Electronic Supplementary Material (ESI) for Organic & Biomolecular Chemistry.

Supporting information

Dipicolylamino group as an efficient leaving group for amide bond formation via hexafluoroisopropanol active ester

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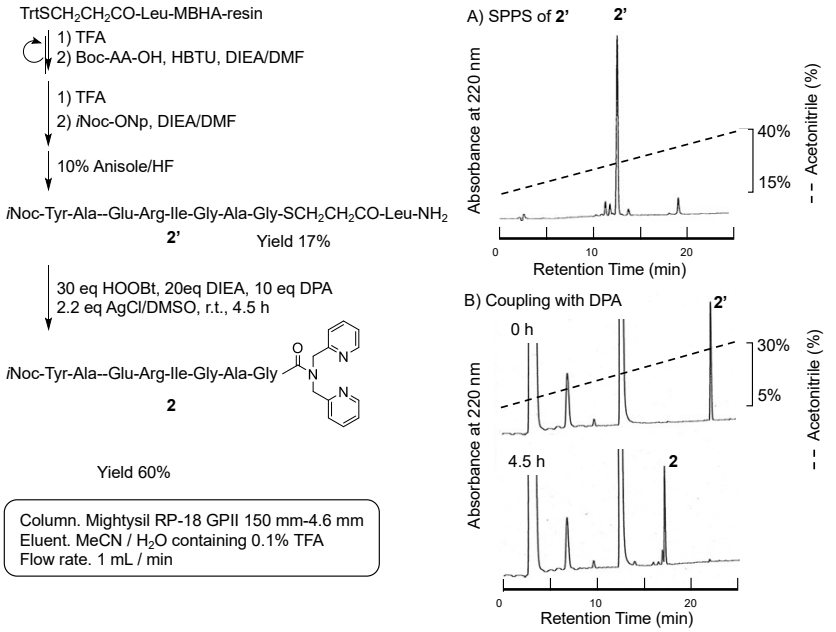


Fig. S1. Synthetic procedure for peptide **2** via thioester **2'**.

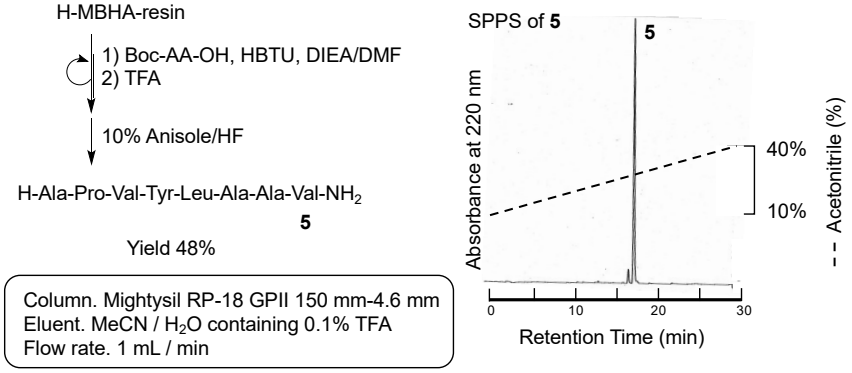
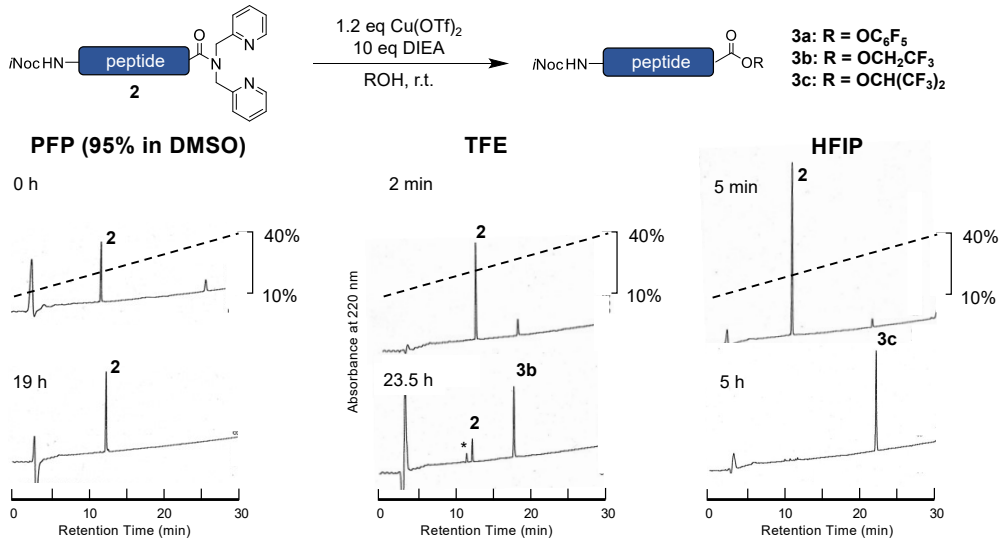


