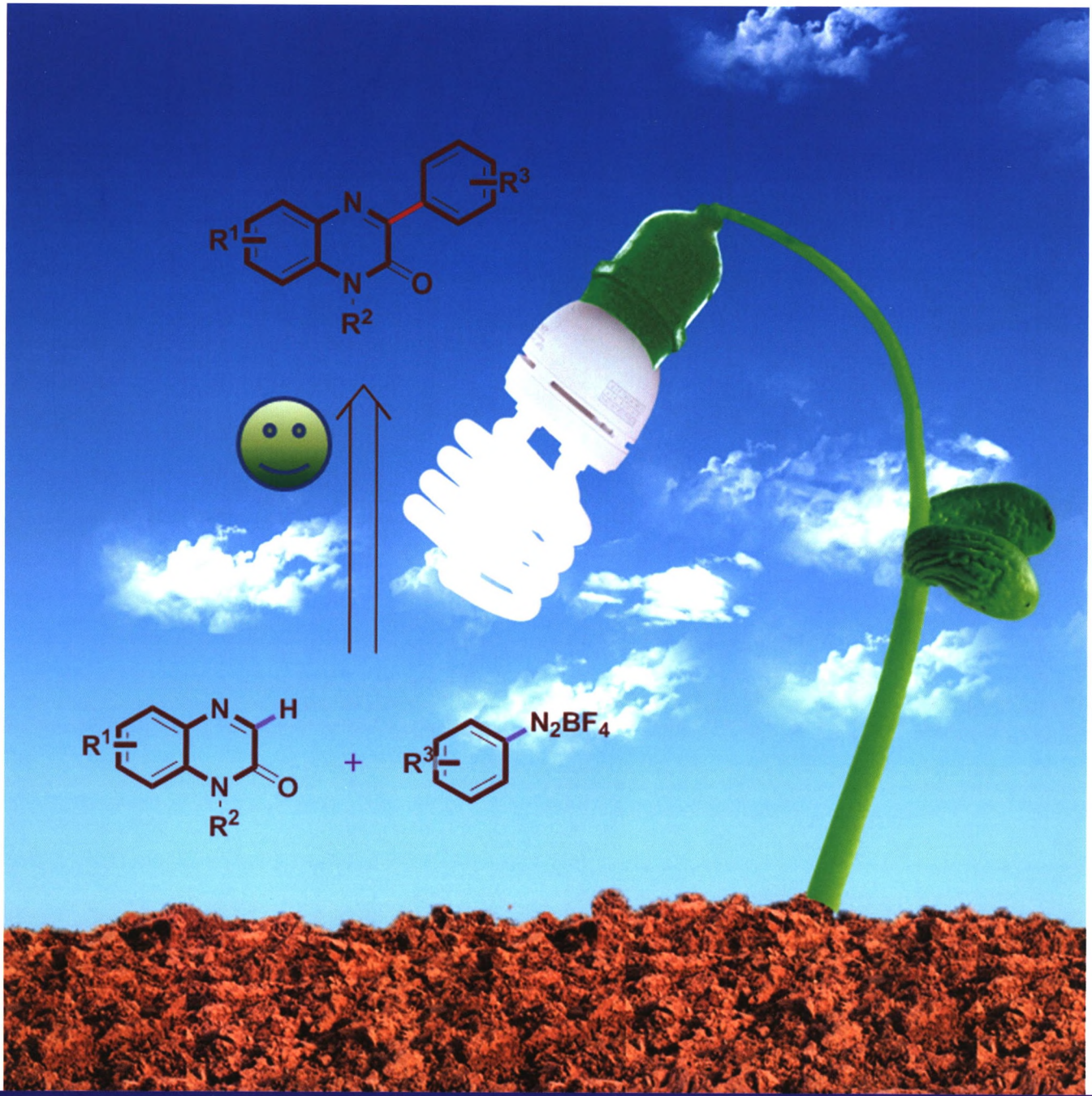
有机化学

Chinese Journal of Organic Chemistry

第 38 卷 第12期 Vol. 38 No. 12 2018



ISSN 0253-2786

中国化学会
中国科学院上海有机化学研究所 主办

有 机 化 学 (月刊)

Chinese Journal of Organic Chemistry

(YOUJI HUAXUE)

第 38 卷 第 12 期 (总 361 期) 2018 年 12 月*

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综述与进展

研究论文

* 通讯联系人.

