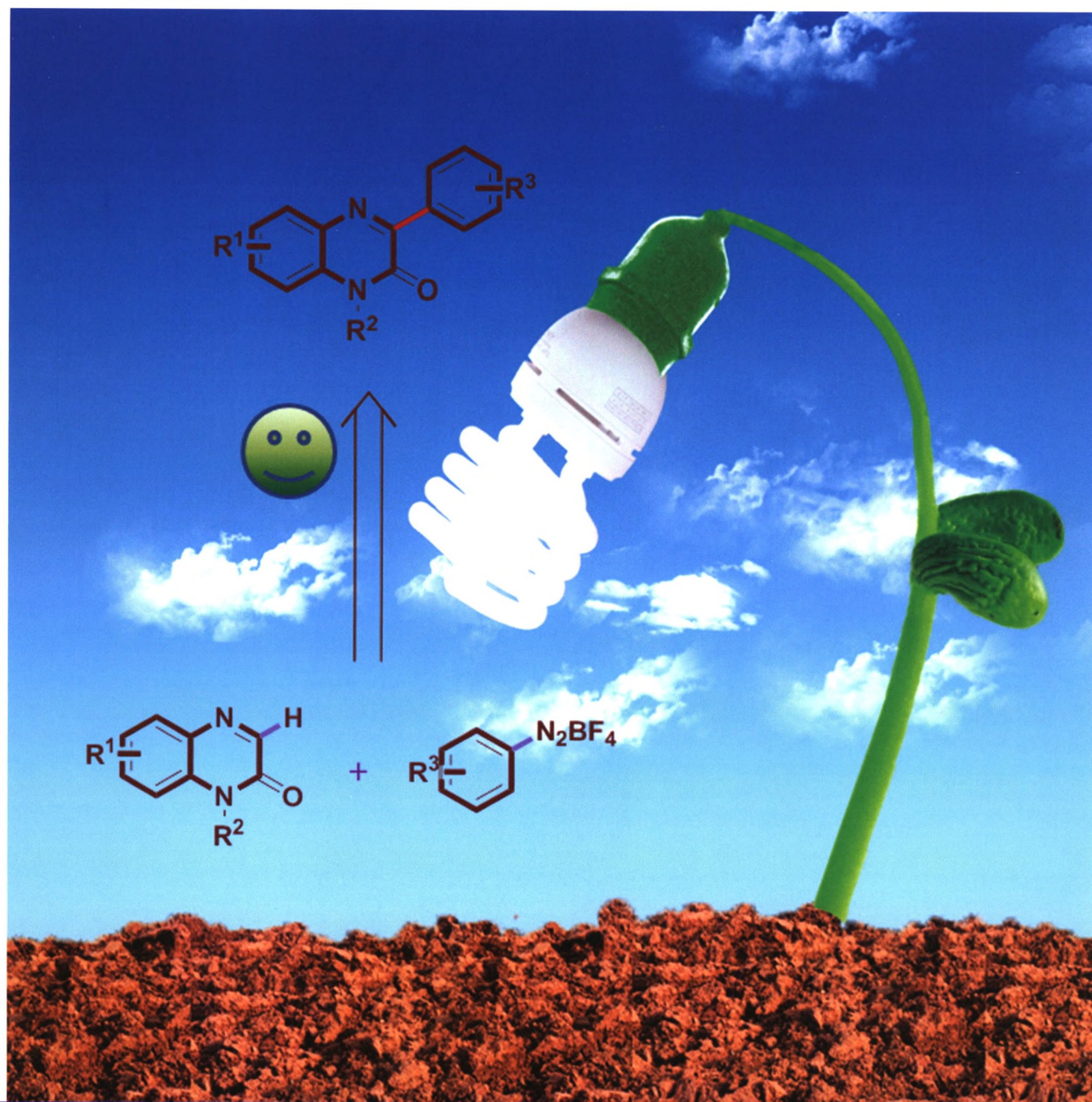


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(YOUJI HUAXUE)

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目 次

综述与进展

- 氟化富勒烯的结构、性质及其应用研究进展..... 王宇飞 郑丽萍 李靖靖 刘超 姚建华* (3143)
- 光诱导酰基自由基反应的研究进展..... 阮利衡 陈春欣 张晓欣 孙京* (3155)
- 内质网荧光探针研究进展..... 吕丹 徐学涛* 黄丹颖 吴盼盼 盛钊君 刘文锋 李冬利 Njud S. Alharbi 张焜* 王少华* (3165)
- 离子液体的进展——绿色制备及在环境修复中的应用研究..... 刘宝友* 张佩文 (3176)

