

## Supporting Information

### A Two-Component Active Targeting Theranostic Agent Based on Graphene Quantum Dots

Xiaojuan Wang,\*<sup>a,b</sup> Xing Sun,<sup>b</sup> Hua He,<sup>a,b</sup> Hao Yang,<sup>b</sup> Jun Lao,<sup>b</sup> Yanzhuo Song,<sup>b</sup>

Yongqing Xia,<sup>a,b</sup> Hai Xu,<sup>a,b</sup> Xiaodong Zhang<sup>c</sup> and Fang Huang\*\*<sup>a, b</sup>

<sup>a</sup> *State Key Laboratory of Heavy Oil Processing, China University of Petroleum (East China), 66 Changjiang West Road, Qingdao 266555, China*

<sup>b</sup> *Centre for Bioengineering and Biotechnology, China University of Petroleum (East China), 66 Changjiang West Road, Qingdao 266555, China*

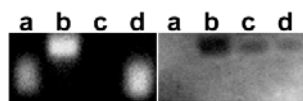
<sup>c</sup> *Institute of Radiation Medicine and Tianjin Key Laboratory of Molecular Nuclear Medicine, Chinese Academy of Medical Sciences and Peking Union Medical College, Tianjin 300192, China*

\*Corresponding Author

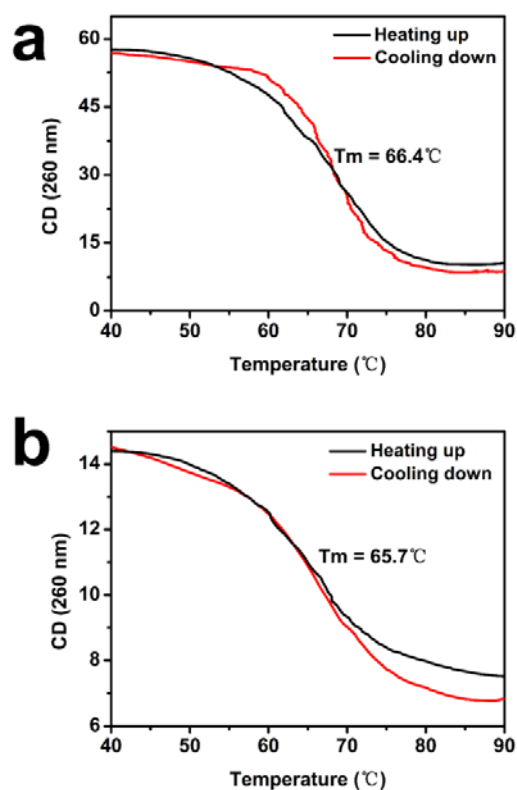
Tel: 86-532-86983455, Email: xwang@upc.edu.cn (X. W.)

\*\*Corresponding Author

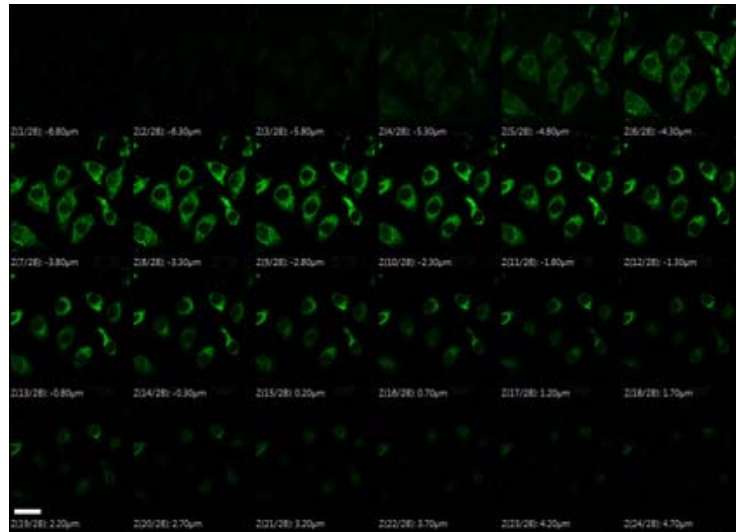
Tel: 86-532-86981560, Fax: 86-532-86981560, Email: fhuang@upc.edu.cn (F.H.)



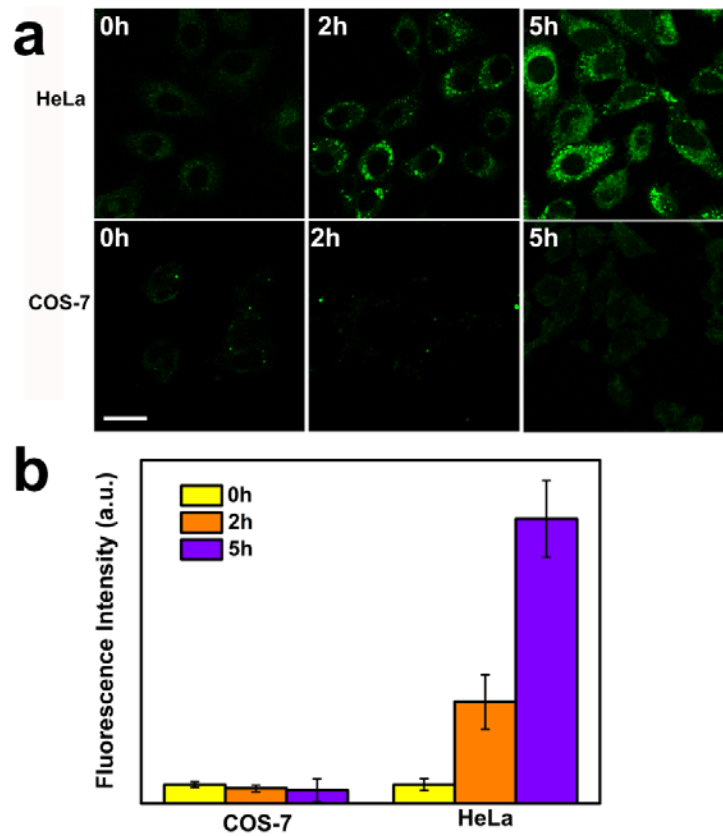
**Figure S1.** Gel electrophoresis of bare GQDs (lane a), AS1411-GQD conjugates (lane b), AS1411 (lane c), and mixture of GQDs and AS1411 without chemical coupling (lane d). Image on the left side was taken under UV light. Image on the right side was taken under white light after Stains All staining.



