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Synthesis of Ras proteins and their application in biofunctional studies

COMMUNICATION
Xuechen Li et al.
Development of aspartic acid ligation for peptide cyclization derived from serine/threonine ligation

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Editorial

15th Chinese International Peptide Symposium

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Xuechen Li[a], Lei Liu[b], Yanmei Li[b]

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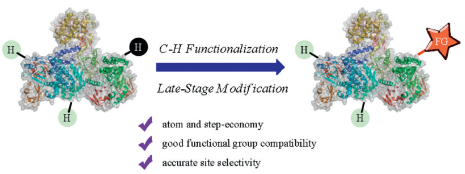
Reviews

Transition-metal-catalyzed C—H functionalization for late-stage modification of peptides and proteins

Chinese Chemical Letters 29 (2018) 1001



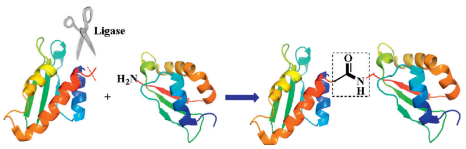
Xi Lu, Shi-Jiang He, Wan-Min Cheng, Jing Shi

Hefei National Laboratory for Physical Sciences at the Microscale, CAS Key Laboratory of Urban Pollutant Conversion, Anhui Province Key Laboratory of Biomass Clean Energy, iChEM, University of Science and Technology of China, Hefei 230026, China

The present review surveyed the progress achieved in the late-stage modification of peptides and proteins utilizing transition- metal-catalyzed C—H functionalization with C—C and C—X (F, Cl, O, N, B, *etc.*) bonds formation.

Recent advances in enzyme-mediated peptide ligation

Chinese Chemical Letters 29 (2018) 1009



Silin Xu[a], Zhenguang Zhao[b], Junfeng Zhao[a,c]

[a] *Key Laboratory of Chemical Biology of Jiangxi Province, College of Chemistry and Chemical Engineering, Jiangxi Normal University, Nanchang 330022, China*
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[c] *State Key Laboratory of Elemento-Organic Chemistry, Nankai University, Tianjin 300071, China*

Artificial synthesis and site-specific modification of peptides and proteins have evolved into an indispensable tool for protein engineers and chemical biologists. Chemical and enzymatic approaches to peptide ligation are important alternatives of recombinant DNA technology for protein synthesis and modification. In the past decades, several natural peptide ligases have been discovered. Additionally, protein engineering for improving the ligation efficiencies of the natural peptide ligase and reversing the functionality of protease have provided more powerful peptide ligases. Herein, we briefly summarized the advances of enzyme-mediated peptide ligation and their application in protein synthesis and modification.

doi:10.1016/S1001-8417(18)30245-6

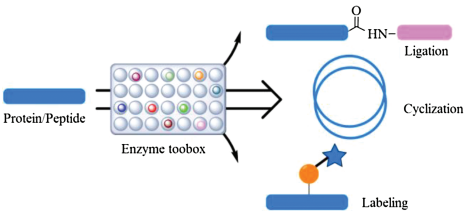
A mini-review on the enzyme-mediated manipulation of proteins/peptides

Shaomin Lin, Chunmao He

School of Chemistry and Chemical Engineering, South China University of Technology, Guangzhou 510640, China

A number of enzymes are available in the toolbox facilitating the site-selective labeling, ligation, cyclization of proteins or peptides. In this review, some of the most important enzymes were discussed.

Chinese Chemical Letters 29 (2018) 1017



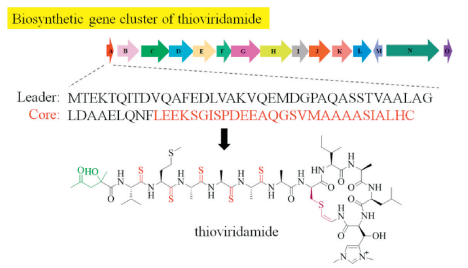
Discovery and biosynthesis of thioviridamide-like compounds

Jian Tang, Jingxia Lu, Qunfeng Luo, Huan Wang

State Key Laboratory of Coordination Chemistry, Jiangsu Key Laboratory of Advanced Organic Materials, School of Chemistry and Chemical Engineering, Nanjing University, Nanjing 210093, China

Thioviridamide-like compounds are a unique subfamily of ribosomally synthesized and post-translationally modified peptide and contain characteristic thioamide bonds and *S*-[(*Z*)-2-aminovinyl]-D-cysteine (AviCys). Members of this family are active against a number of cancer cell lines. As a unique subgroup of RiPPs, the distribution, biosynthetic machinery and the mode of action of thioviridamide and related compounds remain largely unknown. In this review, we outlined recent advances in the discovery of thioviridamide-like peptide natural products and the effort in the elucidation of their biosynthetic origin.