研究论文

- 可见光诱导下喹啉-2(1*H*)-酮与重氮盐的直接 C—H 3-芳基化反应..... 王雷雷 鲍鹏丽 刘维维 刘思彤 胡昌松 岳会兰 杨道山 魏伟* (3189)
- 新型含硫羰基结构的 Aspernigerin 衍生物的合成及抑菌活性研究..... 张晓鸣 雷鹏 李欣璐 杨新玲 张学博 孙腾达 凌云* (3197)
- 6-取代芳基-2-甲氧基喹啉类拓扑异构酶 II α 抑制剂的合成及抗肿瘤活性研究..... 李志颖 丁艳娇 卜华港 沈月毛* (3204)
- 钕(III)催化的芳烃室温邻位羟甲基化反应..... 张勇 杨中振 余昕玲 程旭 李伟剑 郭令妹 海俐 郭丽* 吴勇* (3211)
- 含氮刚性杂环取代香豆素类染料敏化剂的合成及光电性能..... 蒋绍亮 刘杰 崔艳红 吴华彪 韩亮* (3219)
- 新型齐墩果酸和熊果酸衍生物的合成、表征及细胞毒活性研究..... 刘新宇 高雪琴 金学军 赵春晖 冯中华 隋悦 赵龙铨* 阎欣* (3227)
- 碘介导下通过氧化性 C—O 键形成合成异噁唑类化合物..... 侯姣 张新婷 于文全* 常俊标* (3236)
- 钕(II)-催化芳胺 C—H 键与炔丙醇的高选择性[3+2]环化反应..... 白丽丽 王颖 王烁今 孔杜林 付艳 彭德乾* 文丽君 陈训* (3242)
- 焦脱镁叶绿酸周环结构的杂环化反应及其叶绿素衍生物的合成..... 张珠 李家柱 张善国 王振 王进军* (3250)
- 新型支链型氟碳表面活性剂的合成及性能研究..... 林超 潘仁明 邢萍* 姜标* (3260)
- 吡咯并三嗪衍生物的合成及其对肿瘤细胞增殖的抑制活性..... 张娅玲 马沙沙 李夏冰* 侯巧丽 吕梦娇 郝云霞 王伟 李宝林* (3270)

* 通讯联系人。

氮杂环并二氢嘧啶及嘧啶衍生物的合成及生物活性评价.....	张平竹 王晓芬 郑雪阳 连平平 魏超 李小六*	(3278)
双齿 N 基配体钨配合物的合成及其在催化氢硼烷释氢中的应用.....	赵茜怡* 梁媛 徐霆 窦婷 张絮 陈学年*	(3286)
氨基酸三唑锰催化苯醌甲基化物的偶联反应研究.....	胡昕宇 杨伯斌 姚玮 王大伟*	(3296)
1-单取代萘醌及蒽醌并咪唑衍生物的设计合成和抗肿瘤活性研究.....	刘战雄 袁晶 张振铎* 颜德岳 张万斌*	(3302)

研究简报

新型含噁唑环结构的吡唑酮醚类化合物的合成与杀虫活性研究.....	周钱 郑丹丹 石玉军 姚炜 钱宏伟 丁颖 魏中昊 沈爱宝 冯霞 石健 戴红*	(3318)
CuBr/1,8-二氮杂双环[5.4.0]十一碳-7-烯催化苄醇的选择性空气氧化反应.....	蔡良珍 黄振 杨立群 谢小敏* 陶晓春*	(3326)
Mitsunobu 反应简便合成呋喃糖基苯并咪唑 C-核苷.....	闫连海 侯宇恒 李小六* 陈华*	(3332)
新型黄酮-Tröger's 碱类衍生物的合成及其生物活性.....	范睿 王国江 黄树颖 窦鹏飞 张琬严 陈雯 任璇璇 周生亮 宛瑜* 吴肇*	(3338)
三氯化铁催化合成 2,3-二氢苯并色原酮.....	丁晓友 徐凡*	(3345)
海洋天然核苷 Kipukasin D 的首次全合成.....	丁海新 李闯 董祥有 曹伴鹏 张宁* 洪三国 肖强*	(3351)
含苯并咪(噻)唑环的 β -吡啶衍生物的合成与杀菌活性.....	霍新玉 李文斌 张博雅 陈晓飞 周月婷 张洁* 韩小强* 代斌	(3356)
多杀菌素 A 衍生物的合成及其生物活性研究.....	张凯 李加荣* 温都苏 刘洪林 王海邮 阿拉木斯*	(3363)
不对称有机催化构筑二环己内酰胺类化合物.....	张雄* 封凯祥 夏爱宝*	(3373)
铜催化的 1,3-二羰基化合物、甲醇和乙酸铵的串联氧化环化合成 2,3,5,6-四取代吡啶.....	闫溢哲* 李政 崔畅 刘延奇*	(3381)
含间苯二甲酰胺基的大环合成及其对阴离子的识别研究.....	魏小康 谷静池 刘兴丽 黄超 朱必学*	(3386)

亮点介绍.....	(3394)
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全年索引

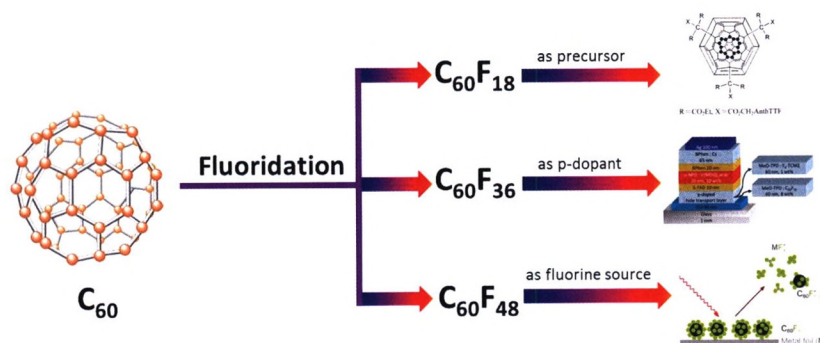
2018 年第 38 卷作者、题目索引.....	(3396)
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On the Cover

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

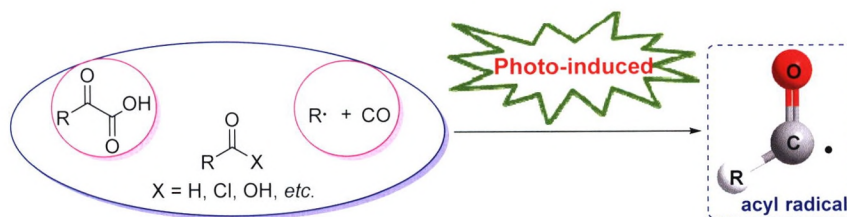
Progress in the Structures, Characteristics and Applications of Fluorofullerenes



