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ISSN 0253-2786
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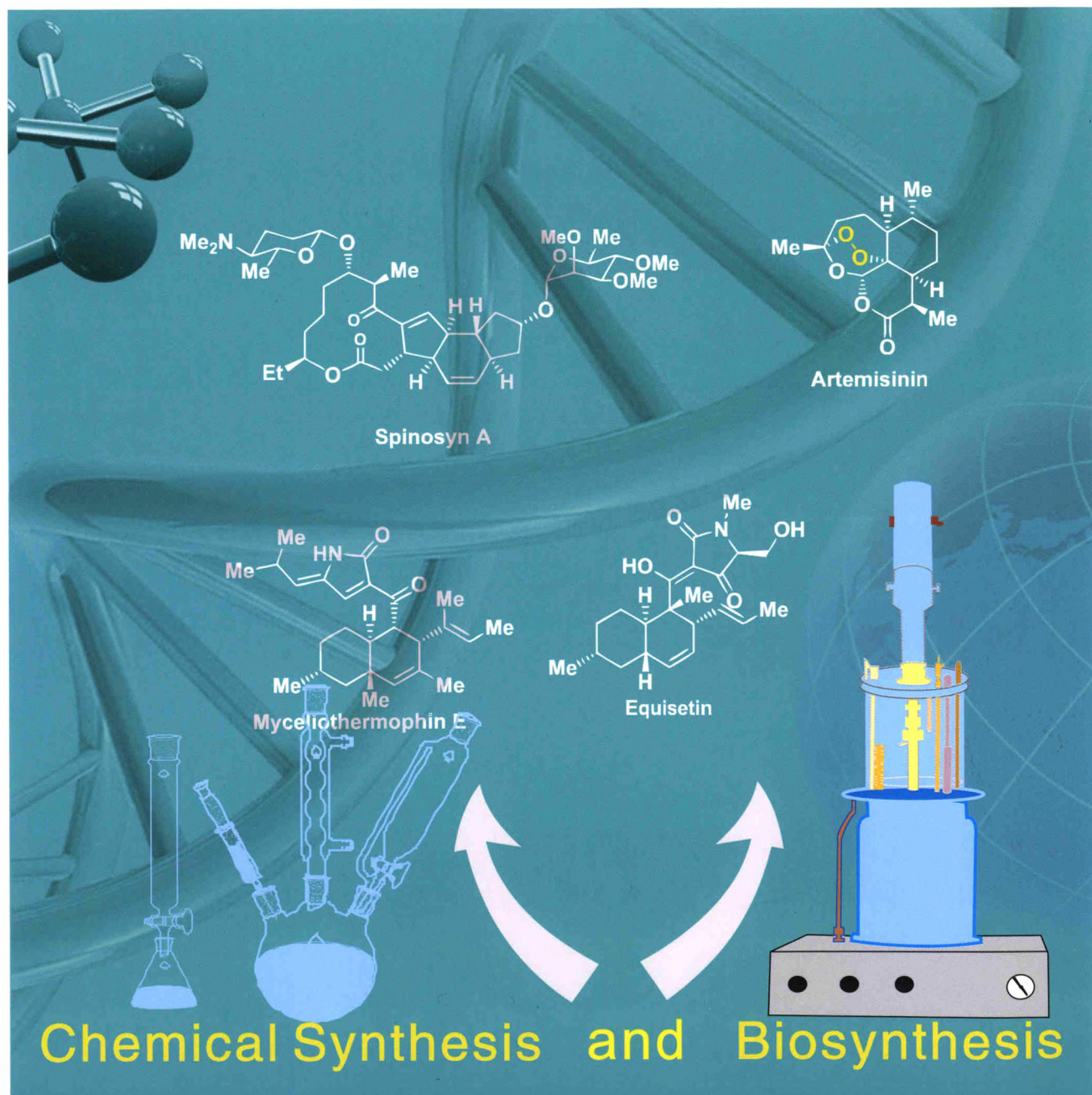


QK1848377

Chinese Journal of Organic Chemistry

合成科学专辑

第 38 卷 第 9 期 Vol. 38 No. 9 2018



ISSN 0253-2786



09>

770253 2018 数据



中国化学会主办
中国科学院上海有机化学研究所

有机化学 (月刊)

Chinese Journal of Organic Chemistry

(YOUJI HUAXUE)

第 38 卷 第 9 期 (总 358 期) 2018 年 9 月*

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* 通讯联系人.

