Supporting Information

Tumor extracellular acidity activated "off-on" release of bortezomib from a biocompatible dendrimer

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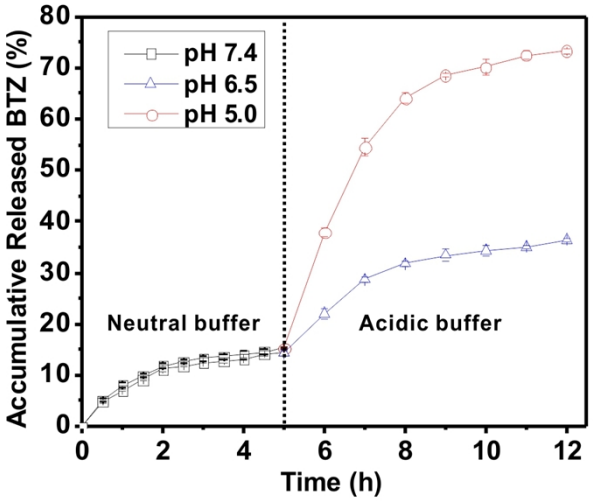

Fig. S1 *In vitro* release profiles of BTZ from G5-KAc-Cat. pH value of the receptor solution was 7.4 at the first 5 h and the pH value changes to 6.5 and 5.0 for the next 7 h in the *in vitro* release experiments. The molar ratio of BTZ and G5-KAc-Cat is fixed at 10:1.

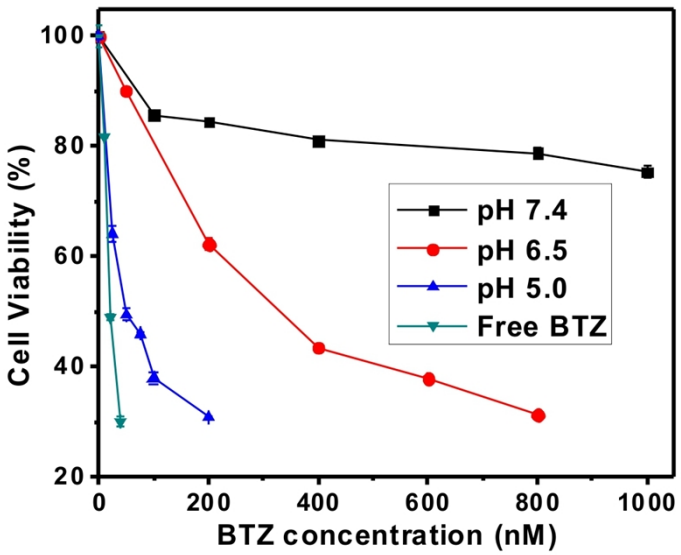

Fig. S2 *In vitro* cytotoxicity of BTZ-loaded G5-KAc-Cat complex (pH 7.4, 6.5 and 5.0) on PC-9 cells for 48 h. The molar ratio of BTZ and polymeric vector is 20:1. pH of the complex solution was adjusted to pH 7.4, 6.5 and 5.0 before incubation with the cells.

