**Conjugate characterization.** The characterization of each conjugate follows below

***OHT-6C-BODIPY*** 3 mg of the BODIPY FL conjugate was obtained after purification by preparative HPLC. *1H NMR (500 MHz) ($CD_3OD$)* δ 7.49 (1H, s), δ 7.15-6.98 (10H, m) δ 6.78 (1H, dd, J=7.4, 2.1 Hz) δ 6.30 (1H, t, J=3.7 Hz) δ 6.19 (1H, s) δ 6.37 (1H, d, J=8.5 Hz) δ 3.6 (4H, br s) δ 3.42 (2H, m) δ 3.20-3.15 (3H, m), δ 3.05 (1H, t, J=7.9 Hz) δ 3.00 (1H, t, J=7.9 Hz) δ 2.60-2.56 (2H, m) δ 2.48-2.42 (5H, m), δ 2.25 (3H, s) δ 1.75-1.61 (2H, m), δ 1.54-1.30 (7H, m), δ 0.88 (3H, t, J=7.33). Insufficient material was generated to obtain a 13C NMR. High Resolution ESI-MS calculated for $C_{44}H_{51}BF_2N_4O_3$, 732.4022; found, 732.4136

***OHT-6C-carboxyfluorescein*** 2 mg of the carboxyfluorescein conjugate was obtained after purification by preparative HPLC. Insufficient material was recovered to acquire suitable NMR spectra. High Resolution ESI-MS calculated for $C_{51}H_{48}N_2O_8$, 816.3411; found (M+1), 817.3494

***OHT-6C-AF546*** 1 mg of the AlexaFluor 546 conjugate was obtained after purifaction by preparative HPLC. Insufficient material was recovered to acquire suitable NMR spectra. ESI-MS calculated for $C_{70}H_{80}Cl_3N_5O_{13}S_3$: 1399; found (M+1), 1400.

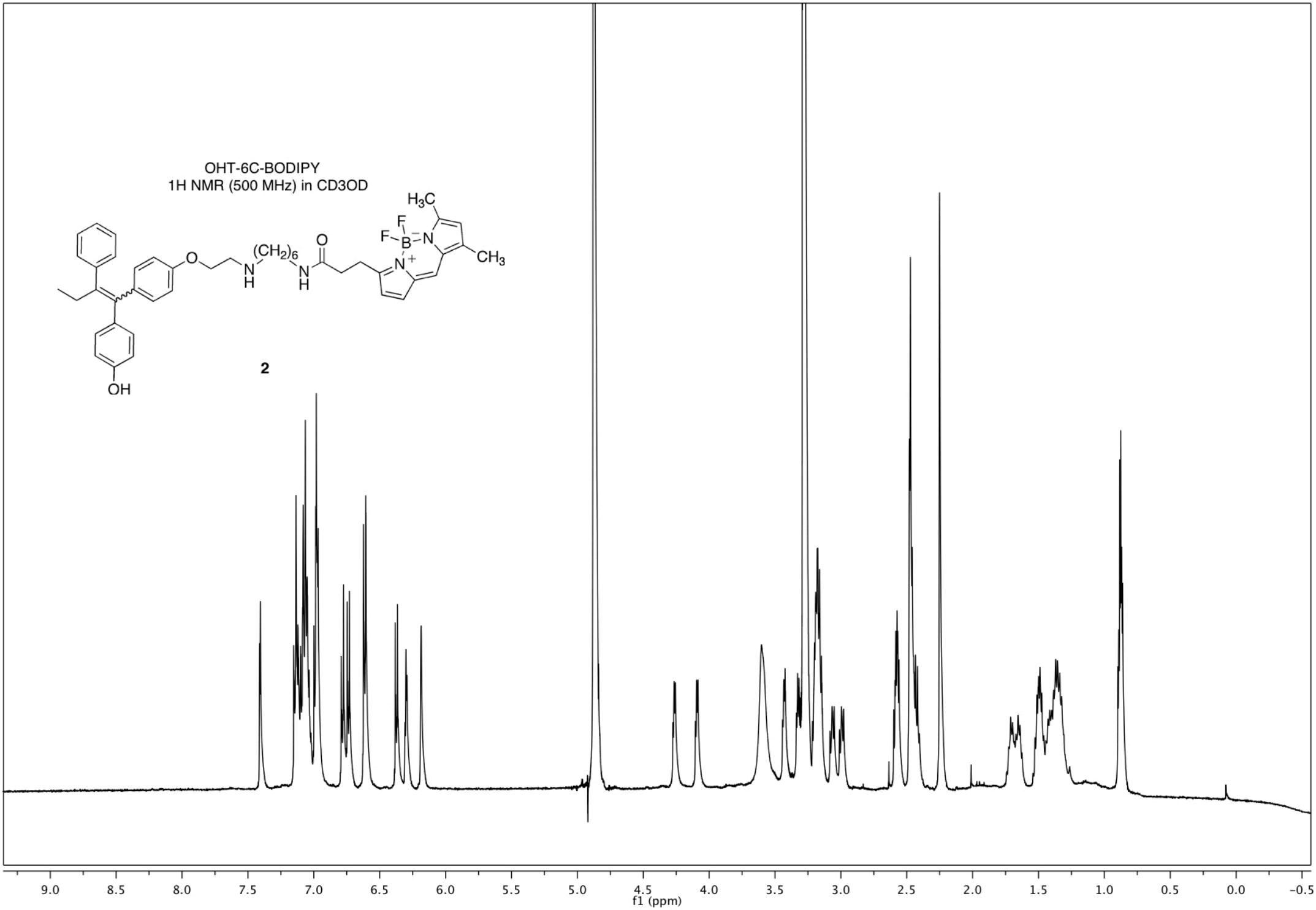
OHT-6C-BODIPY
1H NMR (500 MHz) in CD3OD
2
f1 (ppm)

