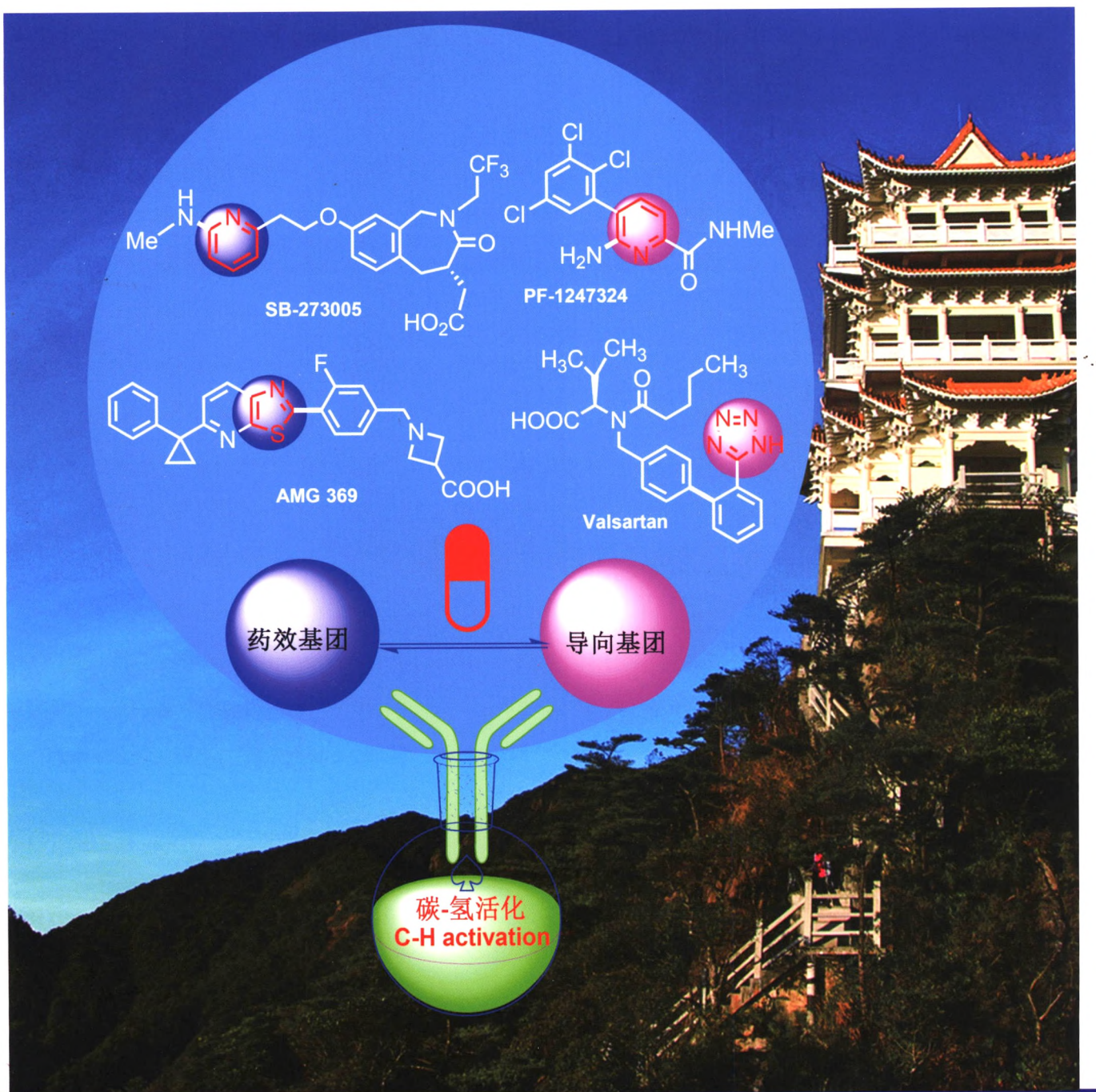
有机化学

Chinese Journal of Organic Chemistry

第 38 卷 第10期 Vol. 38 No. 10 2018



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(YOUJI HUAXUE)

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综述与进展

研究论文

* 通讯联系人.