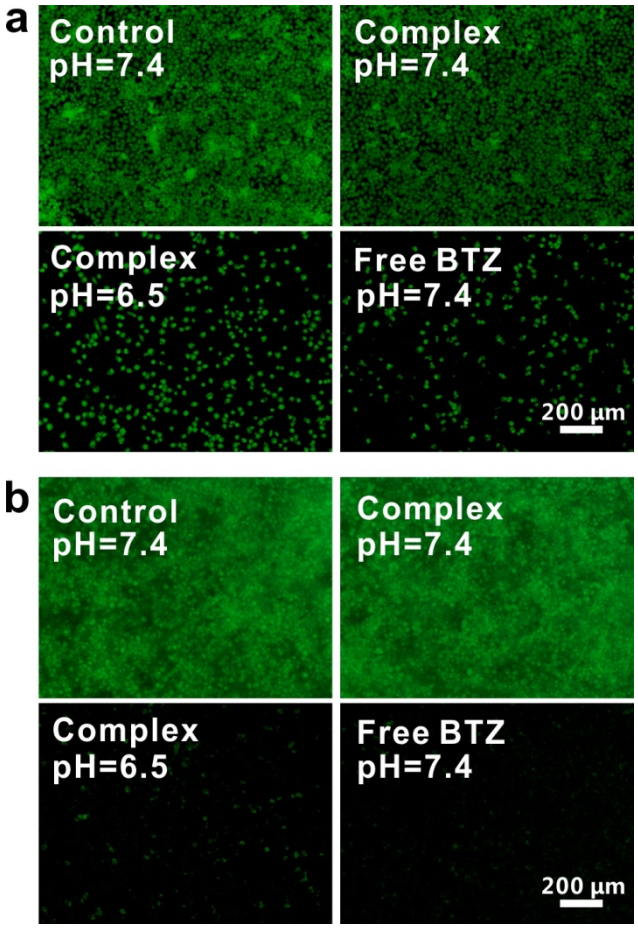

Fig. S3 AO-stained MCF-7 (a) and MG63 (b) cells after incubated with BTZ-loaded G5-KAc-Cat complex at different pH conditions (200 nM BTZ, the molar ratio of BTZ and polymeric vector is 10:1). pH of the complex solution was adjusted to pH 7.4 and 6.5 before incubation with the cells. Cells without treatment or treated with free BTZ (200 nM) were tested as controls.

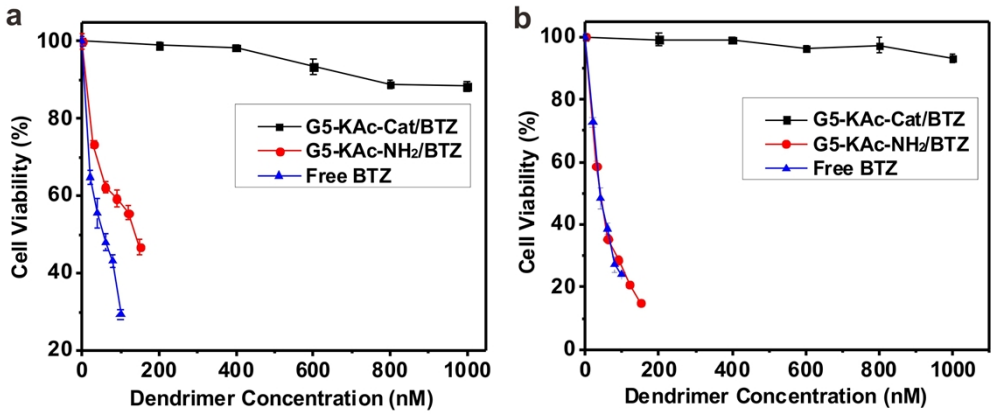

Fig. S4 *In vitro* cytotoxicity of BTZ-loaded G5-KAc-Cat and G5-KAc-NH_2 complexes on NIH3T3 (a) and HeLa (b) cells for 48 h. The molar ratio of BTZ and polymeric vector is 10:1. pH of the complex solution was adjusted to pH 7.4 before addition into the cells. Toxicities of free BTZ on the cells at different molar concentrations were tested as controls.

