有机化学

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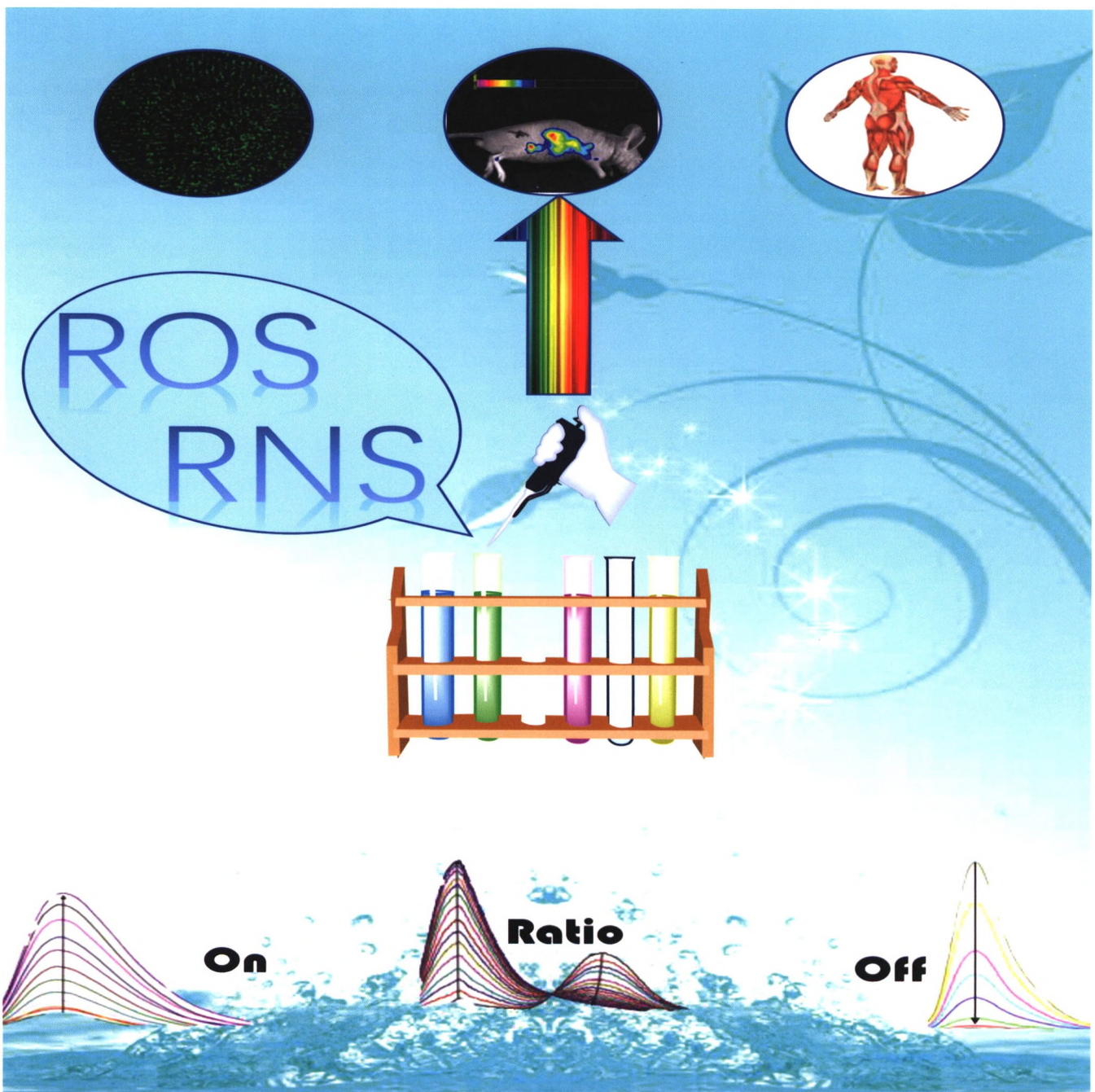

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(YOUJI HUAXUE)

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目 次

* 通讯联系人.