Chinese Chemical Letters 29 (2018) 1022



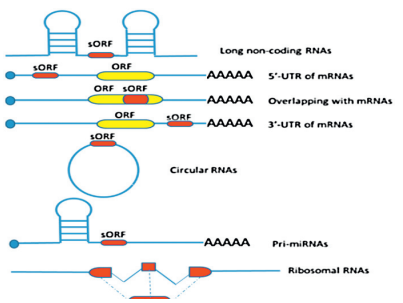
Distribution of micropeptide-coding sORFs in transcripts

Xinqiang Yin[a,b], Jialiang Hu[a,c], Hanmei Xu[a,c]

[a] *The Engineering Research Center of Peptide Drug Discovery and Development, China Pharmaceutical University, Nanjing 210009, China*
[b] *The Basic Medical School, North Sichuan Medical College, Nanchong 673000, China*
[c] *State Key Laboratory of Natural Medicines, Ministry of Education, China Pharmaceutical University, Nanjing 210009, China*

Many translated sORFs have been identified across mRNAs, including 5'-upstream, coding domain, and 3'-downstream. sORFs have also been found in circular RNAs, pri-miRNAs, and ribosomal RNAs. Here, we presented an overview of the wide distribution of the sORFs in transcripts and their functional roles in organisms.

Chinese Chemical Letters 29 (2018) 1029



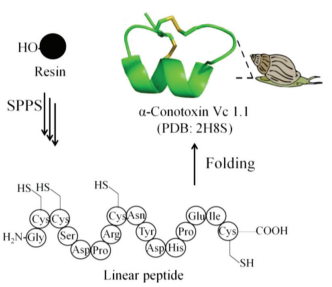
Discovery, structure, and chemical synthesis of disulfide-rich peptide toxins and their analogs

Ge-Min Fang[a], Xiao-Xu Chen[a], Qian-Qian Yang[b], Liang-Jing Zhu[b], Ning-Ning Li[b], Hai-Zhu Yu[b], Xiang-Ming Meng[b]

[a] *Institute of Physical Science and Information Technology, Anhui University, Hefei 230601, China*
[b] *Department of Chemistry, Anhui University, Hefei 230601, China*

Disulfide bond-rich peptide toxins are promising scaffolds for the development of medicinal peptides because they possess a rigid 3D structure formed by multiple disulfide bonds. In this review, we discussed recent advances in the discovery, structural elucidation and chemical synthesis of disulfide-rich peptide toxins and their analogs.

Chinese Chemical Letters 29 (2018) 1033



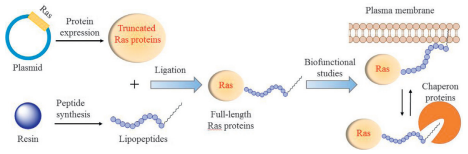
Synthesis of Ras proteins and their application in biofunctional studies

Jun Hu, Pengcheng Zhu, Yanmei Li, Yongxiang Chen

Key Laboratory of Bioorganic Phosphorus Chemistry and Chemical Biology (Ministry of Education), Department of Chemistry, Tsinghua University, Beijing 100084, China

We summarized the developed strategies including chemical total synthesis, biosynthesis and semi-synthesis for producing Ras proteins with modification and their application in biological studies.