研究简报

亮点介绍

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Chinese Journal of Organic Chemistry

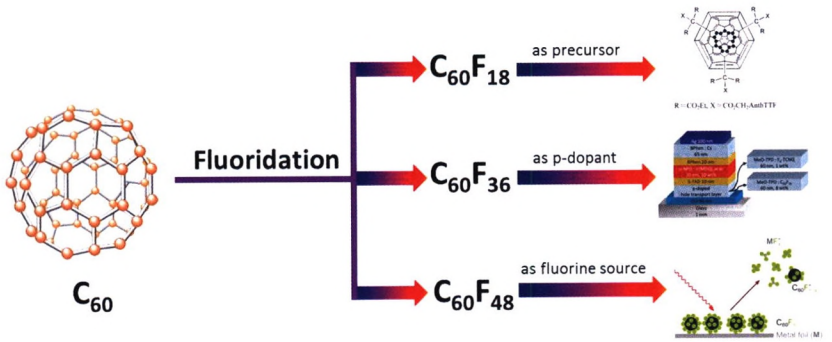
Vol. 38 No. 12 December 2018

On the Cover

Visible-light-induced method for the synthesis of 3-arylquinoxalin-2(1*H*)-ones is reported by Wang, Bao, Liu, Liu, Hu, Yue, Yang, and Wei on page 3189. 3-Arylquinoxalin-2(1*H*)-one scaffold is of great importance as a pharmacophore existing in various pharmaceutical molecules. The present protocol provides a cost-effective and environmentally-benign approach to 3-arylquinoxalin-2(1*H*)-ones via direct C—H 3-arylation of quinoxalin-2(*H*)-ones with aryl diazonium salts under visible-light photoredox catalysis.

REVIEWS

Progress in the Structures, Characteristics and Applications of Fluorofullerenes

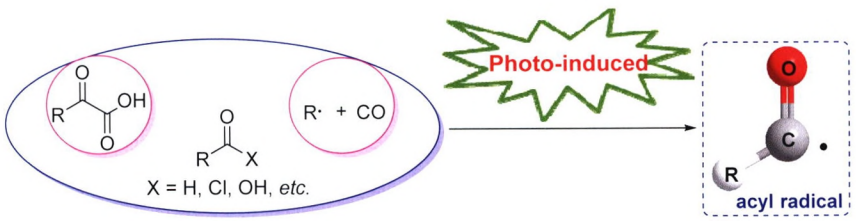


The progress in the structures and electronic properties of fluofullerenes is introduced. Their applications are summarized in the field of precursors of functional fullerene derivatives, surface doping of diamond, dilicon, and graphene, and bulk *p*-doping of organic semiconductors in electronic devices. Furthermore, this review gives the outlook for research trend and prospect of fluofullerenes materials.

Wang, Yufei; Zheng, Liping; Li, Jingjing; Liu, Chao; Yao, Jianhua*

Chin. J. Org. Chem. **2018**, *38*(12), 3143

Recent Advances on the Photo-Induced Reactions of Acyl Radical



With the development of photochemistry, the photo-induced radical acylation reactions have attracted dramatic interest for chemical researchers. In addition, the acyl radical can generate from α-keto acids, aldehydes, anhydrides, acyl chlorides and so on via light mediated under mild conditions. The recent advances on the photo-induced radical acylation are introduced.

