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Chinese Journal of Organic Chemistry

(YOUJI HUAXUE)

第 39 卷 第 3 期 (总 364 期) 2019 年 3 月*

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* 通讯联系人.

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Chinese Journal of Organic Chemistry

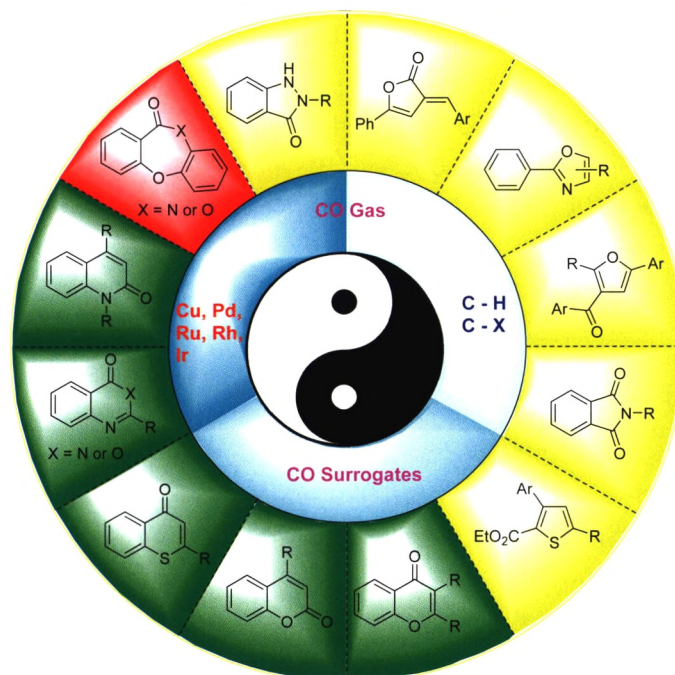
Vol. 39 No. 3 March 2019

On the Cover

Abnormal levels of reactive nitrogen and reactive oxygen species in humans can cause a variety of diseases, so rapid and efficient detection is particularly important. In recent years, fluorescent probes are widely used because of their high sensitivity and high selectivity. The recent progress of the fluorescent probes used in RNS/ROS detection is reviewed by Jiao, Liu, Lu, Zhang and Wang on page 591.

ACCOUNT

Transition-Metal-Catalyzed Carbonylative Synthesis and Functionalization of Heterocycles



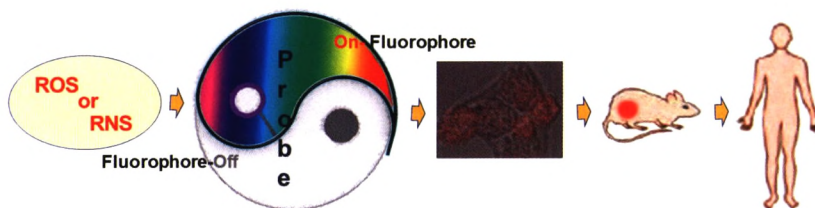
Yin, Zhiping; Wang, Zechao; Wu, Xiaofeng*

Chin. J. Org. Chem. **2019**, 39(3), 573

Our progress in the development of transition-metal-catalyzed carbonylative synthesis and functionalization of heterocycles from 2012 to 2018 is summarized. With copper, palladium, rhodium, ruthenium and iridium as the catalysts and relying on the activation of carbon-halogen and carbon-hydrogen bonds, we are able to synthesis various of heterocycles by using CO gas or CO surrogates as the C1 building blocks.

REVIEWS

Molecular Fluorescence Probe for Detecting Reactive Nitrogen/Reactive Oxygen



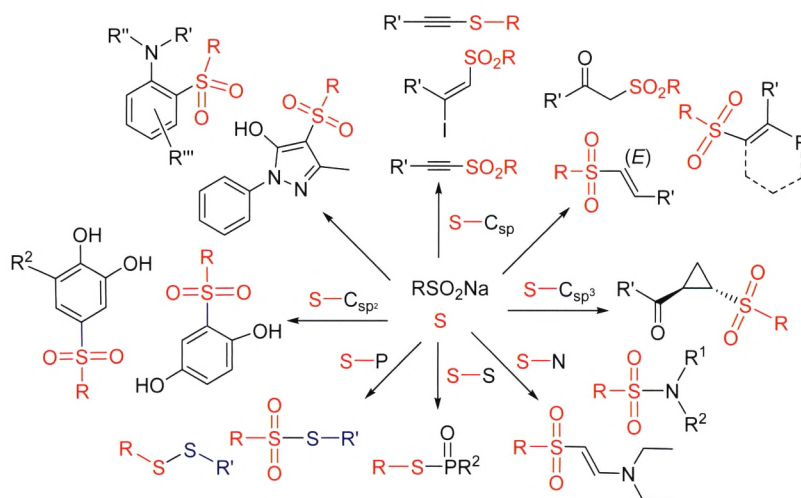
Jiao, Chunpeng; Liu, Yuanyuan; Lu, Wenjuan; Zhang, Pingping; Wang, Yanfeng*

Chin. J. Org. Chem. **2019**, 39(3), 591

Fluorescent probes are widely used because of their high sensitivity and high selectivity. The recent progress of the fluorescent probes used in RNS/ROS detection is reviewed.