Chinese Chemical Letters 29 (2018) 1043



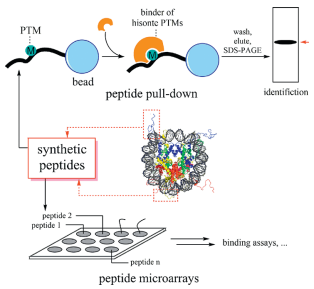
Peptide-based approaches to identify and characterize proteins that recognize histone post-translational modifications

Jianwei Lin, Xiang David Li

Department of Chemistry, The University of Hong Kong, Hong Kong, China

In this review, we summarize the development and applications of synthetic peptide based tools to identify and characterize binding proteins of histone posttranslational modifications (PTMs). The limitation of peptide-based approaches is then discussed, followed by a brief description on recent development of nucleosome-based tools.

Chinese Chemical Letters 29 (2018) 1051



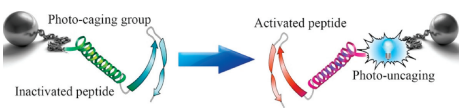
Peptide photocaging: A brief account of the chemistry and biological applications

Wing Ho So, Clarence T.T. Wong, Jiang Xia

Department of Chemistry, The Chinese University of Hong Kong, Hong Kong Special Administrative Region, China

In this mini-review, we summarized the state-of-the-art development of photo-protecting groups for peptide photocaging including the un-caging mechanism of different PPGs, the synthesis of photo-caged peptides, and the recent applications of peptide photocaging in chemical biology.

Chinese Chemical Letters 29 (2018) 1058



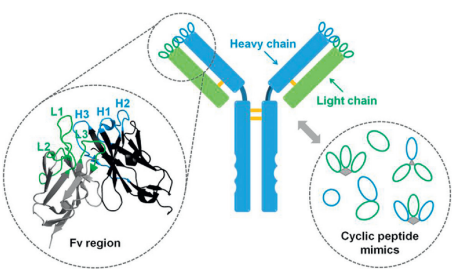
A mini-review and perspective on multicyclic peptide mimics of antibodies

Weidong Liu, Chuanliu Wu

Department of Chemistry, College of Chemistry and Chemical Engineering, The MOE Key Laboratory of Spectrochemical Analysis and Instrumentation, Xiamen University, Xiamen 361005, China

This review gave a brief introduction on recent development in monocyclic and multicyclic peptide mimics of antibodies and provides a perspective on screening and design of multicyclic peptide mimics of antibodies in the future.

Chinese Chemical Letters 29 (2018) 1063



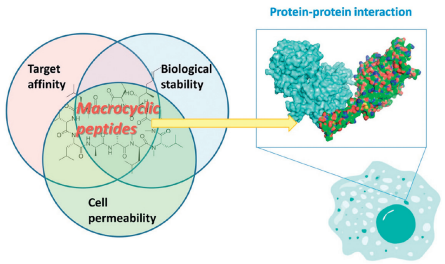
Macrocyclic peptides as regulators of protein-protein interactions

Yang Jiang[a], Hongyi Long[a], Yujie Zhu[a], Yi Zeng[b]

[a] College of Pharmacy and Bioengineering, Chengdu University, Chengdu 610106, China
[b] School of Science, Xihua University, Chengdu 610039, China

Protein-protein interactions are attractive but challenging targets for drug discovery. Recent technological progress and examples using macrocyclic peptides as protein interaction modulators are reviewed.

Chinese Chemical Letters 29 (2018) 1067



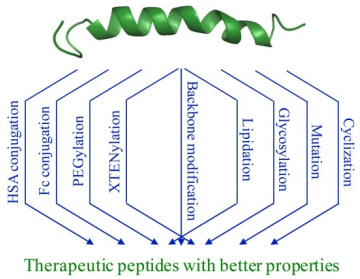
Methods for engineering therapeutic peptides

Yaohao Li, Kimberly A. Clark, Zhongping Tan

Department of Chemistry and Biochemistry and BioFrontiers Institute, University of Colorado, Boulder CO 80303, United States

This review discussed recent advancements related to therapeutic peptide engineering.