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

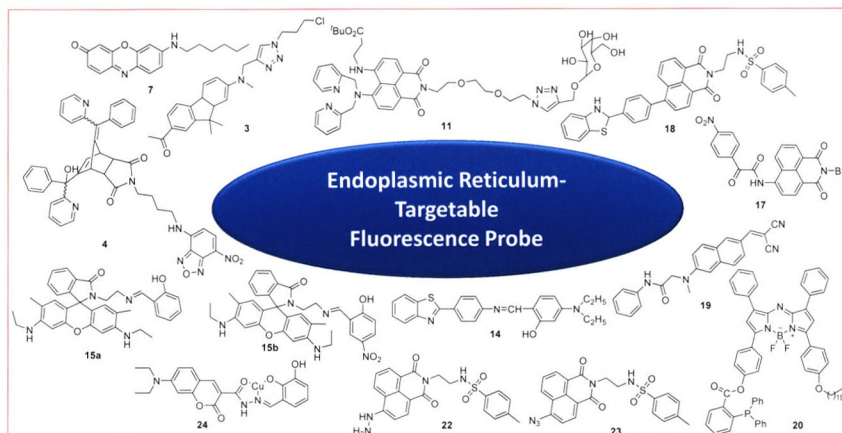


With the development of photochemistry, the photo-induced radical acylation reactions have attracted dramatic interest for chemical researchers. In addition, the acyl radical can generate from α -keto acids, aldehydes, anhydrides, acyl chlorides and so on via light mediated under mild conditions. The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

Recent Progress on Endoplasmic Reticulum-Targetable Fluorescence Probe

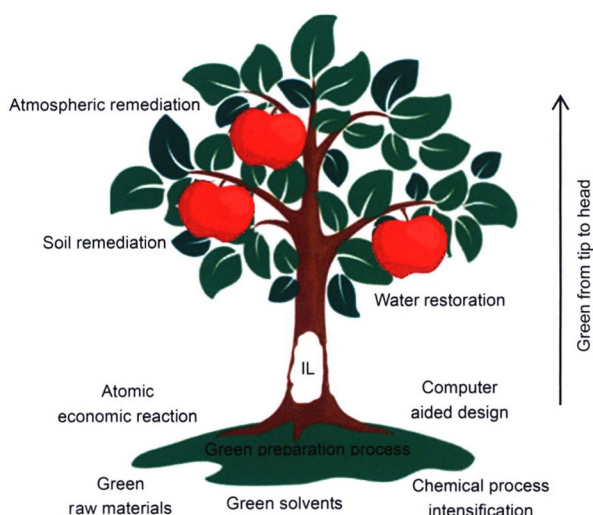


Lü, Hui; Xu, Xuetao*; Huang, Danying; Wu, Panpan; Sheng, Zhaojun; Liu, Wenfeng; Li, Dongli; Alharbi, Njud S.; Zhang, Kun*; Wang, Shaohua*

Chin. J. Org. Chem. **2018**, 38(12), 3165

This article summarizes and describes the design and synthesis of the reported endoplasmic reticulum-targetable fluorescent probes, analyzes the application of endoplasmic reticulum fluorescent probes in the study of cellular physiological processes, and prospects the development trend of endoplasmic reticulum-targetable fluorescent probes.

Progress of Ionic Liquids Green Preparation and Application Research in Environmental Remediation



The green preparation of ionic liquids and its application in environmental remediation are reviewed. The characteristics and application scope of green preparation of ionic liquids are analyzed, and the methods and mechanisms of ionic liquids in environmental remediation are pointed out.

Liu, Baoyou*; Zhang, Peiwen

Chin. J. Org. Chem. **2018**, 38(12), 3176

ARTICLES

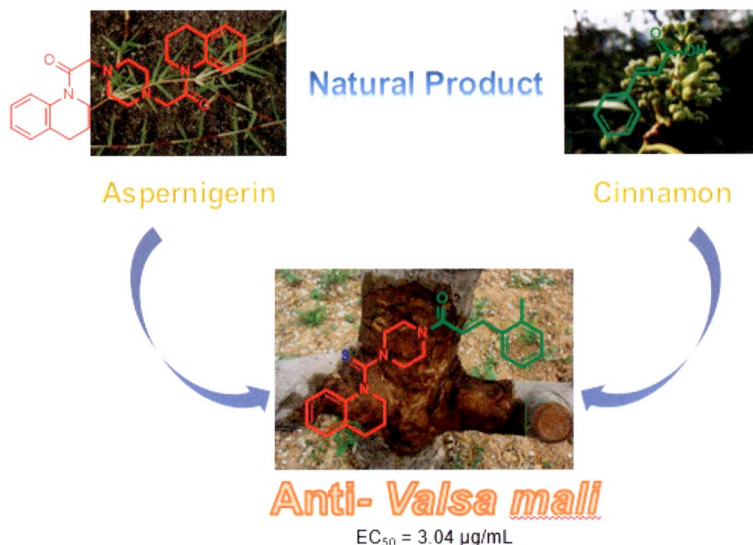
Direct C—H 3-Arylation of Quinoxalin-2(H)-ones with Aryl Diazonium Salts under Visible-Light Irradiation



Wang, Leilei; Bao, Pengli; Liu, Weiwei; Liu, Sitong; Hu, Changsong; Yue, Huilan; Yang, Daoshan; Wei, Wei*

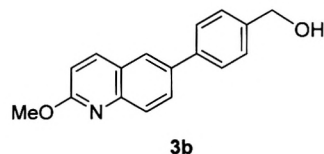
Chin. J. Org. Chem. **2018**, 38(12), 3189

Visible-light-induced method for the synthesis of 3-arylquinoxalin-2(H)-ones has been developed via Eosin Y-catalyzed C—H 3-arylation of quinoxalin-2(H)-ones with aryl diazonium salts at room temperature in air.

