## **Supplementary Information**

## A fluorescent light-up aggregation-induced emission probe for screening gefitinib

## sensitive non-small cell lung carcinoma

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Fig. S1 <sup>1</sup>H NMR spectrum of TPE-NH<sub>2</sub>.



Fig. S2 <sup>13</sup>C NMR spectrum of TPE-NH<sub>2</sub>.



Fig. S3 Mass spectrum of TPE-NH<sub>2</sub>. ESI-MS m/z: 348.1740 (calculated for  $[M]^+$ : 348.1747).



**Fig. S4** Mass spectrum of (Fmoc)D(OtBu)E(OtBu)VD(OtBu)-TPE. ESI-MS m/z: 1196.6028 (calculated for [M]<sup>+</sup>: 1196.5955).



**Fig. S5** Mass spectrum of D(OtBu)E(OtBu)VD(OtBu)-TPE. ESI-MS m/z: 974.5275 (calculated for [M]<sup>+</sup>: 974.5274).



**g. S6** Mass spectrum of DEVD-TPE. ESI-MS m/z: 804.5255 (calculated for [M]<sup>-</sup>: 804.3250).



**Fig. S7** The fluorescent intensity of DEVD-TPE (56.5  $\mu$ M) in a HEPES buffer (pH 8.0) upon incubated with caspase-3 (31.3 pM, 62.5 pM, 125 pM, 250 pM and 500 pM) for 30 min. The detection limit for caspase-3 was estimated to be 4 pM.



**Fig. S8** Proliferation assay of HCC827 cells, HeLa cells, A549 cells and H1650 cells to gefitinib.



Fig. S9 Apoptosis assay of HeLa cells to gefitinib.



**Fig. S10** Fluorescent images of HeLa cells upon treatment with gefitinib (1  $\mu$ g/mL and 10  $\mu$ g/mL) for 24 h and DEVD-TPE (10  $\mu$ M) for 1 h. All images share the same scale bar.



Fig. S11 Representative flow cytometry histogram profiles of HeLa cells cultured with vary concentration (1  $\mu$ g/mL and 10  $\mu$ g/mL) of gefitinb and stained by DEVD-TPE.



Fig. S12 Caspase-3 protein activity in HCC827 cells, HeLa cells, A549 cells and H1650 cells activated by gefitinib for 24 h at a concentrate of 1  $\mu$ g/mL.