Chinese Chemical Letters 29 (2018) 1074



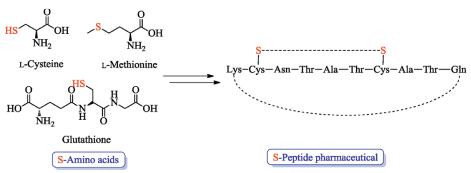
The application of sulfur-containing peptides in drug discovery

Jiaoyan Zhao[a], Xuefeng Jiang[a,b]

[a] Shanghai Key Laboratory of Green Chemistry and Chemical Process, School of Chemistry and Molecular Engineering, East China Normal University, Shanghai 200062, China
[b] State Key Laboratory of Elemento-organic Chemistry, Nankai University, Tianjin 300071, China

The purpose of the present review is to focus on the discovery of various sulfur-containing peptides with particular emphasis on their pharmacological mechanisms. This presentation is organized according to the structures of the sulfur-containing peptides.

Chinese Chemical Letters 29 (2018) 1079



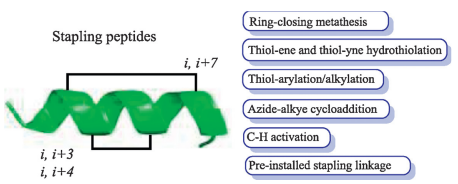
Different stapling-based peptide drug design: Mimicking α-helix as inhibitors of protein–protein interaction

Xiang Li, Yan Zou, Hong-Gang Hu

School of Pharmacy, Second Military Medical University, Shanghai 200433, China

We category and analyze key examples of various peptide stapling strategies based on different cross-links aligned on the side chain of peptides mainly in the last three years.

Chinese Chemical Letters 29 (2018) 1088



Recent development on peptide-based probes for multifunctional biomedical imaging

Yuling Xu[a], Mei Tian[c], Hong Zhang[c], Yuling Xiao[b], Xuechuan Hong[b], Yao Sun[a]

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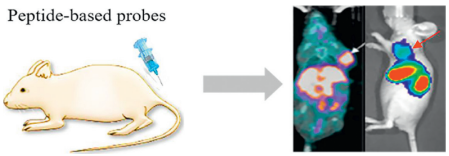
[b] *State Key Laboratory of Virology, Key Laboratory of Combinatorial Biosynthesis and Drug Discovery (MOE), Wuhan University School of Pharmaceutical Sciences, Wuhan 430071, China*
[c] *Department of Nuclear Medicine, The Second Hospital of Zhejiang University School of Medicine, Hangzhou 310009, China*

Peptide-based probes play prominent roles in biomedical research due to their promising properties such as high biocompatibility, fast excretion, favorable pharmacokinetics as well as easy and robust preparation. Considering the translation of imaging probes into clinical applications, peptide-based probes remain to be the most desirable and optimal candidates.

Chinese Chemical Letters 29 (2018) 1093



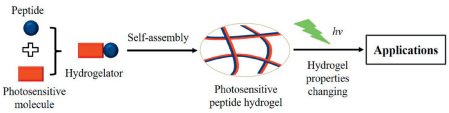
Photosensitive peptide hydrogels as smart materials for applications

Dongdong Wu[a], Xian Xie[a], Adnan A. Kadi[b], Yan Zhang[a]

[a] *State Key Laboratory of Analytical Chemistry for Life Sciences, School of Chemistry and Chemical Engineering, Nanjing University, Nanjing 210023, China*
[b] *Department of Pharmaceutical Chemistry, College of Pharmacy, King Saud University, Riyadh, Kingdom of Saudi Arabia*

Photosensitive supramolecular peptide hydrogels with the gelators forming by the integration of photosensitive moieties and peptides have been briefly summarized the hydrogelation capabilities, the expressing manner serving as smart materials, and practical applications.

Chinese Chemical Letters 29 (2018) 1098



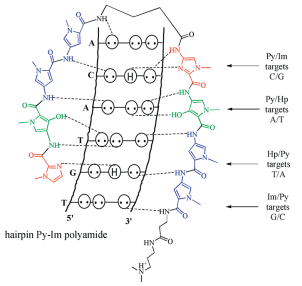
Programmable pyrrole-imidazole polyamides: A potent tool for DNA targeting

Chunlei Wu, Wei Wang, Lijing Fang, Wu Su

Guangdong Key Laboratory of Nanomedicine, Institute of Biomedicine and Biotechnology, Shenzhen Institutes of Advanced Technology, Chinese Academy of Sciences, Shenzhen 518055, China

Pyrrole-imidazole (Py-Im) polyamides are a class of programmable minor-groove binders that recognize pre-determined DNA double helixes with high affinity and specificity. This review summarized the recent advances of Py-Im polyamides from their synthesis to applications *via* various modifications at the molecular level.