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研究简报

新型含取代吡啶结构的吡唑酰胺类化合物的合成与生物活性研究石玉军
周 钱 王 杨 钱宏伟 叶林玉 冯 霞 陈 辉 李雅婷 戴 红* 魏中昊 吴锦明* (2450)

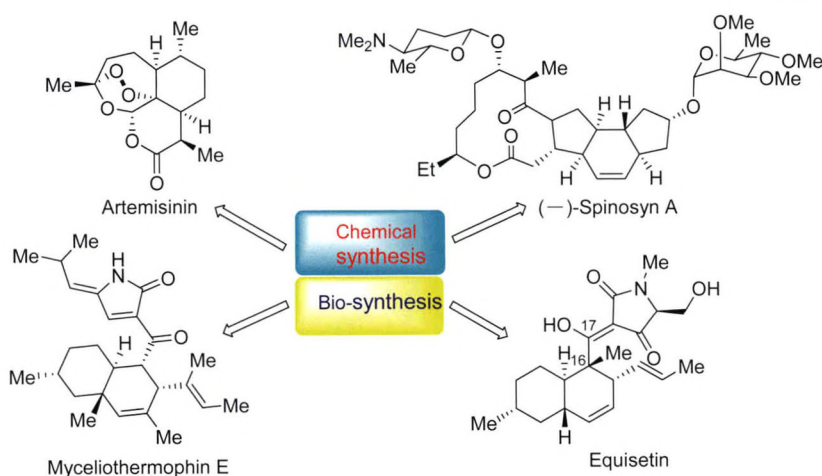
亮点介绍 (2458)

On the Cover

The recent progresses in the total synthesis of artemisinin, spinosyn A, myceliothermophin E and equisetin are reviewed by Li, Zhang and Gao on page 2185, with the combination of chemical synthesis and biosynthesis strategies. The rapid synthesis of bioactive natural products and their derivatives may be accelerated by this new strategy.

REVIEWS

Total Synthesis of Complex Natural Products: Combination of Chemical Synthesis and Biosynthesis Strategies

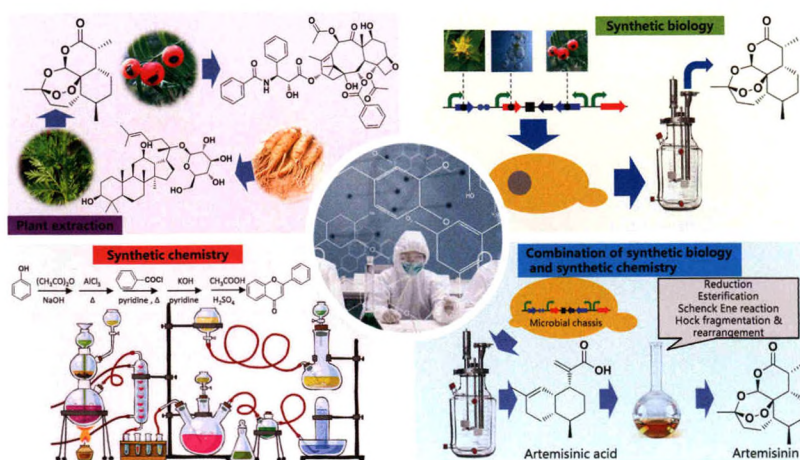


Li, Xiaojun; Zhang, Wanbin*; Gao, Shuan-hu*

Chin. J. Org. Chem. **2018**, 38(9), 2185

The recent progress in the synthesis of artemisinin, spinosyn A, myceliothermophin E and equisetin is reviewed. The combination of chemical synthesis and biosynthesis strategies is emphasized.

Route to Artificially Synthesize Plant Natural Products



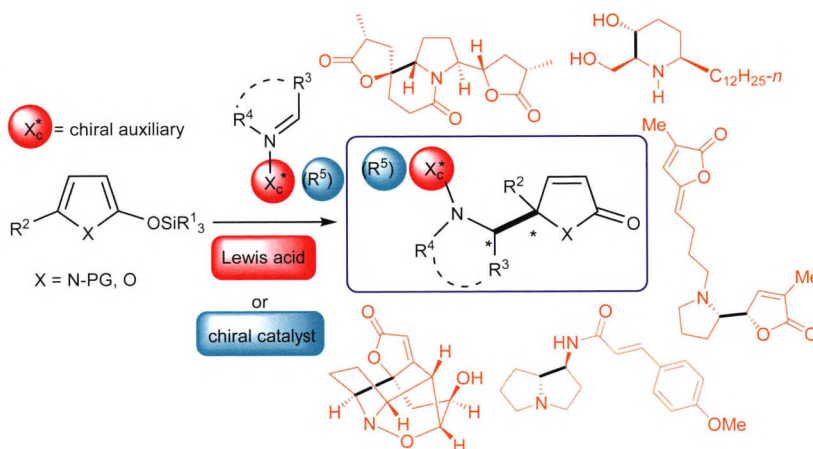
Wang, Pingping; Yang, Chengshuai; Li, Xiaodong; Jiang, Yuguang; Yan, Xing; Zhou, Zhihua*

Chin. J. Org. Chem. **2018**, 38(9), 2199

The synthetic biology technology brings new strategies to manufacture rare plant natural products with complicated structures at large scale by artificially constructing and optimizing the biosynthesis pathway of target compounds in microbial chassis cells. The research progress on the artificial syntheses of important plant natural products such as artemisinin, ginsenosides, morphinan alkaloids, paclitaxel and vinblastine is reviewed.