研究简报

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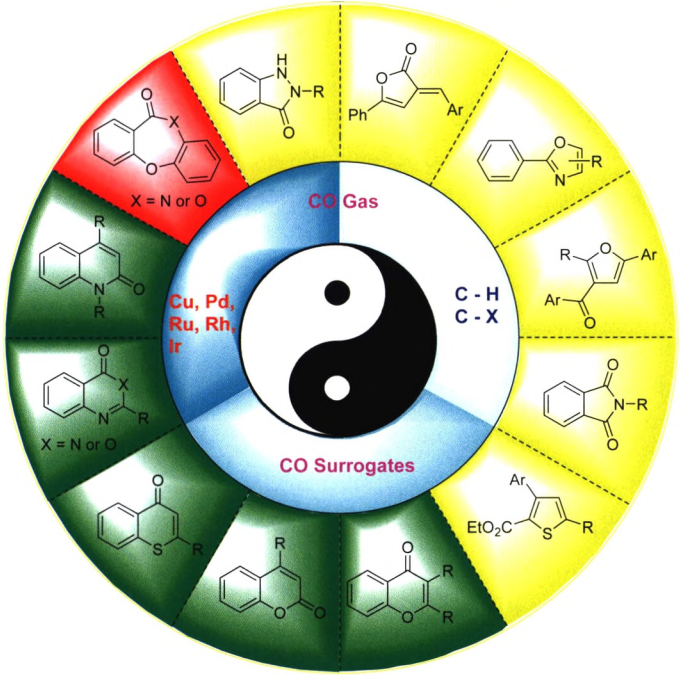
On the Cover

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

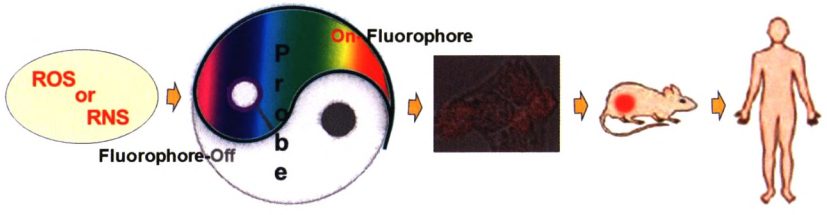


Our progress in the development of transition-metal-catalyzed carbonylative synthesis and functionalization of heterocycles from 2012 to 2018 is summarized. With copper, palladium, rhodium, ruthenium and iridium as the catalysts and relying on the activation of carbon-halogen and carbon-hydrogen bonds,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

Yin, Zhiping; Wang, Zechao; Wu, Xiao-Feng*
Chin. J. Org. Chem. **2019**, *39*(3), 573

REVIEWS

Molecular Fluorescence Probe for Detecting Reactive Nitrogen/Reactive Oxygen



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.

Jiao, Chunpeng; Liu, Yuanyuan; Lu, Wenjuan; Zhang, Pingping; Wang, Yanfeng*
Chin. J. Org. Chem. **2019**, *39*(3), 591

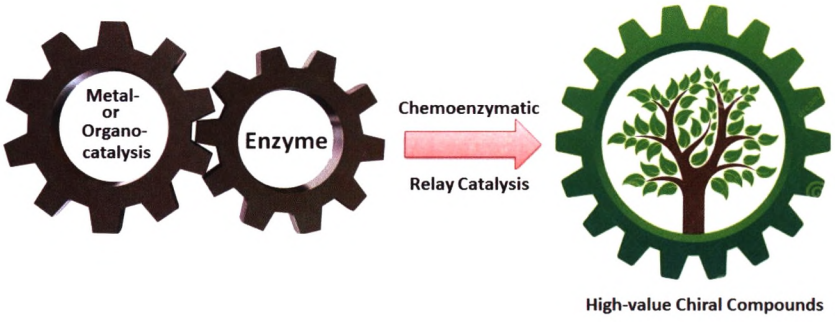
CONTENT

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.