CONTENT

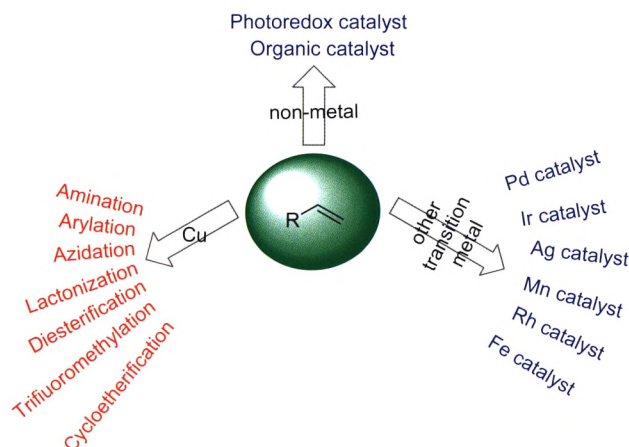
Recent Progress on Synthesis of Sulfur Compounds by Sodium Sulfonates



The recent progress (2014~2018) on the synthesis of sulfur compounds by sodium sulfonates is summarized. In addition, the organic reactions on the building of S—X (S—S, S—N and S—P bond) and other types of reactions are described respectively, with their scope of substrates and reaction mechanism. It is hoped that this review can be referred to the future application in organic synthesis of sodium sulfonates.

Huang, Guobao; Li, Xiuying; Luo, Jinrong; Luo, Zhihui*; Tan, Minxiong*
Chin. J. Org. Chem. **2019**, 39(3), 617

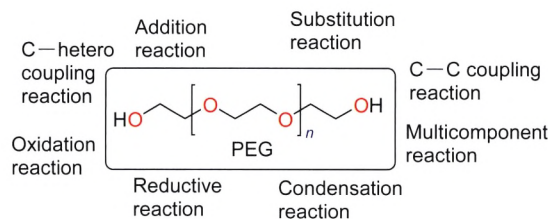
Progress in Difunctionalization of Alkenes



The bifunctionalization of various alkenes in recent 12 years is reviewed. It can be divided into three parts: copper-catalyzed difunctionalization of alkenes, other transition metal-catalyzed difunctionalization of alkenes, non-metal-catalyzed difunctionalization of alkenes. And the prospects of this reaction are also discussed.

Fu, Xiaofei; Zhao, Wenxian*
Chin. J. Org. Chem. **2019**, 39(3), 625

Polyethylene Glycol: A New Medium for Green Organic Synthesis



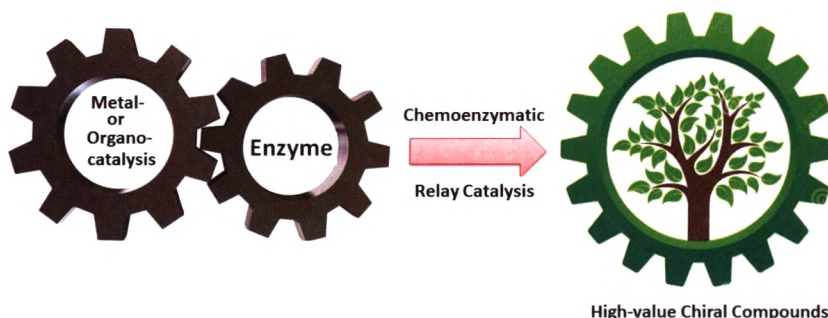
Polyethylene glycols (PEG) as green medium has been employed in many organic reactions, such as carbon-carbon coupling reaction, carbon-hetero coupling reaction, multi-component reaction, condensation reaction, addition reaction, substitution reaction, oxidation reaction, reductive reaction, and so on. The recent advances of PEG applied in organic synthesis are reviewed.

Xiao, Liwei*; Dai, Fucui; Li, Zheng; Jing, Xuemin; Kong, Jie; Liu, Guangxian
Chin. J. Org. Chem. **2019**, 39(3), 648

Progress in Copper-Catalyzed Chan-Lam
Coupling of N-Compounds

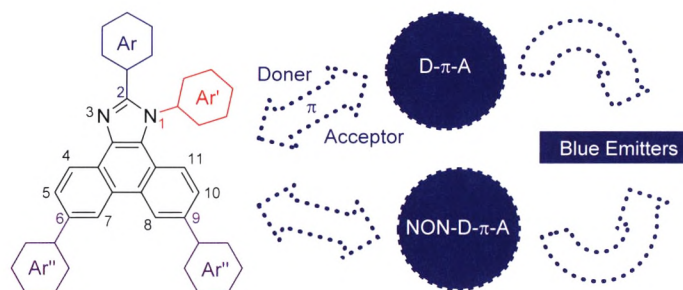
Duan, Xiyan*; Liu, Ning; Wang, Jia; Ma, Junying*
Chin. J. Org. Chem. **2019**, 39(3), 661

Copper-catalyzed Chan-Lam reactions represent one of the most powerful and straightforward tools to construct C—N bonds. In this paper, the recent progress in Chan-Lam coupling of N-compounds with (hetero)aryl boronates to construct C—N bond based on the reaction mechanism, reaction system, the scope of substrates, *etc.*, is reviewed.

Chemoenzymatic Relay Reaction and Its
Applications in Highly Efficient and Green
Synthesis of High-Value Chiral Com-
pounds

Liao, Xu; Jiang, Yan; Lai, Shilin; Liu, Yu-
 angang; Wang, Shibin; Xiong, Xingquan*
Chin. J. Org. Chem. **2019**, 39(3), 668

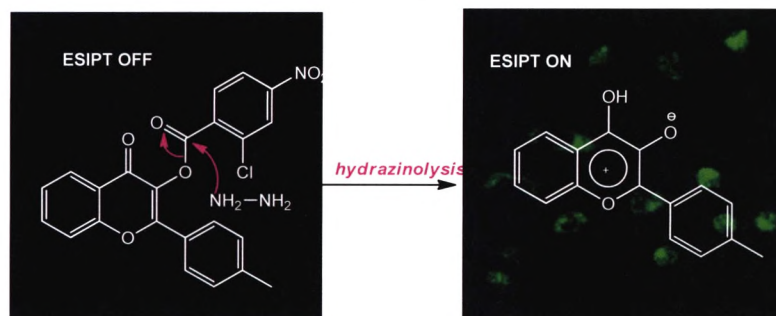
The recent progress in the synthesis of high-value chiral compounds by using chemoenzymatic relay synthesis, such as enzyme and metal catalysis, enzyme and organic catalysis, is reviewed.