CONTENT

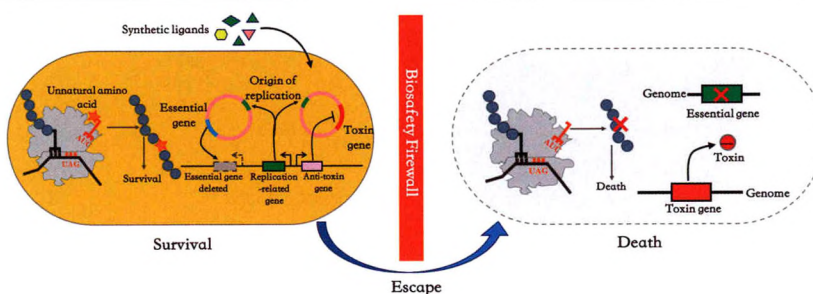
Progress in Heterocycles-Based Asymmetric Vinylogous Mannich Reactions and Applications to the Synthesis of Alkaloids



The recent progress of the asymmetric vinylogous Mannich reactions (VMRs) of siloxy heterocycles is reviewed. The applications of the asymmetric VMRs to the syntheses of complex alkaloids are summarized. Some limitations of the developed heterocycles-based VMRs are also briefly discussed.

Ye, Jianliang; Huang, Peiqiang*
Chin. J. Org. Chem. **2018**, 38(9), 2215

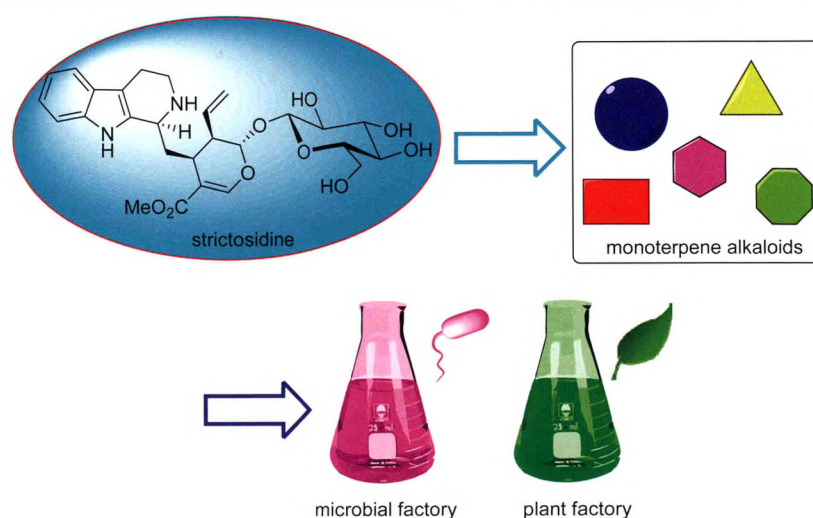
Research Progress in Biocontainment of Genetically Modified Organisms



In order to eradicate escaping problems and horizontal gene transfer between artificial and natural organisms, many researches have been focused on how to limit genetically modified organisms to a controlled environment. The research progress of biocontainment of genetically modified organisms mainly from three aspects of traditional biocontainment strategies, the orthogonalization of central dogma and the design of complex genetic networks is highlighted.

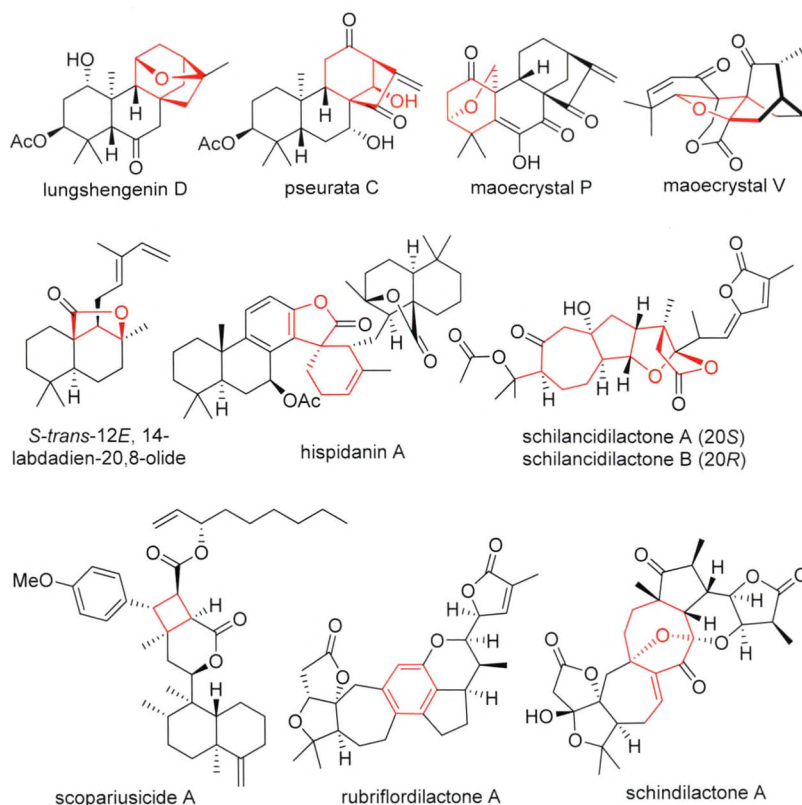
Meng, Fankang; Lou, Chunbo*
Chin. J. Org. Chem. **2018**, 38(9), 2231

