. Determination of CAC for PDI derivatives at pH 5 employing the fluorescence spectroscopy of pyrene used as probe. The fluorescence intensity ratio between the first ($\lambda_{\text{em}} = 374$ nm) and third ($\lambda_{\text{em}} = 384$ nm) highest emission peaks (I_1/I_3) of pyrene decrease sharply as the monomer concentration exceeds a CAC.

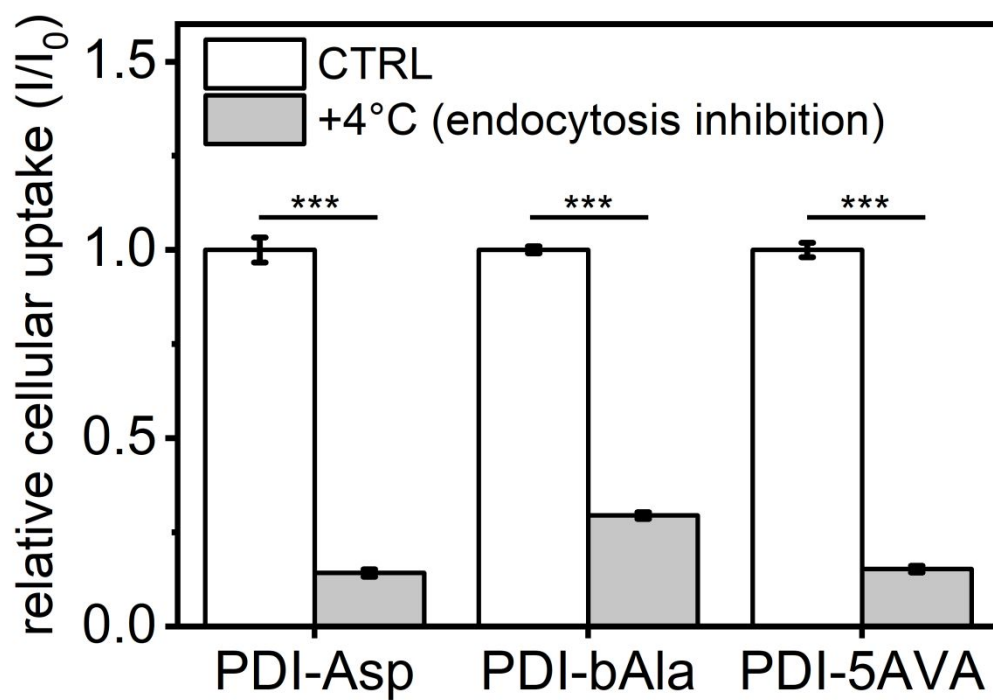


Figure S8. Evaluation of relative cellular uptake of PDI derivatives (100 μM) in A-549 cells incubated at 4 °C for 3 hours to inhibit endocytosis. Data represent the mean ± standard deviation. (n = 3) Statistical significance was determined via Student's t test. ***p < 0.001.