Progress on Phenanthroimidazole Deriv-
atives in Blue-Emitting Materials

Qiu, Zhipeng; Tan, Jihua; Cai, Ning; Wang,
 Kai; Ji, Shaomin*; Huo, Yanping*
Chin. J. Org. Chem. **2019**, 39(3), 679

The recent progress in the structures, properties and applications of phenanthroimidazole derivatives is reviewed. The effects of the N1, C2, C6 and C9 substituent on the fluorescent properties are mainly discussed. Finally, the future development and application of phenanthroimidazole derivatives are also prospected.

ARTICLES

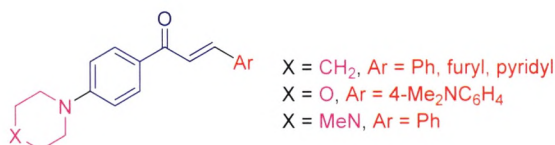
A Flavone-Based Fluorescent Probe for
Hydrazine and Its Bioimaging in Live
Cells

Ju, Zhiyu*; Shu, Penghua; Shu, Penghua;
 Xie, Zhiyu; Jiang, Yuqing; Tao, Weijie; Xu,
 Zhihong*
Chin. J. Org. Chem. **2019**, 39(3), 697

A flavone-based fluorescent probe **HFBA** was synthesized by the reaction of 2-hydroxyacetophenone with *p*-methyl benzaldehyde. The recognition behaviors of **HFBA** to hydrazine were investigated.

CONTENT

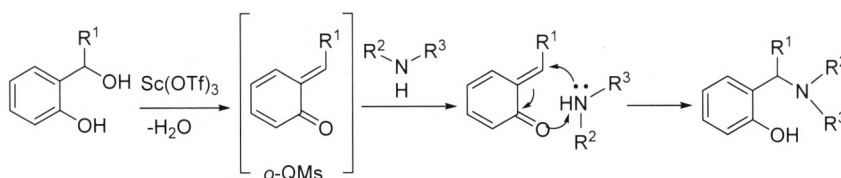
Synthesis and Evaluation of Chalcone Derivatives as Novel Anticancer Agents



Three series of chalcones were synthesized and tested for the activity against five cell lines, MCF-7, A549, HL-60, Hela, and Bewo. The results showed that **4a**, **4e**, **4f**, **4j**, **4m**, and **4o** displayed the best inhibitory activity for MCF-7 breast cancer cells, A549, lung cancer cells, and HL-60 leukemia cancer cells with IC₅₀ values below 10 μmol/L. The structures of the synthesized compounds were characterized by spectroscopic techniques.

Sheng, Qiwei; Zhao, Wanqiu; Zeng, Ming; Xie, Zhongpao; Xia, Yaping; Cui, Dongmei*
Chin. J. Org. Chem. **2019**, 39(3), 703

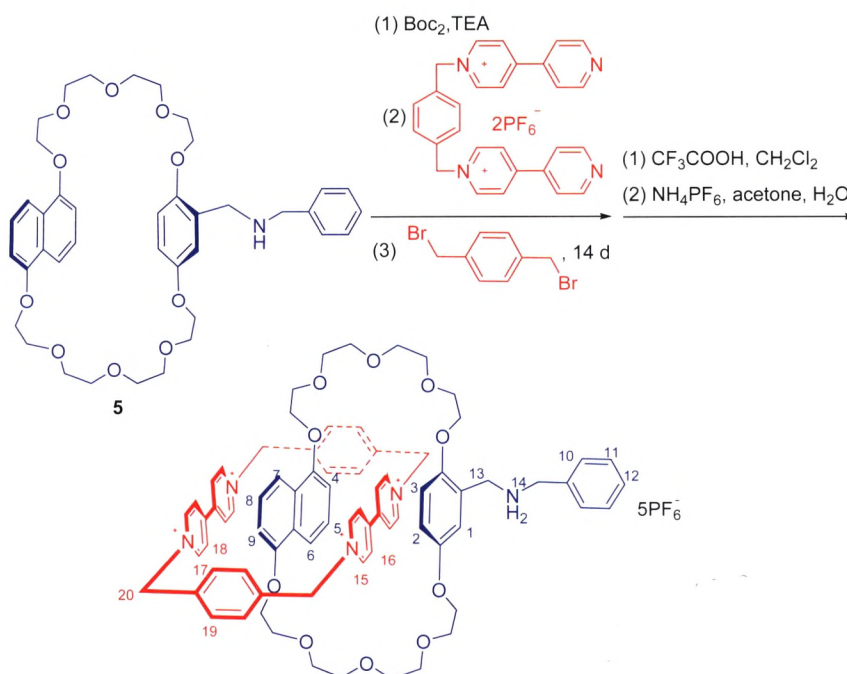
Scandium(III)-Catalyzed Aza-Michael Addition of *in Situ* Generated *ortho*-Quinone Methides with Amines: An Efficient Access to Betti Base Derivatives



o-Quinone derivatives are not only a variety of active and important intermediate, but also widely used in the synthesis of natural products and medicinal chemistry. In the present study, the Sc(III) catalyzed aza-Michael addition to *o*-quinone methides by amines for the synthesis of Betti base derivatives was developed. The reaction was performed in a sealed tube at 90 °C for 4 h and the products were obtained in moderate to good yields (76%~96%).

