# THIOL-BEARING CROWN ETHERS AS ENZYME MODELS FOR THE SYNTHESIS OF PEPTIDES

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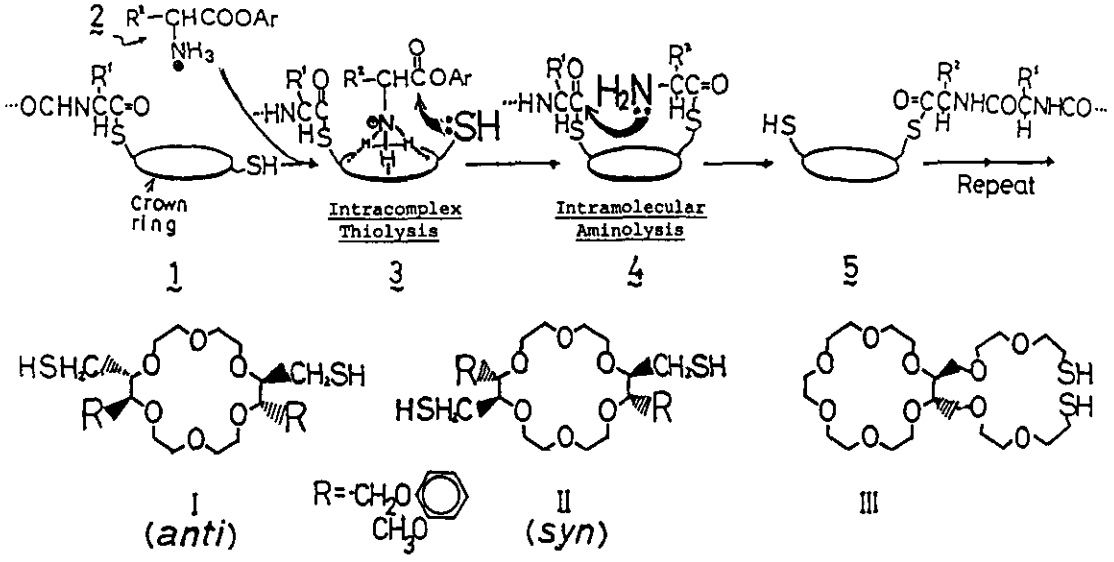
Studies on the application of certain principles of enzymatic reactions to artificial ones constitute one of the most exciting fields in synthetic organic chemistry. We have attempted to use artificial hosts designed to form host-guest complexes that catalyze bimolecular reactions. We report here our recent results on this strategy for the synthesis of peptides.

We designed a sequence of reactions as follows:

1) Acylated crown ether 1, which has already bound the first substrate, captures the second substrate 2 to form a non-covalent complex 3 between the crown ring and the ammonium group. The following "intracomplex thiolysis" gives 4.

2) "Intramolecular aminolysis" in 4 results in a peptide bond formation with regeneration of the thiol group to give 5.

3) The elongation of the peptide chain is expected to be achieved by repeating the above steps.




Various thiol-bearing crown ethers were designed and synthesized. Following the above scheme, tetrapeptide (Z-Gly-Ala-Leu-Ala-OMe) was successfully obtained using crown ether III. The activities of crown ethers I (anti) and II (syn) for the peptide bond formation were also investigated in the presence or in the absence of salts capable of complexing with the crown ether ring.