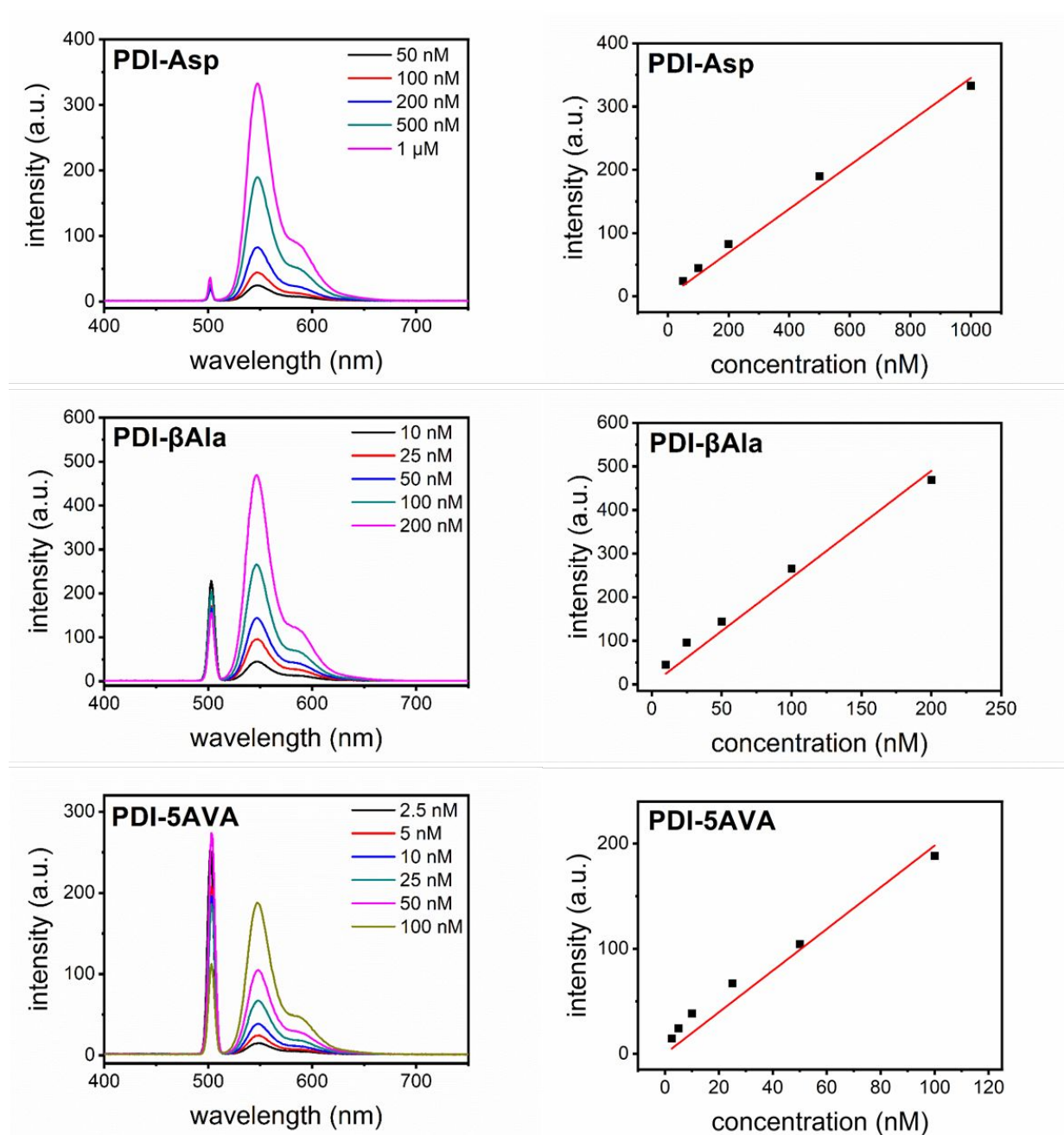


Figure S9. Calibration curves of PDI-derivatives for the determination of cellular uptake. The fluorescence intensity of the PDI-derivatives was measured after dilution of the samples by 0.01 M NaOH solution between the concentrations which exhibit linear relation.(excitation: 500 nm, emission: 547 nm)