**Figure S1.**

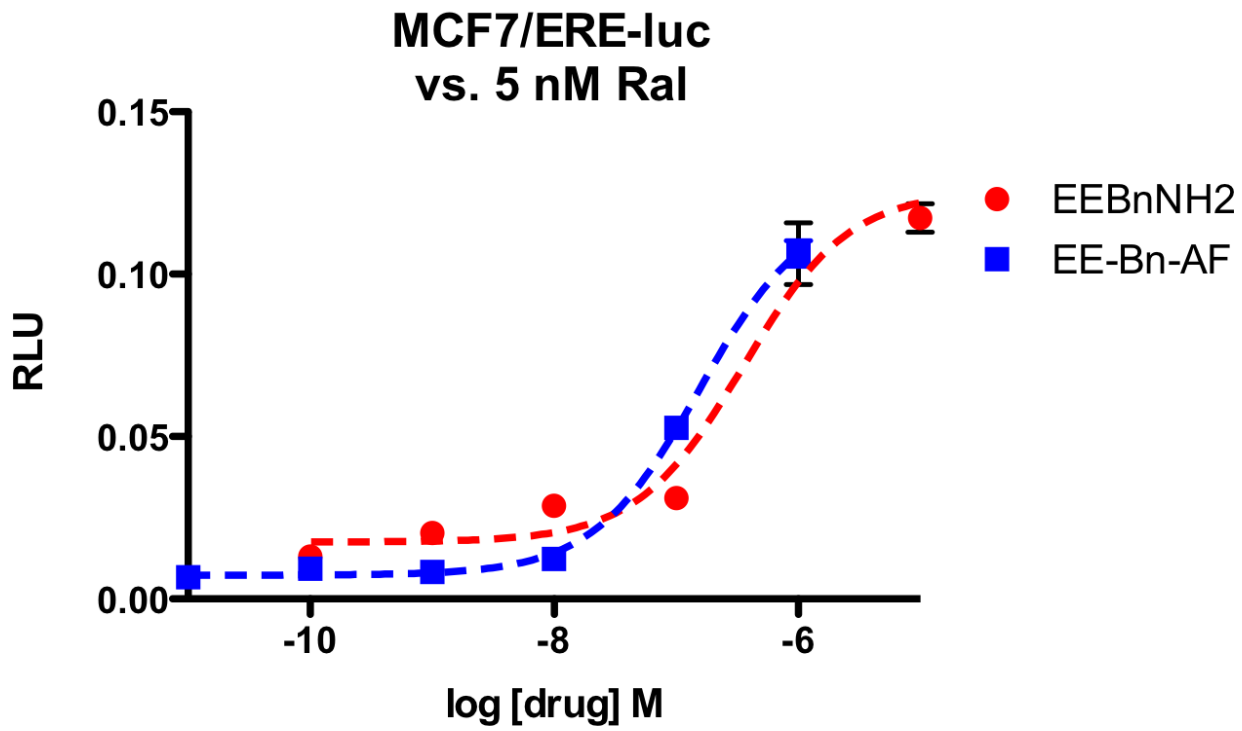


**Figure S1.** Effects of compounds on ER-mediated transcription in MCF7 cells transiently transfected with a luciferase reporter plasmid under the control of ERE-containing promoter. The drugs were added in the presence of 5 nM raloxifene. Luciferase activity was examined at 24 hours after drug treatment. Red circles= EE-Bn-NH2 (see Scheme 2 in the main manuscript for structure. Blue squares=EE-Bn-AF

