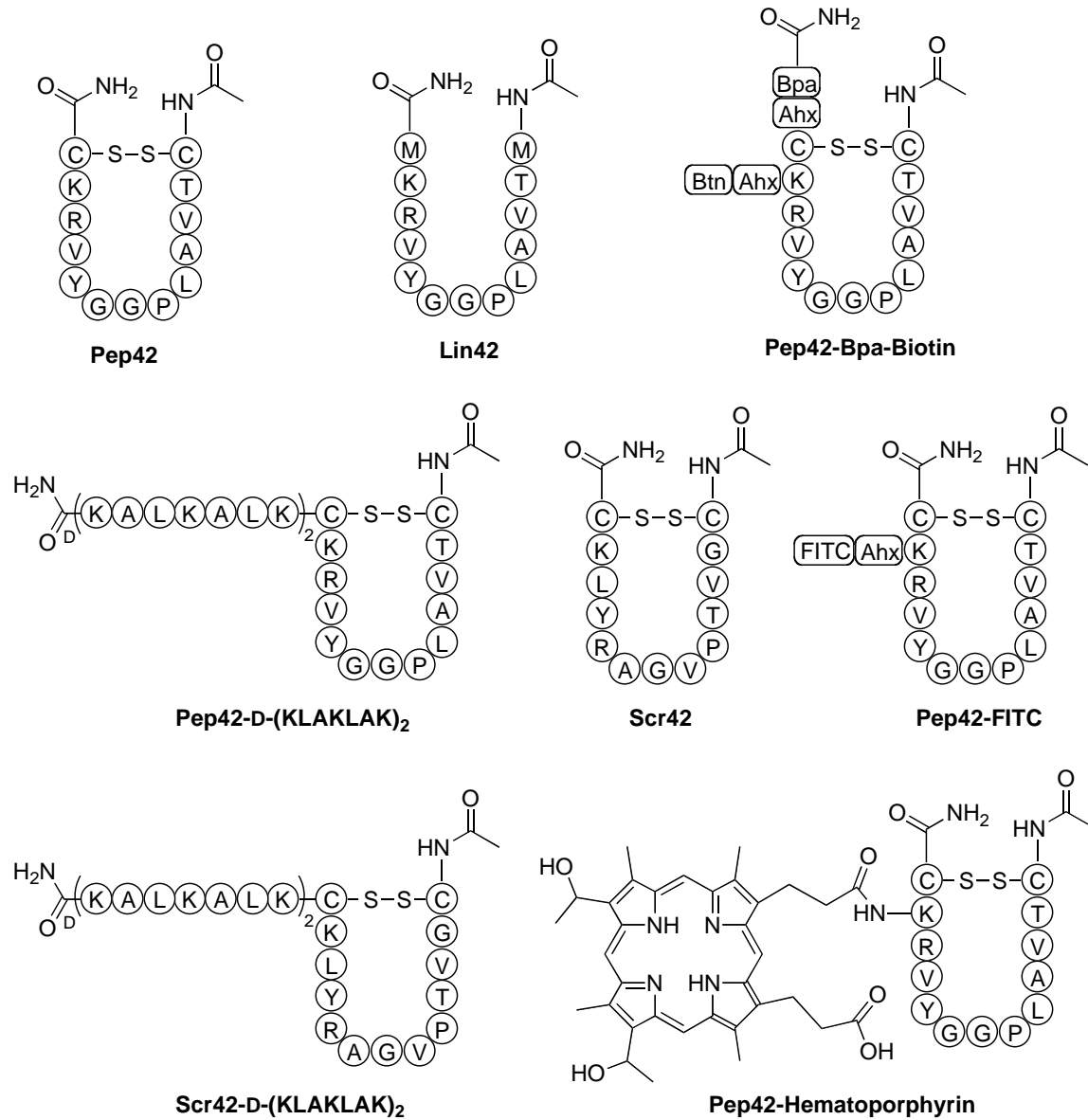


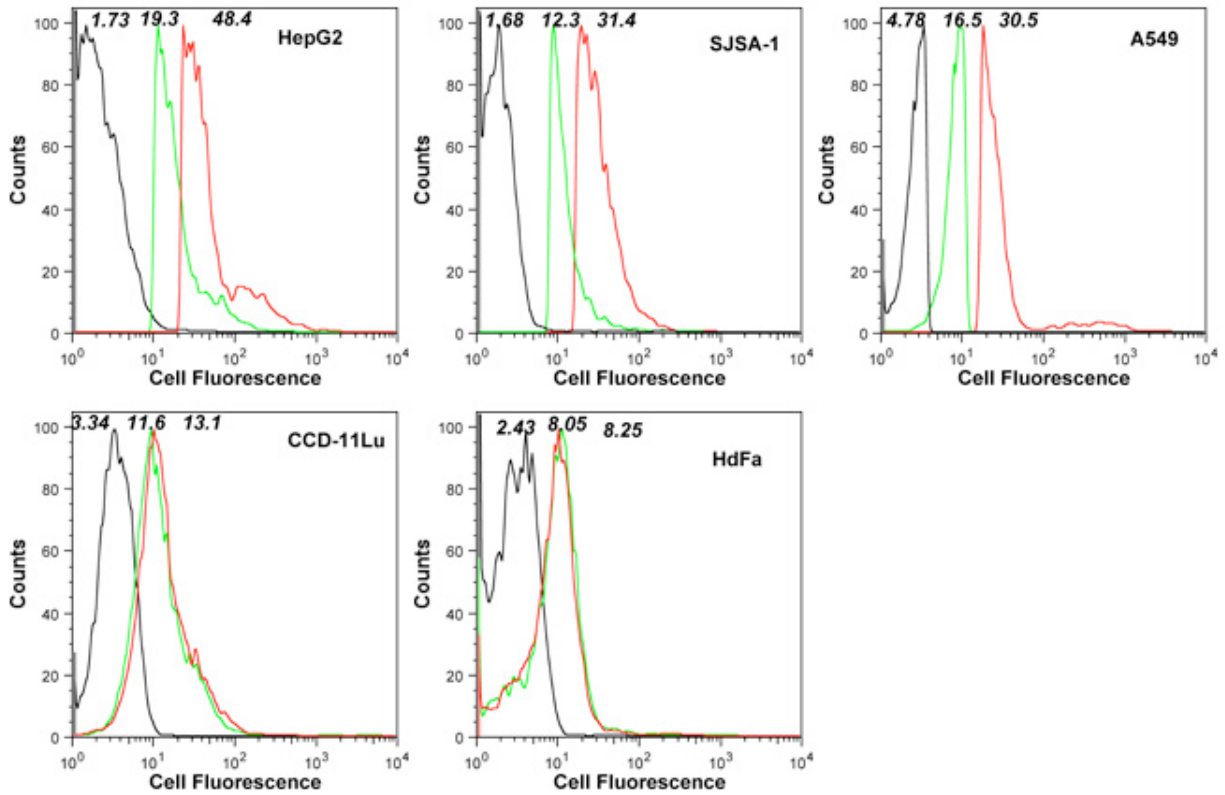
## Supporting Information.

S1