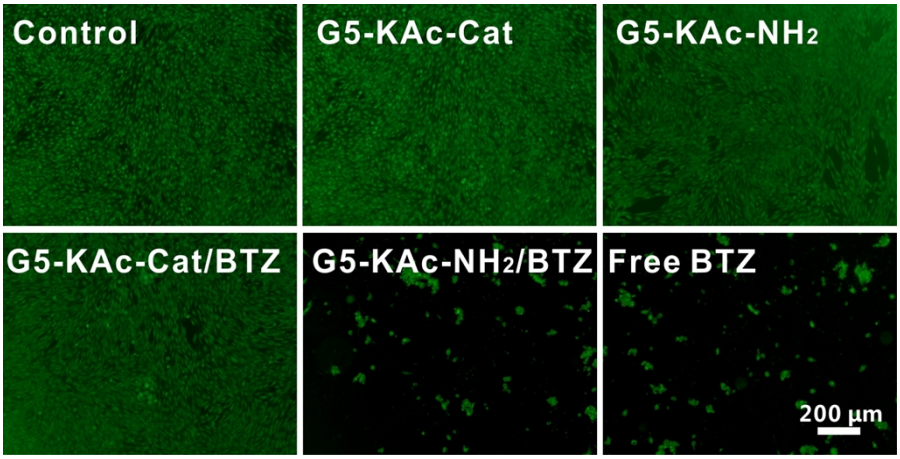

Fig. S5 AO-stained NIH3T3 cells after incubated with BTZ-loaded G5-KAc-Cat and G5-KAc-NH_2 complexes at pH 7.4 (200 nM BTZ, the molar ratio of BTZ and polymeric vector is 10:1). Cells without treatment or treated with free BTZ (200 nM) were tested as controls.

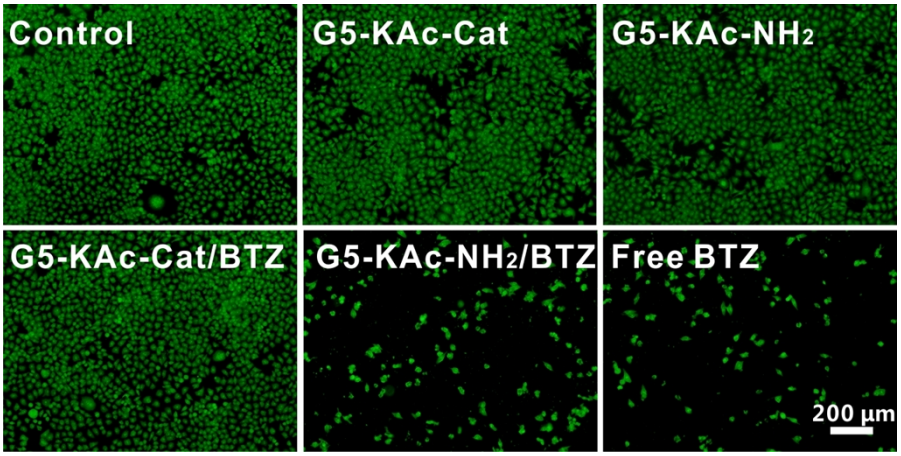

Fig. S6 AO-stained MCF-7 cells after incubated with BTZ-loaded G5-KAc-Cat and G5-KAc-NH_2 complexes at pH 7.4 (200 nM BTZ, the molar ratio of BTZ and polymeric vector is 10:1). Cells without treatment or treated with free BTZ (200 nM) were tested as controls.

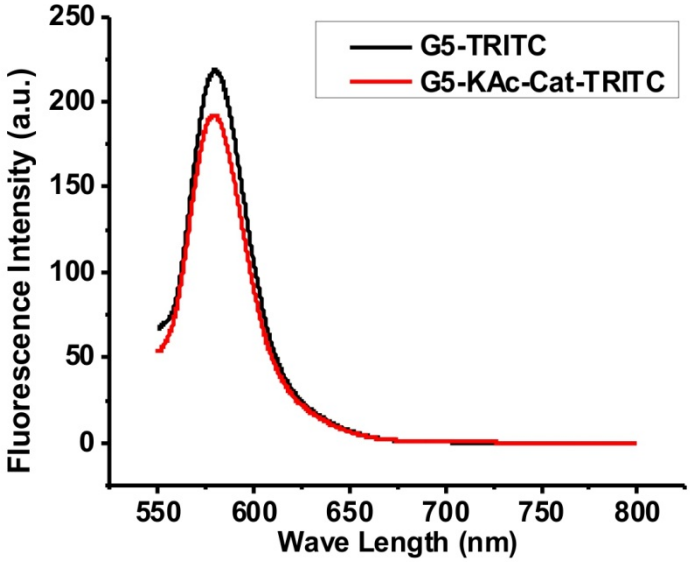

Fig. S7 Fluorescence spectra of TRITC-labeled G5 dendrimer and G5-KAc-Cat (550 nM).

