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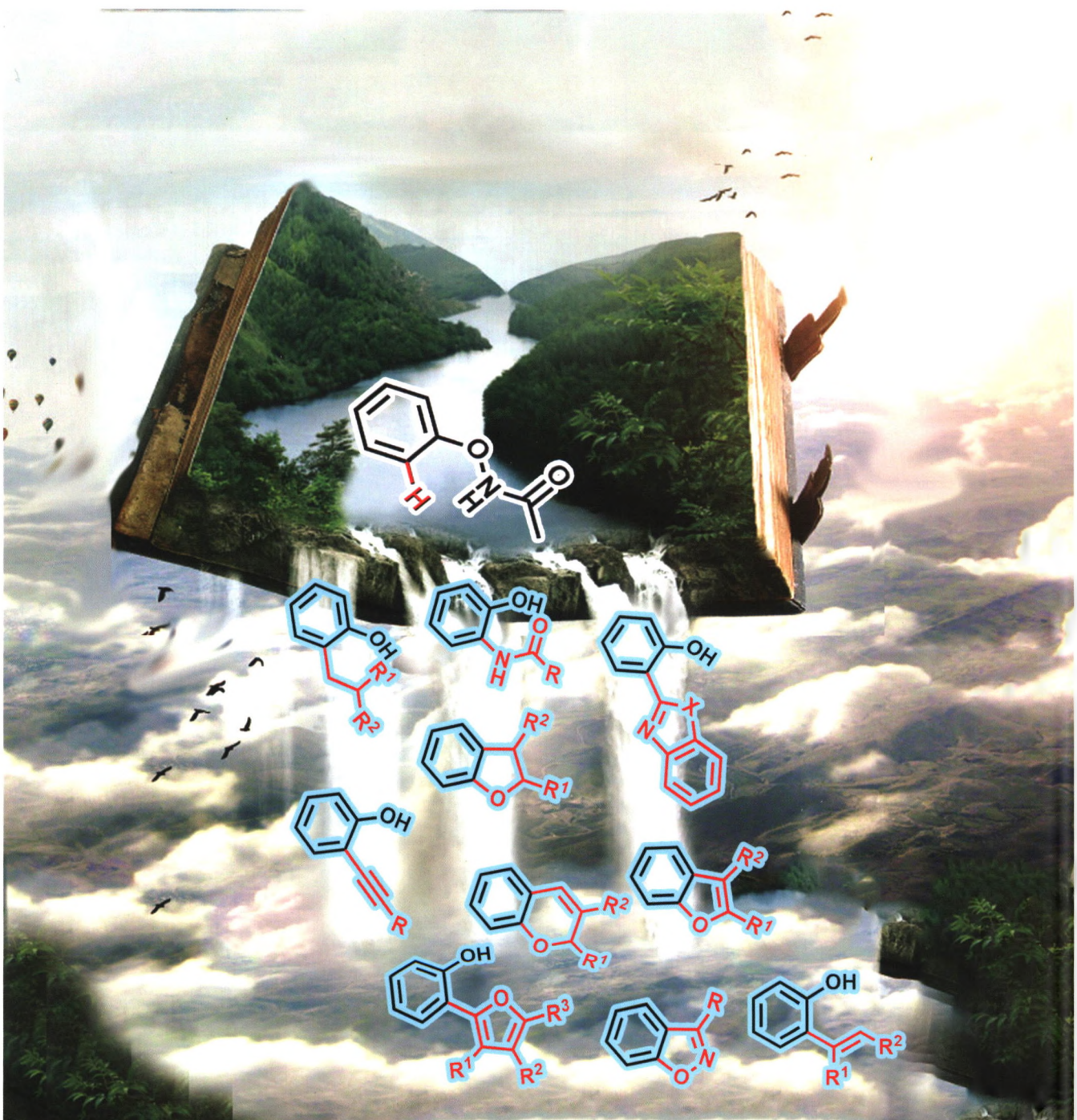
Chinese Journal of Organic Chemistry

第 39 卷 第7期 Vol. 39 No. 7 2019



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目 次

综述与进展

研究论文

* 通讯联系人.