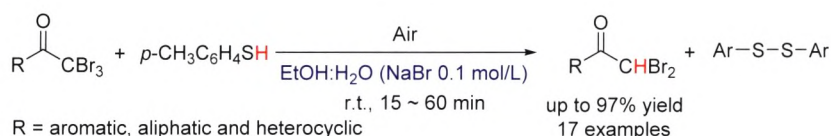
Zhang, Shuo*; Zhao, Ning; Li, Qinggang; Zhang, Jiaqi; Hou, Zitong; Liu, Yifan; Yu, Yitao; Peng, Dan*; Wang, Feng; Li, Bing; Li, Jinhui
Chin. J. Org. Chem. **2019**, 39(3), 709

Supramolecular Assembly Based on the Novel Sail-Boat-Shaped Self-Complexes



Owing to the unique macrocyclic-cavity structure of crown ether and the capability of complexing with guest molecules, our group successfully designed and synthesized a novel Sailboat-Shaped self-complex by using intramolecular hydrogen bonds, which are able to switch its configuration during the different pH value. Furthermore, a novel catenane which based on the sailboat-shaped self-complex was obtained by using intermolecular charge transfer interaction and through the template-directed method, and its structure was identified by ¹H NMR, ¹³C NMR, HRMS and ¹H-¹H NOESY.

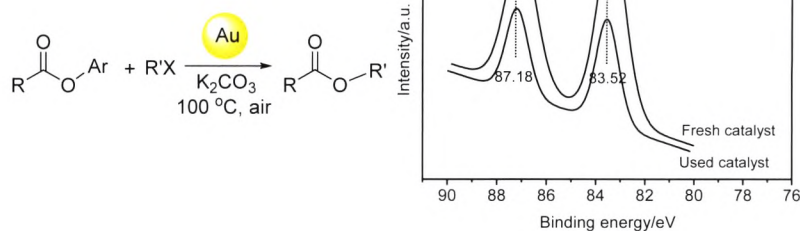
Zhang, Shilong; Jiang, Lasheng*
Chin. J. Org. Chem. **2019**, 39(3), 720

Research on Reduction of α,α,α -Tribromomethyl Ketones via Thiophenol

α,α -Dibromomethylketones were synthesized with high yields through a thiophenol-promoted reduction of α,α,α -tribromomethylketones under mild conditions within one hour. A further mechanistic study showed that the reaction proceeded via a radical process. This is an example that uses thiophenol as the radical stimulator in the reduction of multi-halogenated compounds.

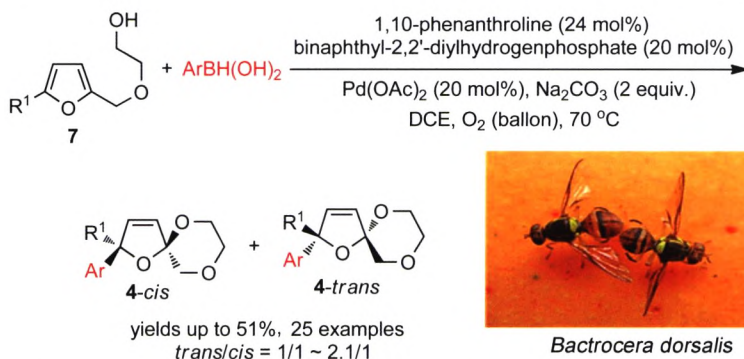
Yang, Ying; Balati, Hasimujiang; Abulikemu, Abudu Rexit*
Chin. J. Org. Chem. **2019**, 39(3), 727

Nano-Gold Catalyzed Transesterification of (Hetero)aryl Esters with Alkyl Halides via C—O Activation



Nano-gold catalyzed transesterification of the (hetero)aryl ester with alkyl halides via C—O activation has been developed. In a series of supported AuNPs and PdNPs, the Au/ γ - Al_2O_3 catalyst with an AuNP mean diameter of 3.63 nm and 3 wt% Au loading exhibited the best catalytic performance. The catalyst can be reused and shows high activity after five cycles. The X-ray photoelectron spectroscopy (XPS) analysis of the catalyst before and after the reaction suggested that the reaction might be performed via a catalytic cycle that began with Au^0 .

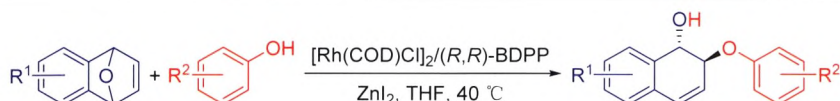
Ma, Hongpeng; Bai, Chaolumen; Bao, Yongsheng*
Chin. J. Org. Chem. **2019**, 39(3), 734

Synthesis, Biological Evaluation, and Structure-Activity Relationship Study of Unsaturated Spiroacetals as Potential Sex Attractants to Oriental Fruit Flies (*Bactrocera dorsalis*)

Two series of spiroacetals were synthesized and biologically evaluated as insect sex attractant towards oriental fruit flies (*Bactrocera dorsalis*) using methyleugenol as the standard. Biological evaluation demonstrated that a large part of the tested compounds triggered apparent electrophysiological responses from both male and female fruit flies. The stereochemistry of the spiroacetals and the substitution on their phenyl rings influenced the responses to some degree.