Chinese Chemical Letters 29 (2018) 1105



Communications

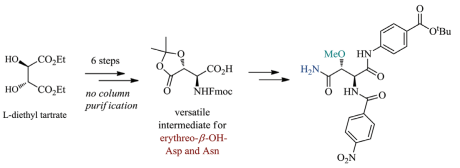
Efficient preparation of β-hydroxy aspartic acid and its derivatives

Long Liu[a], Bo Wang[a], Cheng Bi[a], Gang He[a,b], Gong Chen[a,b]

[a] *State Key Laboratory and Institute of Elemento-Organic Chemistry, College of Chemistry, Nankai University, Tianjin 300071, China*
[b] *Collaborative Innovation Center of Chemical Science and Engineering (Tianjin), Tianjin 300071, China*

We reported an efficient and practical synthetic route to various properly-protected erythreo-β-OH-Asp compounds, which are key β-branched *a*-amino acid units in coralmycin A and other peptide natural products.

Chinese Chemical Letters 29 (2018) 1113



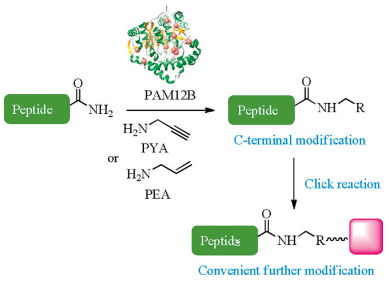
Enzymatic clickable functionalization of peptides *via* computationally engineered peptide amidase

Tong Zhu[a,b], Lu Song[a,c], Ruifeng Li[a,b], Bian Wu[a]

[a] *CAS Key Laboratory of Microbial Physiological and Metabolic Engineering, State Key Laboratory of Microbial Resources, Institute of Microbiology, Chinese Academy of Sciences, Beijing 100101, China*
[b] *University of Chinese Academy of Sciences, Beijing 100101, China*
[c] *State Key Laboratory of Transducer Technology, Chinese Academy of Sciences, Beijing 100101, China*

The computationally engineered peptide amidase exhibits great promising potential in the C-terminal modification of peptides using prop-2-yn-1-amine (PYA) or prop-2-en-1-amine (PEA) as the nucleophile. Subsequently, modified peptides could be further functionalized *via* click reaction without elaborate isolation of the intermediate.

Chinese Chemical Letters 29 (2018) 1116



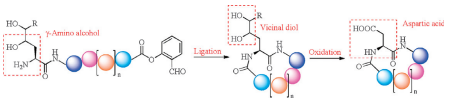
Development of aspartic acid ligation for peptide cyclization derived from serine/threonine ligation

Ci Xu, Jianchao Xu, Han Liu, Xuechen Li

Department of Chemistry, State Key Laboratory of Synthetic Chemistry, The University of Hong Kong, Hong Kong, China

Based on a mechanism analogous to the serine/threonine ligation, the aspartic acid ligation, which is facilitated by the γ-amino alcohol based ligation and oxidation, is developed and applied to the synthesis of cyclic peptides. The γ-hydroxyl group triggers the ring-chain tautomerization *via* a 6-*endo*-*trig* process, while the δ-hydroxyl group facilitates the oxidative cleavage of the vicinal diol to give carboxylic acid.

Chinese Chemical Letters 29 (2018) 1119



On-resin peptide ligation *via* C-terminus benzyl ester

Bin Zhou[a,b], Faridoon[b,c], Xiaobo Tian[b], Jian Li[b,c], Dongliang Guan[b,c], Xing Zheng[a], Yu Guo[a], Wei Huang[b,c]

[a] *Hunan Province Cooperative Innovation Center for Molecular Target New Drug Study, Institute of Pharmacy and Pharmacology, University of South China, Hengyang 421001, China*
[b] *CAS Key Laboratory of Receptor Research, CAS Center for Excellence in Molecular Cell Science, Center for Biotherapeutics Discovery Research, Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Shanghai 201203, China*
[c] *University of Chinese Academy of Sciences, Beijing 100049, China*

Here, we reported a new approach of on-resin peptide ligation using C-terminal benzyl ester as the stabilized precursor of thioester, which enables both N-terminal elongation and C-terminal peptide ligation on a Rink Amide resin.