Synthetic Biology Studies of Monoterpene Indole Alkaloids



Wu, Shiwen; Yang, Mengquan; Xiao, Youli*
Chin. J. Org. Chem. **2018**, 38(9), 2243

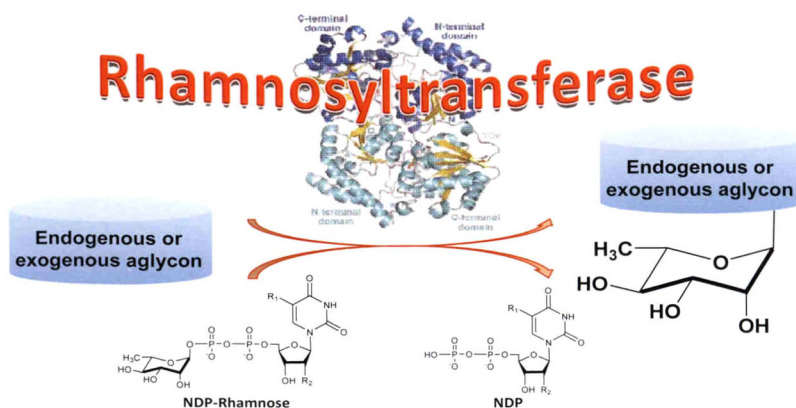
The review describes the progress of monoterpene indole alkaloids biosynthetic pathway elucidation and its synthetic biology approach over the past three decades.

Recent Advances in the Synthesis of *Isodon* Diterpenoids and Schinortriterpenoids

The research of the chemical constituents from the plants of the genus *Isodon* and the Schisandraceae family has been recognized as one of the most outstanding achievements in natural product research. So far, over 1200 diterpenoids classified into 11 different groups have been reported from the *Isodon* species and more than 200 schinortriterpenoids involving more than 20 skeletons have been isolated from the Schisandraceae species. In this review, the recent advances in the synthesis of *Isodon* diterpenoids and schinortriterpenoids have been reviewed over the past ten years.

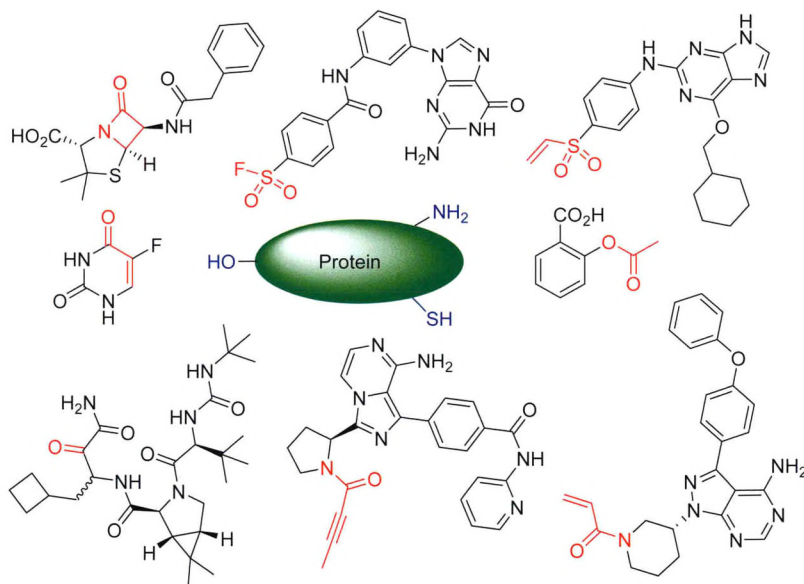
Yan, Bingchao; Hu, Kun; Sun, Handong; Puno, Pematenzin*
Chin. J. Org. Chem. **2018**, 38(9), 2259

Research Progress of Rhamnosyltransferase



Yan, Yaru; Qi, Bowen; Mo, Ting; Wang, Xiaohui; Wang, Juan; Shi, Shepo*; Liu, Xiao*; Tu, Pengfei*
Chin. J. Org. Chem. **2018**, 38(9), 2281

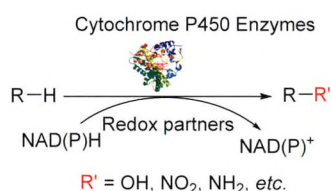
Research progresses of rhamnosyltransferase are reviewed based on their enzymatic functions, three dimensional structure investigations, rhamnosyl donors synthesis, enzymatic catalysis promiscuities, and metabolic engineering applications. Finally, the future development and application of them are also prospected.



In this review, we would focus on the commercial covalent inhibitors that interact with proteins via Michael additions, nucleophilic substitution, or disulfide linkage. The discussion on various types of warheads in covalent inhibitors could inspire future rational drug design.