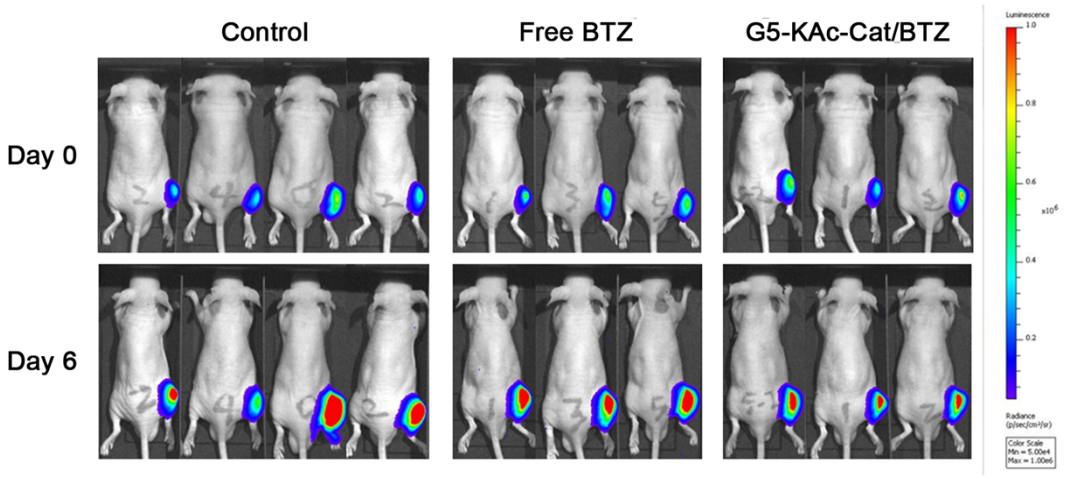

Fig. S8 Bioluminescence images of mice bearing PC-9-luc bone tumors treated with PBS (control), free BTZ and BTZ-loaded G5-KAc-Cat, respectively.

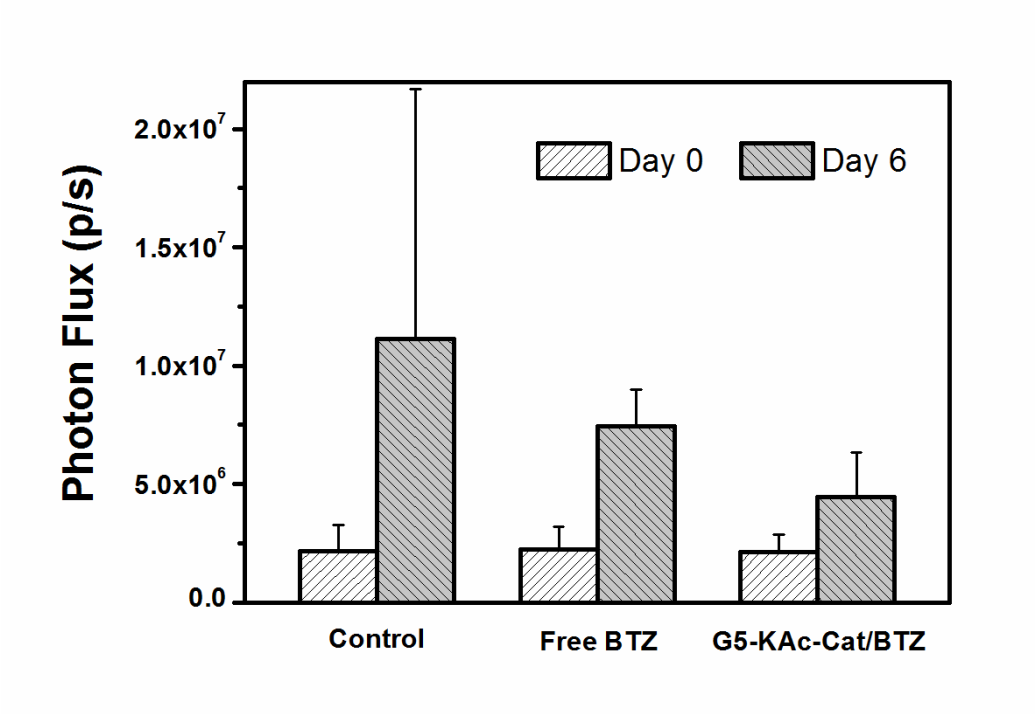

Fig. S9 Photon fluxes in the bioluminescence images in Fig. S8. Error bars represent the s.e. (n=3).