Chinese Chemical Letters 29 (2018) 1123

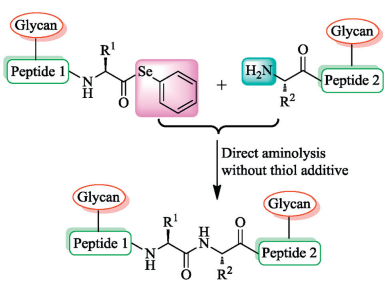
Glycopeptide ligation *via* direct aminolysis of selenoester

Jing-Jing Du[a], Ling-Ming Xin[a], Ze Lei[a], Shi-Yao Zou[a], Wen-Bo Xu[a], Chang-Wei Wang[a], Lian Zhang[a], Xiao-Fei Gao[a,b], Jun Guo[a]

[a] *Key Laboratory of Pesticide & Chemical Biology of Ministry of Education, Hubei International Scientific and Technological Cooperation Base of Pesticide and Green Synthesis, College of Chemistry, Central China Normal University, Wuhan 430079, China*
[b] *Jiangxi Key Laboratory for Mass Spectrometry and Instrumentation, East China University of Technology, Nanchang 330013, China*

In this work, the selenoester of unprotected glycopeptide was readily prepared, and the direct aminolysis of glycopeptide selenoester was successfully applied to synthesize MUC1 mucin sequence.

Chinese Chemical Letters 29 (2018) 1127



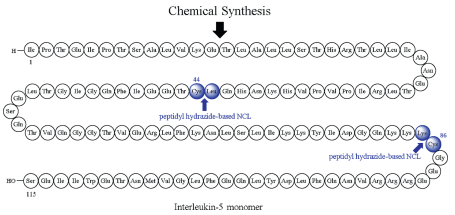
Synthetic studies toward human interleukin-5

Chinese Chemical Letters 29 (2018) 1131

Jinrong Liu, Suwei Dong

State Key Laboratory of Natural and Biomimetic Drugs, Department of Chemical Biology, School of Pharmaceutical Sciences, Peking University, Beijing 100191, China

Disulfide-reduced form of IL-5 is assembled from three peptide segments in the N to C direction. Reconstitution of the protein under different folding conditions has also been investigated.



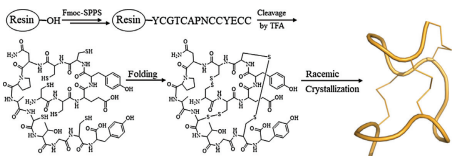
Chemical synthesis and structural analysis of guanylate cyclase C agonist linaclotide

Chinese Chemical Letters 29 (2018) 1135

Chenchen Chen[a,b], Shuai Gao[c], Qian Qu[c], Pengcheng Mi[d], Anjin Tao[d], Yi-Ming Li[c]

[a] *High Magnetic Field Laboratory, Chinese Academy of Sciences, Hefei 230031, China*
[b] *School of Life Sciences, University of Science and Technology of China, Hefei 230026, China*
[c] *School of Biological and Medical Engineering, Hefei University of Technology, Hefei 230009, China*
[d] *Hybio Pharmaceutical Co., Ltd., Shenzhen 518057, China*

Linaclotide and its D-enantiomer were obtained through Fmoc solid phase peptide synthesis method and co-crystalized through racemic crystallization. The crystal structure showed that linaclotide has a tight, three-beta turns structure immobilized by three pairs of disulfide bonds.



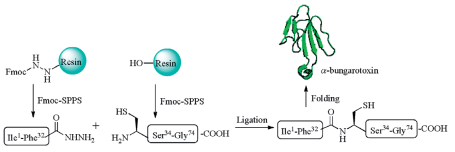
Total synthesis of snake toxin α-bungarotoxin and its analogues by hydrazide-based native chemical ligation

Chinese Chemical Letters 29 (2018) 1139

Xiao-Qi Guo[a,b], Jun Liang[b], Ying Li[c], Yong Zhang[b], Dongliang Huang[a], Changlin Tian[a,b]

[a] *High Magnetic Field Laboratory, Chinese Academy of Sciences, Hefei 230031, China*
[b] *School of Life Science, University of Science and Technology of China, Hefei 230027, China*
[c] *Department of Chemistry, University of Science and Technology of China, Hefei 230026, China*

A new method for α-bungarotoxin was reported by combining Fmoc-SPPS and peptide hydrazide based ligation strategy.