Synthesis and Anti-fungal Activity of
Novel Aspernigerin Derivatives Contain-
ing Thiocarbonyl Moiety

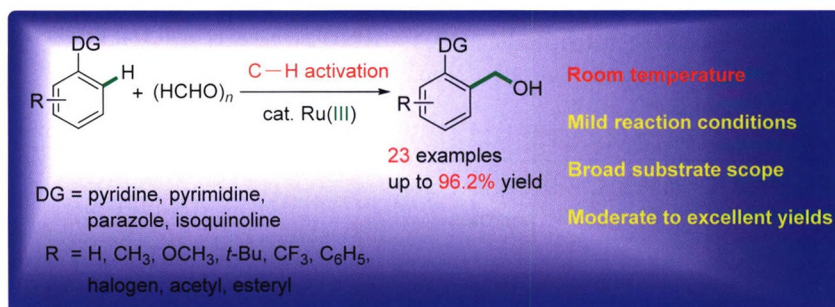
Based on the structure of natural product of aspernigerin, a series of novel tetrahydroquinoline compounds containing thiocarbonyl moiety were designed and synthesized. All the title compounds showed anti-fungal activity against 8 fungi. In particular, (*E*)-1-(4-(1,2,3,4-tetrahydroquinoline-1-carbonothioyl)piperazin-1-yl)-3-(*o*-tolyl)prop-2-en-1-one (**5b**) showed good activity against *Valsa mali* with the EC₅₀ value of 3.04 μg/mL.

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

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

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 IC₅₀ value of 9.9 μmol·L⁻¹.

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

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

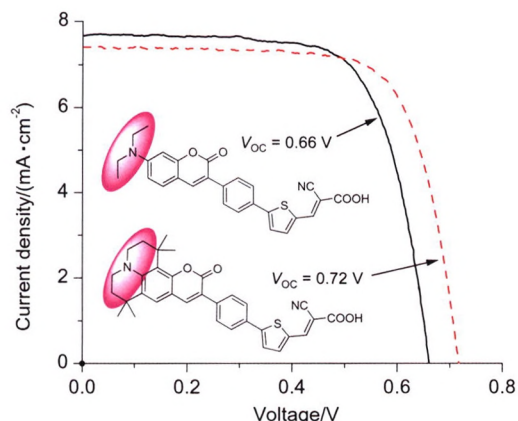
Zhang, Yong; Yang, Zhongzhen; Yu, Xinling;
Cheng, Xu; Li, Weijian; Guo, Lingmei; Hai,
Li; Guo, Li*; Wu, Yong*
Chin. J. Org. Chem. **2018**, 38(12), 3211

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

Syntheses and Photovoltaic Performance of Nitrogen-Containing Rigid Heterocycle Substituted Coumarin Sensitizing Dyes

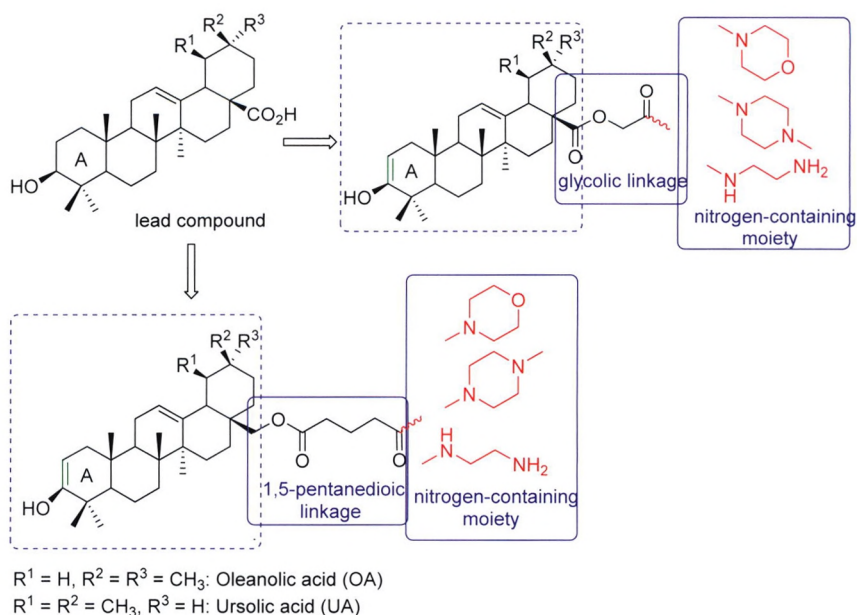
Jiang, Shaoliang; Liu, Jie; Cui, Yanhong; Wu, Huabiao; Han, Liang*
Chin. J. Org. Chem. **2018**, 38(12), 3219



Two novel nitrogen-containing rigid heterocycle substituted coumarin sensitizing dyes were synthesized. V_{OC} of coumarin sensitizing dye has been improved to 0.72 V with nitrogen-containing rigid heterocycle substituted coumarin as the electron donor and phenylthiophene as π bridge.

Synthesis, Characterization and Cytotoxic Activity of Novel Oleanolic Acid and Ursolic Acid Derivatives

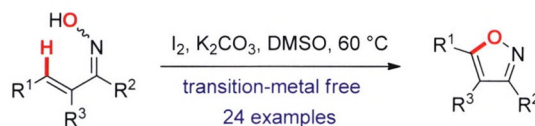
Liu, Xinyu; Gao, Xueqin; Jin, Xuejun; Zhao, Chunhui; Feng, Zhonghua; Sui, Yue; Zhao, Longxuan*; Yan, Xin*
Chin. J. Org. Chem. **2018**, 38(12), 3227



Twenty oleanolic acid and ursolic acid derivatives were prepared by a modification at C-28 position via introduction with 1,5-pentanedioic acid and glycolic acid followed by amidation with amines. Their *in vitro* anticancer activities towards A549, MCF-7 and HepG2 cell lines were evaluated by methyl thiazolyl tetrazolium (MTT) method.