研究简报

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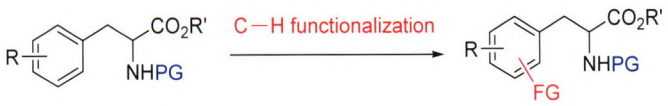
On the Cover

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

CONTENT

Recent Advances in Direct C—H Bond Functionalization of Phenylalanine Derivatives

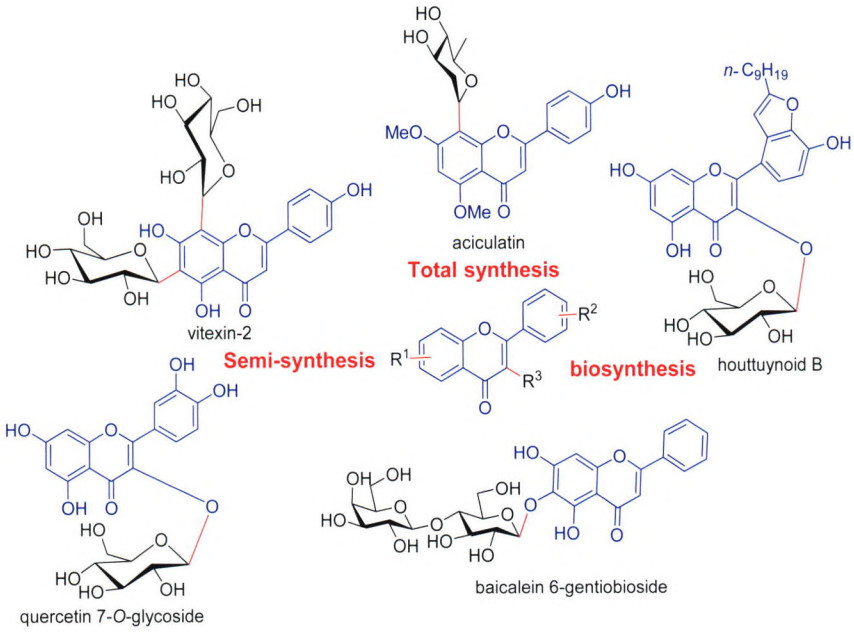


ortho-iodination, alkylation, arylation, alkenylation, alkynylation, acylation, acetoxylation, amination, and boronation
meta-arylation and alkylation

Li, Xiaofang; Xiong, Weikang; Ding, Qiuping*
Chin. J. Org. Chem. **2019**, *39*(7), 1867

The recent progress in direct C—H bond functionalization of phenylalanine derivatives to offer an efficient approach to construct C—I, C(sp^2)—C(sp^2), C(sp^2)—C(sp), C(sp^2)—C(sp^3), 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.

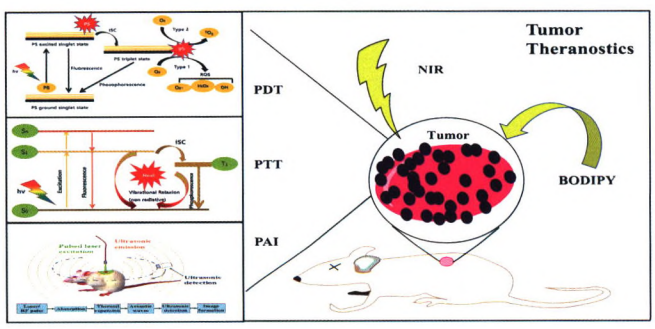
Advances on Synthesis of Flavonoid Glycosides



Xu, Huanji; Li, Zheming; Wu, Yunqiu; Luo, Di; Qiu, Li; Xie, Jizhao*; Li, Xuehua*
Chin. J. Org. Chem. **2019**, *39*(7), 1875

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.

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



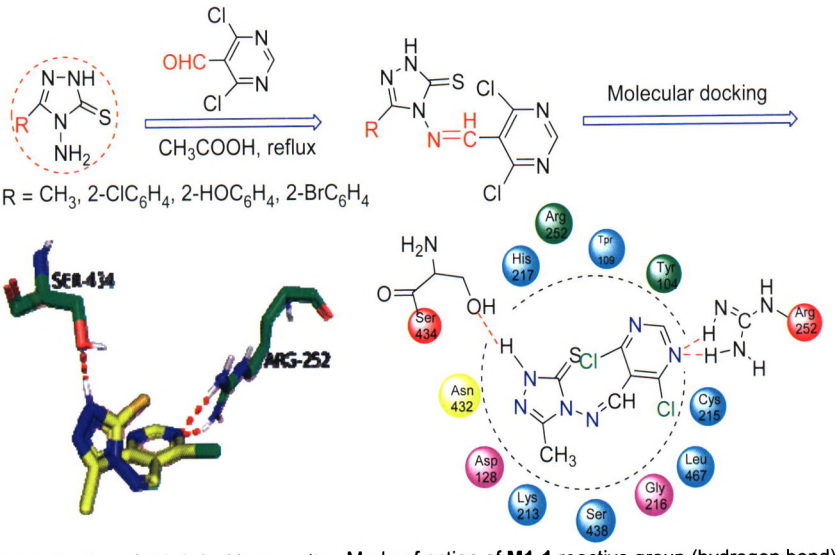
Feng, Tong; Xue, Zhongbo; Yin, Juanjuan; Jiang, Xu; Feng, Yaqing; Meng, Shuxian*
Chin. J. Org. Chem. **2019**, *39*(7), 1891

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.

