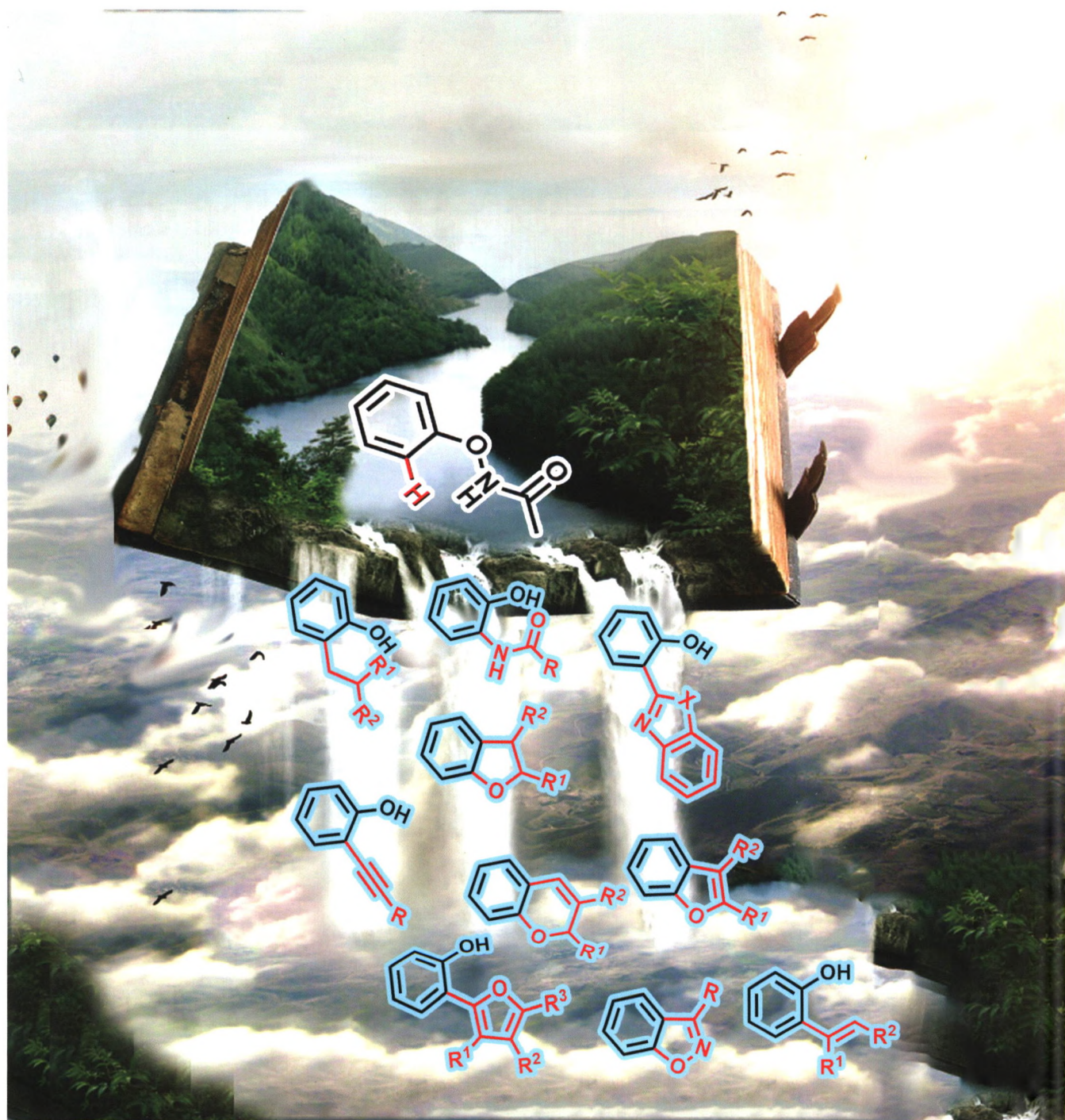


# 有机化学

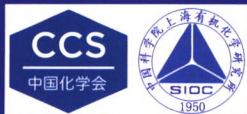
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中国科学院上海有机化学研究所

# 有机化学 (月刊)

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(YOUJI HUAXUE)

第 39 卷 第 7 期 (总 368 期) 2019 年 7 月\*

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- 新型苯丙烯酰胺氨基酸化合物的设计合成及生物活性 ..... 高粟繁 张艳春 李家明\* 张斌 杨雨 胡孟奇 (1953)
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\* 通讯联系人.

氮氧烯丙基正离子与脲的[3+3]环加成反应: 2- <i>N</i> -无取代-1,2,4-噁二嗪-5-酮类化合物的合成	张智勇 王琦 张浩 陈永明 王欣 葛泽梅 李润涛*	(1970)
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## 研究简报

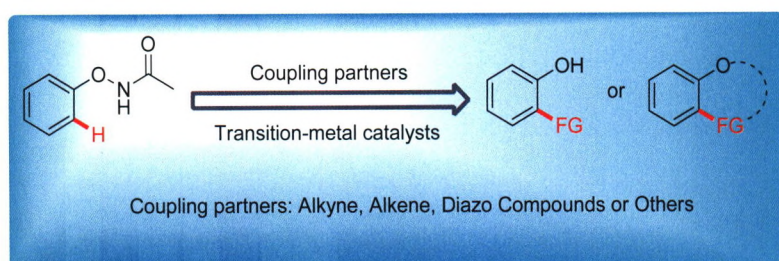
全氟烷基磺酰氟活化羧酸和 1,3-二羰基化合物之间一步 <i>O</i> -酰基化反应	严兆华* 王彦梅 金红爱 艾城美 田伟生*	(2042)
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含脲醚的松油烯-4-醇衍生物的合成及杀虫活性	雷鹏 丁新吉 吴远勇 马志卿*	(2070)
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亮点介绍		(2117)

### On the Cover

The recent progresses in *N*-phenoxyacetamides directed C—H bond functionalizations are reviewed by Jiang, Hao, Zhou, Hou and Hu on page 1811. *N*-Phenoxyacetamide equipped with an oxidizing directing group can effectively react with alkyne, alkene, diazo compounds or others through C—H bond activations under redox neutral conditions for synthesizing a series of phenol derivatives or *O*-containing heterocyclic compounds.

### REVIEWS

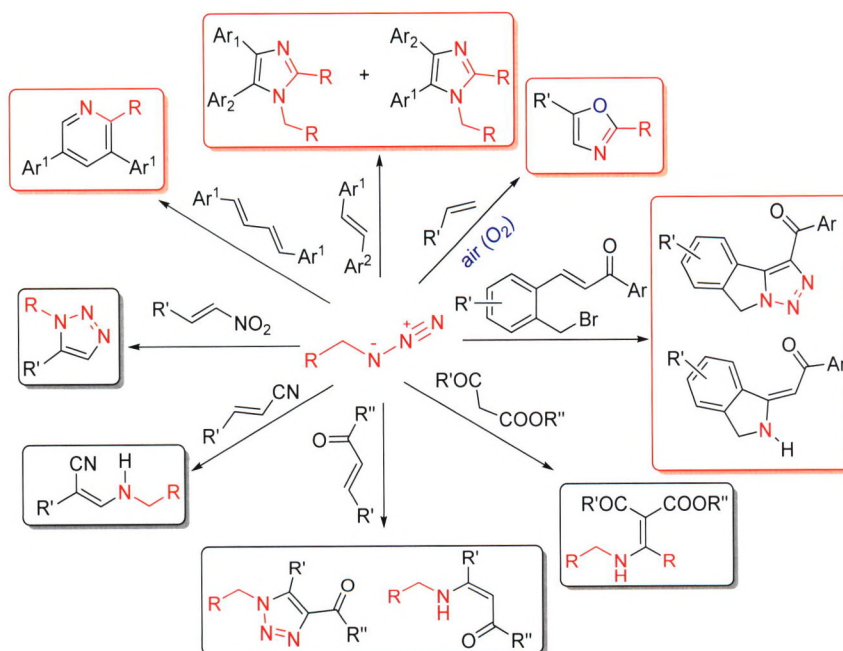
#### Recent Advances in *N*-Phenoxyacetamides Directed C—H Bond Functionalizations



Jiang, Xiaolei; Hao, Jiaqi; Zhou, Guoqing; Hou, Chengcheng; Hu, Fangdong\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 1811

*N*-Phenoxyacetamides with an oxidizing directing group can couple with alkyne, alkene, diazo compounds or others under redox neutral conditions. The recent advances of *N*-phenoxyacetamides in the field of C—H bond activations are summarized and the mechanism of the reaction is discussed.