Fig. S2. Synthesis of peptide **5**.



Column. Mightysil RP-18 GPII 150 mm-4.6 mm, Eluent. MeCN / H_2O containing 0.1% TFA, Flow rate. 1 mL / min
*: hydrolyzed product of **2**.

Fig. S3. Ester formation from peptide **2** using various alcohols.

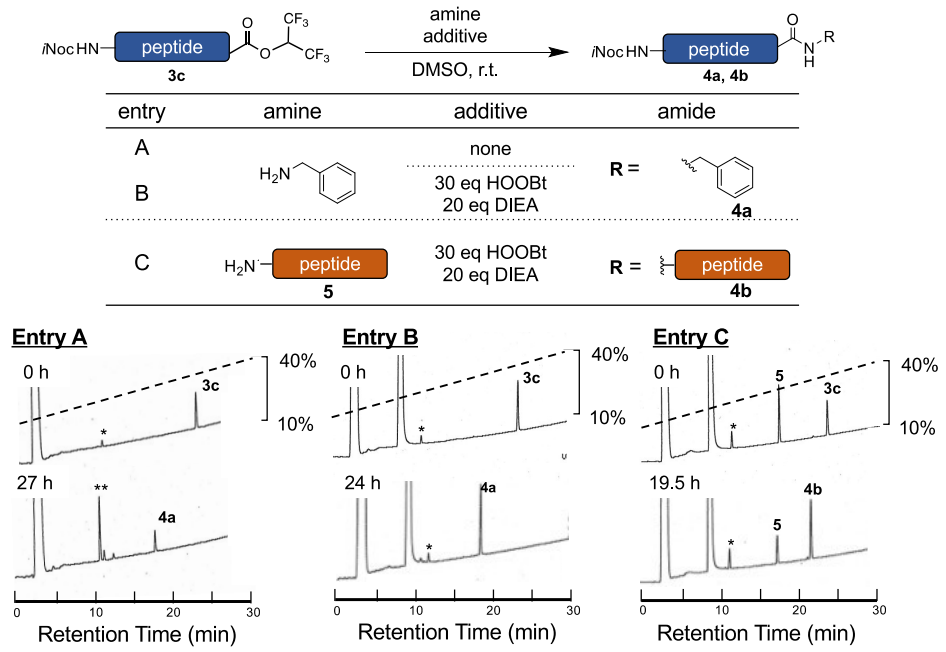


Fig. S4. Aminolysis of purified HFIP ester **3c** by benzylamine or peptide **5**. *hydrolyzed **3c**. **dehydrated **3c**.