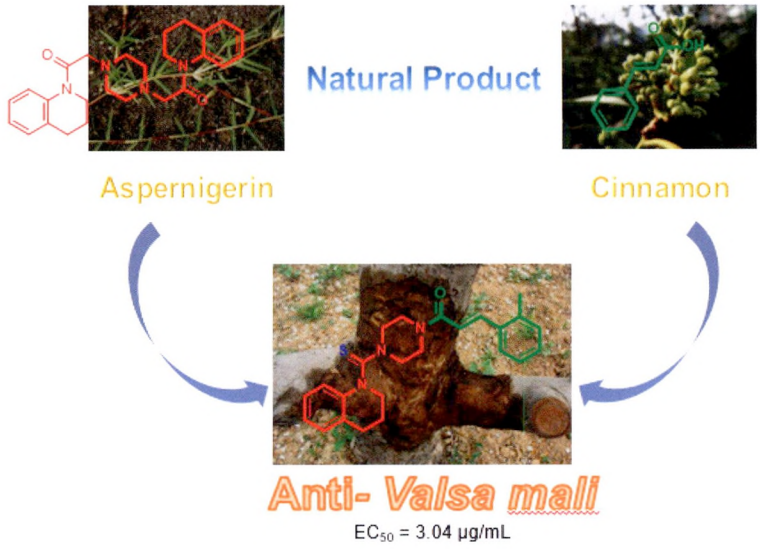
Ruan, Liheng; Chen, Chunxin; Zhang, Xiaoxin; Sun, Jing*

Chin. J. Org. Chem. **2018**, *38*(12), 3155

CONTENT

ARTICLES

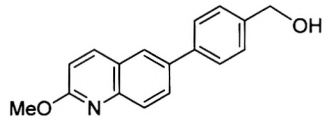
Synthesis and Anti-fungual Activity of Novel Aspernigerin Derivatives Containing Thiocarbonyl Moiety



Zhang, Xiaoming; Lei, Peng; Li, Xinlu; Yang, Xinling; Zhang, Xuebo; Sun, Tengda; Ling, Yun*
Chin. J. Org. Chem. **2018**, *38*(12), 3197

Based on the structure of natural product of aspernigerin, a series of novel tetrahydroquinoline compounds containing thiocarbonyl moiety were designed and synthesized. All the title compounds showed anti-fungual activity against 8 fungi. In particular, (*E*)-1-(4-(1,2,3,4-tetrahydroquinoline-1-carbonothioyl)piperazin-1-yl)-3-(*o*-tolyl)prop-2-en-1-one (**5b**) showed good activity against *Valsa mali* with the EC_{50} value of 3.04 μg/mL.

Antitumor and DNA Topoisomerase IIα Inhibitory Activity of 6-Substituted-aryl-2-methoxyquinolines

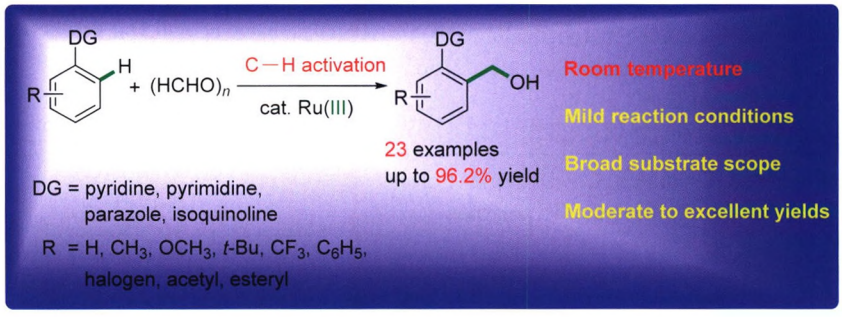


3b

Li, Zhiying; Ding, Yanjiao; Bu, Huagang; Shen, Yuemao*
Chin. J. Org. Chem. **2018**, *38*(12), 3204

In this study, nineteen 6-substituted aryl-2-methoxyquinoline derivatives **3a**~**3s** were designed and synthesized, and their cytotoxicities against the growth of human breast cancer MDA-MB-231 cell line and Topo IIα inhibitory activity were evaluated. Among these derivatives, 6-(4-(hydroxymethyl)phenyl)-2-methoxyquinoline (**3b**) was identified as the most potent one with the IC_{50} value of 9.9 μmol•L^{-1}.