研究简报

Chinese Journal of Organic Chemistry

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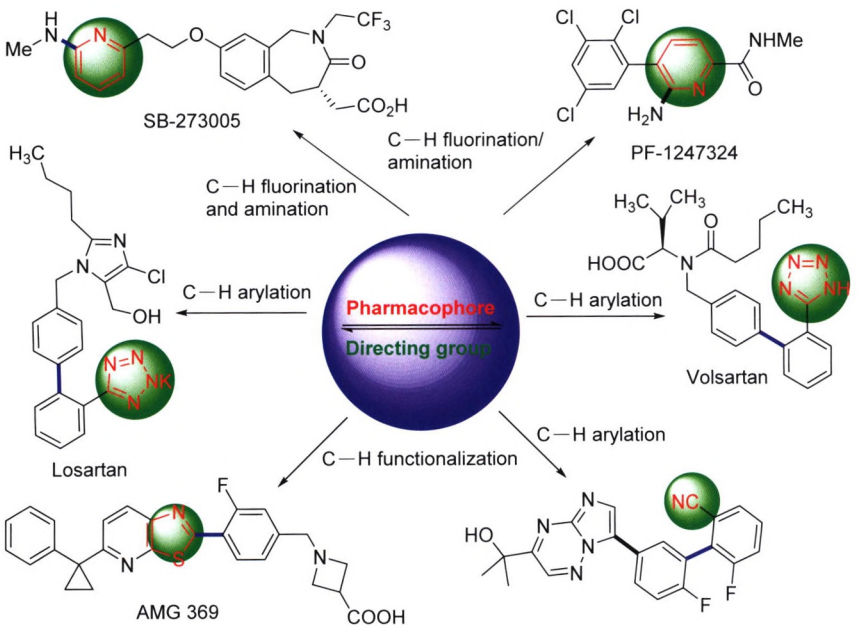
On the Cover

Many pharmacophores are ideal directing groups for C—H activation enabling the subsequent stages of drug synthesis, and showing that there is a correlation between directing group and pharmacophore. The latest breakthroughs of C—H activation via functional switch between pharmacophore and directing group, and their application in the drug discovery and process development are reviewed in detail by Ren, Nie and Zhang on page 2465.

REVIEWS

Functional Switch between Pharmacophore and Directing Group and Their Application in Drug Discovery and Development via C — H Activation and Functionalization

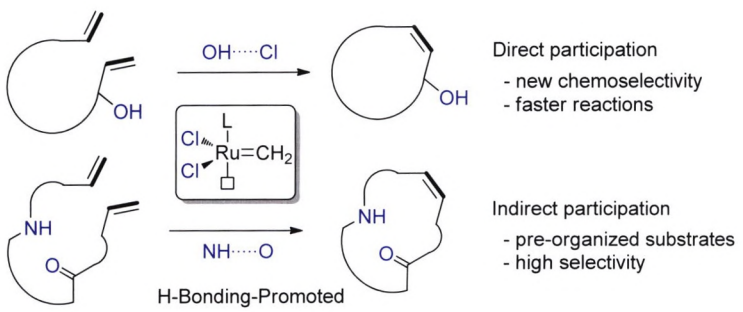


The functional switch of a C—H activation directing group to a pharmacophore is introduced and analyzed, and the value of pharmacophore and application of C—H activation are exemplified. The latest breakthroughs of C—H activation and application in the drug discovery process are reviewed as case studies, providing several industrial examples of using a pharmacophore as directing group for drug synthesis.

Ren, Qingyun; Nie, Biao; Zhang, Yingjun; Zhang, Ji*

Chin. J. Org. Chem. **2018**, *38*(10), 2465

Hydrogen-Bonding Effects in Ruthenium-Catalyzed Olefin Metathesis



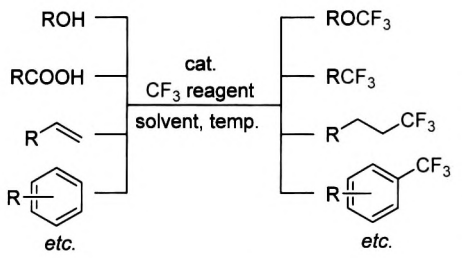
Development and application of hydrogen bonding-promoted ruthenium-catalyzed olefin metathesis reactions are summarized.

