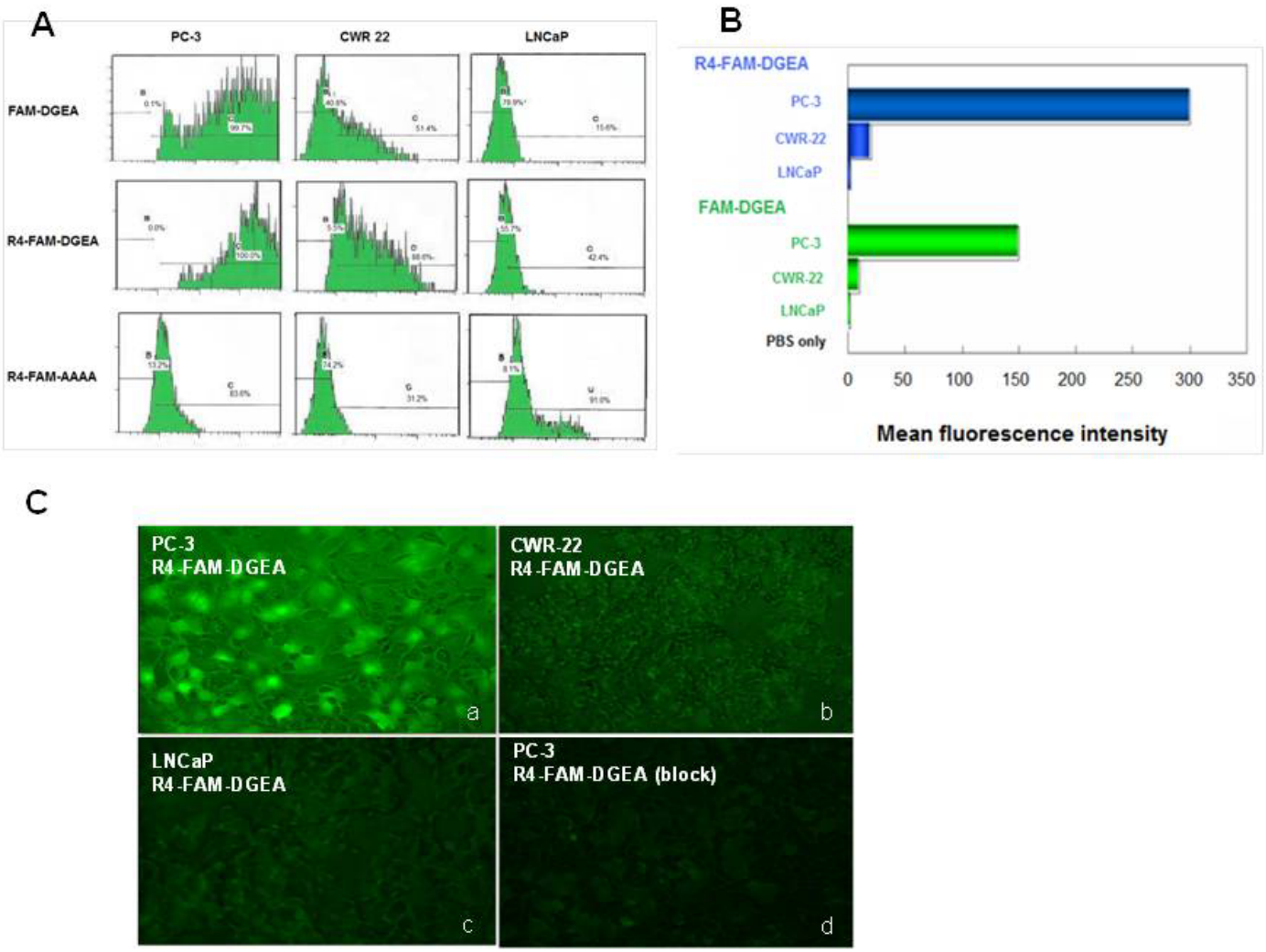
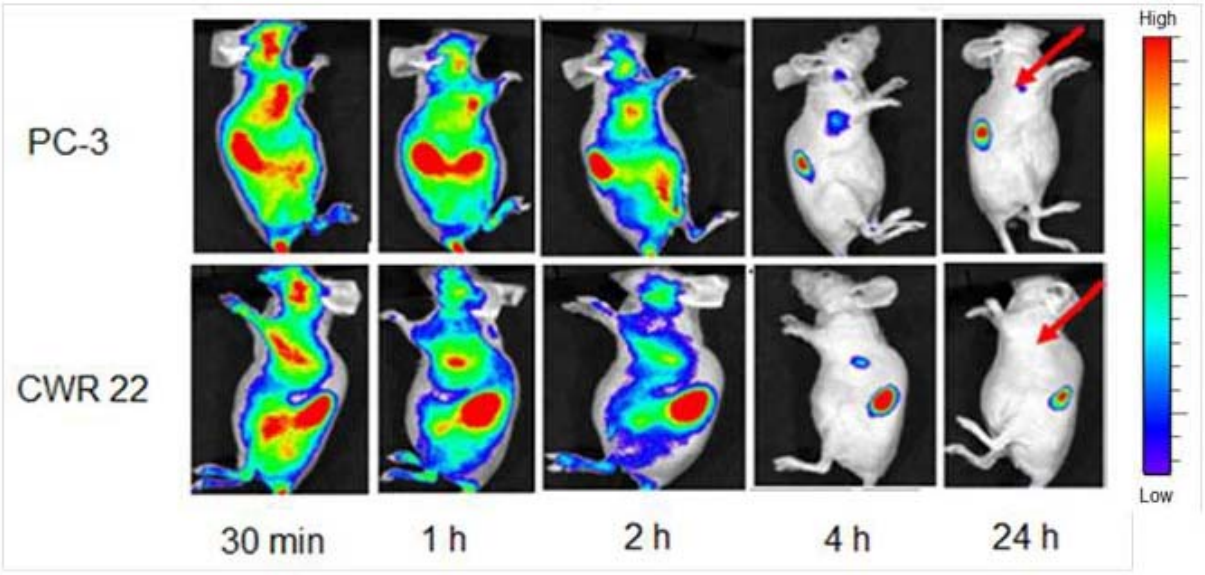
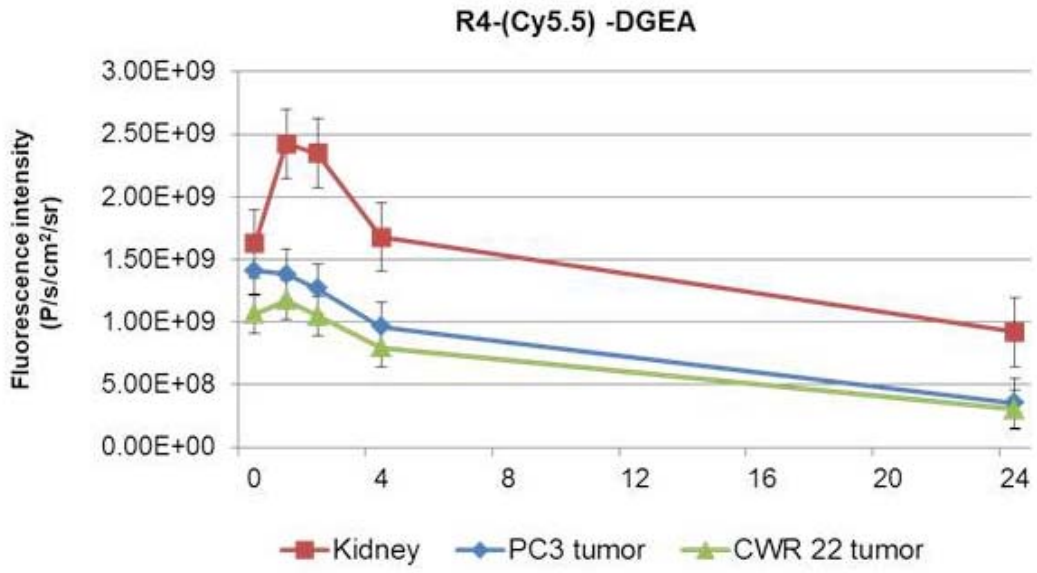
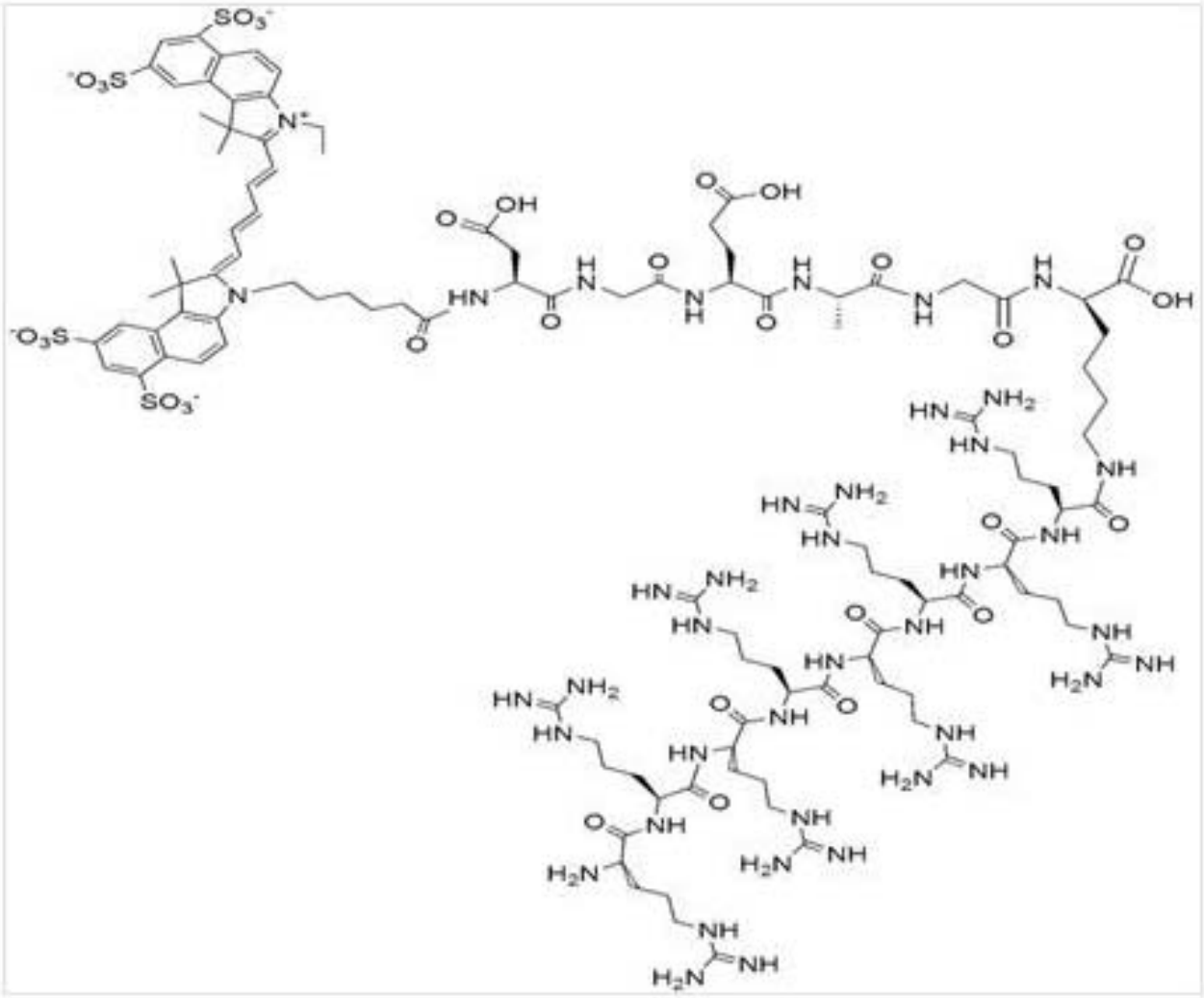


SUPPLEMENTAL FIGURE 1. (A) Flow cytometry analysis of integrin α2β1 binding specificity among prostate cancer cell lines that were incubated with FAM-DGEA, R4-(FAM)–DGEA and nonsense FAM-AAAA peptides.(B) The mean fluorescence intensity of each prostate cell line was calculated.(C) Fluorescent microscopy images of prostate cancer cell lines (PC-3, CWR-22 and LNCaP). The cells were incubated at 25°C in the presence of equimolar amount of R4-FAM-DGEA peptide for 30 min (a-c); to validate the binding specificity, the blocking experiment was also confirmed with the excess amount of the unlabeled DGEA peptide in PC-3 cell line (d).