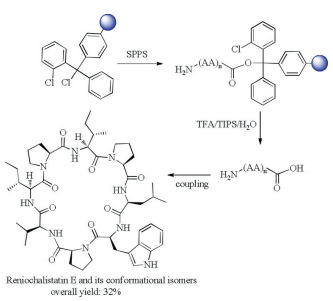
Synthesis of cyclic peptide reniochalistatin E and conformational isomers

Chinese Chemical Letters 29 (2018) 1143

Huiyun Luo[a], Hongli Yin[b], Chaojun Tang[c], Ping Wang[b], Feng Liang[a]

[a] *The State Key Laboratory of Refractories and Metallurgy, School of Chemistry and Chemical Engineering, Wuhan University of Science and Technology, Wuhan 430081, China*
[b] *Shanghai Key Laboratory for Molecular Engineering of Chiral Drugs, School of Chemistry and Chemical Engineering, Shanghai Jiao Tong University, Shanghai 200240, China*
[c] *Chonqqing Huapont Pharm. Co., Ltd., Chongqing 401121, China*

Here we describe a convergent synthesis of reniochalistatin E that utilized solid-phase peptide synthesis. For macrolactamization of the linear peptides without the side chain protecting group, we obtained reniochalistatin E and its conformational isomers with 32% isolation yield.



A proximity-induced covalent fluorescent probe for selective detection of bromodomain 4

Chinese Chemical Letters 29 (2018) 1147

Ling Feng[a,b], Mohit Chhabra[a], Wing Ho So[c], Qing Zhu[d], Jiang Xia[c], Hongyan Sun[a,b]

[a] *Department of Chemistry and Center of Super-Diamond and Advanced Films (COSDAF), City University of Hong Kong, Hong Kong, China*
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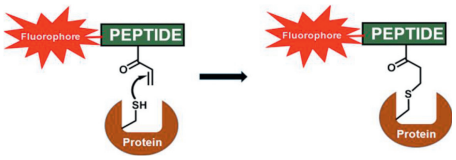
Through proximity-induced conjugation reaction, a peptide-based fluorescent probe was designed and synthesized for selective detection of bromodomain 4.



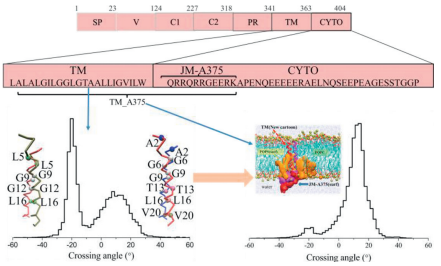
A study of the lipid-mediated dimerization of the RAGE TM+JM domains by molecular dynamic simulations

Chinese Chemical Letters 29 (2018) 1151

Jialin Chen, Fude Sun, Peng Chen, Mengya Chai, Lida Xu, Shi-Zhong Luo

Beijing Key Laboratory of Bioprocess, College of Life Science and Technology, Beijing University of Chemical Technology, Beijing 100029, China

We further emphasized the key roles of the A342xxxG346xxG349xxxT353xxL356xxxV360 motif in the left-handed configuration and the L345xxxG349xxG352xxxL356 motif in the right-handed configuration. In addition, we revealed that the juxtamembrane (JM) domain within A375 could determine the RAGE TM dimeric structure. Overall, we provide the molecular.