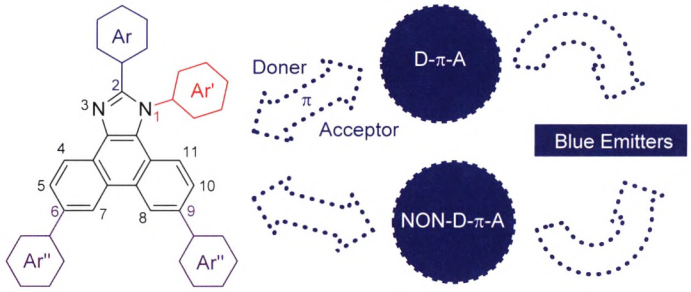
Chemoenzymatic Relay Reaction and Its Applications in Highly Efficient and Green Synthesis of High-Value Chiral Compounds



Liao, Xu; Jiang, Yan; Lai, Shilin; Liu, Yuangang; 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 Derivatives in Blue-Emitting Materials

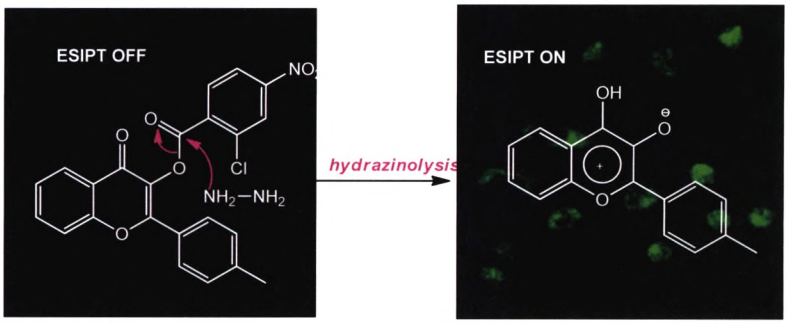


Qiu, Zhipeng; Tan, Jihua; Cai, Ning; Wang, Kai; Ji, Shaomin*; Huo, Yanping*
Chin. J. Org. Chem. **2019**, *39*(3), 679

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

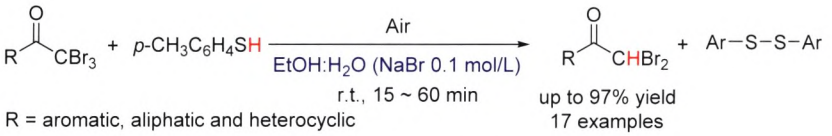


Ju, Zhiyu*; Shu, Penghua; Shu, Penghua; Xie, Zhiyu; Jiang, Yuqing; Tao, Weijie; Xu, Zhihong*
Chin. J. Org. Chem. **2019**, *39*(3), 697

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

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

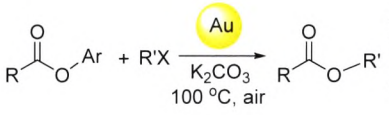


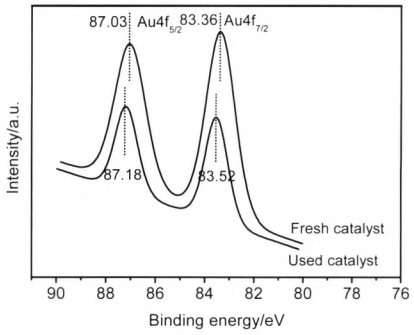
Yang, Ying; Balati, Hasimujiang; Abulikemu, Abudu Rexit*

Chin. J. Org. Chem. **2019**, *39*(3), 727

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.