Room Temperature Ru(III)-Catalyzed *ortho*-Hydroxymethylation of Arenes

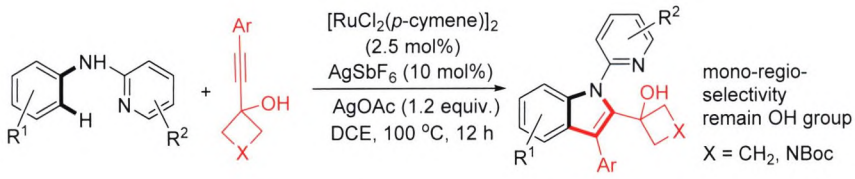


Zhang, Yong; Yang, Zhongzhen; Yu, Xinling; Cheng, Xu; Li, Weijian; Guo, Lingmei; Hai, Li; Guo, Li*; Wu, Yong*
Chin. J. Org. Chem. **2018**, *38*(12), 3211

Direct synthesis of the hydroxymethylated arene derivatives via ruthenium(III)-catalyzed and nitrogen atom directed C—H activation is described. The reaction proceeds smoothly at room temperature and generates the corresponding products in moderate to excellent yields.

CONTENT

Ruthenium(II)-Catalyzed C—H Bond Regioselective [3+2] Annulation of Arylamines with Propargyl Alcohols

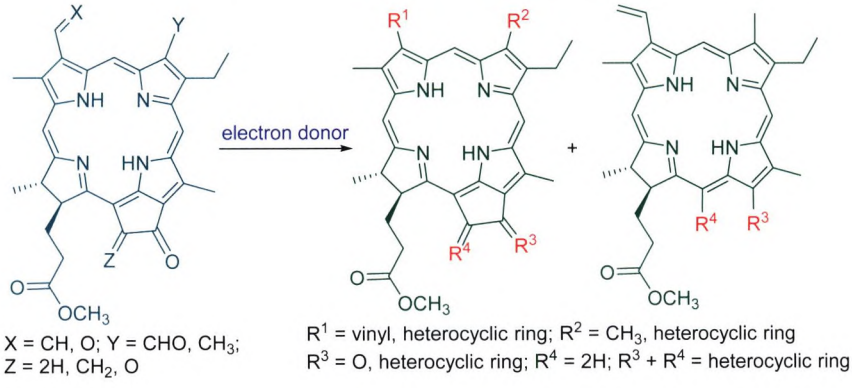


Bai, Lili; Wang, Ying; Wang, Shuojin; Kong, Dulin; Fu, Yan; Peng, Deqian*; Wen, Lijun; Chen, Xun*

Chin. J. Org. Chem. **2018**, *38*(12), 3242

A simple and efficient Ru(II)-catalyzed C—H bond regioselective [3+2] annulation of arylamines with propargyl alcohols has been developed. This method provides a facile approach to various hydroxy-containing indole skeleton, which could be used for constructing more complex pharmaceutical molecules.

Heterocyclization for the Structures on the Periphery of Pyropheophorbide and Synthesis of Chlorophyll Derivatives

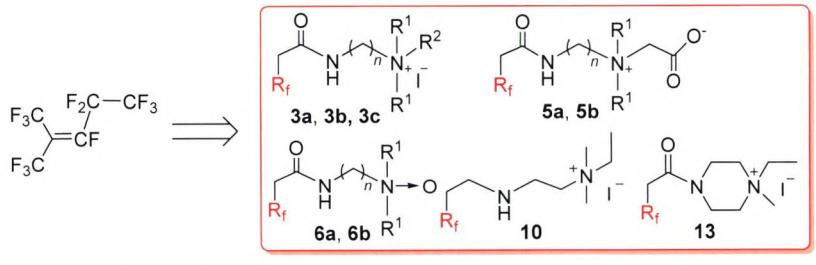


Zhang, Zhu; Li, Jiazhu; Zhang, Shanguo; Wang, Zhen; Wang, Jinjun*

Chin. J. Org. Chem. **2018**, *38*(12), 3250

Pyropheophorbide-a methyl ester was used as a starting material, and the chemical modifications and structural transformations along the terminals of N^{21}-N^{23} axis were carried out to build active electron-accepting functional structures. The cyclizations with different electron-sufficient systems were accomplished to synthesize a series of unreported chlorins related to chlorophyll with multiple heterocyclic structures.