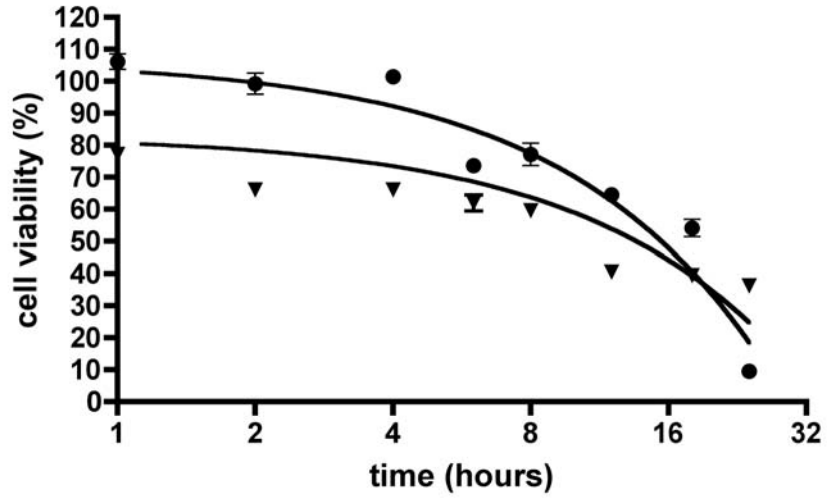


A schematic representation of analogues and conjugates of Pep42.