Yan, Tingbin; Liu, Yuehui; Shen, Yuehai*

Chin. J. Org. Chem. **2018**, *38*(10), 2491

CONTENT

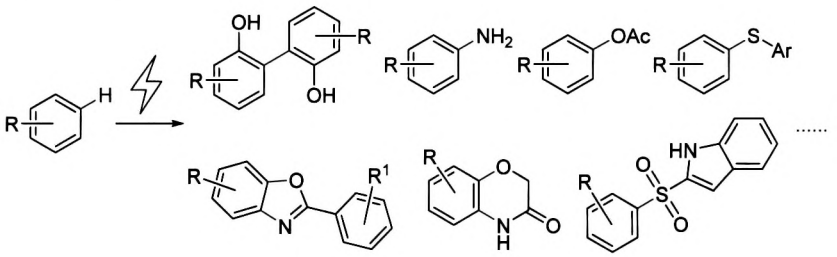
Recent Advances in Transition Metal-Promoted Trifluoromethylation Reactions



Chen, Donghan; Yang, Wen; Yao, Yongqi; Yang, Xin; Deng, Yingying; Yang, Dingqiao*
Chin. J. Org. Chem. **2018**, *38*(10), 2571

Recently, transition metal-promoted trifluoromethylation has been developed rapidly. Starting with the types of transition metal that promote the trifluoromethylation reactions, the research progress of trifluoromethylation promoted by silver, iron, palladium, nickel, rhodium and cobalt in recent years is reviewed. Moreover, the possible mechanisms of some parts of reactions are also discussed.

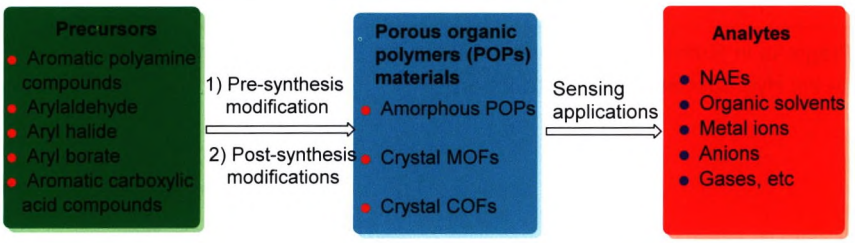
Progress in Electrochemical C—H Functionalizations of Aromatic Compounds



Wu, Yaxing; Xi, Yachao; Zhao, Ming*; Wang, Siyi
Chin. J. Org. Chem. **2018**, *38*(10), 2590

Carbon-hydrogen bonds are extensive and basic chemical bonds existed in organic compounds. Certain C—H activation reactions with chemoselectivity and regioselectivity can also be achieved by the optimization of electrode materials, electrolytes, and solvents. Vourious reactions focusing on the electrochemical functionalizations of C—H bonds in aromatic compounds are mainly reviewed.

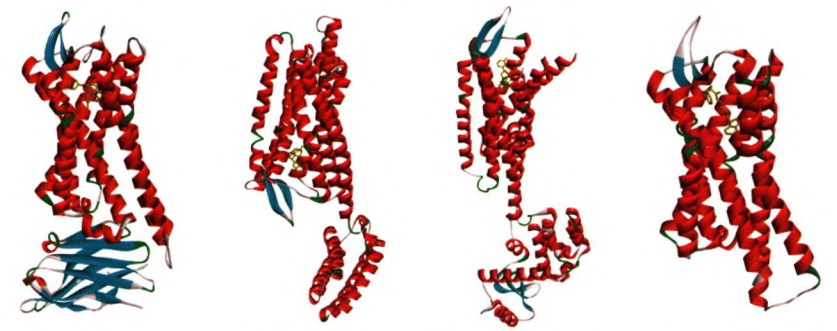
Synthesis and Fluorescent Sensing Application of Porous Organic Polymer Materials



Pang, Chuming; Luo, Shihe; Hao, Zhifeng*; Gao, Jian; Huang, Zhaohao; Yu, Jiahai; Yu, Simin; Wang, Zhaoyang*
Chin. J. Org. Chem. **2018**, *38*(10), 2606

In this paper, according to the different types of porous organic polymer materials (POPs), namely the amorphous porous organic polymer materials, crystal porous metal organic framework materials containing coordination bond, and crystal covalent organic framework materials, the new progress of the POPs fluorescence materials in recent years is reviewed. Especially, the design and synthesis based on functional organic molecules, and their fluorescence sensing applications, are introduced in details.