Study on the Synthesis and Properties of Novel Branched Fluorinated Surfactants

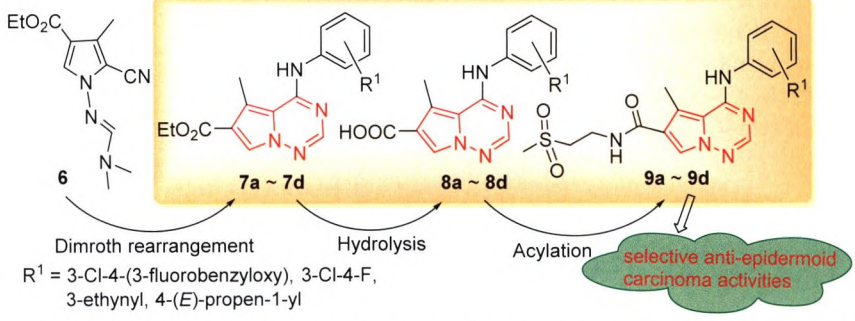


Lin, Chao; Pan, Renming; Xing, Ping*; Jiang, Biao*

Chin. J. Org. Chem. **2018**, *38*(12), 3260

Several novel branched fluorinated surfactants are synthesized using perfluoro-2-methyl-2-pentene as starting material. The effects of the structures on the surface properties are mainly discussed, and the combined properties of one outstanding fluorinated surfactant mixed with APG are discussed too.

Synthesis and Antiproliferative Activities of Novel Pyrrolotriazine Derivatives



Zhang, Yaling; Ma, Shasha; Li, Xiabing*; Hou, Qiaoli; Lü, Mengjiao; Hao, Yunxia; Wang, Wei; Li, Baolin*

Chin. J. Org. Chem. **2018**, *38*(12), 3270

A series of novel pyrrolotriazine derivatives with different substituents at 4,6-positions were designed, synthesized through multi-step reactions. Their antiproliferative activities against human tumor cell lines were investigated.

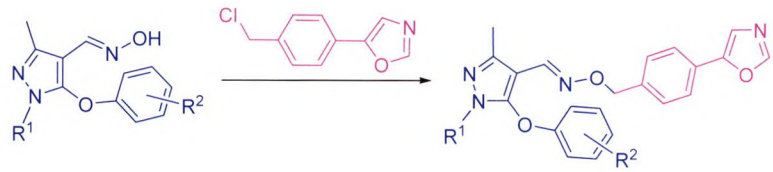
CONTENT

NOTES

Synthesis and Insecticidal Activities of Novel Pyrazole Oxime Ethers Containing an Oxazole Moiety

Zhou, Qian; Zheng, Dandan; Shi, Yujun; Yao, Wei; Qian, Hongwei; Ding, Ying; Wei, Zhonghao; Shen, Aibao*; Feng, Xia; Shi, Jian; Dai, Hong*

Chin. J. Org. Chem. **2018**, *38*(12), 3318

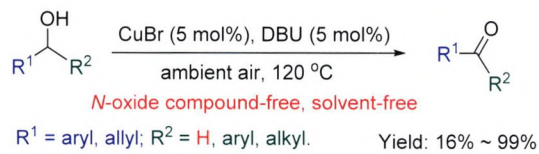


A series of novel pyrazole oxime ethers containing an oxazole moiety were synthesized, and their biological activities were evaluated.