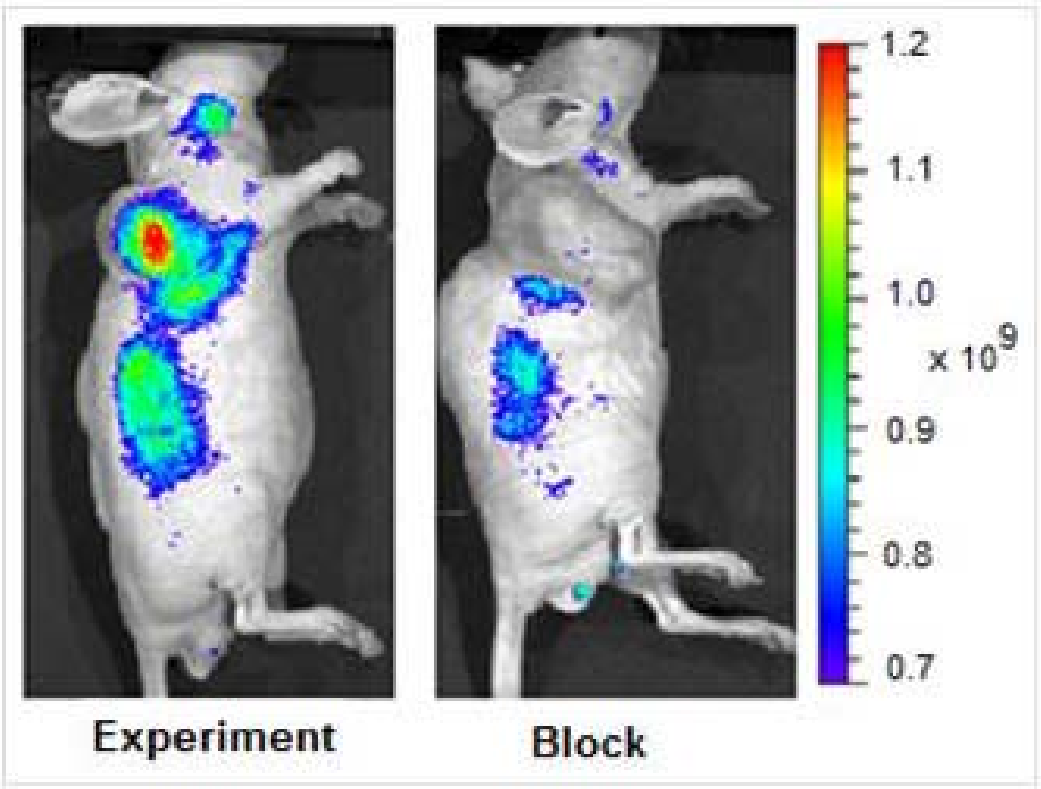




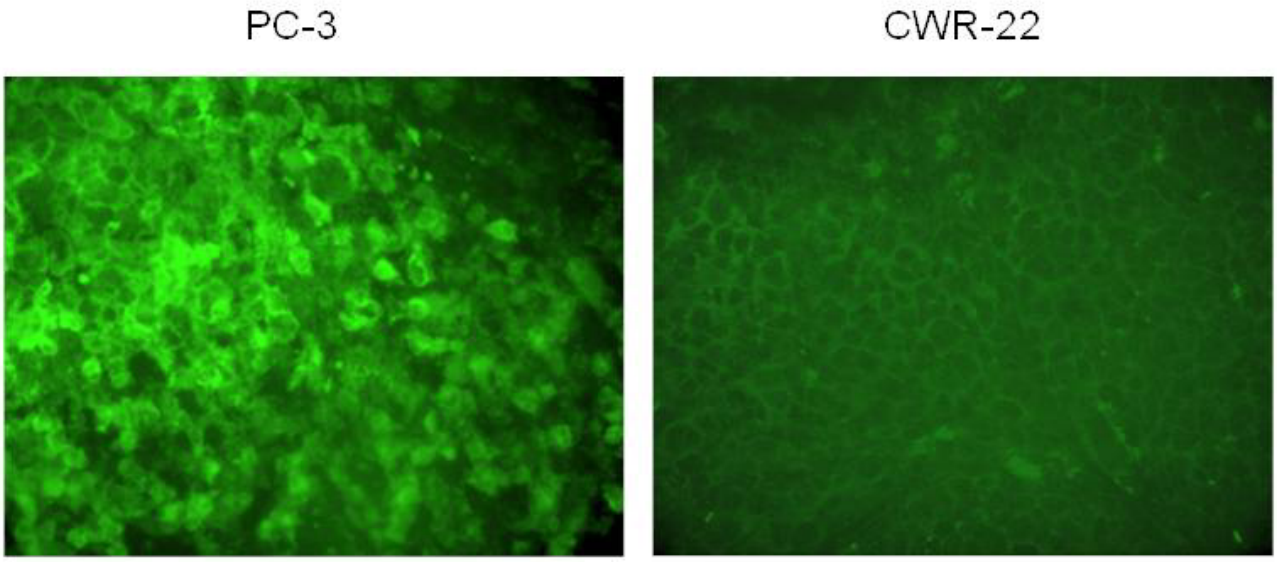
SUPPLEMENTAL FIGURE 2. (*Top*) *In vivo* fluorescence imaging of athymic nude mice bearing subcutaneous PC-3 or CWR-22 xenografts (n=3 for each model) after intravenous injection of 1.5 nmol R4-(Cy5.5)-DGEA. The tumor location was indicated by an arrow. *(Bottom)* The PC-3 tumor displayed little higher peptide uptake than that of CWR-22 tumor from 30 min to 4 h p.i. However, the kidney uptakes in both tumor models are significantly higher than that of tumors.