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



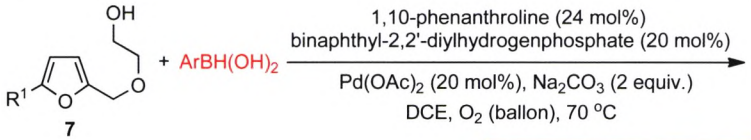


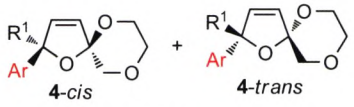
Ma, Hongpeng; Bai, Chaolumen; Bao, Yongsheng*

Chin. J. Org. Chem. **2019**, *39*(3), 734

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.

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





yields up to 51%, 25 examples
trans/*cis* = 1/1 ~ 2.1/1

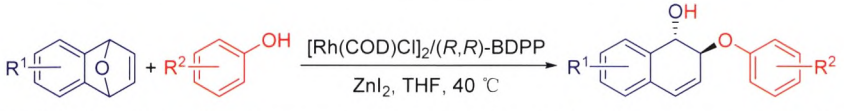
Bactrocera dorsalis

Li, Jiuyi; Chen, Li*; Yin, Biaolin*

Chin. J. Org. Chem. **2019**, *39*(3), 747

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.

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



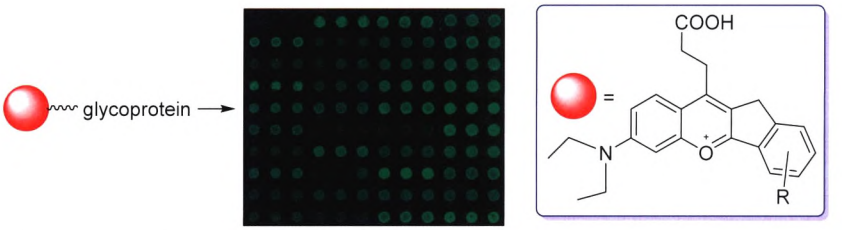
He, Zhenxiu; Zhou, Yongyun*; Sun, Weiqing; Fan, Ruifeng; Shen, Guoli; Fan, Baomin*

Chin. J. Org. Chem. **2019**, *39*(3), 754

[Rh(COD)Cl]$_2$/(*R*,*R*)-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.

CONTENT

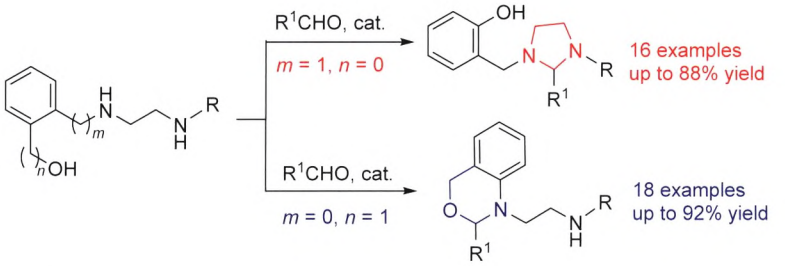
A Series of Red Dyes: Synthesis, Optical Properties and Application in Protein Labeling



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, Lifen; Jiao, Yinchun
Chin. J. Org. Chem. **2019**, *39*(3), 800

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

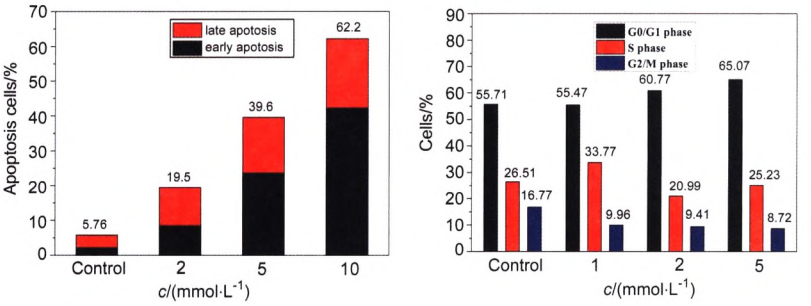
α-Oxygenation of Benzylic Ethers to Esters Using MnO_x-N@C Catalyst