#### Recent Progress on Reactions of Arylmethyl Azides with Alkenes



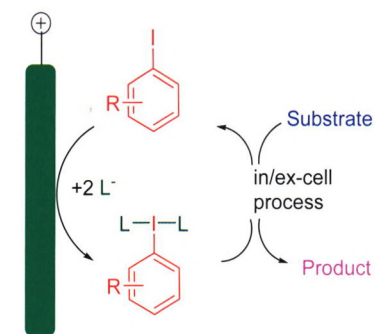
Li, Xiuying; Li, Yajun; Wei, Xiansheng; Luo, Jinrong; Huang, Guobao\*; Tan, Minxiong\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 1831

The recent progress (2014~2018) on the reactions of arylmethyl azides with alkenes is summarized. In addition, the organic reactions on the synthesis of nitrogen compounds and other types of reactions are described, respectively, with their scope of substrates and reaction mechanism.

# CONTENT

## Recent Advances in Organic Electrochemical Synthesis and Application of Hypervalent Iodine Reagents

Zhang, Huaiyuan\*; Tang, Rongping; Shi, Xingli; Xie, Lin; Wu, Jiawei  
*Chin. J. Org. Chem.* **2019**, 39(7), 1837



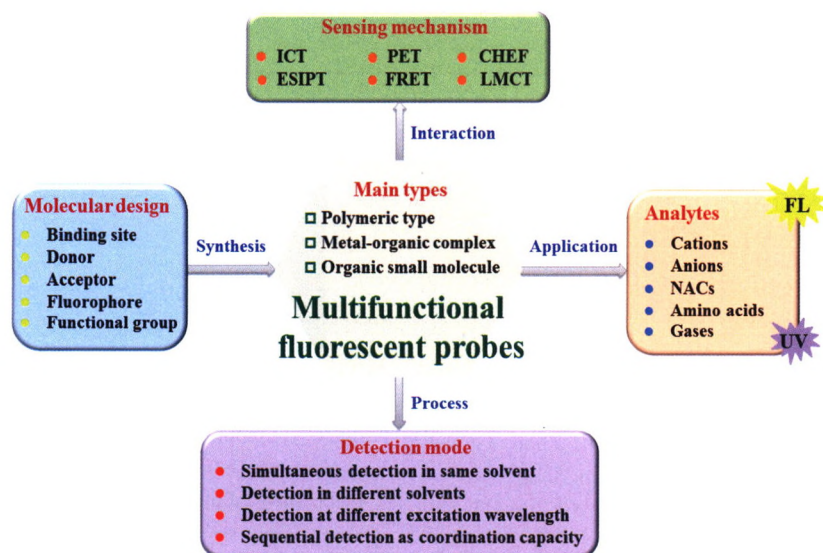
synthesis of hypervalent iodine reagents and their applications in various chemical transformations is reviewed.

Anodic oxidation of aryl iodine compounds is a green and efficient method for the synthesis of hypervalent iodine reagents. Electrochemically generated hypervalent iodine reagents can not only promote fluorination, oxidative cyclization, but also be successfully applied in the total synthesis of natural products. In addition, recyclable aryl iodine mediator can be used to indirect anodic fluorination and easily separated from products. The organic electrochemical

## Research Progress in Design, Synthesis and Application of Multifunctional Fluorescent Probes

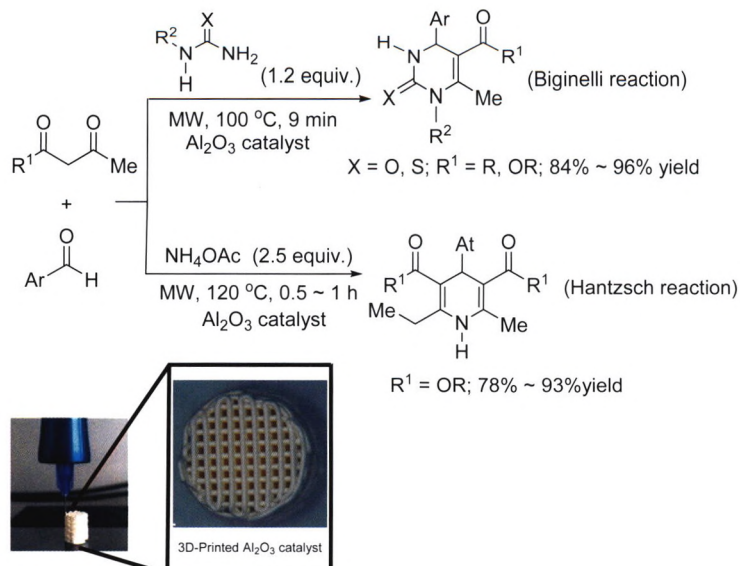
Chen, Sihong; Pang, Chuming; Chen, Xiaoyun\*; Yan, Zhihao; Huang, Shimin; Li, Xiangdi; Zhong, Yating; Wang, Zhaoyang\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 1846

Multi-functional fluorescent probes can efficiently detect multiple analytes. Their research progress in design, synthesis and application in recent years is summarized.



## Application of 3D Printing Technology in Organic Synthetic Chemistry

Lai, Shilin; Liao, Xu; Zhang, Hui; Jiang, Yan; Liu, Yuangang\*; Wang, Shibin; Xiong, Xingquan\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 1858



The recent progress in organic synthesis based on 3D printing technology from 2012 to 2018 is reviewed, such as 3D-printed heterogeneous catalysts, 3D-printed devices and 3D-printed continuous flow microreactors.

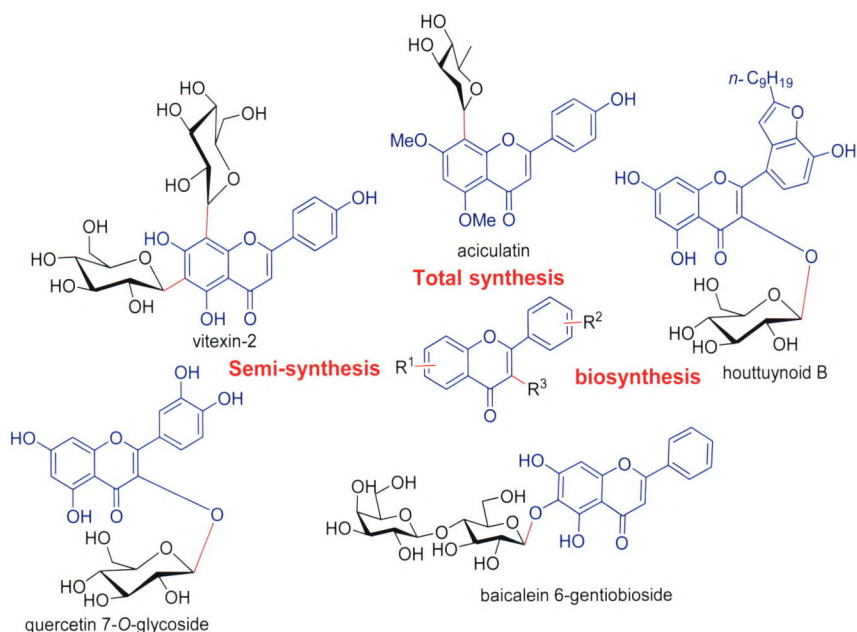
Recent Advances in Direct C—H Bond  
Functionalization of Phenylalanine De-  
rivatives

*ortho*-iodination, alkylation, arylation, alkenylation, alkynylation, acylation,  
acetoxylation, amination, and boronation  
*meta*-arylation and alkylation

The recent progress in direct C—H bond functionalization of phenylalanine derivatives to offer an efficient approach to construct C—I, C(sp<sup>2</sup>)—C(sp<sup>2</sup>), C(sp<sup>2</sup>)—C(sp), C(sp<sup>2</sup>)—C(sp<sup>3</sup>), C—N, C—B and C—O bonds is reviewed. The transformation involves *ortho*-C—H bond iodination and the following intramolecular amination, *ortho*-alkylation, *ortho*-arylation, *ortho*-alkenylation, *ortho*-alkynylation, *ortho*-acylation, *ortho*-acetoxylation, *ortho*-amination, *ortho*-boronation, *meta*-arylation and *meta*-alkylation.