Selective Aerobic Oxidation of Benzylic Alcohols Catalyzed by CuBr/1,8-Diazabicyclo[5.4.0]undec-7-ene

Cai, Liangzhen; Huang, Zhen; Yang, Liqun; Xie, Xiaomin;* Tao, Xiaochun*

Chin. J. Org. Chem. **2018**, *38*(12), 3326

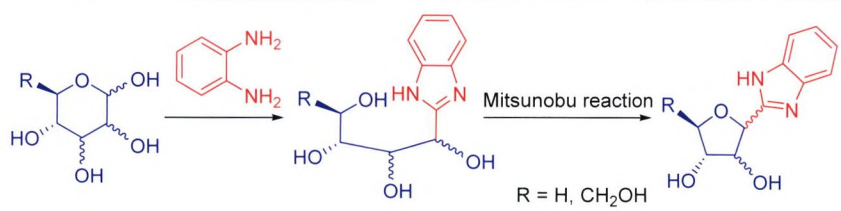


A novel and practical cuprous bromide-catalyzed aerobic oxidation of benzylic alcohols with 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU) as the additive under air atmosphere has been developed. Various primary and secondary benzylic alcohols and allylic alcohols were smoothly transformed into the corresponding aldehydes and ketones with high yields and selectivity. The process is 2,2,6,6-tetramethylpiperidin-1-oxyl-free and solvent-free.

Concise Synthesis of Furanosyl Benzimidazole *C*-Nucleosides by Mitsunobu Reaction

Yan, Lianhai; Hou, Yuheng; Li, Xiaoliu*; Chen, Hua*

Chin. J. Org. Chem. **2018**, *38*(12), 3332

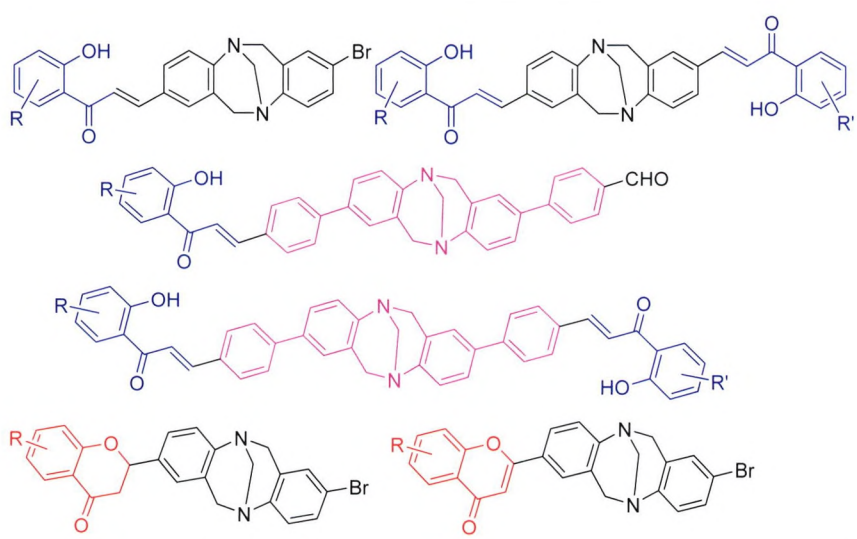


The key Mistunobu reaction afforded two furanosyl benzimidazole *C*-nucleosides (α/β isomers) by using the unprotected monosaccharides and *o*-phenylenediamine as the starting materials.

Synthesis and Biological Evaluation of Novel Flavonoid-Substituted Tröger's Bases

Yuan, Rui; Wang, Yuanjiang; Huang, Shuying; Dou, Pengfei; Zhang, Longyan; Chen, Wen; Ren, Xuanxuan; Zhou, Shengliang; Wan, Yu*; Wu, Hui*

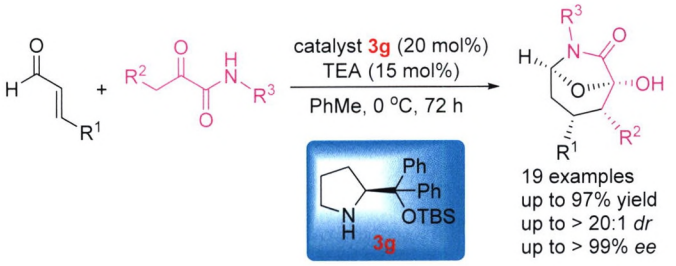
Chin. J. Org. Chem. **2018**, *38*(12), 3338