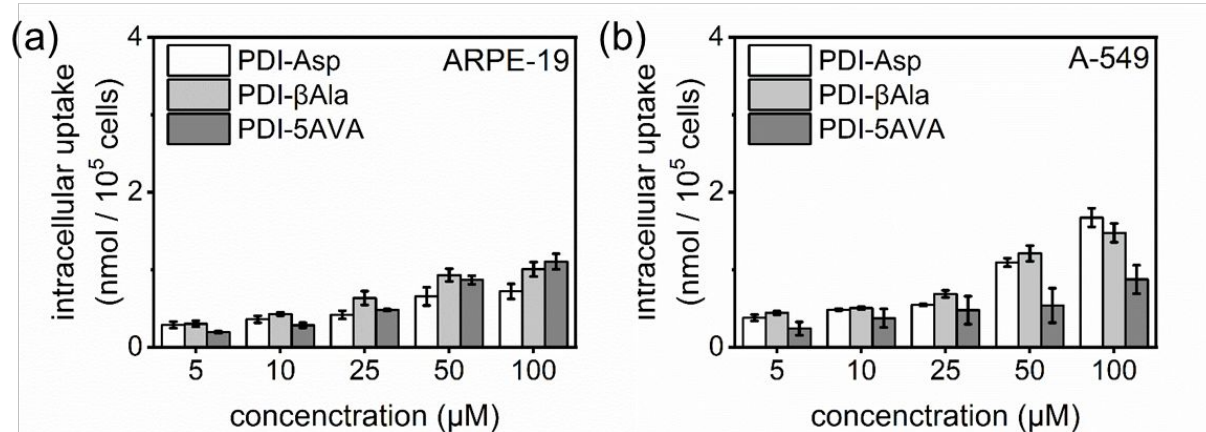


Figure S10. Cellular uptake of the PDI-derivatives in (a) ARPE-19 cells and (b) A549 cells.

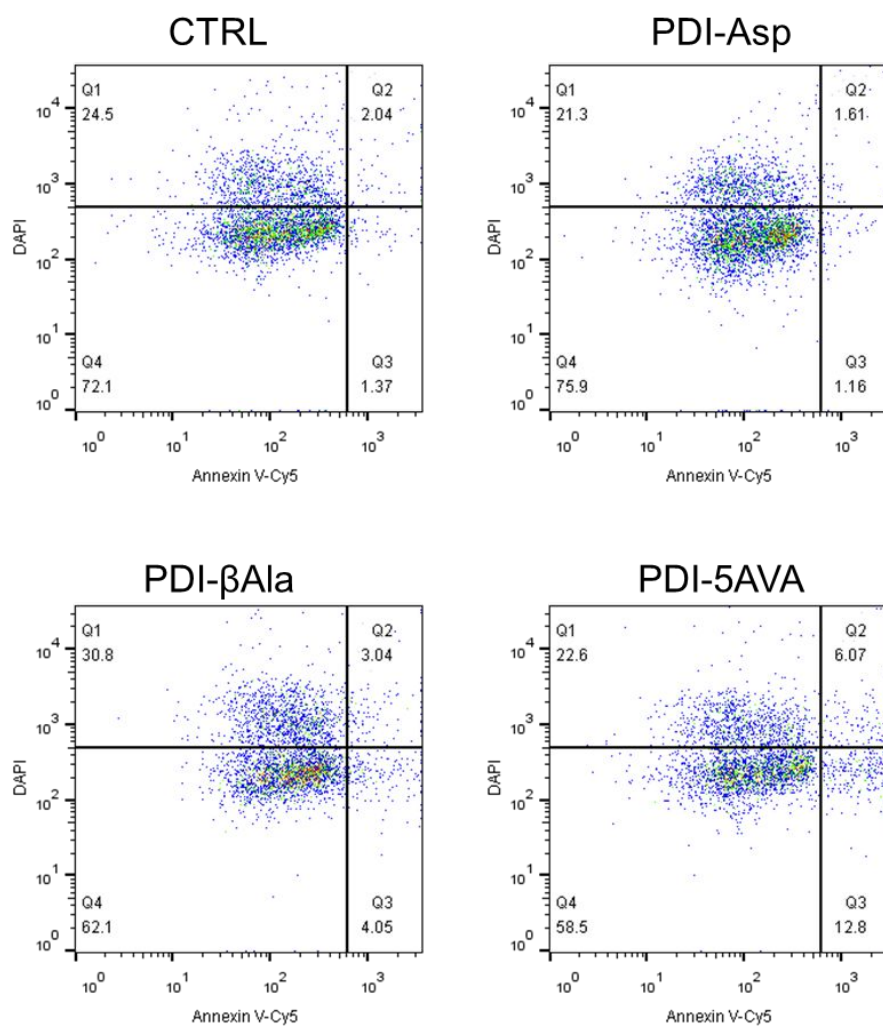


Figure S11. The apoptotic effects of the PDI derivatives in A549 cells line. Cells were stained by Annexin V-DAPI and examined by flow cytometry. Q1 represents necrosis, Q2 represents late apoptosis, Q3 represents early apoptosis, and Q4 represents live cells. The apoptosis ability is indicated by the cell percentage of Q2 and Q3.