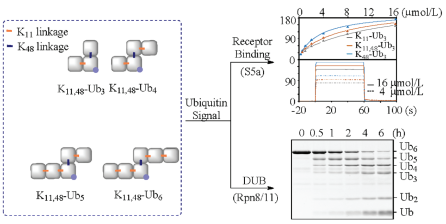
Biochemical properties of $K_{11,48}$-branched ubiquitin chains

Chinese Chemical Letters 29 (2018) 1155

Lu-Jun Liang, Yanyan Si, Shan Tang, Dongliang Huang, Zhipeng A. Wang, Changlin Tian, Ji-Shen Zheng

School of Life Sciences, University of Science and Technology of China, Hefei 230027, China

The affinities of chemically synthetic linkage- and length-defined $K_{11,48}$-branched ubiquitin chains binding to ubiquitin receptor S5a were quantitatively measured. Proteasome-associated deubiquitinase Rpn11 showed a higher activity towards $K_{11,48}$-branched ubiquitin chains.



Development of a potent peptide inhibitor of estrogen receptor α

Chinese Chemical Letters 29 (2018) 1160

Xuan Qin[a], Hui Zhao[a], Yanhong Jiang[a], Feng Yin[a], Yuan Tian[b], Mingsheng Xie[a], Xiyang Ye[c], Naihan Xu[d], Zigang Li[a]

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[b] *School of Life Science and Engineering, Southwest Jiaotong University, Chengdu 611756, China*
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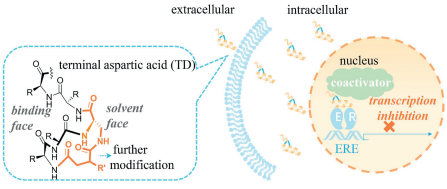
A potent peptide inhibitor of estrogen receptor α (ER-α) with significantly increased cellular uptake and cellular distribution was developed by cell penetrating peptide attachment. The resulted peptide conjugate showed selective toxicity towards estrogen receptor positive cell lines and induced decreased transcription of estrogen receptor α downstream genes.



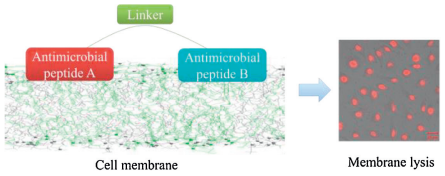
Effects of linker amino acids on the potency and selectivity of dimeric antimicrobial peptides

Chinese Chemical Letters 29 (2018) 1163

Ming Kai[a], Wei Zhang[b], Huan Xie[b], Liwei Liu[a], Sujie Huang[a], Xiao Li[a], Zhengzheng Zhang[b], Yuyang Liu[b], Bangzhi Zhang[a], Jingjing Song[b], Rui Wang[b]

[a] School of Life Sciences, Lanzhou University, Lanzhou 730000, China
[b] Laboratory of Preclinical Study for New Drugs of Gansu Province, School of Basic Medical Sciences, Lanzhou University, Lanzhou 730000, China

Conformational flexibility induced by proline and aminocaproic acid can increase anticancer activity and antimicrobial activity of dimeric antimicrobial peptides with reduced hemolytic activity. This study will contribute to the design of efficient antimicrobial peptides.



Stapled SC34EK fusion inhibitors with high potency against HIV-1 and improved protease resistance

Chinese Chemical Letters 29 (2018) 1167

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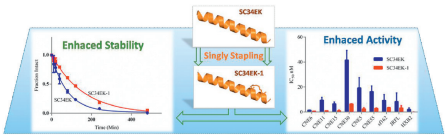
[b] Comprehensive AIDS Research Center, School of Medicine, Tsinghua University, Beijing 100084, China

A single all-hydrocarbon staple introduction in SC34EK can afford a potent HIV inhibitor with high protease resistance for ADIS treatment.



In vitro and *in vivo* evaluation of improved EGFR targeting peptide-conjugated phthalocyanine photosensitizers for tumor photodynamic therapy

Chinese Chemical Letters 29 (2018) 1171

Qingle Chen[a,b], Yanhong Ma[b], Jisi Zhao[b], Mei Zhao[b], Wenjing Li[b], Qian Liu[b], Li Xiong[c], Wenjie Wu[a], Zhangyong Hong[b]

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[c] Department of General Surgery, Second Xiangya Hospital, Central South University, Changsha 410011, China

A serial of peptide-conjugated zinc phthalocyanines with finely tuned structure modification were prepared and one optimized conjugate showed improved targeting towards tumors and abolished inoculated tumors with only a single PDT treatment in a subcutaneous xenograft tumor model, making this approach a promising therapeutic agent for the treatment of cancer.