Dong, Haoran; Subiding, Tayier; Wang, Xin; Lei, Xiaoguang*
Chin. J. Org. Chem. **2018**, 38(9), 2296

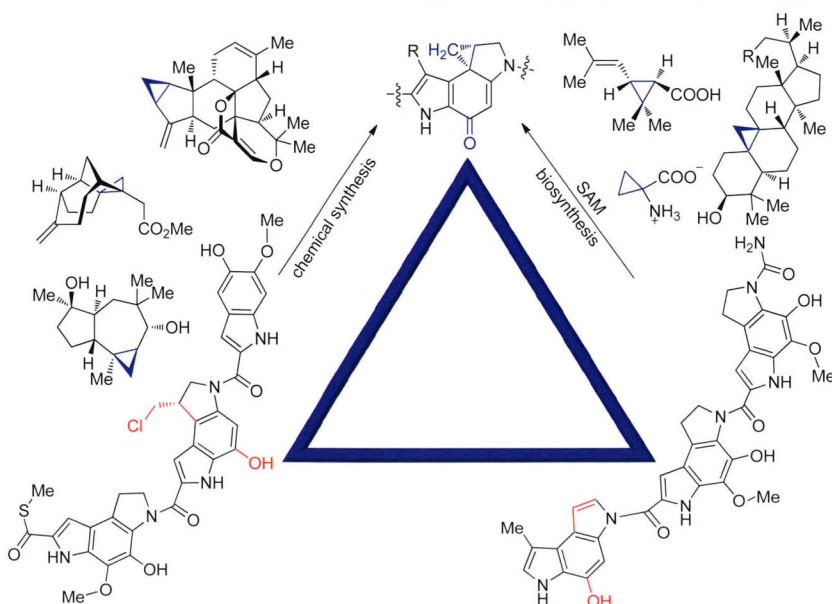
Catalytic Function and Application of Cytochrome P450 Enzymes in Biosynthesis and Organic Synthesis



The catalytic function and application of cytochrome P450 enzymes in biosynthesis and organic synthesis such as hydroxylation, nitration, amination, and others are reviewed. Some general strategies to broaden the application scope of P450 enzymes in biosynthesis and organic synthesis are also discussed.

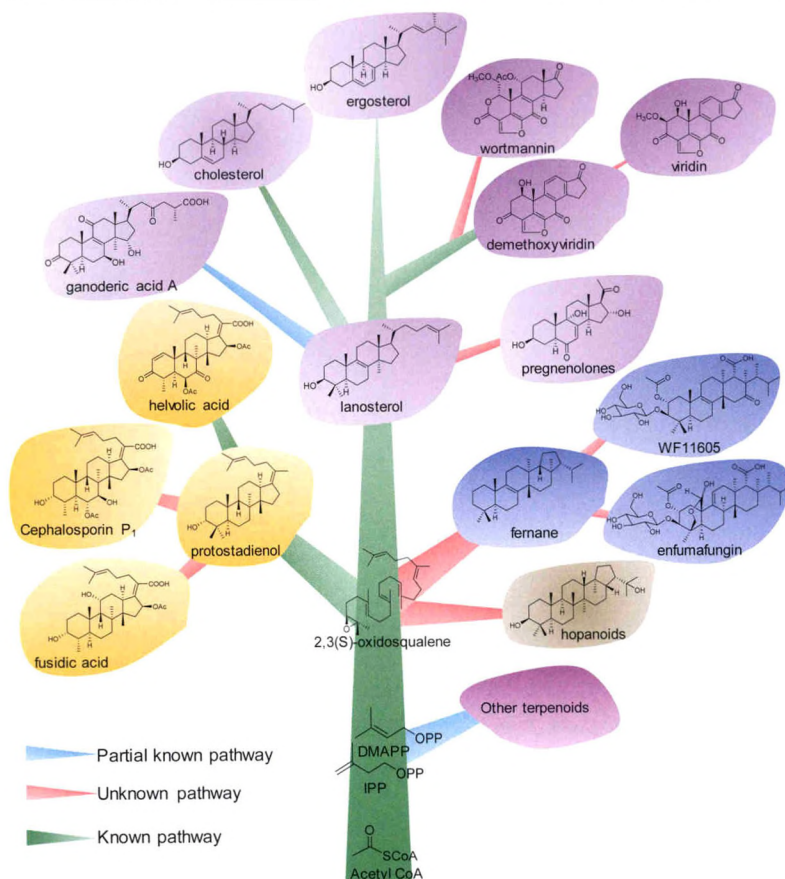
Jiang, Yuanyuan; Li, Shengying*
Chin. J. Org. Chem. **2018**, 38(9), 2307

Strategies for Construction of Cyclopropanes in Natural Products



Great advances have been made for the construction of the cyclopropane in natural products by chemical synthesis, and a number of enzymes responsible for cyclopropanation in nature have been unraveled. The cyclopropanation strategies used in chemical synthesis and biosynthesis is summarized.