Li, Jiuyi; Chen, Li*; Yin, BiaoLin*
Chin. J. Org. Chem. **2019**, 39(3), 747

Asymmetric Ring Opening Reaction of Oxabenzonorbornadienes with Phenols Promoted by Rhodium/Zinc Complexes



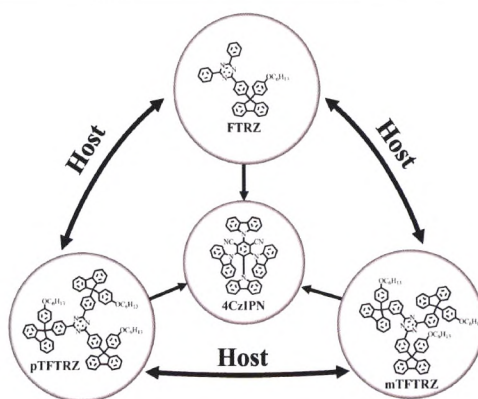
$[\text{Rh(COD)Cl}]_2/(\text{R,R})\text{-BDPP}$ was used as an effective catalyst for the asymmetric ring opening reaction of oxabenzonorbornadienes with various phenols by employing ZnI_2 as activator. Under the optimized reaction conditions, high enantioselectivities with good yields could be obtained from a wide scope of oxabenzonorbornadienes and phenols.

He, Zhenxiu; Zhou, Yongyun*; Sun, Weiqing; Fan, Ruifeng; Shen, Guoli; Fan, Baomin*
Chin. J. Org. Chem. **2019**, 39(3), 754

CONTENT

Synthesis and Applications of Excimer Host Materials Based on 2,4,6-Triphenyl-1,3,5-triazine and Fluorene Moieties

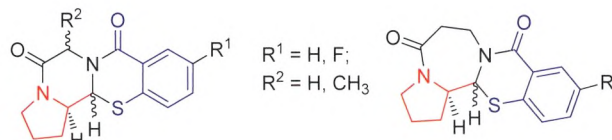
He, Xu; Xiao, Yuping; Yuan, Xinlei; Ye, Shanghui; Jiang, Hongji*
Chin. J. Org. Chem. **2019**, 39(3), 761



The Pd-catalyzed C—H functionalization reaction was used to synthesize 2,4,6-triphenyl-1,3,5-triazine and fluorene-based bipolar compounds, which showed great potential to be host materials for solution processable thermally activated delayed fluorescence organic light-emitting diodes (OLEDs).

Synthesis of the Fused Tetracyclic Thiazinan-4-one Derivatives and Their Anti-tumor Activity

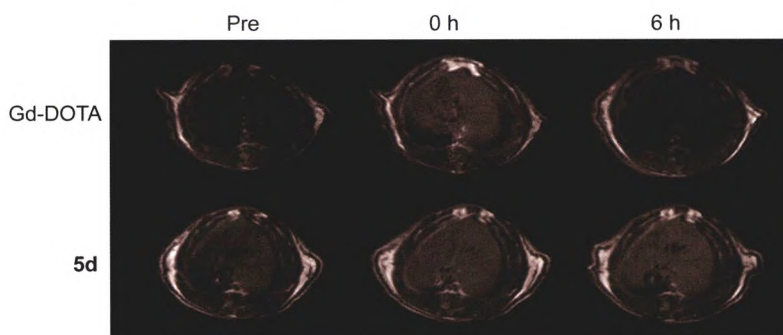
Niu, Liping; Xing, Shunkai; Li, Xiaoliu*; Chen, Hua*
Chin. J. Org. Chem. **2019**, 39(3), 771



A series of the fused tetracyclic thiazinan-4-one derivatives were synthesized by three steps: the one-pot three-components condensation from the *N*-Boc-*L*-proline, amino acid ester hydrochlorides, and mercaptobenzoic acids, the removal of Boc, and the intramolecular cyclo-amidation reaction.

Design, Synthesis and Evaluation of Novel Gd-Based 1,4,7,10-Tetraazacyclododecan-*N,N,N,N'*-tetraacetic Acid-Hydrazide Derived Contrast Agents for Magnetic Resonance Imaging

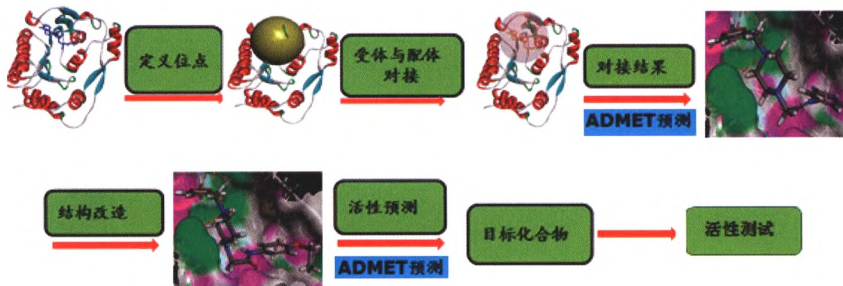
Sun, Hongshun*; Zhou, Jin; Li, Yulong; Jiang, Hong; Zhang, Yan; Wang, Jianqiang; Guo, Cheng; Shen, Linjiang
Chin. J. Org. Chem. **2019**, 39(3), 778



Twelve novel Gd-based 1,4,7,10-tetraazacyclododecan-*N,N,N,N'*-tetraacetic acid (DOTA)-hydrazide derived contrast agents for magnetic resonance imaging (MRI), have been designed and synthesized. Among of them, **5d**, **5h** and **5l** exhibit higher longitudinal relaxivities than the clinical Gd-DOTA at 0.5 T. The relaxivities r_1 of them are 4.67, 4.85 and 5.33 L·mmol⁻¹·s⁻¹, respectively.

