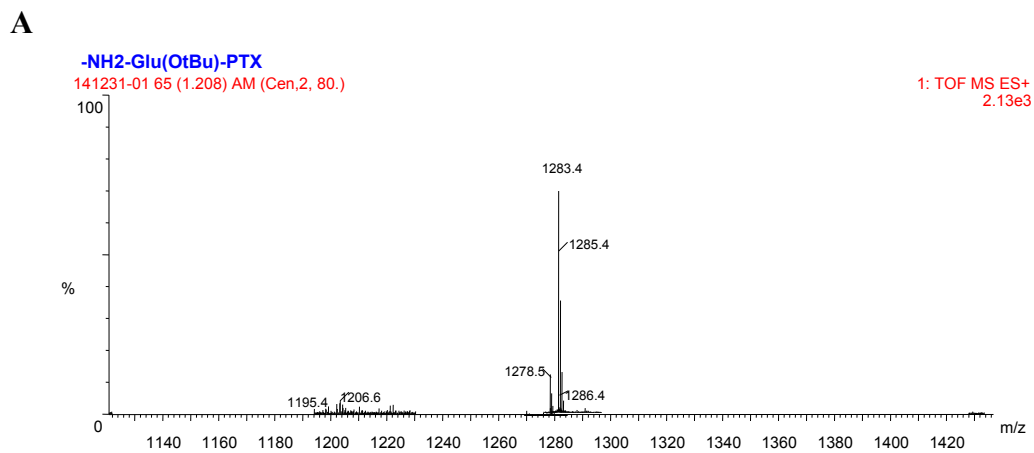
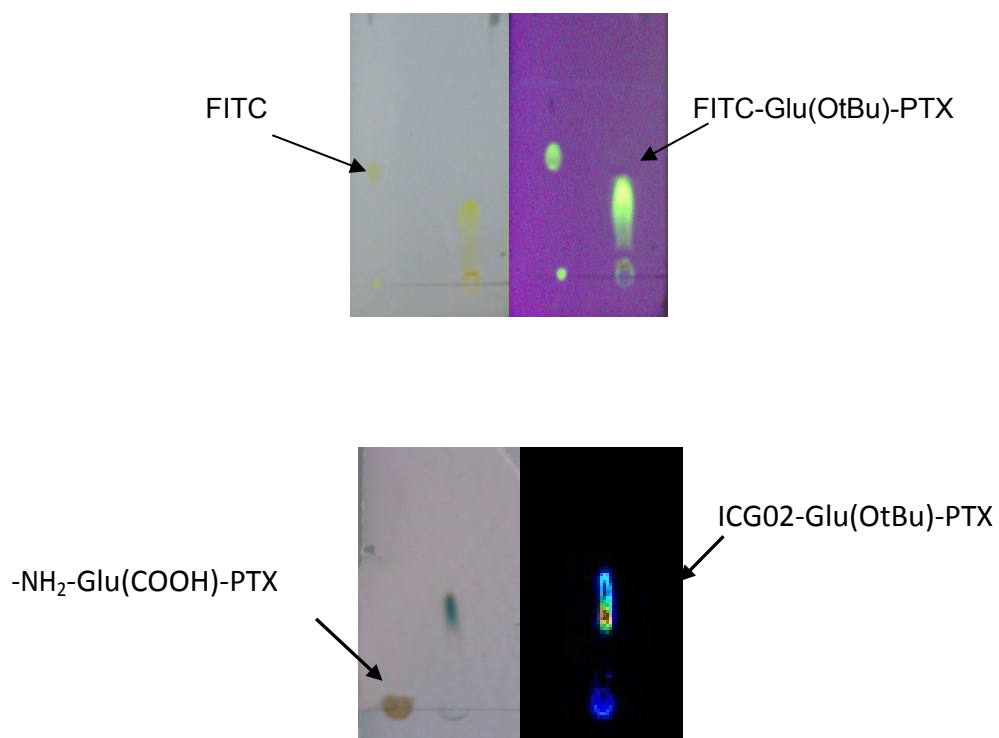