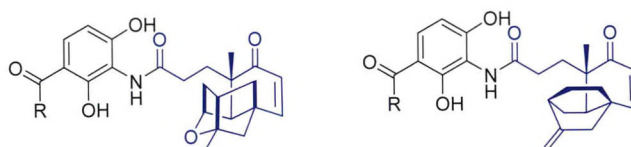
Jin, Wenbing; Yuan, Hua; Tang, Gongli*
Chin. J. Org. Chem. **2018**, 38(9), 2324

Biosynthesis of Fungal Triterpenoids and
Steroids

Gao, Yaohui; Wang, Gaoqian; Huang, Huiyun; Gao, Hao; Yao, Xinsheng; Hu, Dan*
Chin. J. Org. Chem. **2018**, 38(9), 2335

The recent advances in the biosynthesis of fungal triterpenoids and steroids are summarized.

Biosynthesis, Total Synthesis and Semi-synthesis of Platensimycin, Platencin and their Analogues

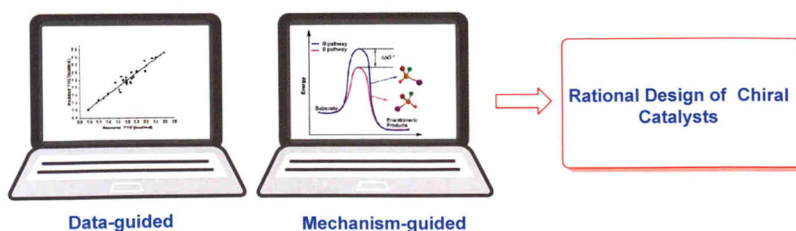


Biosynthesis, total synthesis and semisynthesis

The emergence of multi-drug resistant bacteria is one of the major public health crises. Platensimycin and platencin are potent antibacterial drug leads against many gram-positive pathogens. The biosynthesis, total synthesis and semisynthesis of platensimycin, platencin and their analogues with important antibacterial and antidiabetic activities are reviewed.

Tian, Kai; Deng, Youchao; Li, Yuling; Duan, Yanwen*; Huang, Yong*
Chin. J. Org. Chem. **2018**, 38(9), 2348

Rational Design of Chiral Catalysts Based on Experimental Data and Reaction Mechanism



Li, Yao; Luo, Sanzhong*
Chin. J. Org. Chem. **2018**, 38(9), 2363

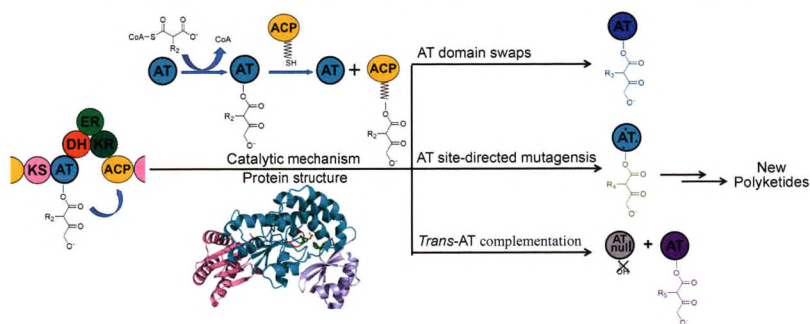
The recent progress in rational design of chiral catalysts is reviewed. The approaches for the rational design of organocatalysts, transition metal catalysts and enzymes are mainly discussed. Finally, the future development and application are also prospected.

CONTENT

Recent Advances in Acyltransferase Domain of Type I Polyketide Synthases

Shen, Jiejie; Mao, Xuming; Chen, Xin'ai; Li, Yongquan*

Chin. J. Org. Chem. **2018**, 38(9), 2377

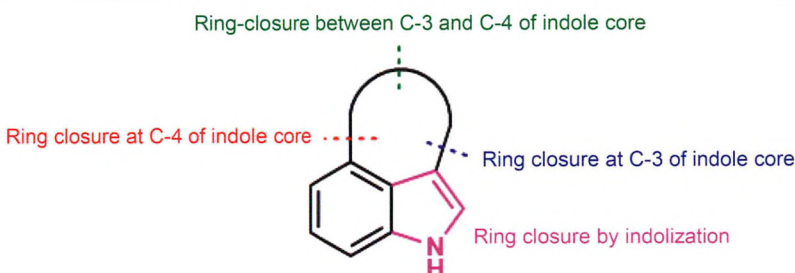


The catalytic mechanisms and the protein structures of acyltransferase (AT) domains are reviewed. The substrate specificity of AT domains and AT engineering is mainly discussed for the plethora of polyketides. Finally, the future developments of them are also prospected.