Li, Xiaofang; Xiong, Weikang; Ding, Qiu-  
ping\*

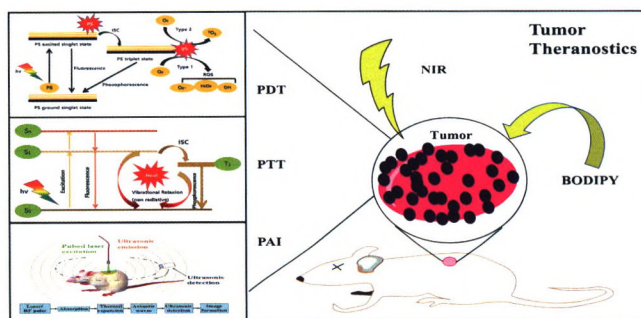
*Chin. J. Org. Chem.* **2019**, 39(7), 1867

Advances on Synthesis of Flavonoid  
Glycosides

Flavonoid glycoside is commonly found in natural plants, possesses diverse bioactivities and potential medicinal values, and its synthesis methods are worthy to be studied. The synthesis of flavonoid glycosides covering the literatures from 2014 to 2018 is reviewed. The flavonoid glycoside synthesis includes two major methods of chemosynthesis and biosynthesis. Chemosynthesis includes total synthesis and semi-synthesis.

Xu, Huanji; Li, Zheming; Wu, Yunqiu; Luo,  
Di; Qiu, Li; Xie, Jizhao\*; Li, Xuehua\*

*Chin. J. Org. Chem.* **2019**, 39(7), 1875

Application of Fluoroboron Fluoresceins  
(BODIPYS) and Their Derivatives in the  
Synergistic Diagnosis and Treatment of  
Tumor

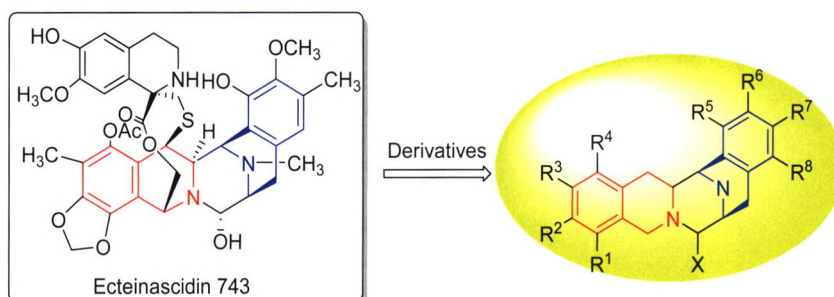
Fluoroboron fluorescein (BODIPY) and its derivatives are introduced in detail as photosensitizers, photothermal transformants, and contrast agents in the diagnosis and treatment of tumors (photodynamic therapy, photothermal therapy, photoacoustic imaging) and integration of diagnosis and treatment. The effects of different BODIPY structures and their derivatives in tumor diagnosis and treatment were evaluated systematically.

Feng, Tong; Xue, Zhongbo; Yin, Juanjuan;  
Jiang, Xu; Feng, Yaqing; Meng, Shuxian\*

*Chin. J. Org. Chem.* **2019**, 39(7), 1891

# CONTENT

## Progress in the Synthesis of Analogues of Bistetrahydroisoquinoline Antitumor Alkaloids

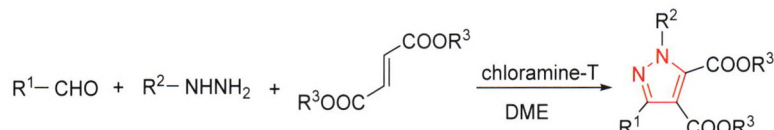


Bistetrahydroisoquinoline is a large family of natural products that display a range of biological properties such as antitumor and antimicrobial activities. Due to the extremely low content of natural products of bistetrahydroisoquinoline including ET-743 and the complexity of its chemical structure, the modification of its chemical structure has attracted more and more attention. Based on this, the recent advance in the synthesis of bistetrahydroisoquinoline analogues is reviewed.

Yang, Yang; Guo, Ju\*; Liu, Zhanzhu\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 1913

## ARTICLES

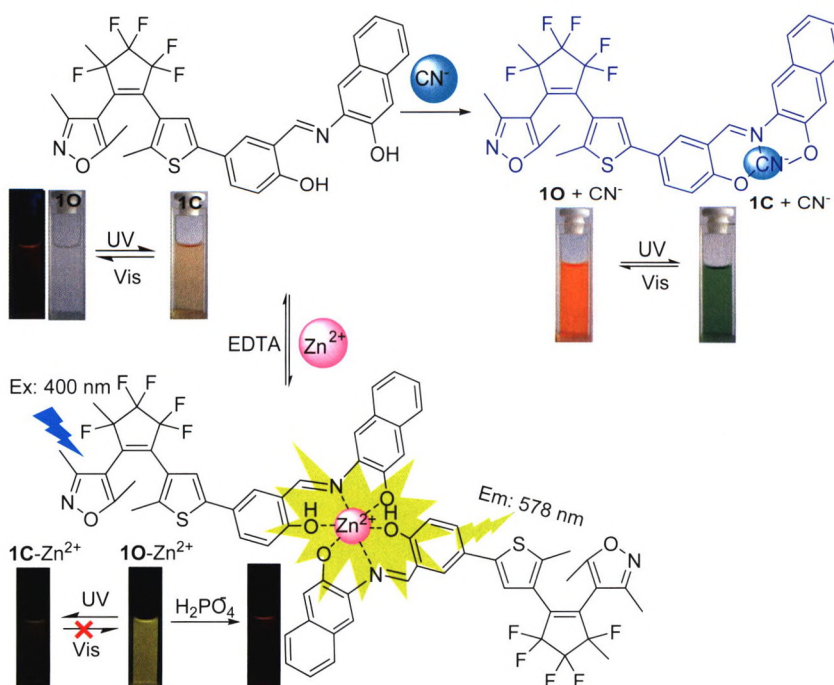
### Chloramine-T Promoted Three-Component Reaction of Aldehydes, Hydrazines and Fumarate Esters for the Construction of Tetra-substituted Pyrazole Derivatives



A series of tetra-substituted pyrazoles were synthesized from aldehydes, hydrazines and fumarate esters by three-component reaction in the presence of chloramine T with 60%~87% yields. Their structures were confirmed by IR,  $^1\text{H}$  NMR,  $^{13}\text{C}$  NMR and HRMS analysis.

