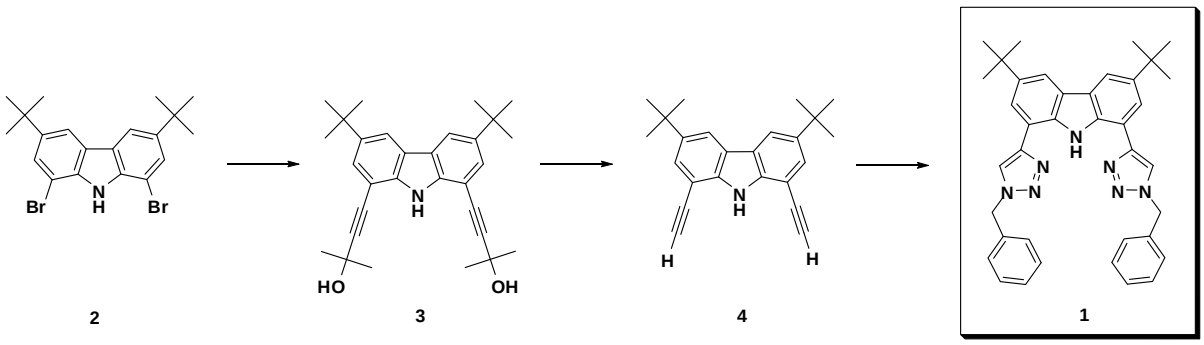
Supporting Information

Measurements. UV absorption spectra were recorded on a JASCO model V-660 spectrometer. Fluorescence emission spectra were recorded on a JASCO model FP-6300 spectrometer. ^{1}H and ^{13}C NMR spectra were recorded on a Bruker Avance DPX 400 and DPX 250 spectrometer at 25 °C in $CDCl_3$. MALDI-TOF-MS was performed on an Applied Biosystems 4700 proteomics analyzer with dithranol (1,8,9-trihydroxyanthracene) as the matrix.

Synthesis.



2 was synthesized according to the following reference.[1]

3: To a mixture solution of **2** (6.76 g, 15.34 mmol) and 2-methyl-3-butyn-2-ol (4.50 mL, 46.02 mmol) in dry Et_3N/THF (20 mL/10 mL), $Pd(PPh_3)_2Cl_2$ (81.77 mg, 0.12 mmol) and CuI (33.00 mg, 0.17 mmol) were added. The mixture solution was stirred for 24 h at 60 °C. The solvent was removed under reduced pressure, and the crude mixture was purified by silica gel column with EtOAc/hexane as eluent to give **3** (5.51 g, 12.42 mmol, 81 %): ^{1}H NMR (400 MHz, $CDCl_3$, 25 °C) δ = 9.14 (s, 1 H), 8.03 (d, 2 H), 7.51 (d, 2 H), 5.30 (s, 1 H), 4.41 (s, 2 H), 1.68 (s, 12 H), 1.42 (s, 18 H)

4: To a solution of **3** (5.5 g, 12.40 mmol) in toluene (45 mL), NaOH (1.98 g, 49.60 mmol) was added and refluxed for 2 h. The solvent was removed under reduced pressure, and the crude product was purified by silica gel column with CH_2Cl_2/hexane as eluent to give a **4** (3.57 g, 10.90 mmol, 88 %): ^{1}H NMR (250 MHz, $CDCl_3$, 25 °C) δ = 8.46 (s, 1 H), 8.09 (d, 2 H), 7.64 (d, 2 H), 3.47 (s, 2 H), 1.45 (s, 18 H)

1: To a suspension of **4** (0.100 g, 0.305 mmol) and benzylazide(0.102 g, 0.763 mmol) in 1:1 mixture of water and THF (14 mL), sodium L-ascorbate (0.030 g, 0.153 mmol) and copper(II) sulfate pentahydrate (0.019 g, 0.025 mmol, in 100 μL of water) were added. The mixture was stirred vigorously for 12 h at 50#C. The organic layer was separated and dried over $MgSO_4$. After evaporation of the solvent under reduced pressure, the residue was purified using silica gel column with CH_2Cl_2/hexane as eluent. The residue was

recrystallized from hexane to afford **1** as white powder (146 mg, 0.246 mmol, 81 %): ^{1}H NMR (250 MHz, $CDCl_3$, 25 °C) δ = 11.74 (s, 1 H), 8.10 (d, 2 H), 7.95 (s, 2 H), 7.67 (d, 2 H), 7.40 (m, 10 H), 5.68 (s, 4 H), 1.47 (s, 18 H); ^{13}C NMR (100 MHz, $CDCl_3$, 25 °C) δ = 148.16, 141.85, 135.84, 134.99, 129.28, 128.85, 128.23, 123.94, 120.37, 119.26, 116.52, 112.76, 54.46, 34.84, 32.20 ppm; MALDI-TOF-MS: *m/z*: calcd. for $C_{38}H_{39}N_7$: 593.33 $[M+H]^+$; found 594.03.

1. (*a*) Y. Liu, M. Nishiura, Y. Wang and Z. Hou, *J. Am. Chem. Soc.*, 2006, **128**, 5592; (*b*) M. S. Mudadu, A. N. Singh and R. P. Thummel, *The Journal of Organic Chemistry*, 2008, **73**, 6513.