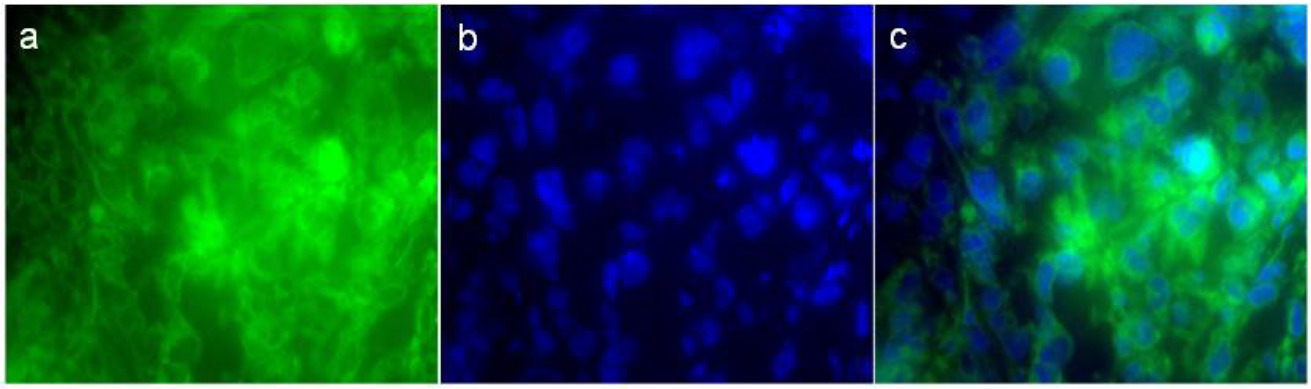
SUPPLEMENTAL FIGURE 3. Schematic structure of Cy5.5-conjugated DGEAGK(R8)-OH peptide.



SUPPLEMENTAL FIGURE 4. Representative NIR images of PC-3 tumor bearing mice after the injection of 1.5 nmol Cy5.5-DGEAGK(R8)-OH with/without a block dose of unlabeled DGEA peptides (10mg/kg).



SUPPLEMENTAL FIGURE 5. Immunofluorescence validation of tumor tissues: Tumors were removed, embedded in Tissue-Tek O.C.T. (Sakura, NL), snap frozen and serial sectioned (5 μm). Frozen tissue sections were fixed in cold acetone for 10 min and incubated with the monoclonal antibody FITC anti-human CD49b (BioLegend, CA) at a final concentration of 5 μg/ml. Immunofluorescence results demonstrated the high antibody binding of PC-3 tumor in comparison with the CWR-22 tumor which were in line with the expression level of integrin α2β1.



SUPPLEMENTAL FIGURE 6. Validation of the distribution of DGEAGK(R8) in PC-3 tumor. The spatial distribution of the peptides in frozen tumor tissue slices (5 μm) two hours after injection of peptide was observed under fluorescent microscopy. (a: Cy5.5-DGEAGK(R8)-OH peptide is shown in green. b: DAPI, c: overlay). The images