**Figure S2**

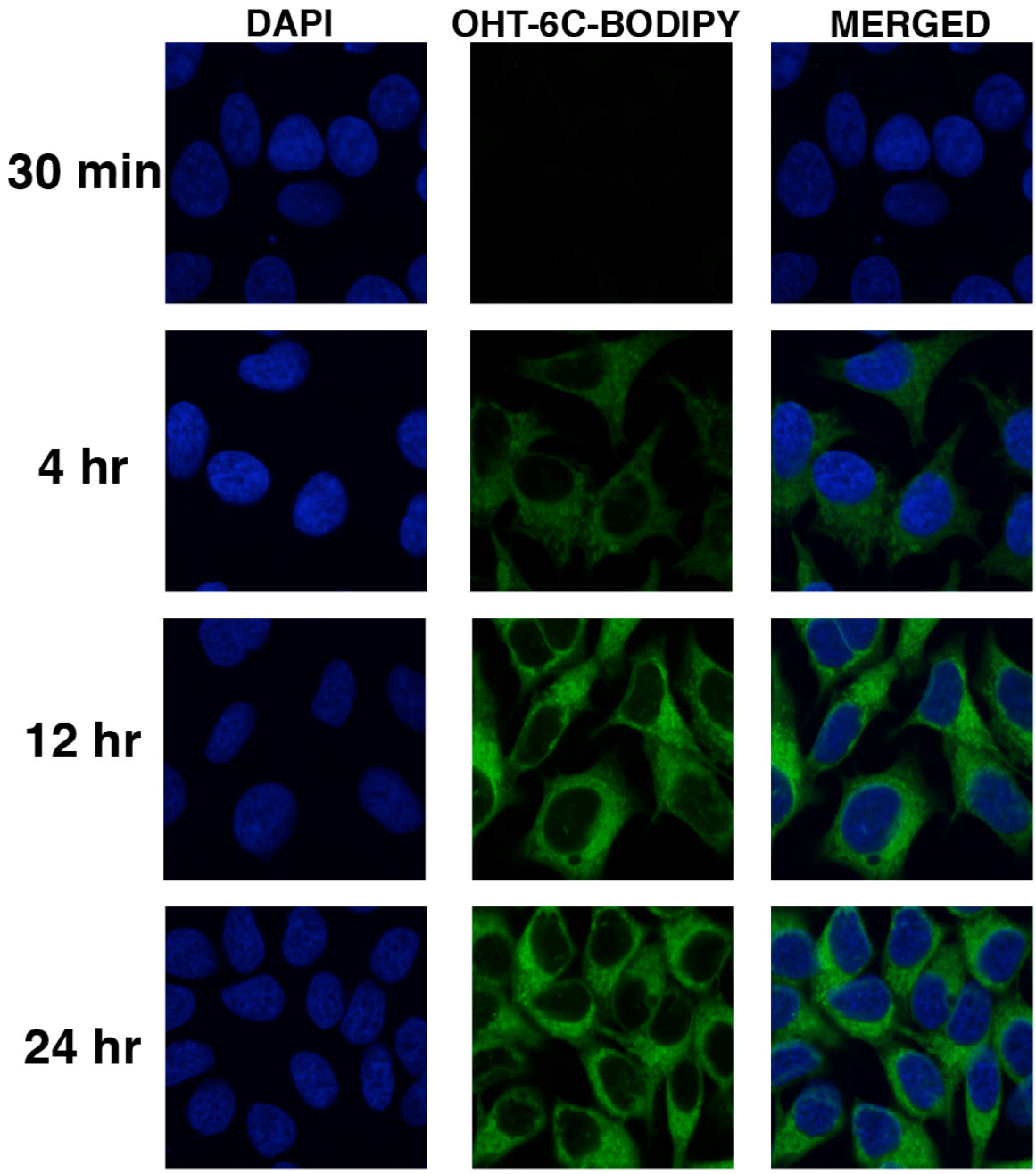


**Figure S2** Time course uptake of 1 μM OHT-6C-BODIPY in MCF-7 cells. Left column represents the nuclear stain DAPI. The middle column represents the image obtained from OHT-6C-BODIPY. Right column shows the merged image of the two.

**Figure S3.**

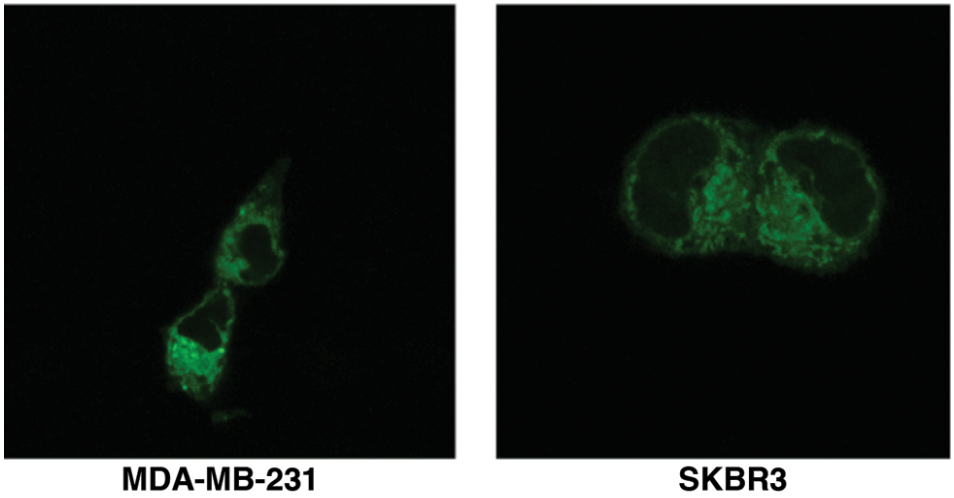


**Figure S3**. Images of the uptake of 100 nM OHT-6C-BODIPY by ER-negative MDA-MB-231 cells (left) and ER-negative SKBR3 cells (right) after 16 hours of dosing. The green represents the emission of the BODIPY fluorophore