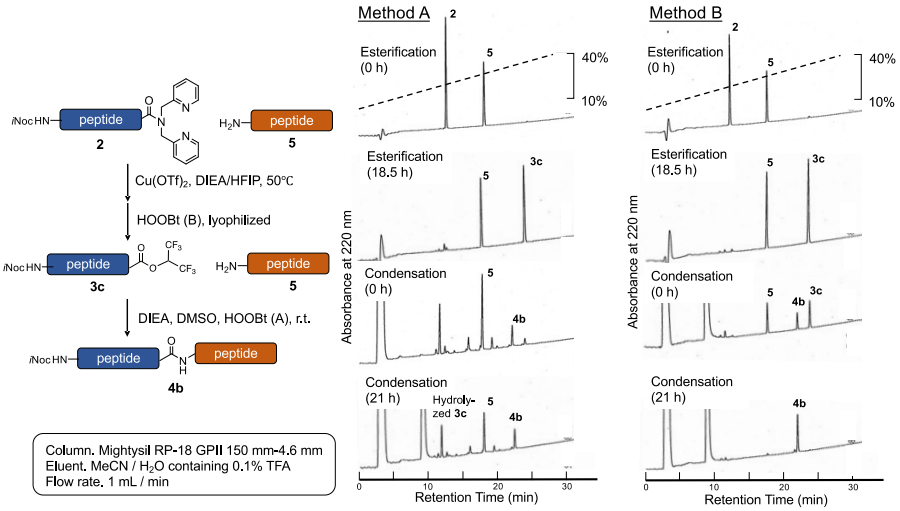


Fig. S5. Segment coupling of peptide **2** and **5** via HFIP ester.

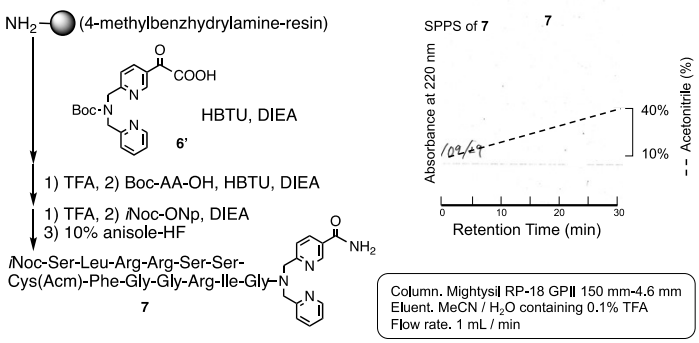


Fig. S6. Synthetic procedure of peptide **7** by the Boc method.

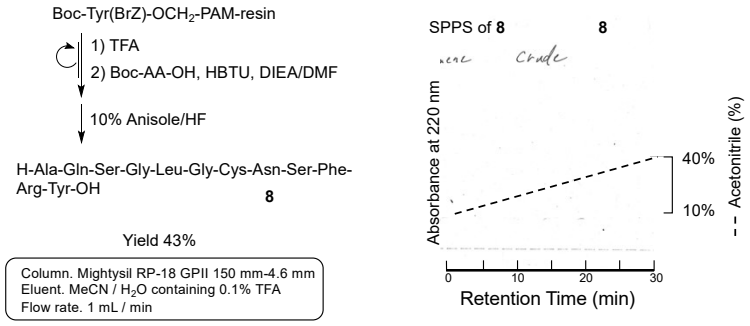


Fig. S7. Synthetic procedure of mANP(17-28) **8**.

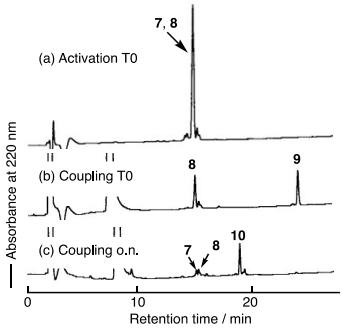


Fig. S8. Synthesis of protected mANP **10**.

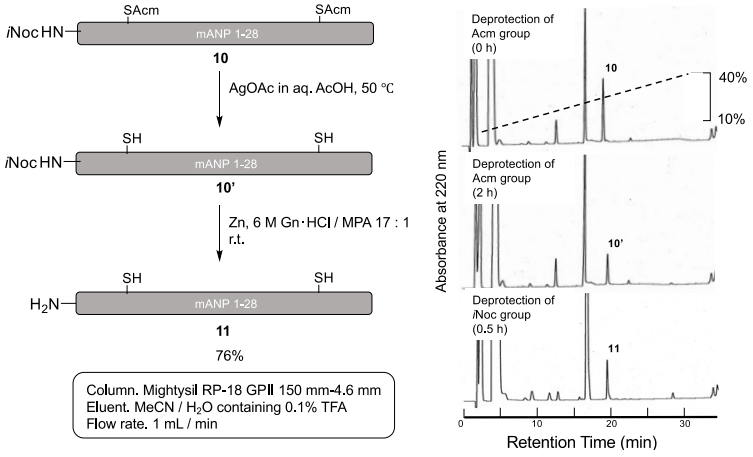


Fig. S9. Removal of protecting groups. In the case of Acm removal, MPA was added to the taken solution for HPLC analysis to trap silver ions.