## Supplementary Information

### Figures:



**B**



C

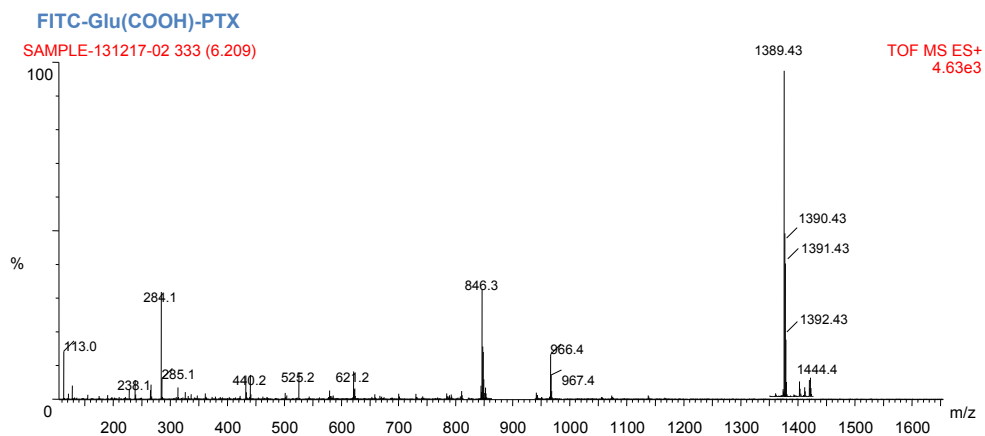
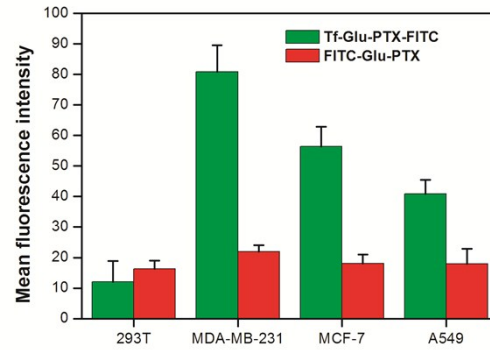


Fig. 1. Characterization of intermediate compounds. (A)  $\text{-NH}_2\text{-Glu(OtBu)-PTX}$ : MS (ESI, m/z): 1283.4( $[\text{M}+\text{Na}]^+$ ). (B) The fluorescence of FITC can be observed from the TLC of purified FITC-Glu(OtBu)-PTX using TLC imaging system, and the fluorescence of ICG02 can be observed from TLC of purified ICG02-Glu(OtBu)-PTX using NIR imaging system. (C) FITC-Glu(COOH)-PTX:MS(ESI,m/z): 1389.42( $[\text{M}+\text{H}]^+$ ).

**A**



**B**

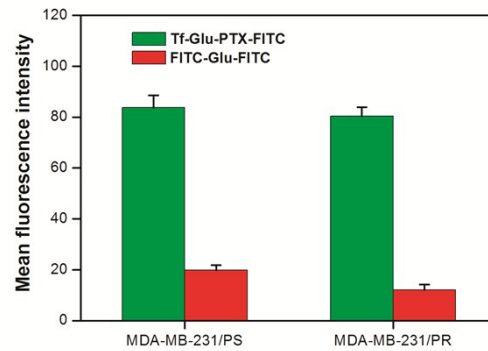


Fig. 2. (A) Mean fluorescence emission intensities of Tf-Glu-PTX-FITC or FITC-Glu-PTX from different cells: 293T, MDA-MB-231, MCF-7, and A549 cell. (B) Fluorescence intensity analysis of MDA-MB-231/PS and MDA-MB-231/PR cells after incubation with Tf-Glu-PTX-FITC or FITC-Glu-PTX.

Table 1: The IC<sub>50</sub> of PTX and Tf-Glu-PTX from different cell lines.

Drug	IC <sub>50</sub> ( $\mu$ g/ml)			
	MDA-MB-231	MCF-7	A549	293T
PTX	62.67	64.46	65.91	28.19
Tf-Glu-PTX	18.83	21.49	24.12	42.18