Chen, Ying; Zhu, Jianan; Zhao, Shengyin\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 1923

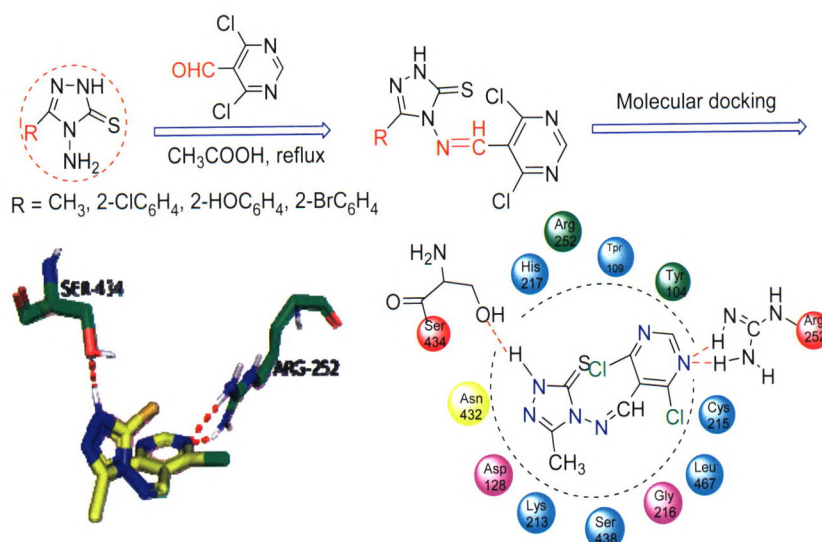
### A New Diarylethene Probe for Colorimetric Detection of $\text{CN}^-$ and Fluorescent Recognition of $\text{Zn}^{2+}/\text{H}_2\text{PO}_4^-$



A new diarylethene 1-(3,5-dimethylisoxazole-4-yl)-2-(2-methyl-5-[(3-aminonaphthol-2-yl)phenol-yl]-thiophene-3-yl)perfluorocyclopentene (**10**) dual-response chemosensor has been synthesized, and its photochromic and fluorescent switch behaviors were systematically investigated by stimulation of lights and ions.

Diao, Lu; Wang, Renjie\*; Wang, Niansheng;  
Liu, Gang; Pu, Shouzhi\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 1930

Synthesis, Biological Activity and Molecular Docking of 4-Amino-5-substituted-1,2,4-triazole-3-thione Schiff Base

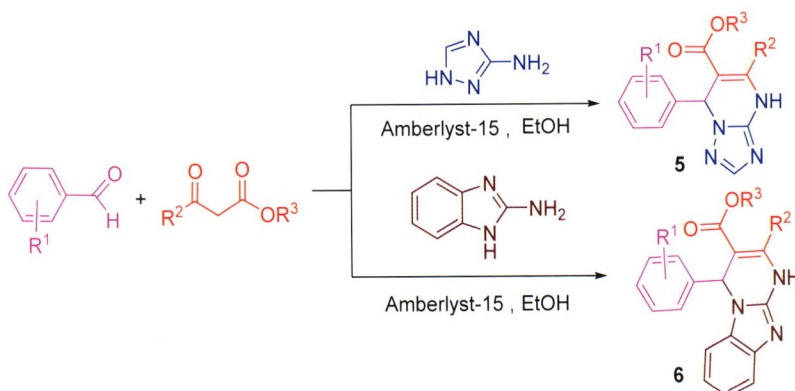


Wu, Shaojie; Lu, Yiming; Lei, Zhuonan; Jiang, Yan; Zhang, Wenhui; Qi, Le; Ma, Haixia; Ren, Yinghui\*

*Chin. J. Org. Chem.* **2019**, 39(7), 1939

Visualization of **M1-1** docking results. Mode of action of **M1-1** reactive group (hydrogen bond). A series of novel 1,3,4-thiadiazolo[3,2-*a*]pyrimidinone mesoionic derivatives were designed and synthesized, and their insecticidal activity and antibacterial activity were also evaluated.

One-Pot Synthesis of Dihydro[1,2,4]triazolo[1,5-*a*]pyrimidines and Dihydrobenzo[4,5]imidazo[1,2-*a*]pyrimidine Derivatives Catalyzed by Amberlyst-15

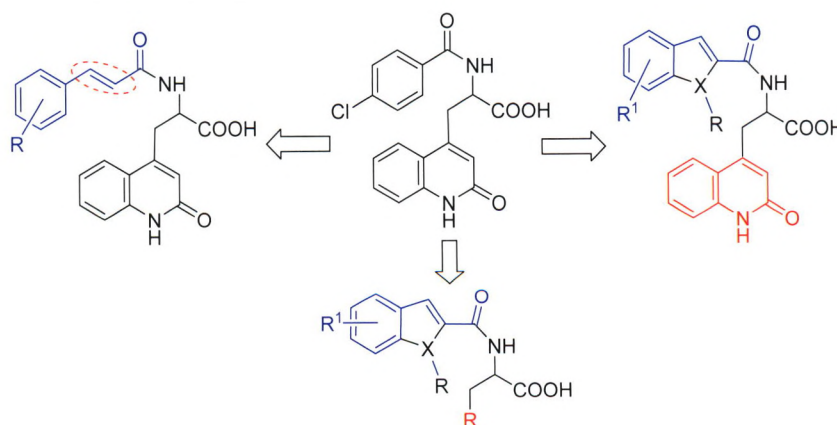


Ma, Huifang; Li, Wenbo; Ablajan, Keyume\*

*Chin. J. Org. Chem.* **2019**, 39(7), 1945

Under the catalysis of solid acidic ion exchange resin Amberlyst-15, using ethanol as solvent, aromatic aldehyde,  $\beta$ -ketoester, and 3-amino-1,2,4-triazole or 2-aminobenzo as starting materials, a series of triazolo[1,5-*a*]pyrimidine derivatives **5a** ~ **5m** and dihydrobenzo[4,5]imidazo[1,2-*a*]pyrimidines **6a** ~ **6g** were synthesized by three-component one-pot reaction of imidazole derivatives.

Synthesis and Biological Evaluation of Novel Phenylpropenoyl-amino Acid Derivatives



Gao, Sufan; Zhang, Yanchun; Li, Jiaming\*; Zhang, Bin\*; Yang, Yu; Hu, Mengqi

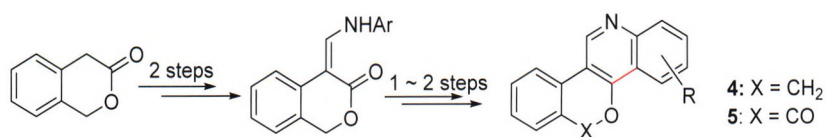
*Chin. J. Org. Chem.* **2019**, 39(7), 1953

A series of novel phenylpropenoyl-amino acids using rebamipide as template were designed and synthesized, and their TNF- $\alpha$  and IL-6 expression inhibitory activities were evaluated.



## CONTENT

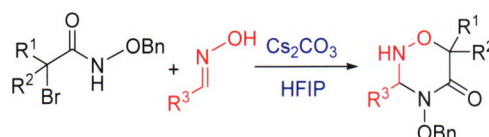
Study on the Synthesis of Benzophenanthridine Analogues via the Cyclization Reaction of Aryl-enamine Ester and Their Cytotoxicity



23 novel analogues of benzophenanthridine were synthesized starting from isochroman-3-one and aromatic amines via the cyclization reaction of aryl-enamine ester as the key steps. The *in vitro* cytotoxic activity of the targeted compounds against a panel of human tumor cell lines and normal cell HL-7702 was also evaluated.