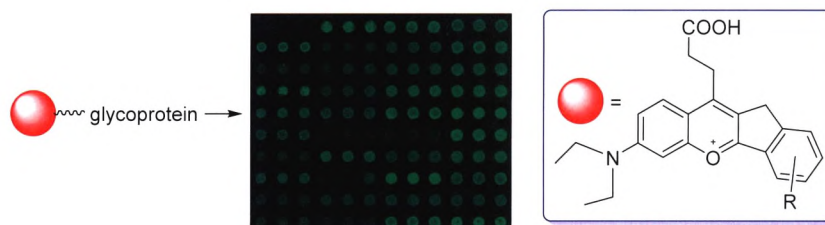
Virtual Screening, Design, Synthesis and Biological Activity of Zika Virus Inhibitors

Li, Yanzhong; Qi, Sijia; Xu, Yanhao; Xia, Chengcai; Duan, Guiyun*
Chin. J. Org. Chem. **2019**, 39(3), 786



The 5M5B protein of Zika virus was used as receptor and made use of its binding site that has been defined to perform virtual screening with over 2 million small molecule compounds to get the leading compounds of anti-Zika virus. The present study is keen on the structural optimization, activity prediction, chemical synthesis and pharmacological activity for leading compounds.

A Series of Red Dyes: Synthesis, Optical Properties and Application in Protein Labeling

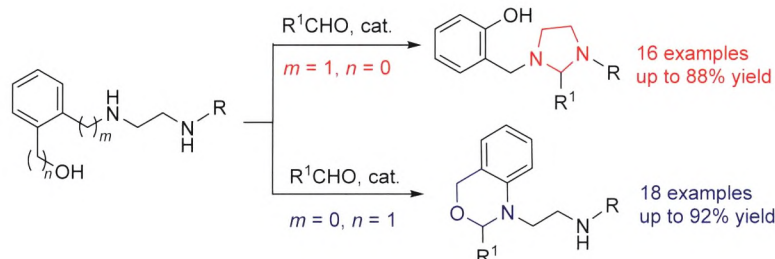


Li, Huifang; Leng, Xin; Yan, Lirun; Yang, Bingqin*; Bai, Yinjuan*

Chin. J. Org. Chem. **2019**, 39(3), 793

Red fluorescent dyes are synthesized and characterized. Their optical properties and applications are discussed. Finally, the future applications of them are also prospected.

Chemoselective Synthesis of Substituted Benzoxazines and Imidazolidines by Reactions of Hydroxyl Substituted Ethylenediamine Derivatives with Aldehydes



Tang, Zilong*; Wang, Ming; Yao, Yuan; Tan, Jingzhao; Dai, Ningning; Li, Xinxing; Peng, Lifan; Jiao, Yinchun

Chin. J. Org. Chem. **2019**, 39(3), 800

A series of novel functionalized imidazolidines and benzoxazines were synthesized by $\text{La}(\text{OTf})_3$ -catalyzed chemoselective cyclizations of hydroxyl substituted ethylenediamine derivatives with aldehydes.

 α -Oxygenation of Benzylic Ethers to Esters Using $\text{MnO}_x\text{-N@C}$ Catalyst

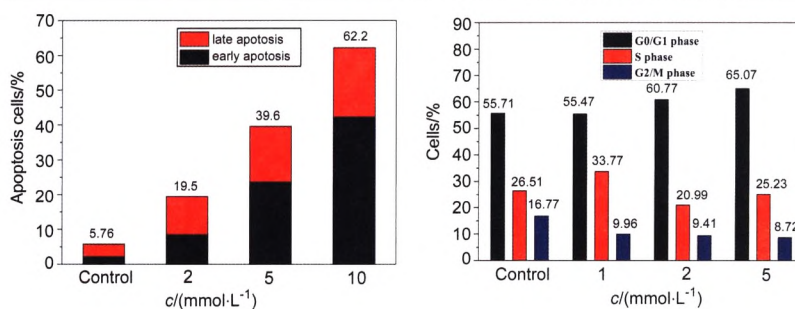
Liu, Jing; Wan, Cong; Zheng, Aili; Wang, Lianye; Yin, Kaiyue; Liu, Dandan; Wang, Shengde; Ren, Lanhui*; Gao, Shuang*

Chin. J. Org. Chem. **2019**, 39(3), 811

A catalytic system for the oxidation of benzylic ethers to esters has been developed utilizing reusable $\text{MnO}_x\text{-N@C}$ as catalyst and *tert*-butyl hydroperoxide (TBHP) as benign oxidant under neat condition. The catalytic oxidation system has good functional groups tolerance and excellent chemoselectivity, and this catalytic procedure can also be scaled up.

NOTES

Synthesis and Antitumor Activity of Novel Isolongifolic-Alkyl Dihydropyrimidinethione Derivatives



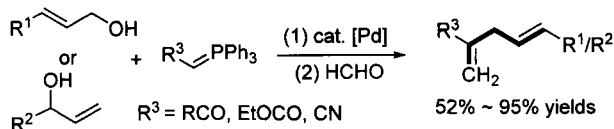
Ma, Chonghui; Wu, Chenliang; Wang, Yunyun; Huang, Zhenzhen; Zhang, Qiangjian; Dong, Fuhao; Gu, Wen; Shan, Yu*; Wang, Shifa*

Chin. J. Org. Chem. **2019**, 39(3), 821

Twelve dihydropyrimidinethione derivatives were synthesized and most of the derivatives showed considerable cytotoxic activity against three human cancer cells lines MDA-MB-231, HeLa and HepG-2. In addition, the cell cycle analysis showed that compound **3j** caused cell cycle arrest of MDA-MB-231 cells at G0/G1 phase. The Annexin V-EGFP/PI dual staining assay also revealed that compound **3j** induced the early apoptosis of MDA-MB-231 cells in a dose-dependent manner.