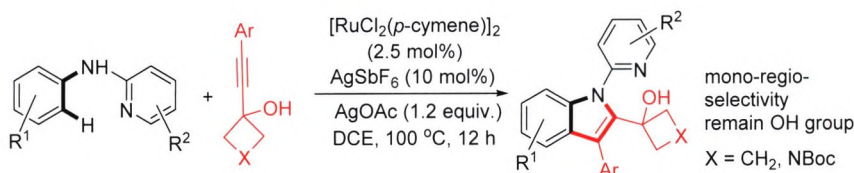
I_2 -Mediated Oxidative C—O Bond Formation for the Synthesis of Isoxazoles

Hou, Jiao; Zhang, Xinting; Yu, Wenquan*; Chang, Junbiao*
Chin. J. Org. Chem. **2018**, 38(12), 3236



A variety of mono-, di-, and tri-substituted (aryl, alkyl, and/or alkenyl) isoxazoles were synthesized from readily accessible α,β -unsaturated oximes via I_2 -mediated oxidative C—O bond formation. The features of this reaction include no use of transition metals, simple operation, mild reaction conditions, short reaction time, and broad substrate scope.

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

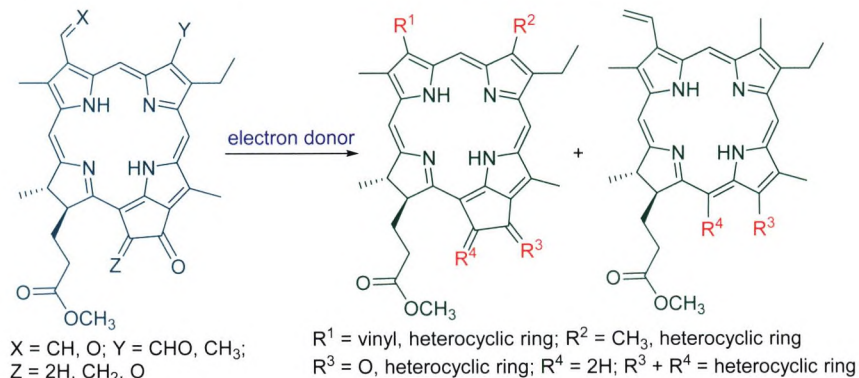


Bai, Lili; Wang, Ying; Wang, Shuojin; Kong, Dulin; Fu, Yan; Peng, Deqian*; Wen, Lijun; Chen, Xun*

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

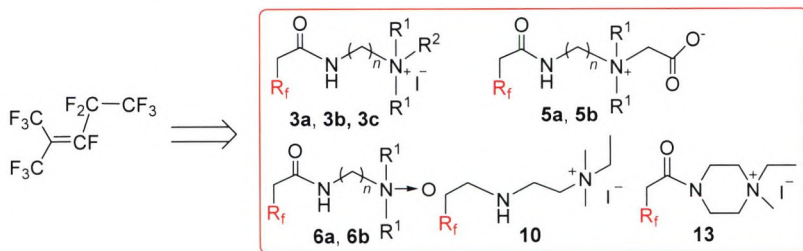


Zhang, Zhu; Li, Jiazhu; Zhang, Shanguo; Wang, Zhen; Wang, Jinjun*

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 N²¹-N²³ 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

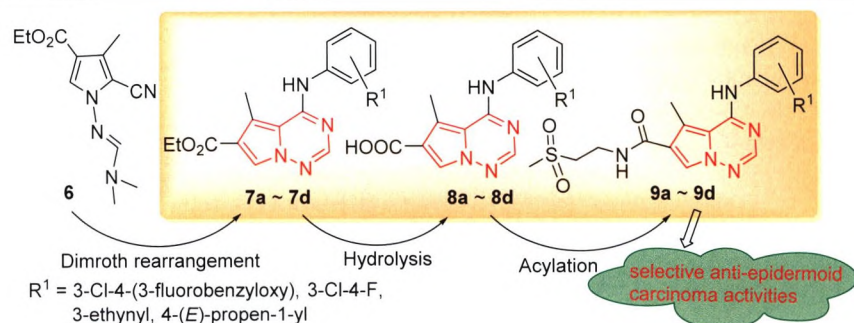


Lin, Chao; Pan, Renming; Xing, Ping*; Jiang, Biao*

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



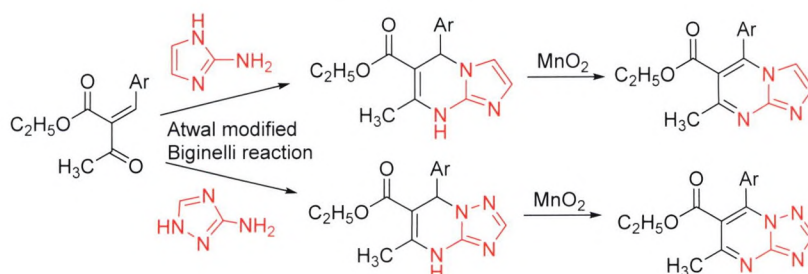
Zhang, Yaling; Ma, Shasha; Li, Xiabing*; Hou, Qiaoli; Lü, Mengjiao; Hao, Yunxia; Wang, Wei; Li, Baolin*