Wang, Zengbo; Tian, Cheng; Liu, Qingqing; Zhang, Wei; Pan, Chengxue\*; Su, Guifa\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 1962

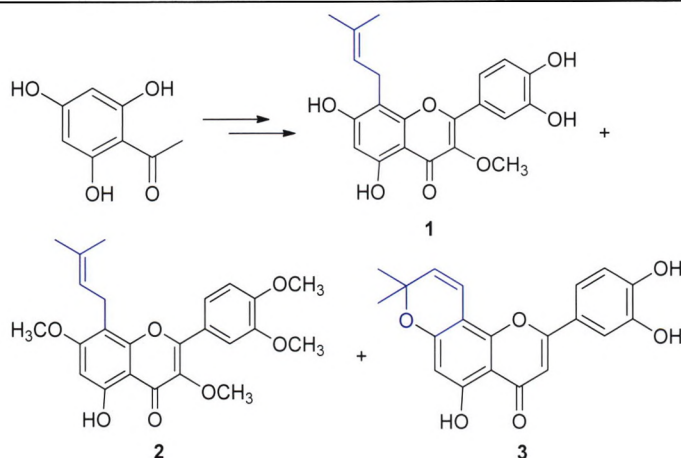
[3+3] Cycloaddition Reactions of Aza-Oxyallyl Cations with Oximes: Synthesis of 2-*N*-Unsubstituted 1,2,4-Oxadiazin-5-ones



The first [3+3] cycloaddition reaction of *in situ*-generated azaoxyallyl cations with oximes was developed. This approach provides a simple and efficient method for the synthesis of 2-*N*-unsubstituted 1,2,4-oxadiazin-5-one derivatives with many merits, such as easy available reagents, mild reaction conditions and high yield.

Zhang, Zhiyong; Wang, Qi; Zhang, Hao; Chen, Yongming; Wang, Xin; Ge, Zemei; Li, Runtao\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 1970

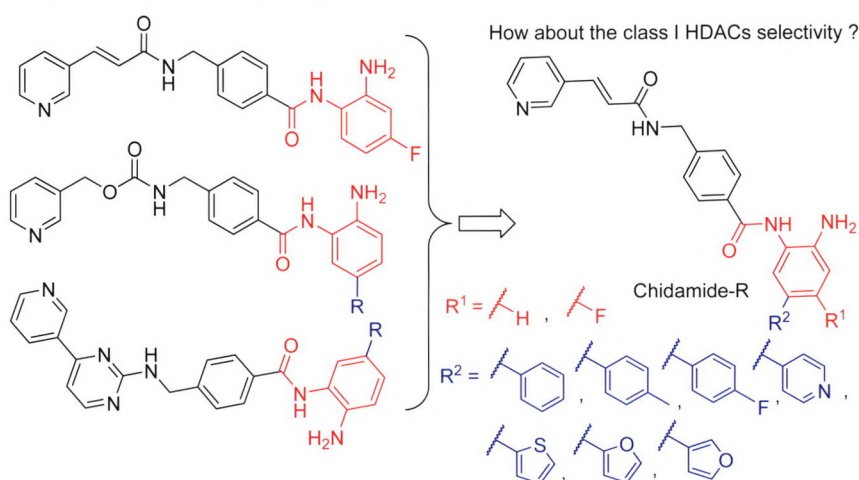
Synthesis of 8-Prenylflavonoids Natural Products by Microwave Promoted Claisen Rearrangement



The total synthesis of 8-prenylguercetin-3-methylether (1), 8-prenylquercetin-3,7,3',4'-tetramethyl ether (2) and artochamin C (3) was first achieved. The key step of microwave promoted Claisen rearrangement was discussed.

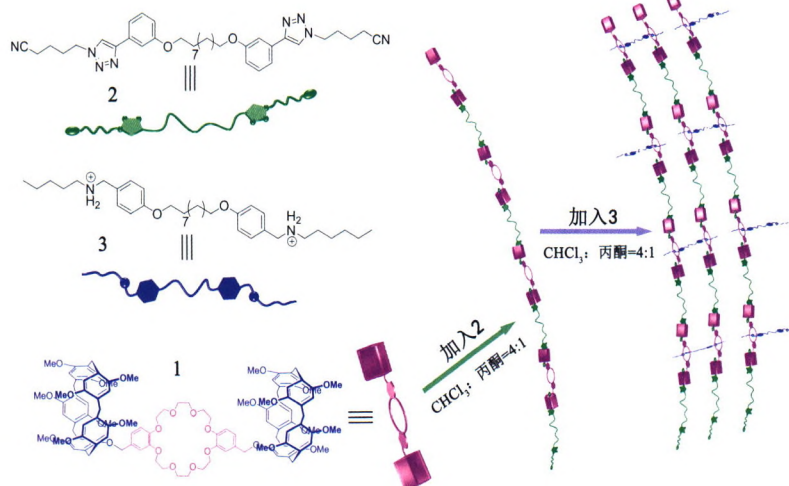
Li, Wei; Shu, Liang; Wang, Qian\*; Li, Gaoyang; Shan, Yang  
*Chin. J. Org. Chem.* **2019**, 39(7), 1976

Design, Synthesis and Evaluation of Anti-tumor Activities of Chidamide Derivatives



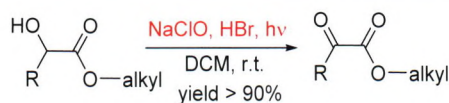
Zhang, Xiangna; He, Feng; Zhang, Qiuqiong; Lü, Jiahui; Xu, A'na; Yu, Cheng-gong; Qu, Ying; Wu, Jingde\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 1983

A series of novel chidamide based histone deacetylases (HDACs) inhibitors were rationally designed and synthesized to increase the Zn<sup>2+</sup> chelating and selectivity. Biological characterization established that most of the compounds showed moderate antiproliferative activities in cancer cell lines.

Linear and Cross-Linked Supramolecular  
Polymers Based on a Dibenzo-24-crown-  
8 Bridged Pillar[5]arene Dimer

One hybrid host molecule of dibenzo-24-crown-8 bridged pillar[5]arene dimer (**1**) was designed and synthesized. The combination of host **1** and ditopic guest **2** containing two 5-(1*H*-1,2,3-triazol-1-yl)pentanenitrile binding sites could afford linear AA/BB-type supramolecular polymers. Then introduction of ditopic guest **3** containing two secondary ammonium parts yields cross-linked supramolecular polymers.

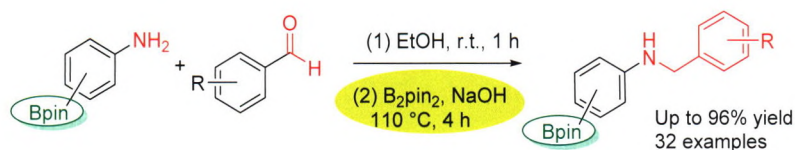
Huo, Bochao; Li, Bin; Su, Hang; Zeng, Xianqiang; Xu, Kaidi; Cui, Lei\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 1990

Radical Oxidation of  $\alpha$ -Hydroxyl Ester  
Initiated by Sodium Hypochlorite

$\alpha$ -Hydroxyl esters were oxidized with sodium hypochlorite in the presence of hydrogen bromide to provide  $\alpha$ -keto esters in high yields under mild conditions. The reaction was proposed to proceed via a free-radical mechanism.

Wang, Maochang; Zhang, Baohua\*; Ding, Kai\*

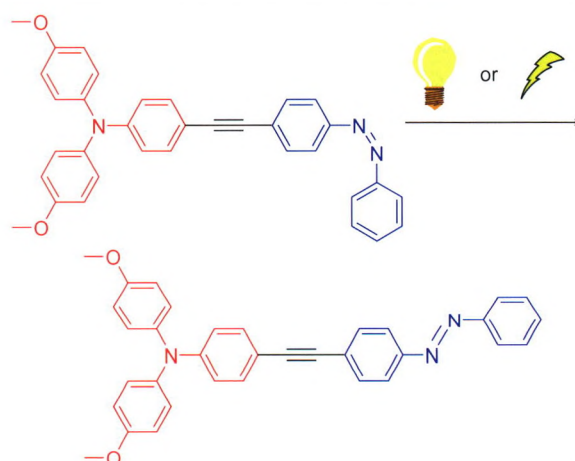
*Chin. J. Org. Chem.* **2019**, 39(7), 1996

One-Pot, Two-Step Reductive Amination  
of Boronate Ester Containing Aromatic  
Amines and Aldehydes Using  $B_2pin_2$  as  
Reductant

Liu, Xueying; Liu, Zhenwei; Guo, Yuanyuan; Li, Jingya; Zou, Dapeng\*; Wu, Yusheng\*; Wu, Yangjie\*

*Chin. J. Org. Chem.* **2019**, 39(7), 2001

The one-pot, two-step reductive amination of boron-containing primary aromatic amines and aldehydes has been achieved in the presence of NaOH in ethanol using  $B_2pin_2$  as reductant. A series of corresponding secondary aromatic amines containing pendant boronate ester and various functional groups were obtained in moderate to good yields.