CONTENT

ARTICLES

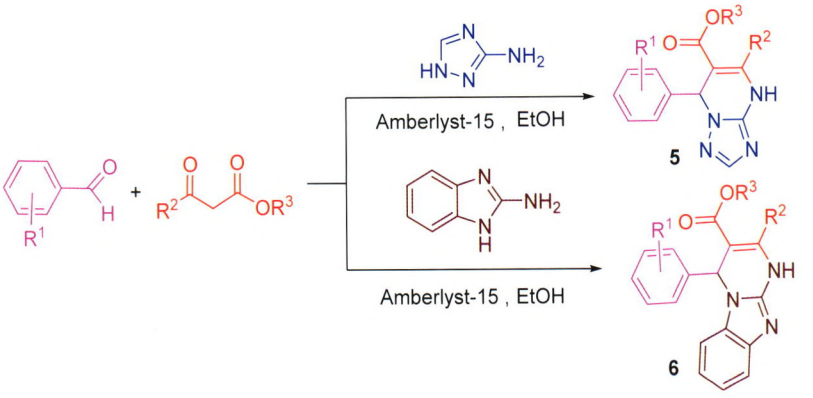
Synthesis, Biological Activity and Molecular Docking of 4-Amino-5-substituted-1,2,4-triazole-3-thione Schiff Base



Wu, Shaojie; Lu, Yiming; Lei, Zhuonan; Jiang, Yan; Zhang, Wenhui; Qi, Le; Ma, Haixia; Ren, Yinghui*
Chin. J. Org. Chem. **2019**, *39*(7), 1939

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.

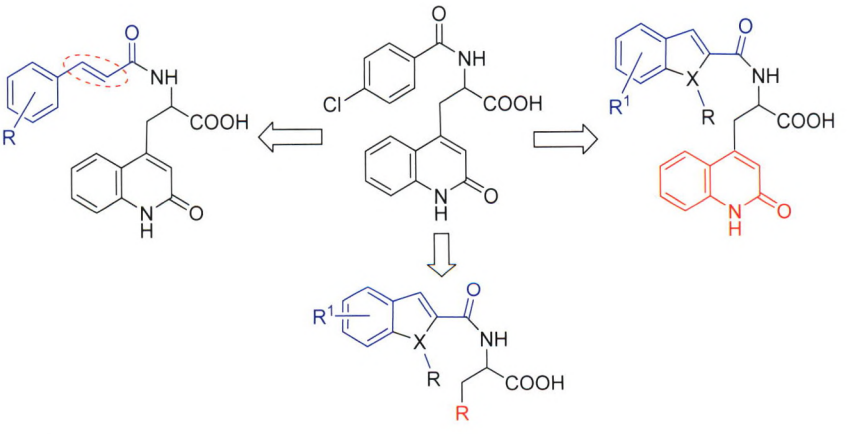
One-Pot Synthesis of Dihydro[1,2,4]triazolo[1,5-*a*]pyrimidines and Dihydrobenzo[4,5]imidazo[1,2-*a*]pyrimidine Derivatives Catalyzed by Amberlyst-15



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, *β*-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

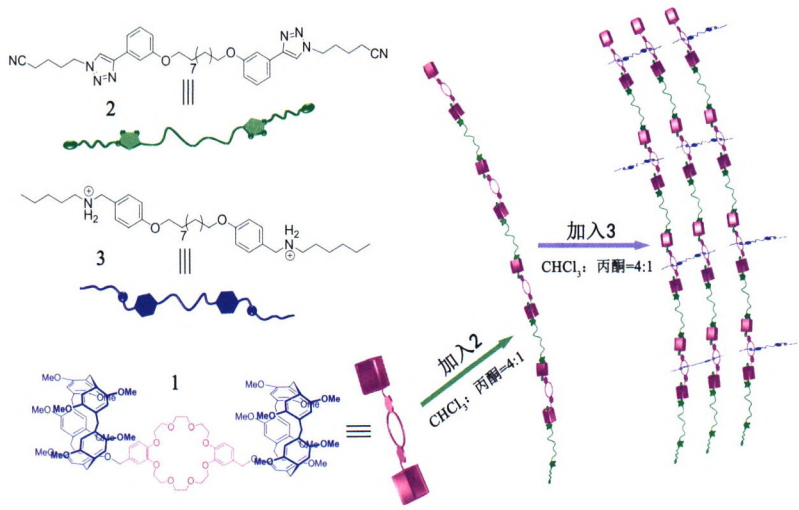


Gao, Sufan; Zhang,Yanchun; Li, Jiaming*; Zhang, Bin*; Yang, Yu; Hu, Mengqi
Chin. J. Org. Chem. **2019**, *39*(7), 1953