A series of flavonoid-substituted Tröger's base analogues were synthesized via multi-step reaction. Their anti-cancer activities on the HepG2 hepatocellular carcinoma cell and antibacterial activities on four bacterial (*Pseudomonas aeruginosa* PAM1032, wild type *Staphylococcus aureus*, wild type *Escherichia coli* and *Escherichia.coli*-NMD-1) were evaluated. Two compounds were screened out because of their high inhibitory rate on *Staphylococcus aureus* at 1 μg/mL.

CONTENT

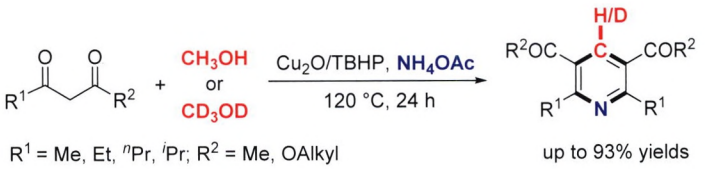
Asymmetric Organocatalytic Construction of Functionalized Bicyclic Lactams



Zhang, Xiong*; Feng, Kaixiang; Xia, Aibao*
Chin. J. Org. Chem. **2018**, *38*(12), 3373

A new method is developed for the enantioselective synthesis of highly functionalized bicyclic lactams with two *cis* contiguous stereogenic centers.

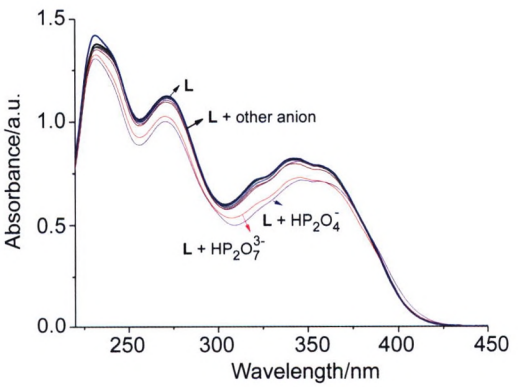
Synthesis of 2,3,5,6-Tetrasubstituted Pyridines via Copper-Catalyzed Domino Oxidative Annulation of 1,3-Dicarbonyl Compounds with Methanol and Ammonium Acetate



Yan, Yizhe*; Li, Zheng; Cui, Chang; Liu, Yanqi*
Chin. J. Org. Chem. **2018**, *38*(12), 3381

A copper-catalyzed oxidative formal cycloaddition of 1,3-dicarbonyl compounds, methanol and ammonium acetate was first demonstrated, affording symmetrical 2,3,5,6-tetrasubstituted pyridines in moderate to excellent yields. Methanol was employed as the carbon synthon as well as the reaction solvent. The preliminary mechanistic studies revealed that the reaction underwent a radical pathway and the C(sp^3)—H bond cleavage of methanol was the rate-determining step.

Synthesis and Anion Recognition of Macrocycle Containing Isophthalamide Unit



Wei, Xiaokang; Gu, Jingchi; Liu, Xingli; Huang, Chao; Zhu, Bixue*
Chin. J. Org. Chem. **2018**, *38*(12), 3386

A [1+1] Schiff base macrocycle **L** containing isophthalamide unit and phenol rings has been synthesized. The results show that the macrocycle **L** displays a selective recognition ability for $H_2PO_4^-$ and $HP_2O_7^{3-}$ anion with a series of anions using UV-Vis absorption spectra technique. Furthermore, the coordination reaction of **L** with $H_2PO_4^-$ or $HP_2O_7^{3-}$ anion was investigated via UV-Vis spectra, ^{1}H NMR and the isothermal titration calorimeter (ITC) respectively.

HIGHLIGHTS

Chin. J. Org. Chem. **2018**, *38*(12), 3394