4,4'-Dimethoxy-triphenylamine Conjugated  
Azobenzene Photochromic Switches:  
Synthesis, Electrochemical and Photo-  
isomerization Studies

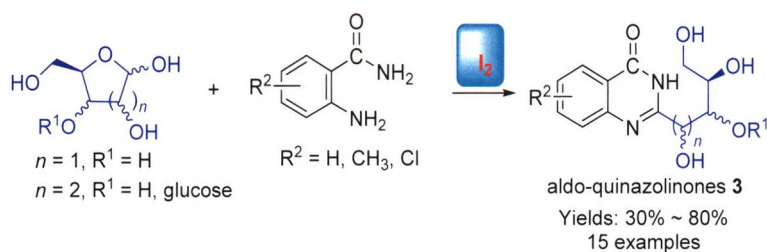
Yan, Jianfeng; Zhang, Ruiqi; Yuan, Ye; Yuan, Yaofeng\*

*Chin. J. Org. Chem.* **2019**, 39(7), 2009

The *cis*-to-*trans* isomerization of **4** can be not only achieved by irradiation at UV light, but also realized by a more efficient way of change the state of redox center.

# CONTENT

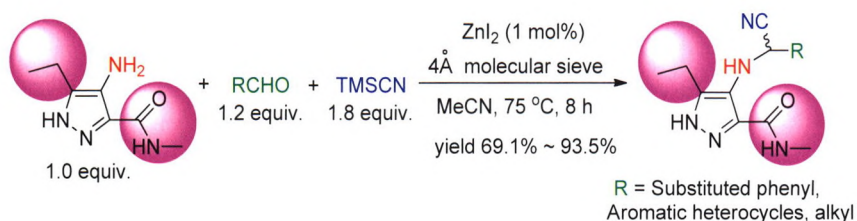
## Synthesis of Aldo-quinazolinones by Iodine-Induced Oxidative Condensation of Aldoses and *o*-Aminobenzamides



Ju, Huanhuan; Sun, Jiajing; Li, Xiaoliu\*; Chen, Hua\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 2018

A series of aldo-quinazolinones were synthesized by a one-pot iodine-induced oxidative condensation of the unprotected mono-/di-saccharides and *o*-aminobenzamides.

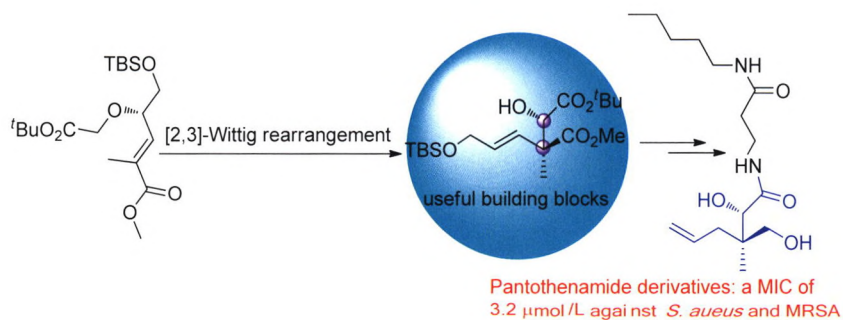
## Synthesis and Biological Activity Study of Novel Cyano-containing Multi-substituted Pyrazoles Obtained via Strecker Reaction



Su, Shimiao; Zhu, Mo; Zhang, Daqiang; Yuan, Dekai\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 2026

The reaction of 3,5-disubstituted pyrazole-4-amine, TMSCN and aldehydes was realized by the catalysis of anhydrous  $ZnI_2$  with 4 Å molecular sieve. 20 target compounds of cyano-containing multi-substituted pyrazoles were obtained with the highest yield of 93.5%. Preliminary bioassay showed that some compounds possessed some larvicidal activity, fungal activity and anti-TMV activity.

## A Synthetic Route to Access Allyl-methyl-*N*-pantothenamide via [2,3]-Wittig Rearrangement

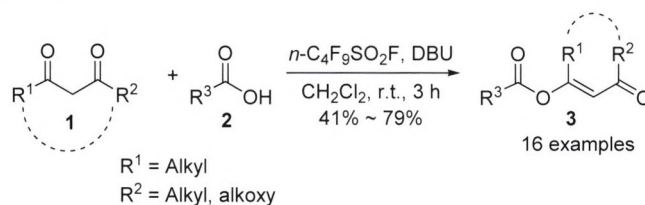


Xia, Liwen; Zhao, Qing; Ba, Mengyu; Hu, chaoping; Sun, Moran\*; Yang, Hua  
*Chin. J. Org. Chem.* **2019**, 39(7), 2035

The synthetic route of allyl-methyl-*N*-pantothenamide (**1**) featuring [2,3]-Wittig rearrangement and palladium catalyzed formate reduction to assemble the requisite quaternary carbon with adjacent secondary alcohol has been reported. Our strategy presents a facile synthetic route to access **1** in 10 steps, which also provide a novel inspiration to construct chiral quaternary carbon via asymmetrical [2,3]-Wittig rearrangement.

## NOTES

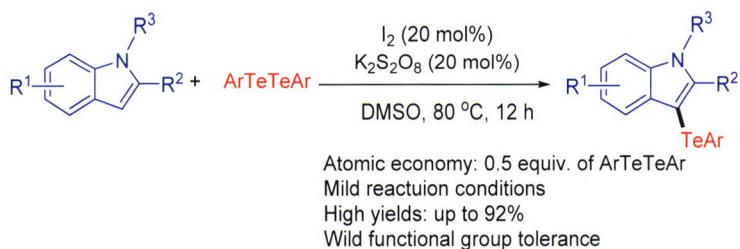
### One-Step Enol Esterification of 1,3-Dicarbonyls with Carboxylic Acids Activated by Perfluoroalkanosulfonyl Fluoride



Yan, Zhaohua\*; Wang, Yanmei; Jin, Hong'ai; Ai, Chengmei; Tian, Weisheng\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 2042

One-step *O*-acylation of 1,3-dicarbonyl compounds (1,3-diketones and  $\beta$ -ketoic esters) with carboxylic acids activated by perfluoroalkanosulfonyl fluoride in alkaline media was disclosed, and the corresponding *O*-acylation products of enol esters were generated in medium to good yields.

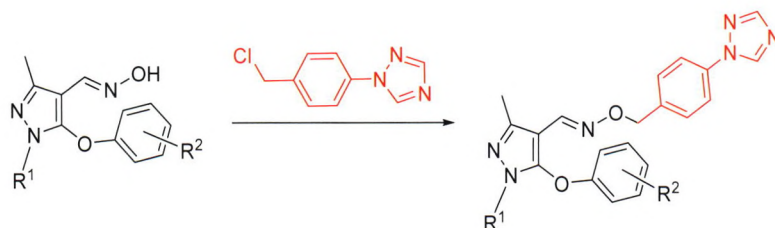
Iodine-Catalyzed Telluration of Indole Derivatives with Diarylditellurides for Synthesis of 3-Aryltellurylindoles



In the presence of 20 mol%  $K_2S_2O_8$ , a variety of 3-aryltellurylindoles were obtained in high yields via the telluration of indole derivatives with diarylditellurides catalyzed by 20 mol%  $I_2$ . This process tolerates a wide spectrum of different indole derivatives and diarylditellurides. Other advantages include mild reaction conditions, high yields and atom economy, and an efficient route to 3-aryltellurylindoles is afforded.