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

Synthesis and Biological Activity of Aza-clozodihydropyrimidine and Pyrimidine Derivatives

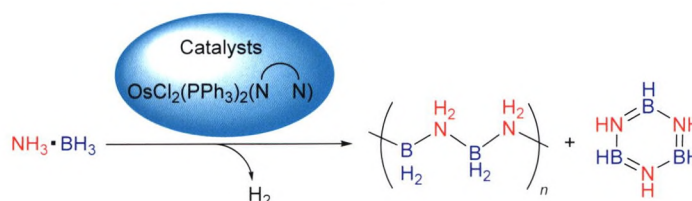


Zhang, Pingzhu; Wang, Xiaofen; Zheng, Xueyang; Lian, Pingping; Wei, Chao; Li, Xiaoliu*

Chin. J. Org. Chem. **2018**, 38(12), 3278

A series of novel aryl-substituted imidazo[1,2-*a*]pyrimidine and triazo[1,5-*a*]pyrimidine were synthesized by the tandem reaction of Knoevenagel and Atwal modified Biginelli, following by aromatization. Some of their cytotoxic activities against Hela and A549 cells and inhibition for apple tree canker were preliminarily evaluated.

Synthesis of Osmium Complexes with Bidentate Nitrogen-Based Ligands and Their Application in Catalytic Dehydrogenation of Ammonia Borane

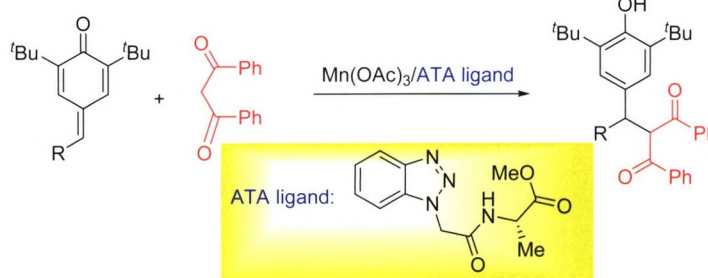


Zhao, Qianyi*; Liang, Yuan; Xu, Ting; Dou, Ting; Zhang, Jie; Chen, Xuenian*

Chin. J. Org. Chem. **2018**, 38(12), 3286

Osmium complexes with the bidentate nitrogen-based ligands have been synthesized, characterized and shown high activity in the catalytic dehydrogenation of ammonia borane. The catalytic reaction released 1.88~2.29 equiv. H₂ to polyborazane and borazine. 3-Methyl-*o*-phenylenediamine substituted osmium compound has been screened out as the most efficient osmium catalyst in catalytic dehydrogenation of ammonia borane until now.

Alanine Triazole Mn-Catalyzed Coupling/Aromatization of Quinone Methides

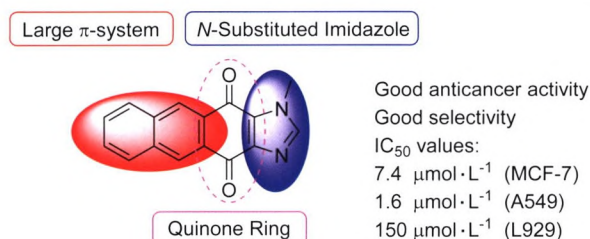


Hu, Xinyu; Yang, Bobin; Yao, Wei; Wang, Dawei*

Chin. J. Org. Chem. **2018**, 38(12), 3296

Alanine triazole Mn-catalyzed 1,6-conjugate coupling/aromatization of *para*-quinone methides was developed with good to high yields under mild conditions. This protocol provided an efficient and practical route to the synthetically interesting functionalized methines and their analogues. Preliminary mechanistic experiments revealed 1,6-conjugate addition of nucleophiles to *para*-quinone methides (*p*-QMs). The manganese was acted as the Lewis acid.

Design, Synthesis and Antitumor Activity of 1-Monosubstituted 1*H*-Naphtho[2,3-*d*]imidazole-4,9-diones and 1*H*-Anthra[2,3-*d*]imidazole-4,11-diones



Liu, Zhanxiong; Yuan, Jing; Zhang, Zhenfeng*; Yan, Deyue; Zhang, Wanbin*

Chin. J. Org. Chem. **2018**, 38(12), 3302

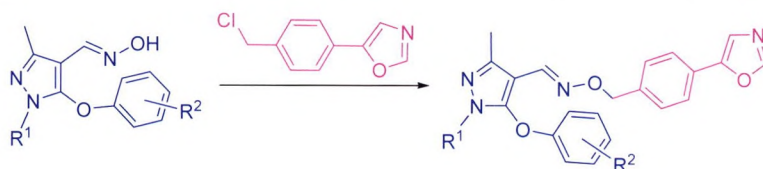
A number of 1-monosubstituted 1*H*-naphtho[2,3-*d*]imidazole-4,9-diones and 1*H*-anthra[2,3-*d*]imidazole-4,11-diones were designed, synthesized, and evaluated as anticancer agents.