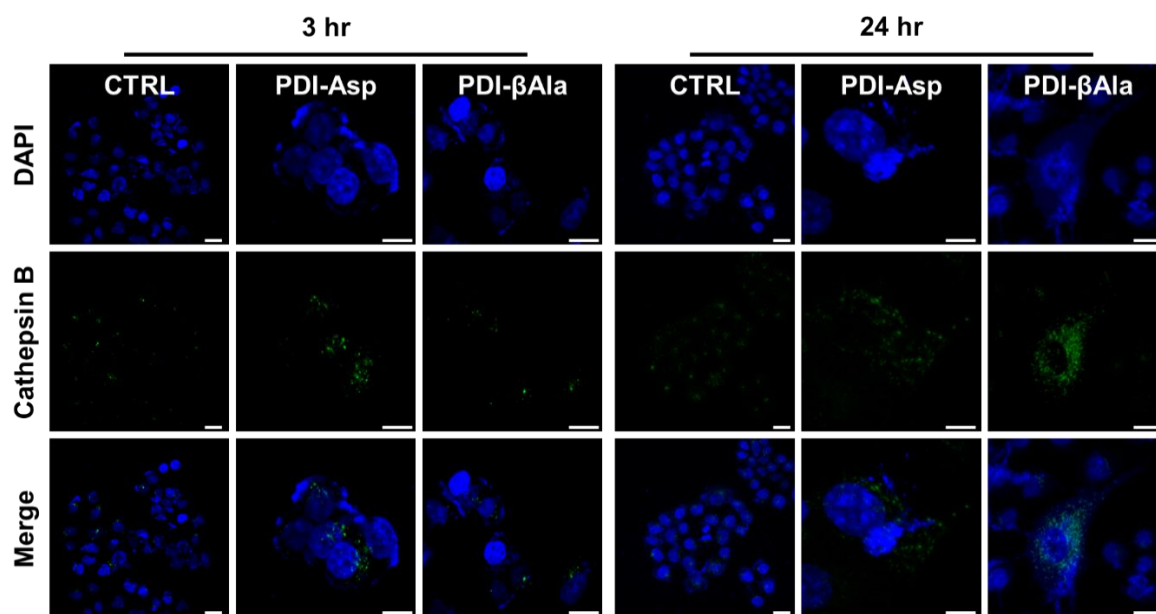


Figure S12. Observation of Cathepsin B released from disrupted lysosomes to the cytosol in A549 cells after 3 and 24 hours of treatment with PDI-derivatives. (λ_{ex} : 405 nm, λ_{em} : 410-500 nm for DAPI, λ_{ex} : 633 nm, λ_{em} : 700-759 nm for Cathepsin B) Scale bars represents 20 μm .

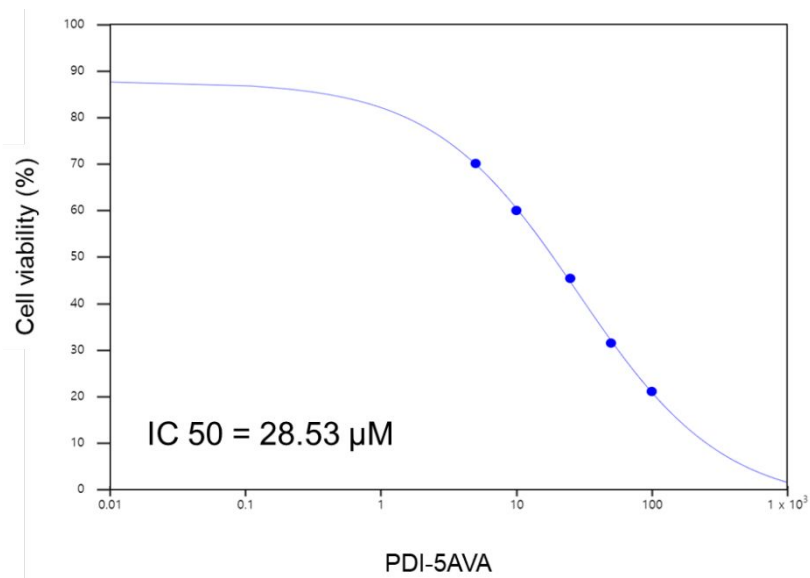


Figure S13. Dose-response curve of PDI-5AVA. A549 cells were treated with PDI-5AVA (5-100 μM) for 24h and dose-response curve was obtained with IC₅₀ of 28.5 μM .