CONTENT

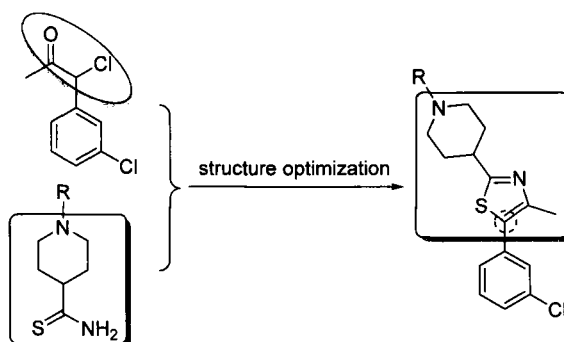
Palladium-Catalyzed Dehydrative Cross Couplings of Stabilized Phosphorus Ylides with Allylic Alcohols



A dehydrative cross coupling of stabilized phosphorus ylides with the readily available allylic alcohols followed by a one-pot Wittig reaction is developed. A range of functional 1,4-dienes could be obtained in 52%~95% yields in the presence of 5 mol% Pd(PPh₃)₄ and 20 mol% B(OH)₃.

Ma, Xiantao*; Yu, Jing; Ma, Ruitian; Yan, Ran; Zhang, Zhenlei*
Chin. J. Org. Chem. **2019**, 39(3), 830

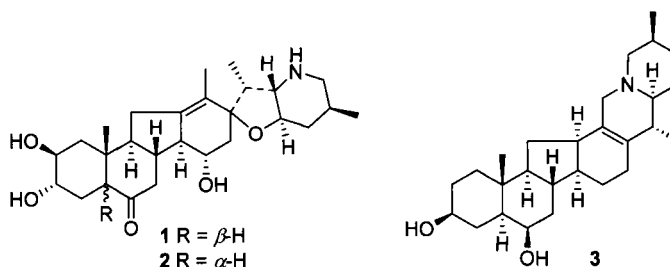
Synthesis and Insecticidal Activity of Novel Piperidine Thiazole Compounds



Twelve new pithiazole compounds were designed and synthesized in search of new bio-active compounds. The preliminary bioassay showed that the target compounds exhibited moderate to excellent insecticidal activities against armyworm.

Ding, Chengrong; Pan, Yayun; Yin, Xu; Tan, Chengxia*; Zhang, Guofu*
Chin. J. Org. Chem. **2019**, 39(3), 836

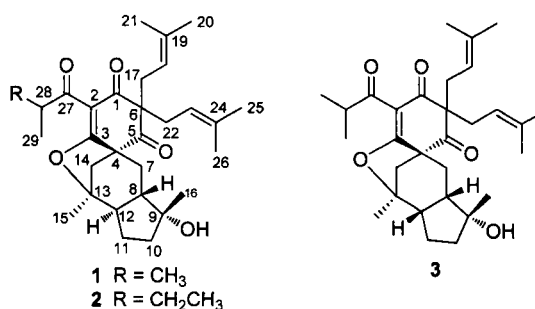
Isosteroidal Alkaloids from *Fritillaria karelinii*



Three new isosteroidal alkaloids, karelinine (1), 5-epikarelinine (2), and 27-epiebeienine (3), were isolated from the bulbs of *Fritillaria karelinii*. Compound 1 is a 5β-jervine isosteroidal alkaloid featuring a *cis*-fused A/B ring moiety, rarely found in the *Fritillaria* genus. Compounds 1 and 2 also represent the first jervine alkaloids with a 15α-hydroxy group from this genus.

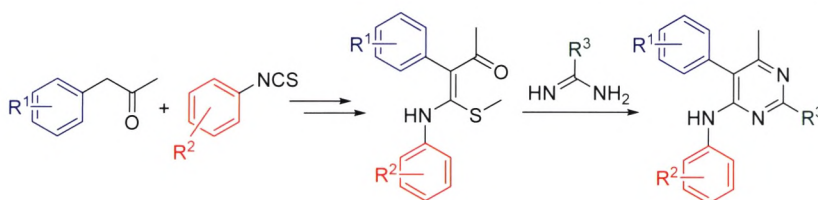
Huang, Jinchang; Lei, Chun; Aisa, Haji Akber; Yu, Meihua; Yili, Abulimiti*; Hou, Aijun*
Chin. J. Org. Chem. **2019**, 39(3), 842

Three New Polycyclic Polypropenylated Acylphloroglucinols from *Hypericum lagarocladum*



Three new polycyclic polypropenylated acylphloroglucinols (PPAPs) were isolated from *Hypericum lagarocladum*. Their structures were identified as hyperlagarin A (1), hyperlagarin B (2), and hyperlagarin C (3).