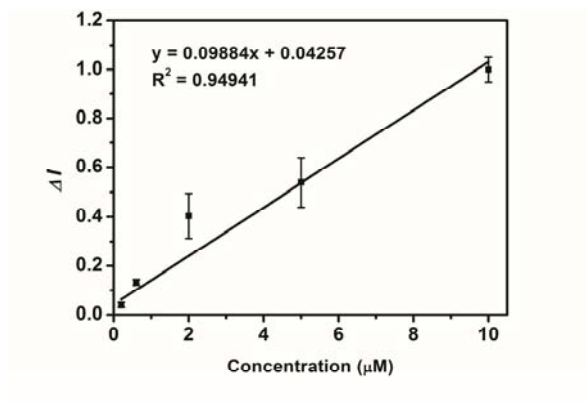
**Figure S2.** The melting curves of (a) AS1411 and (b) AS1411-GQD conjugates monitored at 264 nm in 10mM of Tris-HCl buffer solution containing 150mM of KCl and 2.5mM of MgCl<sub>2</sub>.



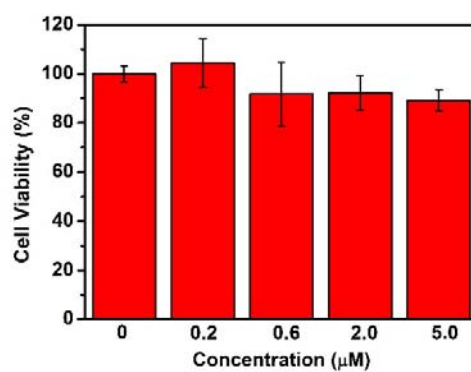
**Figure S3.** Confocal laser scanning microscopy images of HeLa cells labeled with AS1411-GQD. Micrographs were taken while the focal plane was moved along the Z-axis incrementally from the bottom of cells up to the coverslip, which demonstrated that AS1411-GQD mainly localized in the cell cytoplasm fractions. Scale bar is 20  $\mu\text{m}$ .



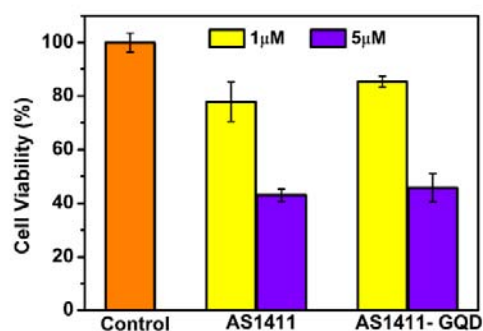
**Figure S4.** Specific labelling of cancer cells with AS1411-GQD conjugates. (a) Confocal laser scanning microscopy of HeLa and COS-7 cells after incubation with AS1411-GQD (5  $\mu$ M) at 37  $^{\circ}$ C for 0, 2, and 5 h. Scale bar is 20  $\mu$ m. (b) Time-dependent cellular uptake of AS1411-GQD conjugates. The data are displayed as means  $\pm$  standard deviation with  $n = 3$ .



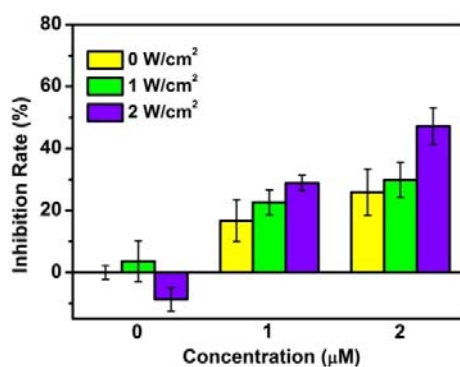
**Figure S5.** Fluorescence intensity analysis of of A549 cells after incubation with AS1411–GQD at 37 °C for 5 h. The data are displayed as means ± standard deviation with  $n = 3$ .



**Figure S6.** MTT assay of A549 cells after incubation with AS1411–GQD conjugates without NIR irradiation for 5 h. The data are displayed as means ± standard deviation with  $n = 6$ .



**Figure S7.** MTT cell viability of HeLa cells treated with bare AS1411 and AS1411-GQD conjugates without NIR irradiation. The cells were incubated with bare AS1411 or AS1411-GQD for 24 h and the relative cell viabilities were determined 48 h post treatment. The data are displayed as means  $\pm$  standard deviation with  $n = 6$ .



**Figure S8.** Growth inhibition assay of HeLa cells after incubation with AS1411-GQD conjugates followed by irradiation with 808 nm laser at varying power densities.

**Table S1.** Zeta potential of GQD, AS1411, and AS1411-GQD

Materials	GQD	AS1411	AS1411-GQD
Zeta Potential (mV)	-21.0±3.7	-10.9±2.3	-12.9±3.6