NOTES

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

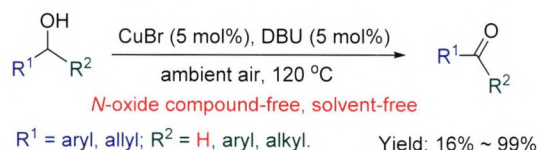
Zhou, Qian; Zheng, Dandan; Shi, Yujun; Yao, Wei; Qian, Hongwei; Ding, Ying; Wei, Zhonghao; Shen, Aibao*; Feng, Xia; Shi, Jian; Dai, Hong*

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

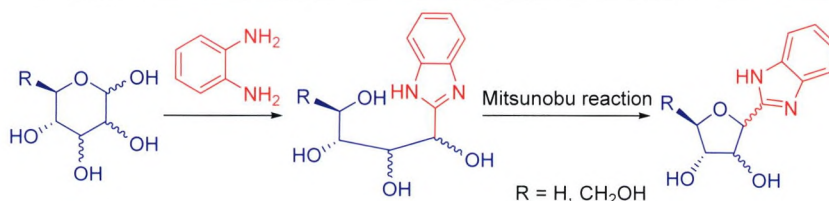


A novel and practical cuprous bromide-catalyzed aerobic oxidation of benzylic alcohols with 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU) as the additive under air atmosphere has been developed. Various primary and secondary benzylic alcohols and allylic alcohols were smoothly transformed into the corresponding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

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

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

Concise Synthesis of Furanosyl Benzimidazole C-Nucleosides by Mitsunobu Reaction

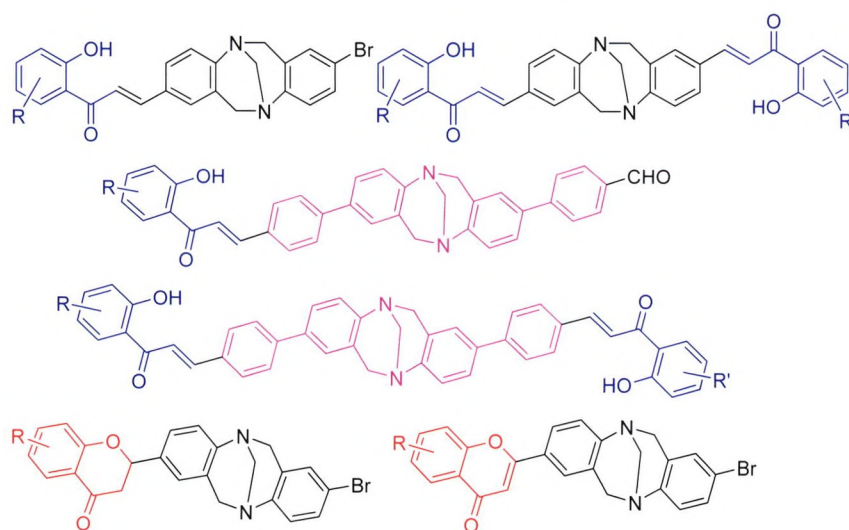


Yan, Lianhai; Hou, Yuheng; Li, Xiaoliu*; Chen, Hua*

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

The key Mitsunobu 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



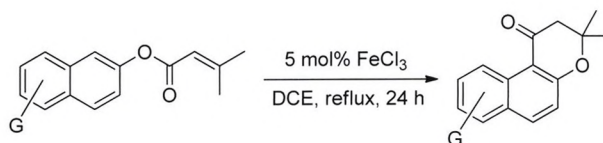
Yuan, Rui; Wang, Yuanjiang; Huang, Shuying; Dou, Pengfei; Zhang, Longyan; Chen, Wen; Ren, Xuanxuan; Zhou, Shengliang; Wan, Yu*; Wu, Hui*

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 $\mu\text{g/mL}$.

CONTENT

Ferric Chloride Catalyzed Synthesis of 2,3-Dihydrobenzo[f]chromen-1-one

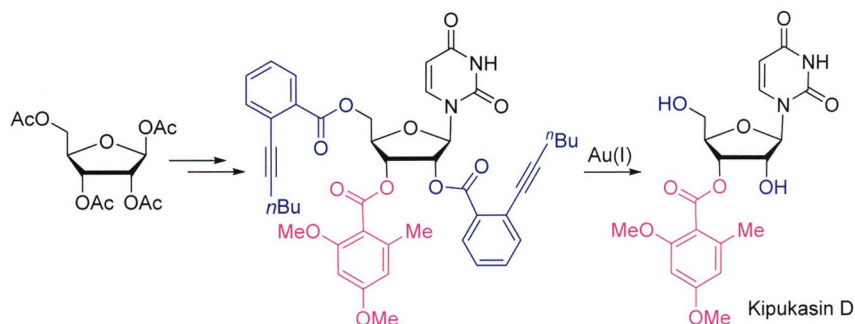


An efficient method for the transformation of naphthyl 3-methylcrotonate to 2,3-dihydrobenzo[f]chromen-1-one catalyzed by ferric chloride is described, which provides a practical process to afford this type of biologically important compounds in good yields using commercially available and inexpensive catalyst. A two-step mechanism involving Fries rearrangement and intramolecular hydroalkoxylation is proposed.

Ding, Xiaoyou; Xu, Fan*

Chin. J. Org. Chem. **2018**, 38(12), 3345

First Total Synthesis of Marine Natural Nucleoside Kipukasin D

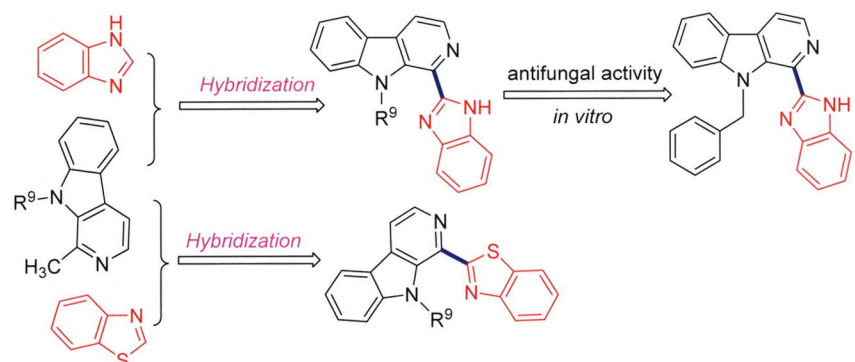


First total synthesis of naturally occurring marine nucleoside Kipukasin D was disclosed in 9 steps and 15.7% overall yield. The ortho-alkynylbenzoates were used as orthogonal protecting group for 2'-OH and 5'-OH, which can be selectively removed by $\text{Ph}_3\text{P-AuOTFA}$ in presence of ethanol (6 equiv.) and H_2O (1 equiv.) in dichloromethane. Transesterification was not occurred during the deprotection between 2'-OH and 3'-OH.