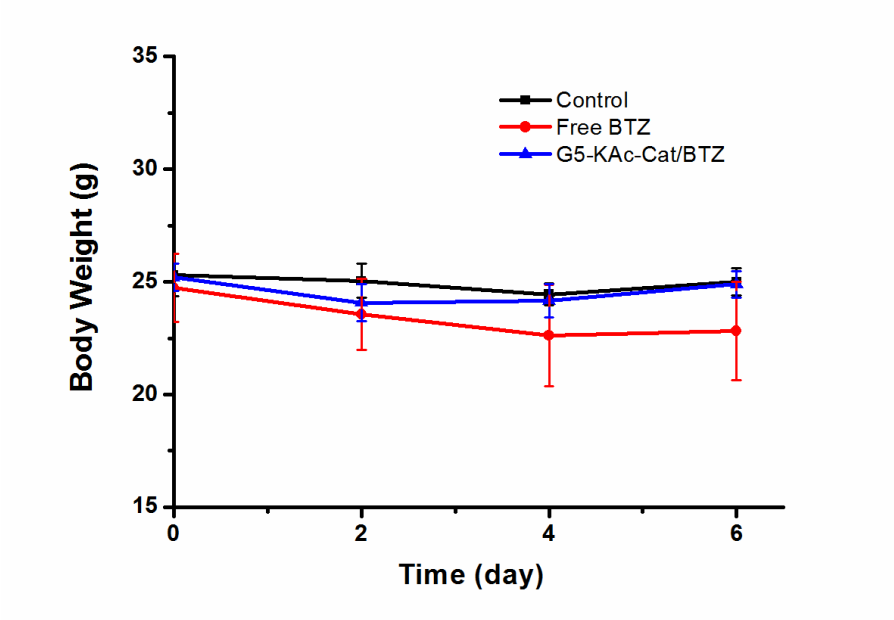

Fig. S10 Body weights of mice bearing PC-9-luc bone tumors treated with PBS (control), free BTZ and BTZ-loaded G5-KAc-Cat, respectively. Error bars represent the s.e. (n=3).

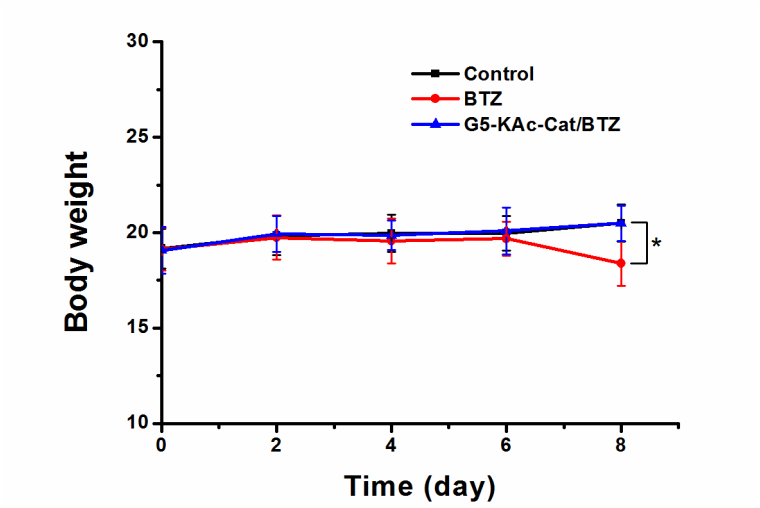

Fig. S11 Body weights of normal BALB/c mice treated with PBS (control), free BTZ and G5-KAc-Cat/BTZ, respectively. Error bars represent the s.e. (n=5). *$p < 0.05$.