Recent Topics in Research and Development of Opioid Drugs



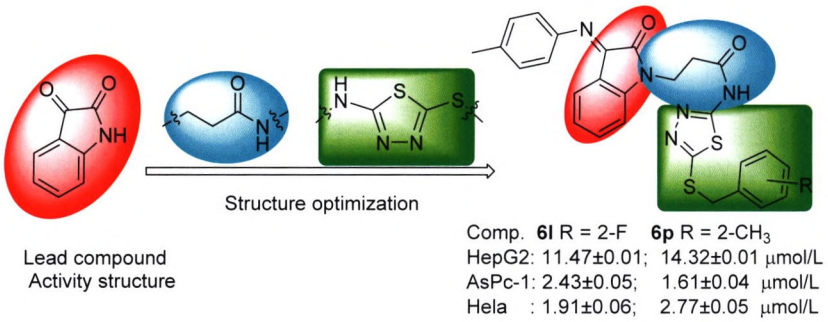
Pan, Chenling; Meng, Ha; Wang, Liangliang; Shen, Yuehai*; Zuo, Zhili*; Wang, Guanlin; Chang, Kwen-jen
Chin. J. Org. Chem. **2018**, *38*(10), 2625

Recent reports on several topics in the study of small molecule opioid drugs are summarized.

CONTENT

ARTICLES

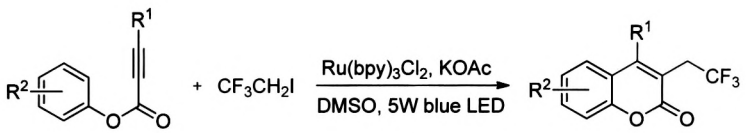
Design, Synthesis and Antitumor Activity Evaluation of 1,3,4-Thiadiazole, Thioether and Amide Based 1,3-Disubstituted-indol-2-one Derivatives



Tian, Kun; Meng, Jiao; Gan, Yiyuan; Li, Xiaoqin; Wu, Shouqun; Chen, Jie; Li, Wen; Qi, Yayun; Hu, Weinan; Wang, Zhenchao*; Ouyang, Guiping*
Chin. J. Org. Chem. **2018**, *38*(10), 2657

A series of novel 1,3-disubstitution indol-2-one derivatives were designed and synthesized by introducing thiadiazole, thioether and amide moiety into the indolone molecule. The inhibition against cancer cell lines of the derivatives was evaluated by thiazolyl blue tetrazolium bromide (MTT) assay method.

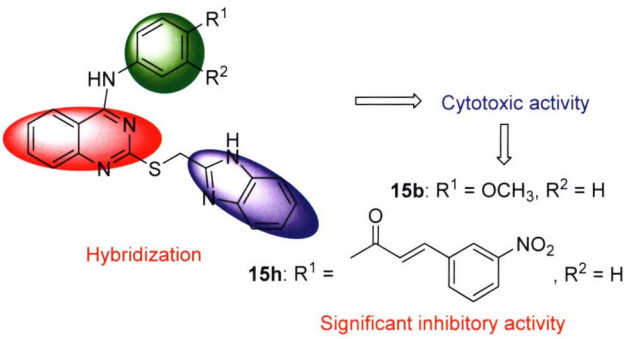
Visible-light Catalyzed Trifluoroethylation of Propiolates to Synthesize Coumarin Analogues



Fang, Jiemei; Fan, Weizheng; Feng, Bainian*
Chin. J. Org. Chem. **2018**, *38*(10), 2666

A practical strategy has been described for the preparation of trifluoroethyl-coumarin derivatives using a visible-light-promoted trifluoroethylation reaction of propiolate with trifluoroethyl iodide.