S2

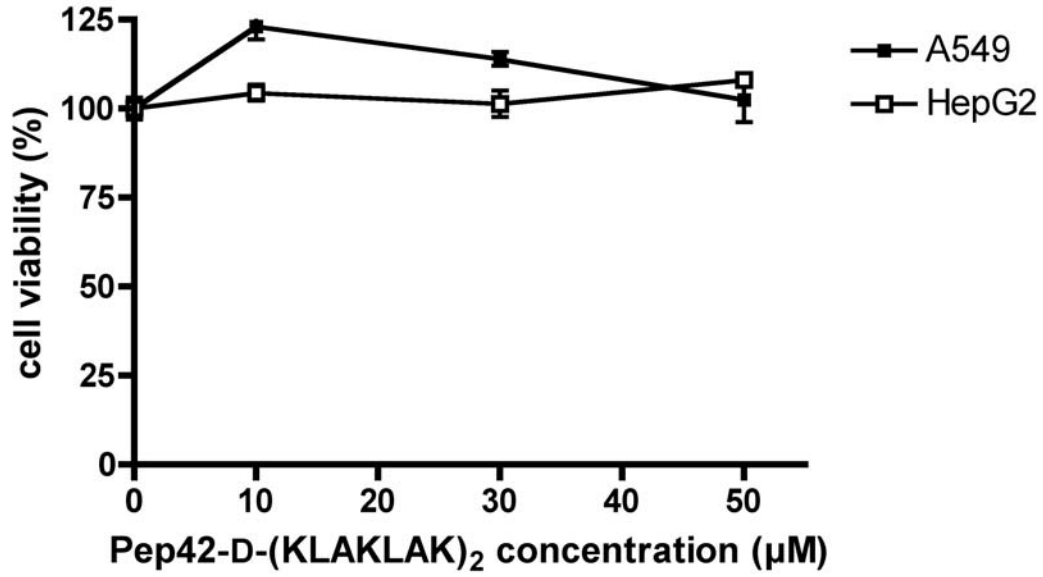


Identification of GRP78 on the cell surface. Cells were incubated with monoclonal anti-GRP78 (red curve) or a monoclonal anti-β-actin antibody (green curve) as a negative control for 1 h at 4<sup>0</sup>C. The black curves correspond to cells incubated in the absence of any antibody.



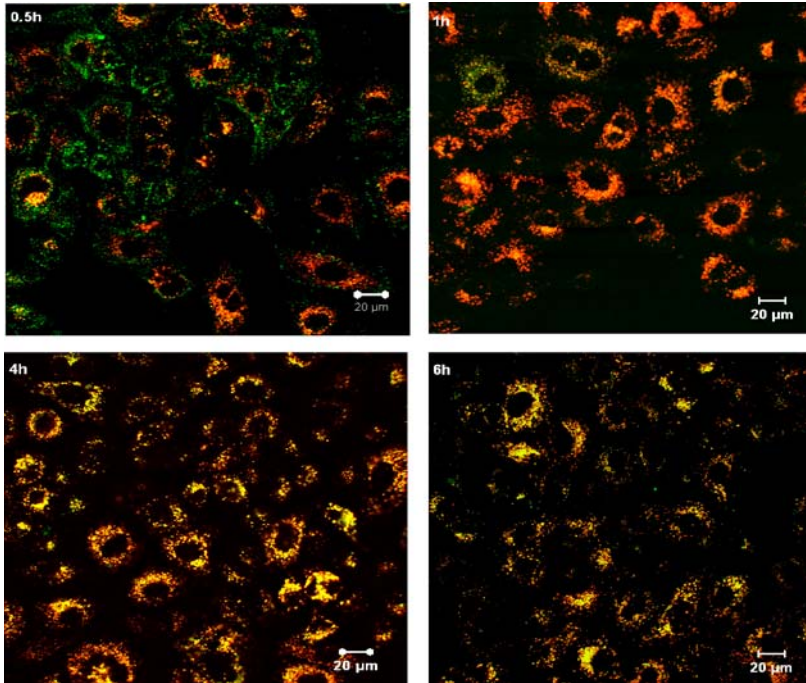
SJSA-1 (●) and Me6652/4 (▼) cells were treated with 30  $\mu$ M Pep42-D-(KLAKLAK)<sub>2</sub> at different time points (0, 0.5, 1, 2, 4, 6, 8, 12, 18 and 24 h). The viability of the cells was assessed by a MTT assay. Results are expressed as percentages of cells still viable relative to non-treated control cells.

S4



Pep42-D-(KLAKLAK)<sub>2</sub> shows no toxicity toward A549 and HepG<sub>2</sub> cells. Cells were incubated with increasing concentrations of Pep42-D-(KLAKLAK)<sub>2</sub> for 24 h. Cell viability was estimated with a cell proliferation reagent.

S5



Colocalization of Pep42-Qdots525 endosomes with lysosomes in living cells. The figure shows the time-lapse imaging of fluorescence co-localization of Pep42-Qdots525 with Lysotracker Red. Cells were treated with 12nM Lysotracker Red for 30 min after incubation of the peptide for 0, 0.5, 3.5 and 5.5h at 37°C.