Chen, Jinyang\*; Hu, Li; Wang, Haiying; Tan, Honghui  
*Chin. J. Org. Chem.* **2019**, 39(7), 2048

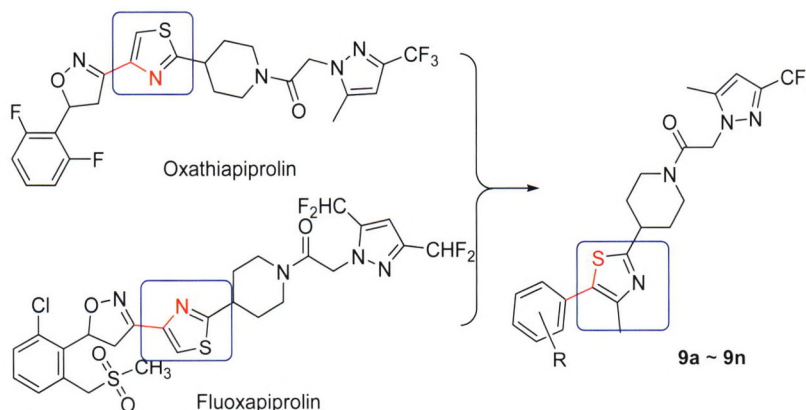
Synthesis and Bioactivities of Novel Pyrazole Oxime Ethers Containing 1,2,4-Triazole Moiety



Wang, Yurong; Zheng, Dandan; Wang, Yang; Ye, Hao; Yao, Wei; Ding, Ying; Gu, Haiying\*; Feng, Xia; Li, Ling; Dai, Hong\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 2053

A series of novel pyrazole oxime ethers containing 1,2,4-triazole moiety were prepared, and their biological activities were evaluated.

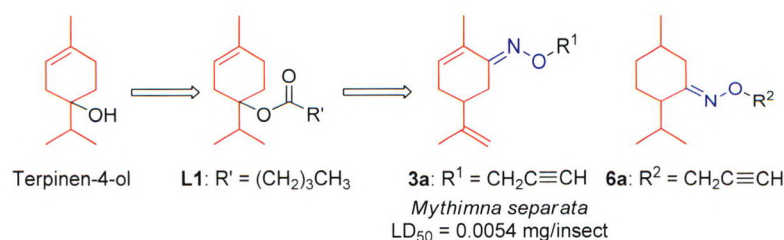
Synthesis and Fungicidal Activity of Novel Oxathiapiprolin Derivatives



Ding, Chengrong; Pan, Yayun; Yin, Xu; Tan, Chengxia\*; Wang, Xuedong\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 2062

Sixteen oxathiapiprolin derivatives were designed and synthesized. Their structures were confirmed by  $^1H$  NMR,  $^{13}C$  NMR and HRMS. The bioassay results indicated that the target compounds exhibited moderate to excellent antibacterial activities against *Fusarium graminearum*, *Diplocarpon mali*, *Rhizoctonia solani* Ktihn, *Phytophthora infestans* and *Botrytis cinerea*.

Synthesis and Insecticidal Activity of Terpinen-4-ol Derivatives Containing Oxime Ether

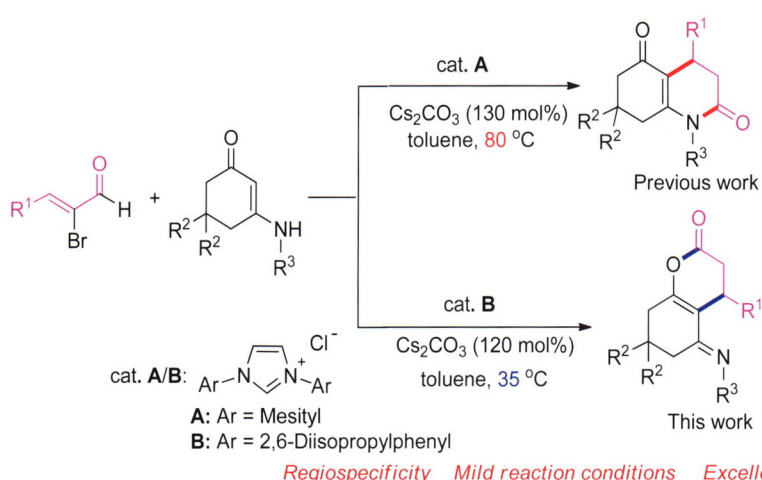


Lei, Peng; Ding, Xinji; Wu, Yuanyong; Ma, Zhiqing\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 2070

A series of terpinen-4-ol derivatives containing oxime ether were designed and synthesized. Compound 3a showed satisfied activity against *Mythimna separata* with the  $LD_{50}$  value of 0.0054 mg/insect, which is 19.1 times than terpinen-4-ol.

# CONTENT

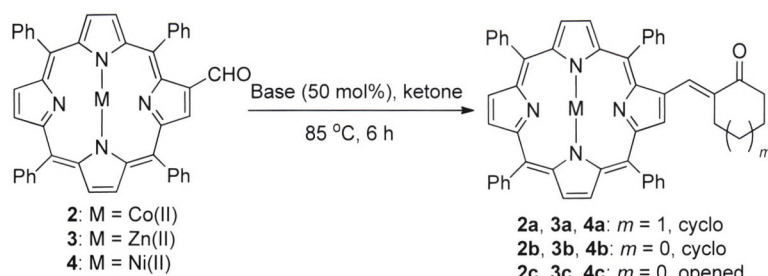
Effect of Temperature on *N*-Heterocyclic carbene-Catalyzed [3+3] Annulation of  $\alpha$ -Bromoaldehyde with Enaminone



The regioselectivity of *N*-heterocyclic carbene (NHC)-catalyzed [3+3] annulation of  $\alpha$ -bromoaldehyde with enaminone is dependent on the temperature. The reactions performed at 35 °C could regioselectively give the derivatives of fused pyranone instead of previously reported quinolones, which provided a new shortcut to pyranone with mild reaction condition, broad substrate scope, high yields and operational simplicity.

Wang, Zhanlin; Li, Ruyi; Qian, Huimin; Yao, Changsheng\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 2075

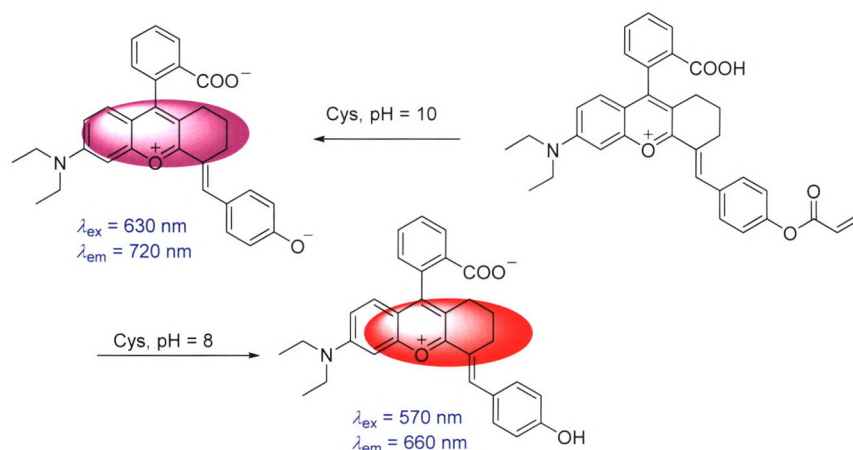
Solvent-Free Aldol Reaction of  $\beta$ -Porphyrin Formaldehyde with Ketone



A convenient approach for the synthesis of  $\beta$ -substituted  $\alpha,\beta$ -unsaturated carbonyl porphyrin compounds via base-catalyzed aldol reaction was developed. By this method, a series of  $\beta$ -substituted  $\alpha,\beta$ -unsaturated carbonyl porphyrin compounds were constructed using  $\beta$ -porphyrin formaldehyde and ketones with moderate to excellent yields under mild reaction conditions, especially solvent-free, and good functional group tolerance.

