Animal venom contains many peptides which can specifically bind and modulate functions of ion channels, G protein coupled receptors and other diseases related membrane proteins. In the last decades, many peptide drugs were developed or under developments from animal venom peptides. Recently, we have developed methods for peptide chemical synthesis, cyclization, modifications, to optimize the stability of the venom peptides. At the same time, structure and physiology studies were implemented to illustrate the interaction mechanisms between the venom peptides and their targeting membrane proteins.