Recent Progress in the Synthesis of 3,4-Fused Indole Alkaloids

Yuan, Kuo; Jia, Yanxing*

Chin. J. Org. Chem. **2018**, 38(9), 2386

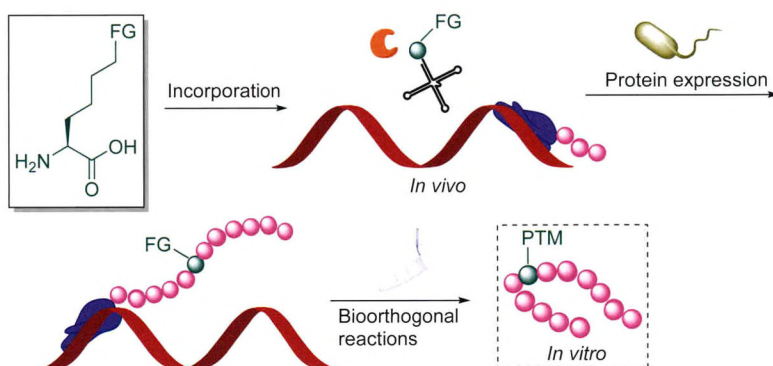


The recent progress in the synthesis of 3,4-fused indole alkaloids is reviewed, in which the literatures are classified by the position of ring-closing on the indole.

Chemical (Semi-) Synthesis and Applications of Lysine Post-Translationally Modified Proteins

Wang, Zhipeng*; Li, Man; Li, Hui; Liu, Zhihua; Li, Ying; Zheng, Ji-shen*

Chin. J. Org. Chem. **2018**, 38(9), 2400

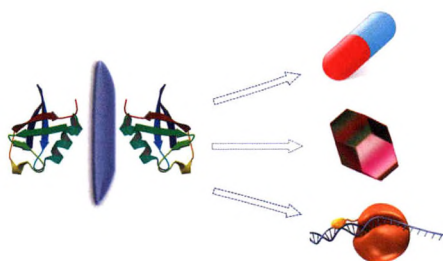


The study of native proteins with post-translational modifications (PTMs) is one of the main fields of epigenetics, whose preparation is still challenging and fruitful. The manuscript will list currently existing chemical biology methods including bioorthogonal reaction technique, non-canonical amino acid incorporation, etc. for the comparison of their advantages and disadvantages.

Studies on Mirror-Image Proteins

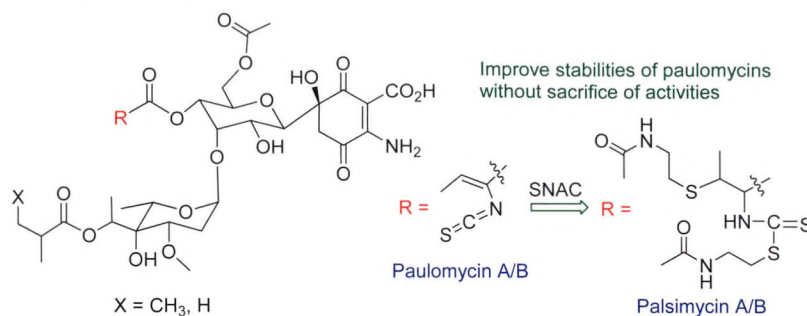
Li, Zichen; Zhang, Baochang; Zuo, Chong; Liu, Lei*

Chin. J. Org. Chem. **2018**, 38(9), 2412



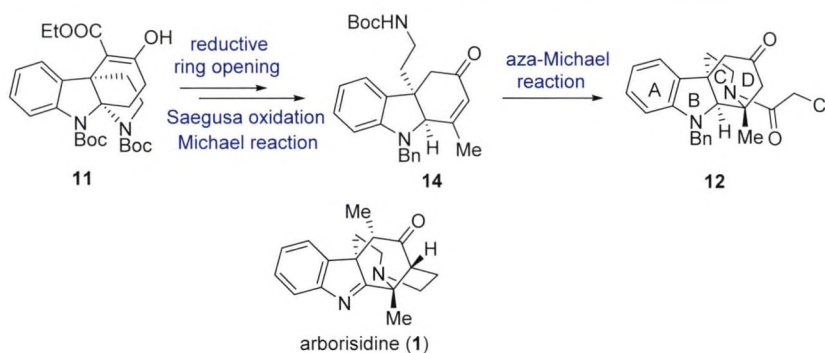
The recent advance in the synthesis and applications of mirror-image proteins is reviewed. The synthetic method of mirror-image proteins is briefly introduced. The applications of these mirror-image proteins are discussed.

ARTICLES

Improvement of Paulomycin Stability by
Addition of Paucic Acid

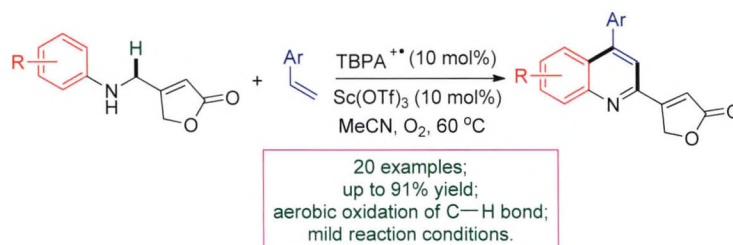
Four new paulomycin derivatives of palsimycins A, B, C, and D were obtained when small molecule thiol compound *N*-acetylcysteine (SNAC) was added to the fermentation broth of paulomycin producing strain. The antibacterial activity evaluation showed that the minimal inhibit concentrations (MICs) of palsimycin A and palsimycin B against the tested strains were at the same level as those of paulomycin A and paulomycin B.

