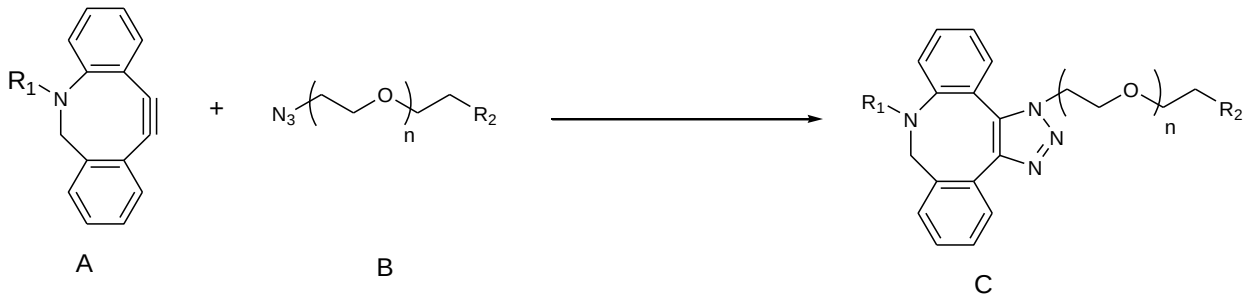
DBCO PEG Protocol



A B C

Procedure

To a solution of A (25 mg, 78.3 Gmol) in 0.1 mL of EtOH/H_2O (3:2) was added a solution of B (20 mg, 78.3 Gmol) in 0.1 mL of EtOH/H_2O (3:2). The reaction mixture was stirred for 60 min at room temperature. The aqueous layer was extracted with ethyl acetate (3 × 10 mL). The combined organic layers were then dried over $MgSO_4$ and concentrated under reduced pressure. The residue was then purified by flash column chromatography (CH_2Cl_2/methanol, 9:1) to obtain C, both isomers of the triazole were collected and treated as one compound. Yield (35 mg, 78%).

To a solution of A (0.32 mg, 1.0 Gmol) in 0.1 mL of EtOH/H_2O (3:2) was added a solution of [18F]B (481 MBq) in 0.1 mL of EtOH/H_2O (1:1). The reaction mixture was stirred for 15 min at room temperature. The reaction was monitored by radio-TLC. The crude compound was injected onto reverse-phase HPLC and purified. The desired compound [18F]C was collected from HPLC (tR = 12.9 min; C 18 silica gel, 10 Gm, 10 × 250 mm; 0.1% TFA in H_2O/acetonitrile = 30:70 (v/v); 254 nm; 2 mL/min). The total synthesis time of [18F]3 was 35 min, and the decay-corrected radiochemical with > 98% radiochemical purity. Both isomers of the triazole were collected and treated as one compound. Specific activity was estimated by comparing UV peak intensity of the purified [18F]-labeled compound with reference non-radioactive compounds of known concentrations. The specific activity of [18F]3 (42 GBq/Gmol) was obtained after purification on the HPLC column. Yield 93%.

Reference:

Sachin, Kalme et al., Bioconjugate Chemistry, 23(8), 1680-1686; 2012.