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

CONTENT

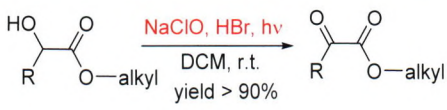
Linear and Cross-Linked Supramolecular Polymers Based on a Dibenzo-24-crown-8 Bridged Pillar[5]arene Dimer



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

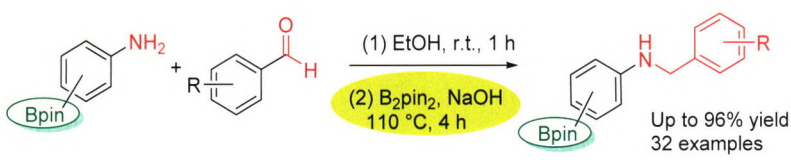
Radical Oxidation of α-Hydroxyl Ester Initiated by Sodium Hypochlorite



α-Hydroxyl esters were oxidized with sodium hypochlorite in the presence of hydrogen bromide to provide α-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

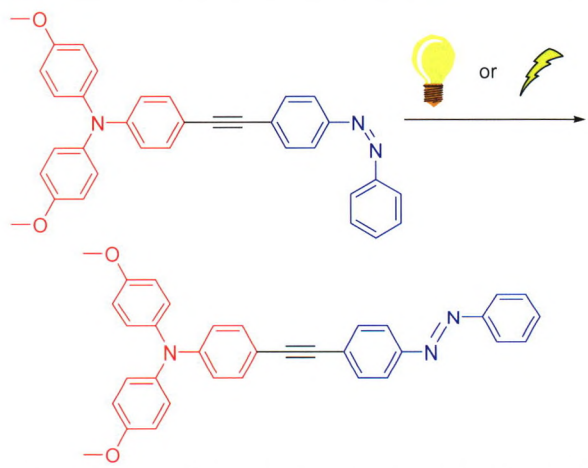
One-Pot, Two-Step Reductive Amination of Boronate Ester Containing Aromatic Amines and Aldehydes Using B_2pin_2 as Reductant



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.

Liu, Xueying; Liu, Zhenwei; Guo, Yuanyuan; Li, Jingya; Zou, Dapeng*; Wu, Yusheng*; Wu, Yangjie*
Chin. J. Org. Chem. **2019**, *39*(7), 2001

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



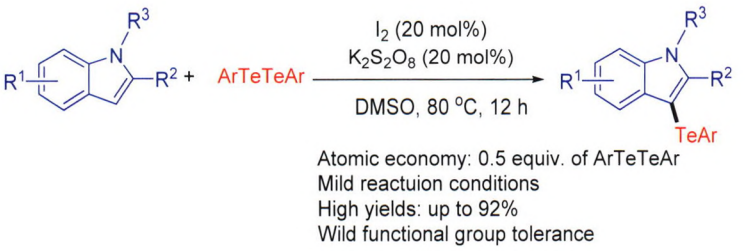
The *cis*-to-*trans* isomerization of **4** can be not only achieved by irradiation at UV light, but also realized by a more efficient way of change the state of redox center.

Yan, Jianfeng; Zhang, Ruiqi; Yuan, Ye; Yuan, Yaofeng*
Chin. J. Org. Chem. **2019**, *39*(7), 2009

CONTENT

NOTES

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

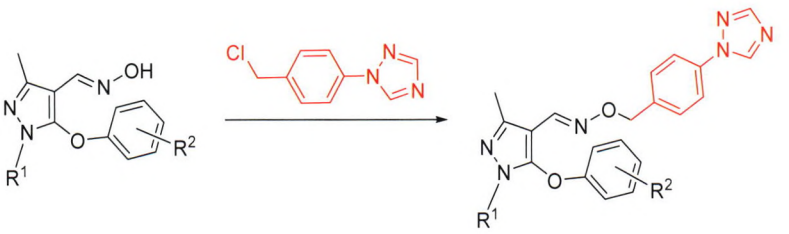


Chen, Jinyang*; Hu, Li; Wang, Haiying; Tan, Honghui

Chin. J. Org. Chem. **2019**, *39*(7), 2048

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 dervatives 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.