Wang, Kou*; Wang, Yun; Wang, Ziming; Ding, Linfen; Hu, Jianlin; Chen, Jia*
Chin. J. Org. Chem. **2019**, 39(3), 848

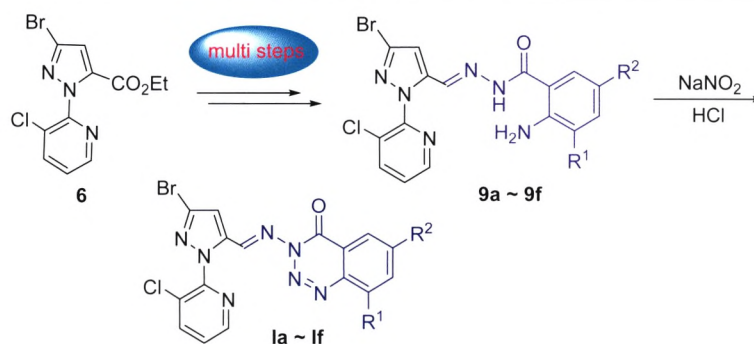
Synthesis and Insecticidal Activity of
Novel 4-Arylamino Pyrimidine Derivatives

Wu, Ningjie; Cheng, Long; Wang, Jian; Yu, Jiping; Xing, Jiahua; Xu, Tianming; Wei, Youchang*

Chin. J. Org. Chem. **2019**, 39(3), 852

A series of novel 4-arylamino pyrimidine derivatives were synthesized and characterized by ^1H NMR, ^{13}C NMR and HRMS. Some compounds exhibited high insecticidal activity against *Mythimna separata* Walker and *Plutella xylostella* Linnaeus at 20 mg/L.

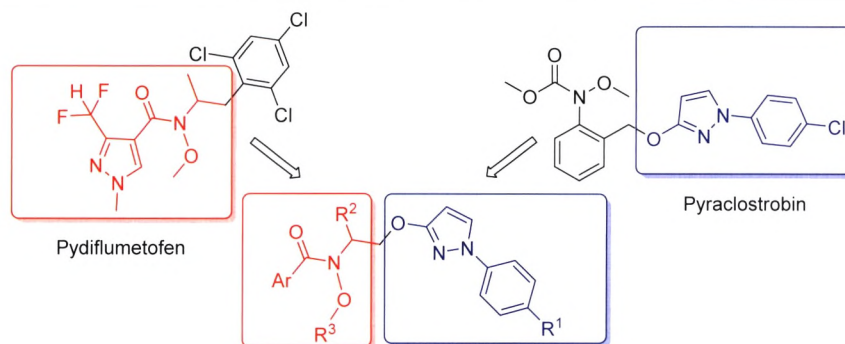
Synthesis and Biological Activities of Novel 3-(((3-Bromo-1-(3-chloropyridin-2-yl)-1H-pyrazol-5-yl)methylene)amino)-substituted-benzo[d][1,2,3]triazin-4(3H)-ones



Zhang, Yan; Zhu, Hongwei; Shang, Junfeng; Wang, Baolei*; Li, Zhengming*

Chin. J. Org. Chem. **2019**, 39(3), 861

The researches on the design, synthesis and biological activities of 3-(((3-bromo-1-(3-chloropyridin-2-yl)-1H-pyrazol-5-yl)methylene)amino)-substituted-benzo[d][1,2,3]triazin-4(3H)-ones were reported. The relationship between the structures and activities of the compounds is summarized.

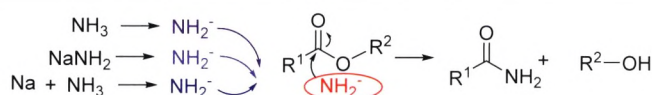
Synthesis and Fungicidal Activity of *N*-Alkoxy Amide Derivatives

Huang, Pengmian*; Zhou, Zhihui; Du, Yonglei; Pang, Huailin; Lü, Liang*

Chin. J. Org. Chem. **2019**, 39(3), 867

In order to find lead compounds with high fungicidal activity, the phenyl moiety of pydiflumetofen was replaced by substituted 1-phenyl-3-hydroxypyrazole moiety of pyraclostrobin according to the method of active substructure combination. Fungicidal activity against *Phakopsora pachyrhizi*, *Pseudoperonospora cubensis* or *Erysiphe cichoracearum* was screened.

Efficient, Solvent-Free Aminolysis of Monoesters Catalyzed by Sodium



Shen, Tao; Ouyang, Bo; Zhou, Shaodong; Qian, Chao*; Chen, Xinzhi

Chin. J. Org. Chem. **2019**, 39(3), 873

An efficient, solvent-free procedure using sodium as catalyst for the aminolysis of monoesters is reported. A detailed comparison of catalysts between sodium and sodium amide was made. Furthermore, this procedure was applied successfully for the aminolysis of other monoesters.

HIGHLIGHTS

Chin. J. Org. Chem. **2019**, 39(3), 878

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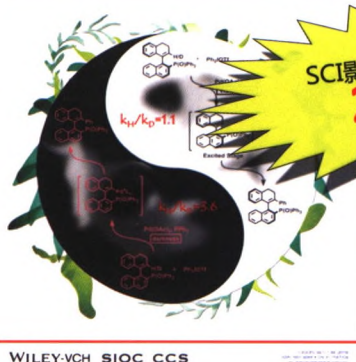


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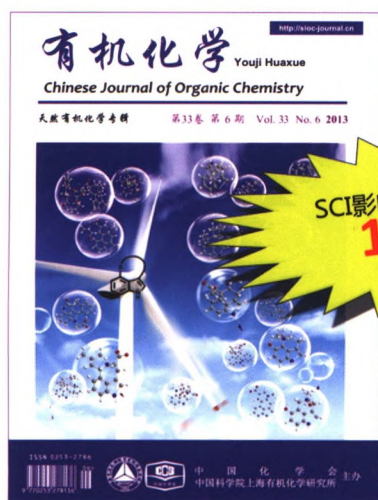
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