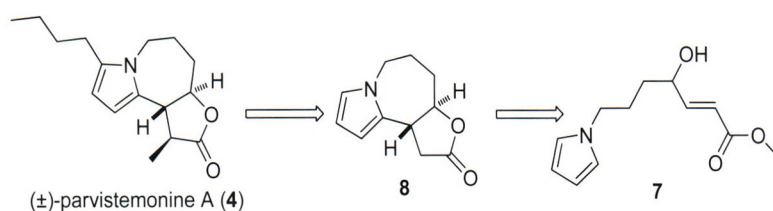
Zhou, Xiang; Zhou, Fei; Jia, Xiaoliang; Yu, Jiawei; Shen, Qi; Zhang, Yunxiao\*; Shi, Weimin\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 2084

A Novel Rhodamine Analogues-Based Near-Infrared Fluorescent Probe for Cys



Tian, Qing; Chen, Shuanghu; Chen, Jinglong; Liu, Rui; Wang, Yushi; Yang, Xiaopeng; Ye, Yong\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 2089

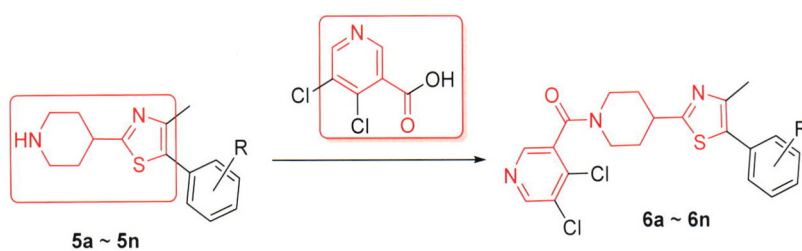
A near-infrared fluorescence probe CS-Cys was synthesized using rhodamine analogue as near-infrared fluorescent group. The probe can specifically response to Cys, not to other sulfhydryl amino acids.

Total Synthesis of Racemic ( $\pm$ )-Parvistemonine A

Parvistemonine A was isolated from *Stemona parviflora*. The total synthesis of racemic parvistemonine A was completed in 6 steps for the first time, employing compound **7** as the starting material. The synthetic strategy features a tandem Friedel-Crafts cyclization and lactonization, Vilsmeier-Haack and Julia-Kocienski olefination.

Ma, Kaiqing\*; Ren, Hubin; Wu, Xiaoxiao;  
Chao, Jianbin; Qin, Xuemei  
*Chin. J. Org. Chem.* **2019**, 39(7), 2094

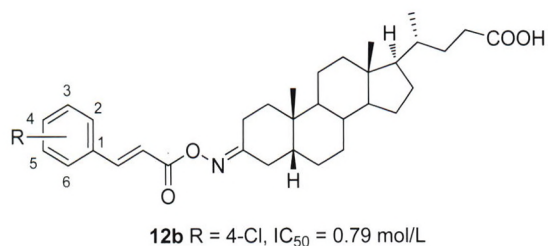
## Synthesis and Biological Activity of Thiazolidine Piperidine Nicotinamide Compounds



14 novel thiazolidine piperidine nicotinamide derivatives were designed and synthesized in search of new bioactive compounds containing thiazolidine piperidine structure. The preliminary bioassay showed that the target compounds generally had antibacterial activities.

Ding, Chengrong; Pan, Yayun; Yin, Xu; Tan, Chengxia\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 2099

## Novel Ester Derivatives of Lithocolic Acid-3-oxime and Their Inhibitory Activities against Protein Tyrosine Phosphatase 1B



3-Hydroxyl of lithocolic acid was oxidized, followed by oximating and splicing with cinnamoyl to afford a novel series of derivatives, of which, compound **12b** was 15-fold more potent than the lead compound ( $IC_{50} = 0.79 \mu\text{mol}\cdot\text{L}^{-1}$ ), and had 4-fold selectivity over homologous T-cell protein tyrosine phosphatase as well.

Shi, shuzhi; Liang, zhipeng; Sun, jiangyong;  
Shi, Yujun\*  
*Chin. J. Org. Chem.* **2019**, 39(7), 2106

## HIGHLIGHTS

*Chin. J. Org. Chem.* **2019**, 39(7), 2117

190.23  
[Xe]4f<sup>14</sup>5d6<sup>6</sup>s<sup>2</sup> 76

**Os**

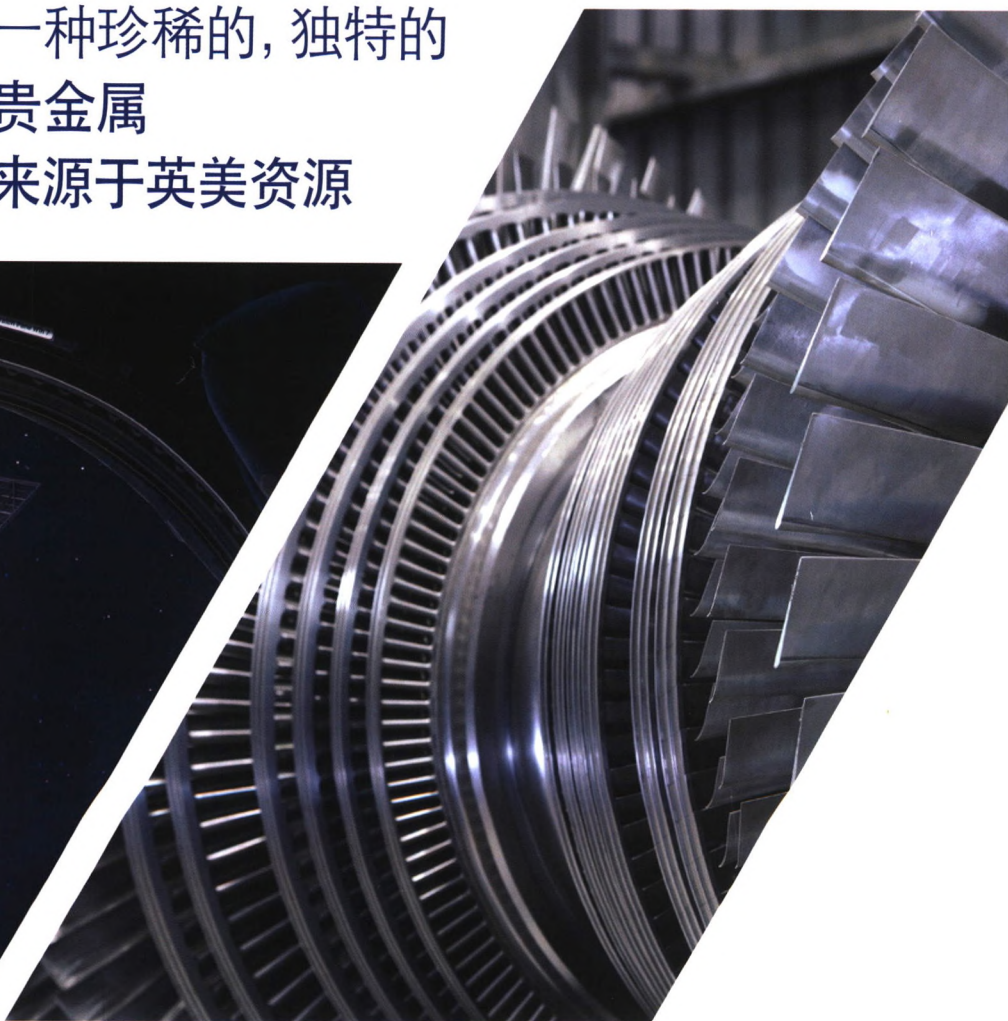
Melting point: 3033°C  
Boiling point: 5012°C

**OSMIUM**

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