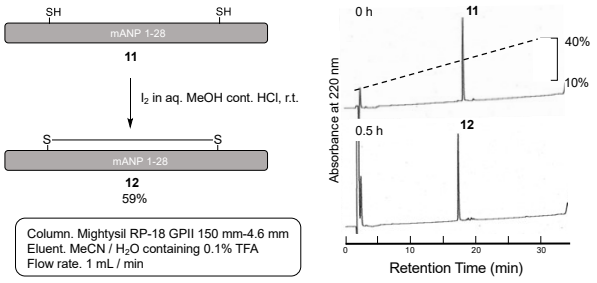


Fig. S10. Disulfide bond formation by iodine oxidation.

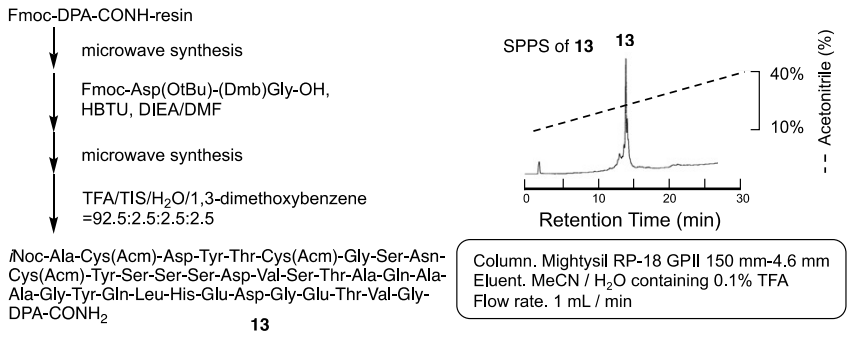


Fig. S11. Synthesis of peptide **13**.

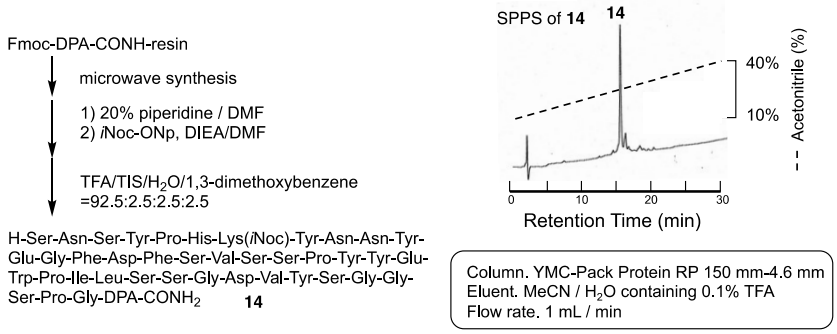


Fig. S12. Synthesis of peptide **14**.

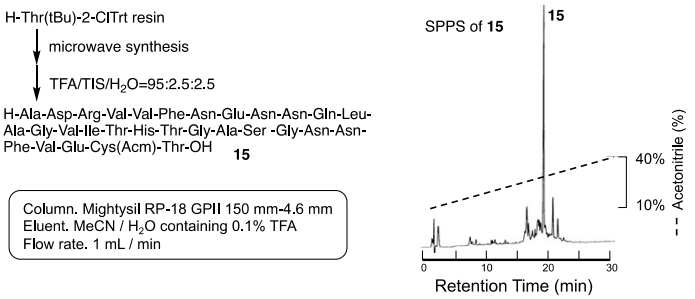


Fig. S13. Synthesis of peptide **15**.