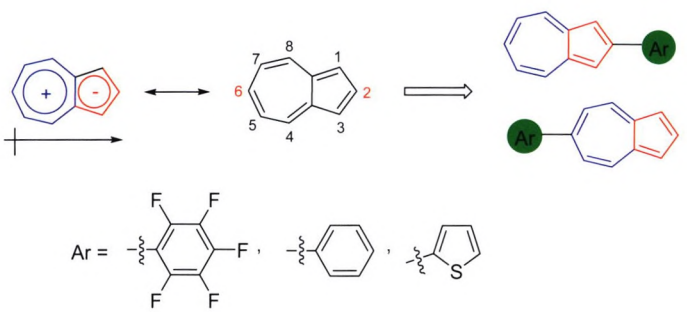
Synthesis and Antitumor Evaluation 2,4-Substituted Quinazoline Derivatives Containing Benzimidazole



Li, Na; Xin, Jingchao; Meng, Yaqi; Li, Erdong; Ma, Qisheng; Bao, Chongnan; Yang, Peng; Song, Panpan; Cui, Fei; Zhao, Peirong; Li, Wen; Ke, Yu*; Zhang, Qiurong*; Liu, Hongmin*
Chin. J. Org. Chem. **2018**, *38*(10), 2673

A series of novel 2,4-substituted quinazoline derivatives containing benzimidazole were designed, synthesized and evaluated for their antitumor activities on two human tumor cell lines.

Design, Synthesis and Properties of 2/6-Aryl Substituted Azulene Derivatives

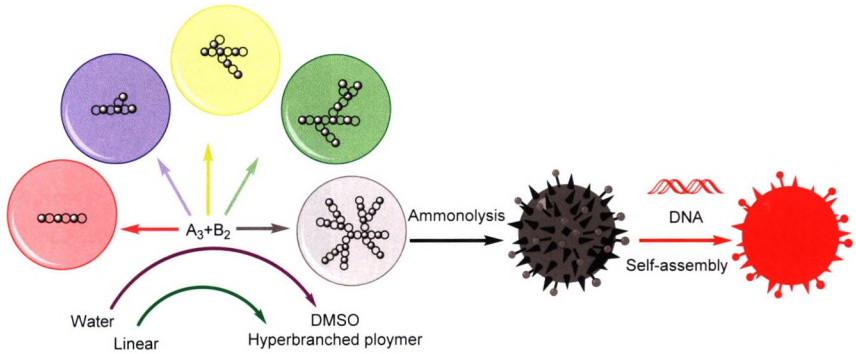


Gao, Honglei; Yang, Xiaodi*; Xin, Hanshen; Gao, Tiezhen; Gong, Hegui*; Gao, Xike*
Chin. J. Org. Chem. **2018**, *38*(10), 2680

Six 2/6-aryl substituted azulene derivatives were designed and synthesized, and the physicochemical properties were investigated by UV-Vis absorption spectra, fluorescence spectra, electrochemical properties and proton-responsive properties as well as density functional theory (DFT).

CONTENT

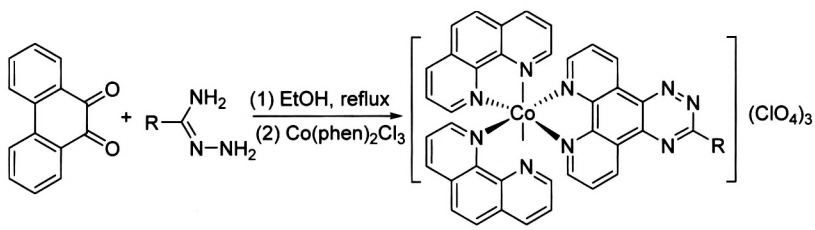
Poly(amido amine)s with Different Branched Architecture: Synthesis, Reactivity and Their Application in Gene Delivery



Poly(amido amine)s with diverse topographical structures are promising candidates for gene delivery and drug carriers. A series of poly(amido amine)s (PAAs) with the same repeating units, however, different degree of branching, were successfully prepared by Michael addition polycondensation of *N*,*N*-methylenebis(acrylamide) and *L*-cysteine methyl ester hydrochloride in a water/dimethyl sulfoxide co-solvent. The obtained disposed cationic PAAs exhibit good DNA condensation capacities.