Liu, Jing; Wan, Cong; Zheng, Aili; Wang, Lianyue; 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 MnO_x-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

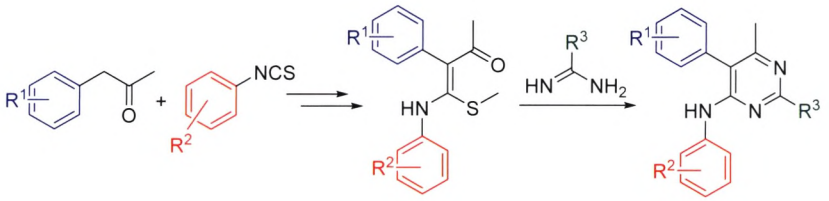


Ma, Chonghui; Wu, Chenliang; Wang, Yunyun; Huang, Zhenzhen; Zhang, Qiangjian; Dong, Fuhao; Gu, Wen; Shan, Yu*; Wang, Shifa*
Chin. J. Org. Chem. **2019**, *39*(3), 821

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

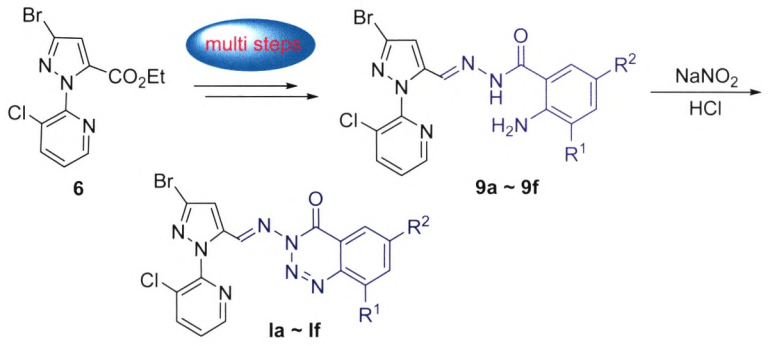
Synthesis and Insecticidal Activity of Novel 4-Arylamino Pyrimidine Derivatives



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 ^{1}H NMR, ^{13}C NMR and HRMS. Some compounds exhibited high insecticidal activity against *Mythimna separata Walker* and *Plutella xylostella* Linnaeus at 20 mg/L.

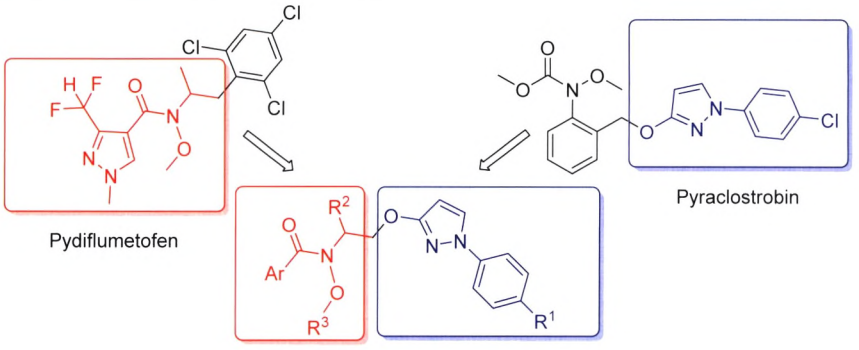
Synthesis and Biological Activities of Novel 3-(((3-Bromo-1-(3-chloropyridin-2-yl)-1*H*-pyrazol-5-yl)methylene)amino)-substituted-benzo[*d*][1,2,3]triazin-4(3*H*)-ones



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

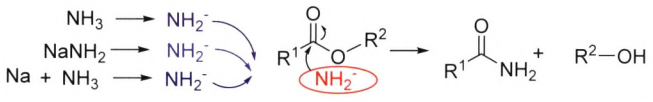
Synthesis and Fungicidal Activity of *N*-Alkoxyl Amide Derivatives



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-hydroxylpyrazole 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