Tang, Yue; Wang, Min; Ding, Yong; Li, Jine; Chen, Yihua*
Chin. J. Org. Chem. **2018**, 38(9), 2420

Asymmetric Synthesis of the Tetracyclic
Skeleton of Natural Product Arborisidine

Asymmetric synthesis of the tetracyclic skeleton of arborisidine is reported. Starting from the enantiomerically pure compound **11**, a reductive ring-opening method was applied to open the pyrrolidine ring of the substrate. The C(15)-C(16) double bond and the methyl group at C(16) of A/B/D tricyclic skeleton were introduced via Saegusa oxidation and Michael reaction respectively. Finally, an intramolecular aza-Michael addition reaction was used as a key reaction to construct the C-ring and C(16) quaternary center, which led to the efficiently asymmetric synthesis of A/B/C/D tetracyclic skeleton of arborisidine.

Chen, Zhitao; Xiao, Tao; Song, Hao*; Qin, Yong*
Chin. J. Org. Chem. **2018**, 38(9), 2427

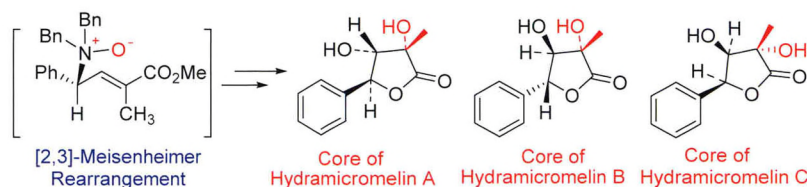
Synthesis of Quinoline Derivatives Con-
taining Lactone Structure Promoted by
Radical Cation Salt

Using aniline derivatives containing lactone structure and styrenes as the starting materials, a series of lactone substituted quinolines were constructed efficiently by oxidative Povarov reaction, promoted by radical cation salt. This reaction provided a new method to achieve the construction of functionalized quinoline skeletons. The mechanistic study revealed that the oxidation of the saturated C—H bond was mediated by free radical intermediate.

Zhang, Xuwen; Li, Pengfei; Yuan, Yu*; Jia, Xiaodong*
Chin. J. Org. Chem. **2018**, 38(9), 2435

CONTENT

Enantioselective Synthesis of Core Structures of Hydramicromelins A, B and C

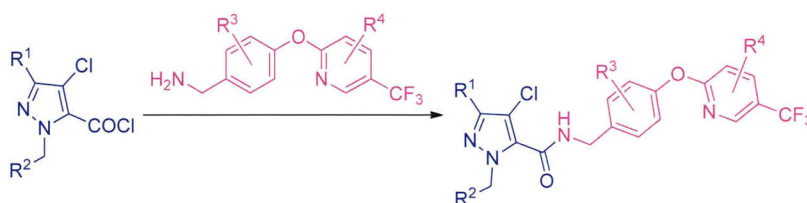


Hydramicromelins A~C are coumarin compounds with unique chemical structure and biological activity. With [2,3]-Meisenheimer rearrangement as a key reaction which has been developed in our laboratory, the core structures of hydramicromelins A, B and C were synthesized from *L*-phenylglycine. The route included Wittig reaction, [2,3]-Meisenheimer rearrangement, epoxidation and dihydroxylation reaction, and it was high-yield and high-enantioselectivity.

Sun, Moran; Dai, Lei; Yang, Hua*; Liu, Hongmin*; Yu Dequan
Chin. J. Org. Chem. **2018**, 38(9), 2443

NOTES

Synthesis and Biological Activities of Novel Pyrazole Amide Derivatives Containing Substituted Pyridyl Group



Shi, Yujun; Zhou, Qian; Wang, Yang; Qian, Hongwei; Ye, Linyu; Feng, Xia; Chen, Hui; Li, Yating; Dai, Hong*; Wei, Zhonghao; Wu, Jinming*
Chin. J. Org. Chem. **2018**, 38(9), 2450

A series of novel pyrazole amides containing substituted pyridyl group were synthesized, and their bioactivities were evaluated.

HIGHLIGHTS

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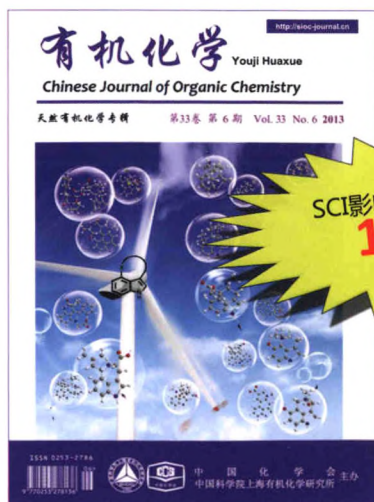
CHINESE JOURNAL OF CHEMISTRY

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国际刊号: ISSN 0253-2786

国内刊号: CN 31-1321/O6

国内邮发代码: 4-285

国外发行代码: M 513

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