Zhang, Wei; Yao, Zijian; Deng, Wei*
Chin. J. Org. Chem. **2018**, *38*(10), 2713

Synthesis and Bioactivities of Novel 1,2,4-Triazine Scheleton Phenanthroline Derivatives and the Fluorescent Recognition on DNA Using Three Novel Co(III) Complexes

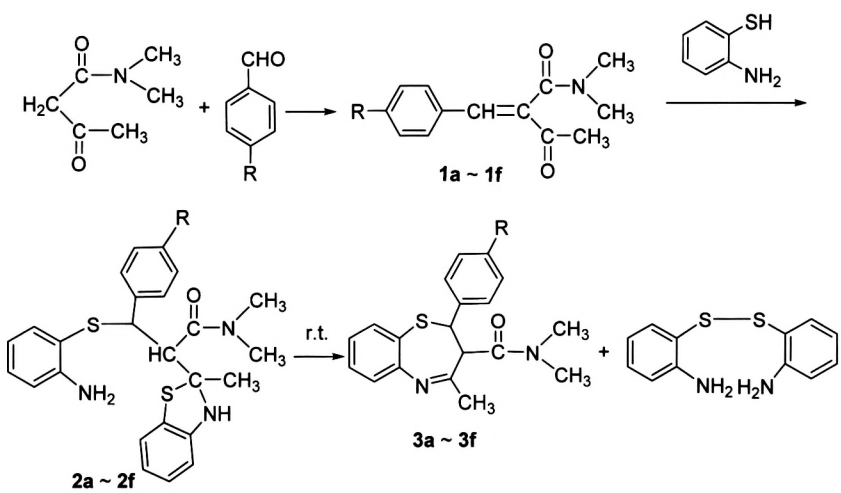


Novel 1,2,4-triazine scheleton phenanthroline derivatives **ARTP** were synthesized. They behave high inhibitory activities against Cdc25B and three Co(III)-complexes were synthesized and expected to be the DNA fluorescence probes.

Zhang, Chenglu*; Li, Yizheng; Li, Jingyi; Li, Yilin; Gong, Rongqing; Wang, Huayu
Chin. J. Org. Chem. **2018**, *38*(10), 2720

NOTES

Synthesis and Antimicrobial Activity of 2-Substituted-phenyl-3-*N*,*N*-dimethylformamido)-4-methyl-1,5-benzothiazepine

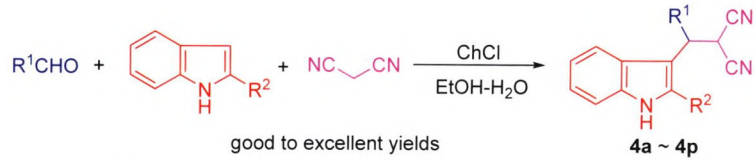


2-Substituted-phenyl-3-(*N*,*N*-dimethylformamido)-4-methyl-1,5-benzothiazepine (**3a**～**3f**) were designed and synthesized. **3a**～**3f** were dynamic control products and had obvious inhibitoryeffect on fungi and bacteria, especially for *Cryptococcus neoformans* and *Escherichia coli*.

Xu, Tongxiu; Wang, Yan; Tian, Keqing; Wang, Ranran; Yan, Jingyi; Zhang, Ping*
Chin. J. Org. Chem. **2018**, *38*(10), 2731

CONTENT

Choline Chloride as Catalyst towards the Attractive Yonemitsu Reaction of Benzaldehyde, Indole, and Malononitrile

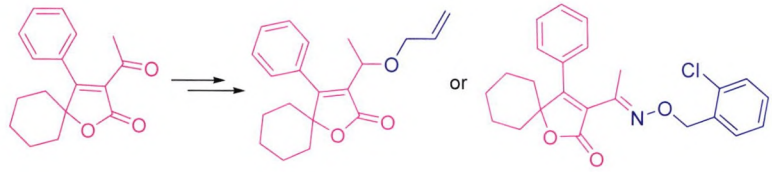


Yang, Zhonghua; Liu, Lanye; Zhao, Yihe; Hong, Yuanlin; Ruan, Hongli; Lü, Chengwei*

Chin. J. Org. Chem. **2018**, *38*(10), 2761

A simple and efficient method was developed for the Yonemitsu condensation of indole, benzaldehyde, and malononitrile. Introducing water in reaction system and using choline chloride as cheap and safe catalyst were proved to be efficient to accelerate this multi-component reaction.