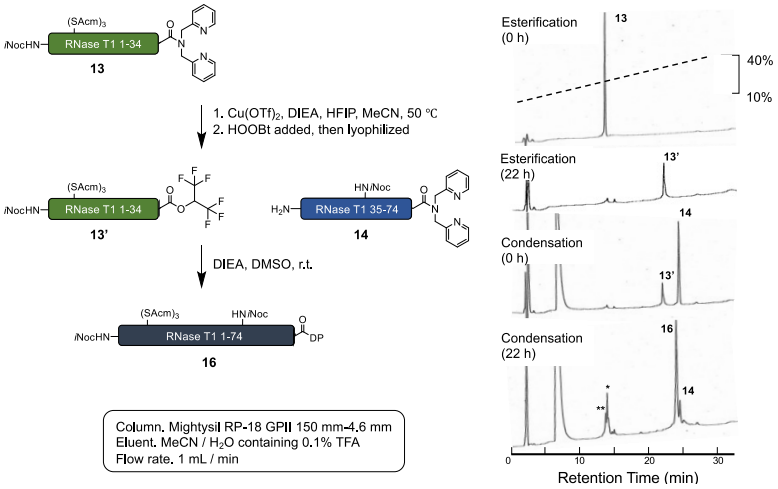


Fig. S14. Synthesis of peptide **16**. *: hydrolysis of **13'**, **: dehydrated product of **13'**.

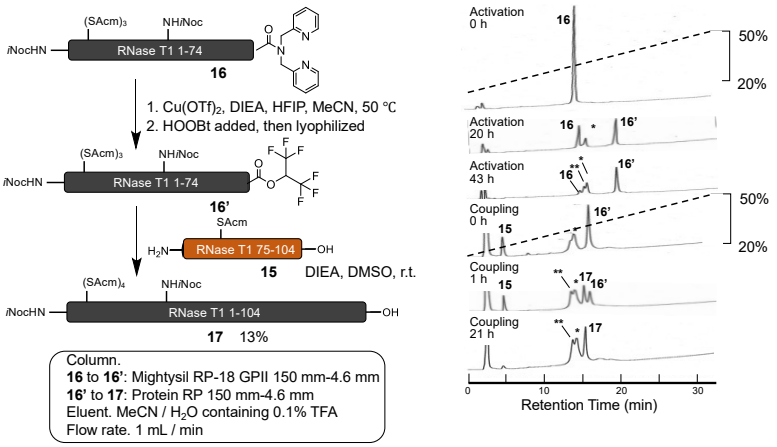


Fig. S15. Synthesis of peptide **17**. *: hydrolyzed **16'**, **: dehydrated product of **16'**.

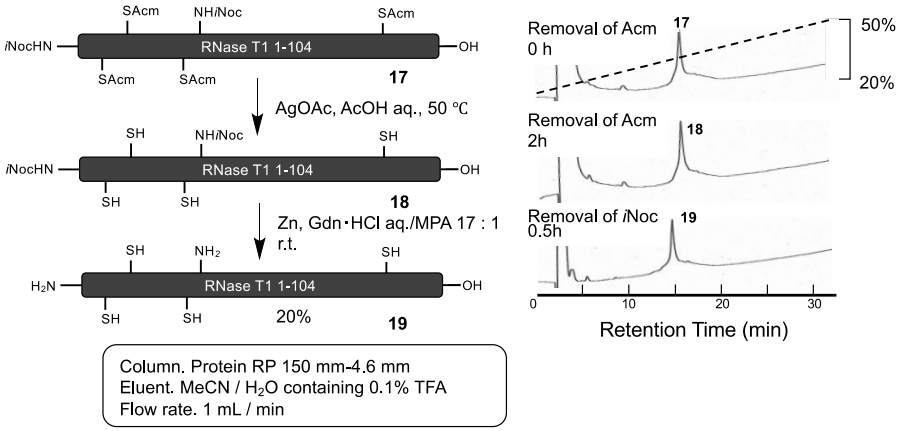


Fig. S16. Synthesis of peptide **19**.