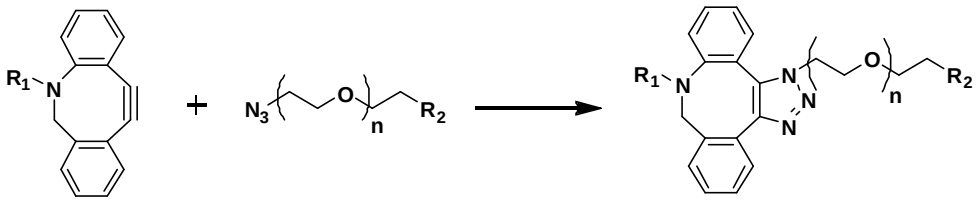
DBCO PEG Protocol



A B C

Procedure

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

Add [18F] B (481 MBq) solution in 0.1 ml ethanol / water (1:1) to a (0.32 mg, 1.0 Gmol) solution in 0.1 ml EtOH / H_2O (3 : 2). The reaction mixture was stirred at room temperature for 15 minutes. The reaction is monitored by TLC. The crude product was injected into RP-HPLC for purification. The desired compound [18F] C was collected from HPLC (TR = 12.9min; C 18 silica gel, 10 GM, 10 × 250mm; 0.1% TFA in water / acetonitrile = 30:70 (V / V); 254 nm; 2 ml / min). The total synthesis time of [18F] 3 was 35 minutes, and the radiochemical purity of [18F] 3 was more than 98%. Two isomers of triazole were collected and treated as a compound. The specific activity can be estimated by comparing the UV peak intensity of the purified [18F] labeled compound with the known concentration of the reference non radioactive compound. The specific activity of [18 F] 3 (42 GBq / gmol) was obtained after purification on HPLC column. Yield 93%.

Reference

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