Synthesis and Fungicidal Activity of 3-Acetyl-4-phenyl-1-oxaspiro[4,5]dec-3-en-2-one Derivatives

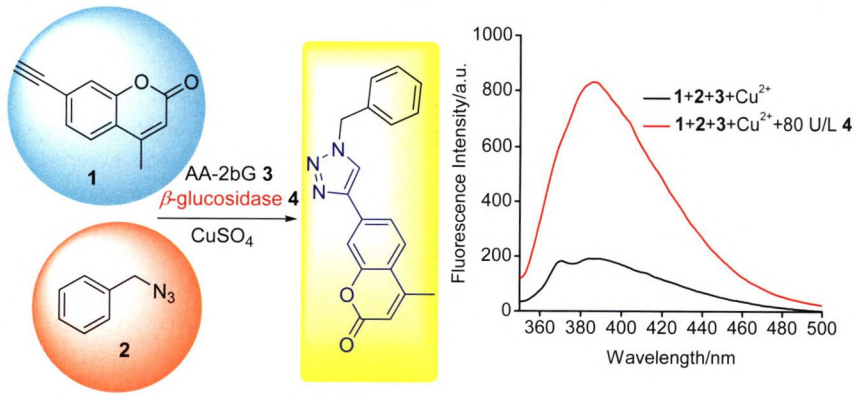


Guan, Aiying; Zhao, Yu; Wang, Weiwei; Liu, Xinlei; Wang, Mingan*

Chin. J. Org. Chem. **2018**, *38*(10), 2767

A series of novel 3-acetyl-4-phenyl-1-oxaspiro[4,5]dec-3-en-2-one derivatives were synthesized. Their *in vivo* and *in vitro* fungicidal activities were evaluated against phytopathagens, which showed that some of them exhibited excellent inhibition against *P. cubensis* and *P. polysora*.

Determination of β-Glucosidase Activity Based on Enzyme-Triggered Click Chemistry

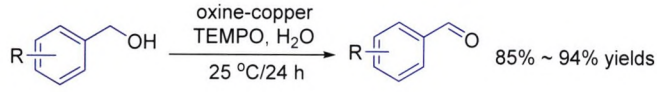


Wang, Longwen; Ma, Jimei*; Cheng, Xin; Li, Zilong; Sun, Linhao; Zeng, Zhen; Jiang, Hong*

Chin. J. Org. Chem. **2018**, *38*(10), 2775

A novel and highly sensitive fluorescence method for β-glucosidase activity determination employing enzyme-triggered click chemistry was developed.

Copper-Catalyzed Aerobic Oxidation Reaction of Benzyl Alcohol in Water under Base-Free Condition

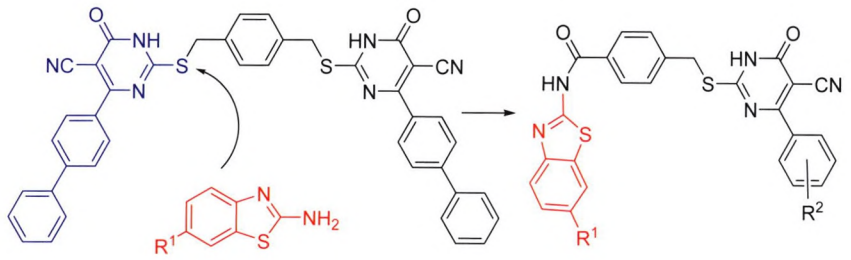


Yang, Xiaojiang; Mao, Jincheng*; Zhang, Heng; Zhang, Yang; Mao, Jinhua

Chin. J. Org. Chem. **2018**, *38*(10), 2780

A green and very mild method for the oxidation of benzyl alcohols to aromatic aldehydes with excellent conversions has been developed. The reaction could be carried out directly in air at room temperature and was catalyzed using bis(8-quinolinolato)-copper(II) with 2,2,6,6-tetramethylpiperidine-1-oxyl (TEMPO) as co-catalysts.

Synthesis and Antibacterial Activity Evaluation of the Thiouracil Derivatives Containing Benzothiazole



Cui, Penglei; Liu, Na; Chen, Hua*; Li, Xiaoliu*

Chin. J. Org. Chem. **2018**, *38*(10), 2784

A series of thiouracil compounds containing benzothiazole were synthesized by modifying the structure of thiouracil compounds with novel antibacterial mechanism.

CONTENT

HIGHLIGHTS