Ding, Haixin; Li, Chuang; Dong, Xiangyou; Cao, Banpen; Zhang, Ning*; Hong, Sanguo; Xiao, Qiang*

Chin. J. Org. Chem. **2018**, 38(12), 3351

Synthesis and Fungicidal Evaluation of Novel β -Carboline-Benzimidazole and β -Carboline-Benzothiazole Hybrids

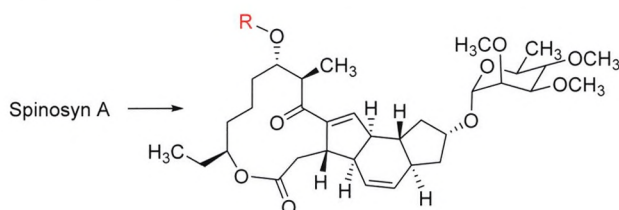


Fourteen β -carboline-benzimidazole and β -carboline-benzothiazole hybrids were designed, synthesized and characterized for their antifungal activity against five phytopathogenic fungi. The results demonstrated that most compounds exhibit mild inhibiting effect against all the tested strains. 1-(1*H*-Benzo[d]imidazol-2-yl)-9-benzyl- β -carboline (**4c**) exhibited broad-spectrum fungicidal activity against most of the tested fungi.

Huo, Xinyu; Li, Wenbin; Zhang, Boya; Chen, Xiaofei; Zhou, Yueting; Zhang, Jie*; Han, Xiaoqiang*; Dai, Bin

Chin. J. Org. Chem. **2018**, 38(12), 3356

Study on the Synthesis and Insecticidal Activity of Spinosyn A Derivatives

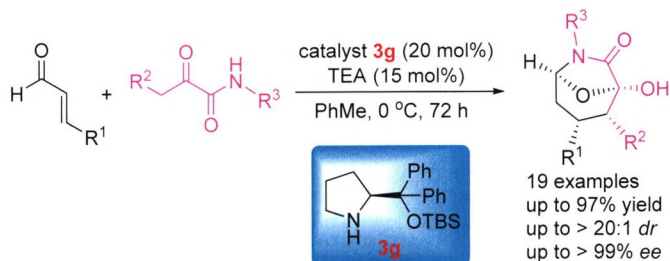


A series of *D*-forosamine replacement analogues of spinosyn A were synthesized and characterized, and their insecticidal activities were evaluated against larvae of *Plutella xylostella*.

Zhang, Kai; Li, Jiarong*; Wen, Dusu; Liu, Honglin; Wang, Haiyou; A, Lamusi *

Chin. J. Org. Chem. **2018**, 38(12), 3363

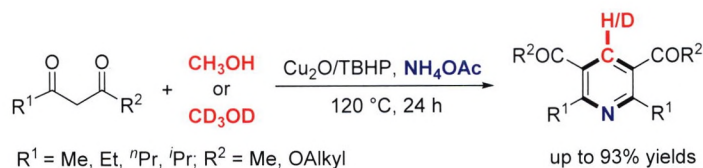
Asymmetric Organocatalytic Construction of Functionalized Bicyclic Lactams



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.

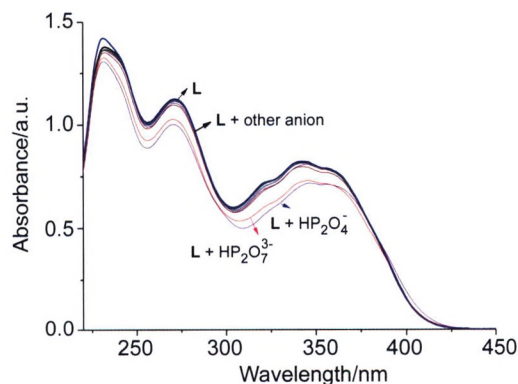
Synthesis of 2,3,5,6-Tetrasubstituted Pyridines via Copper-Catalyzed Domino Oxidative Annulation of 1,3-Dicarbonyl Compounds with Methanol and Ammonium Acetate



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(sp³)—H bond cleavage of methanol was the rate-determining step.

Synthesis and Anion Recognition of Macrocyclic 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 H₂PO₄⁻ and HP₂O₇³⁻ anion with a series of anions using UV-Vis absorption spectra technique. Furthermore, the coordination reaction of **L** with H₂PO₄⁻ or HP₂O₇³⁻ anion was investigated via UV-Vis spectra, ¹H NMR and the isothermal titration calorimeter (ITC) respectively.

HIGHLIGHTS

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

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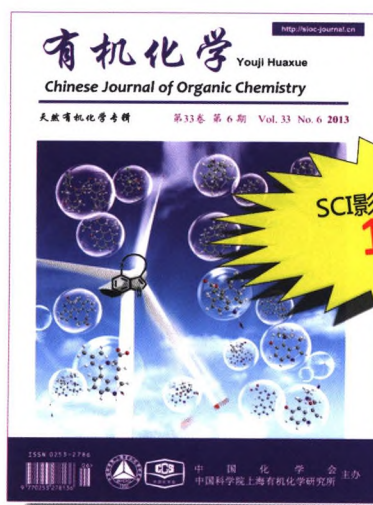
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