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

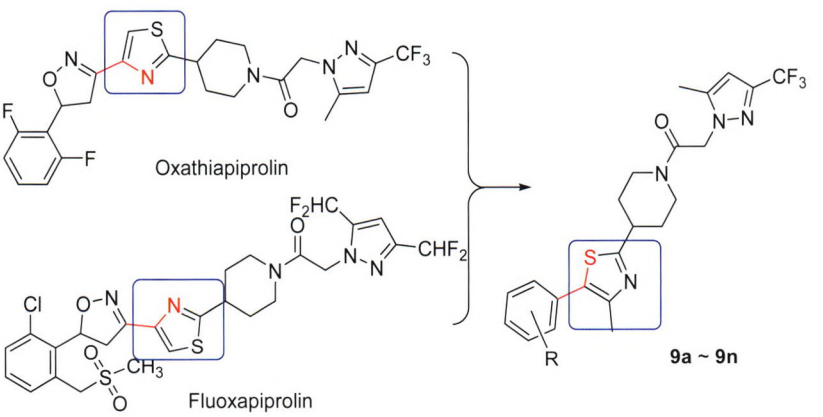


Wang, Yurong; Zheng, Dandan; Wang, Yang; Ye, Hao; Yao, Wei; Ding, Ying; Gu, Haiying*; Feng, Xia; Li, Ling; Dai, Hong*

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

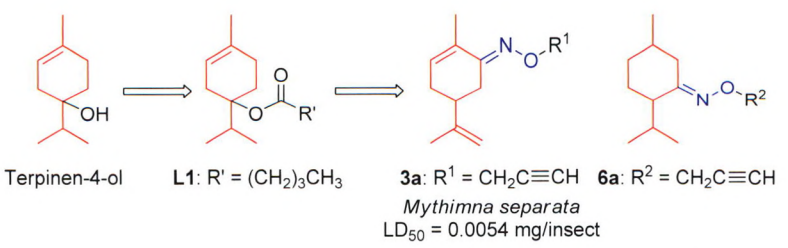


Ding, Chengrong; Pan, Yayun; Yin, Xu; Tan, Chengxia*; Wang, Xuedong*

Chin. J. Org. Chem. **2019**, *39*(7), 2062

Sixteen oxathiapiprolin derivatives were designed and synthesized. Their structures were confirmed by ^{1}H 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



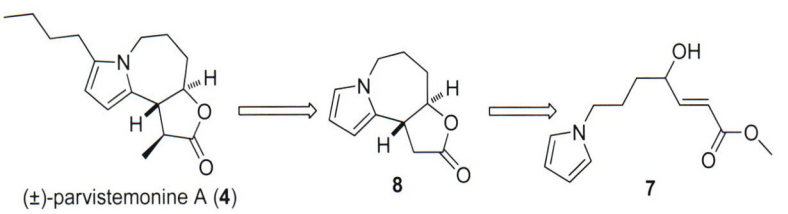
Lei, Peng; Ding, Xinji; Wu,Yuanyong; Ma, Zhiqing*

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

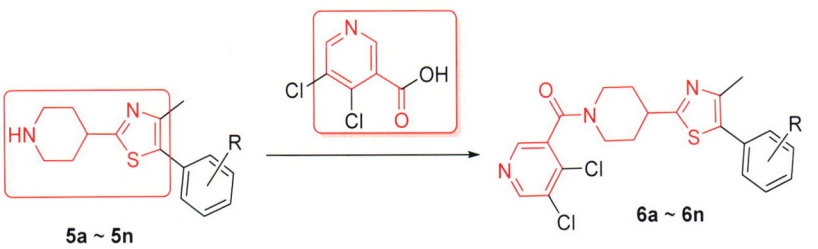
Total Synthesis of Racemic (±)-Parvistemonine A



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

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.

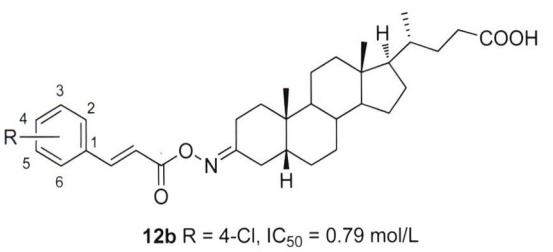
Synthesis and Biological Activity of Thiazolidine Piperidine Nicotinamide Compounds



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

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.

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



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

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 μmol•L^{-1}), and had 4-fold selectivity over homologous T-cell protein tyrosine phosphatase as well.

HIGHLIGHTS

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

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