

有机化学

Chinese Journal of Organic Chemistry

ISSN 0253-2786

CN 31-1321/O6

<http://sioc-journal.cn>



Q K 2 0 3 2 6 0 4

第 40 卷 第 6 期 Vol. 40 No. 6 2020



ISSN 0253-2786



中国化学会主办
中国科学院上海有机化学研究所

有机化学 (月刊)

Chinese Journal of Organic Chemistry

(YOUJI HUAXUE)

第 40 卷 第 6 期 (总 379 期) 2020 年 6 月

目 次

研究专题

<i>P</i> -手性膦配体促进的手性药物高效合成.....	许容华 杨 贺* 汤文军*	(1409)
---------------------------------	---------------	--------

综述与进展

镍催化 C—C 键活化反应研究进展.....	代洪雪 吴 芬 白大昌*	(1423)
共价有机框架在传感中的研究进展.....	于 歌 汪 成*	(1437)
β,γ -不饱和 α -酮酸酯在不对称催化中的应用.....	陈张鹏飞 兰文捷 余 轩 傅 滨*	(1448)
基于过渡金属-O 弱配位的 C(sp ²)-H 直接烯基化反应进展.....	尹 彪 付曼琳 朱 勃*	(1461)
环肽中酰胺键 <i>cis</i> -/ <i>trans</i> -异构化的相关研究进展.....	黄 净 杨毅华* 冯 娟 李军章 刘守信*	(1473)
N—F 键调控的高能量密度化合物合成与性能研究进展.....	翟连杰 张俊林 张家荣 吴敏杰 毕福强* 王伯周*	(1484)
有机催化芳香化反应的研究进展.....	贾乾发 李娅琮 林银河*	(1502)
手性伯胺作为有机催化剂对亚胺的不对称反应研究进展.....	张永娜* 段慧欣 汪游清*	(1514)
无过渡金属参与的炔烃环化反应研究进展.....	张 磊 袁斯甜 王 鹏* 刘晋彪*	(1529)

研究论文

电催化合成 2,5-二取代 1,3,4-噁二唑衍生物.....	李梦帆 王 榕 郝文娟 姜 波*	(1540)
铈催化碳氢二氟烯丙基化/ <i>N</i> -碘代丁二酰亚胺介导的环化反应构建含氟 3,4-二氢嘧啶并[1,6- <i>a</i>]吡啶-1(2 <i>H</i>)-酮衍生物.....	赵 森 李淳朴 许 斌* 柳 红*	(1549)
无酸条件下磷酸钠与胺反应合成磷代脲机理的密度泛函理论研究.....	李志锋 王文鹏 王喜存 权正军*	(1563)
白藜芦醇二聚体 Quadrangularin A 和 Pallidol 合成方法学研究.....	韩吉来 唐美麟 孙 逊*	(1571)

* 通讯联系人.

山竹醇新类似物的合成及其抗肿瘤活性研究	周汇源 吴堰霖 黄典红 张铭婷 陈欢明 钱明成 赵 帅 张辛燕* 陈 新*	(1578)
基于苯亚甲基丙二腈 D- π -A 型化合物纳米粒子的 Fe ³⁺ 亲水荧光探针	刘 幸 陶 鹏 杨晶晶 刘 雯 王 华* 王学宁 赵 强 黄维扬 许并社*	(1588)
新型香豆素类衍生物的合成及抗肿瘤活性研究	时 蕾 李子秋 崔鑫鑫 朱 挺 庞晓静 李龙辉 罗德福 刘方芳 赵冰玉 龙 跃* 张赛扬*	(1598)
氮杂环卡宾催化氨基乙酸酯与二烯酮双 Michael 反应: 非对映选择性合成多取代环己酮和茚	张 阳 邢 芬 冯泽男 杜广芬* 顾承志 何 林*	(1608)
钼催化 β,γ -不饱和脲的 5- <i>exo-trig</i> 型氢酰胺化反应合成二氢吡唑	王旭才 陈 明 张 威 张耀都 任智丹 关正辉*	(1618)
烯醇硅醚经三氯甲基自由基加成串联消除反应合成 β,β -二氯- α,β -不饱和酮	葛浩程 杜科莹 盛卫坚*	(1625)
钼催化氧杂降冰片烯与三氟硼酸钾盐的不对称开环反应	陶萍芳 黄 俊 刘玉钊 韦光明 王艺菲 韦贤生 黄国保* 李秀英*	(1630)
新型含 N-吡啶基吡唑结构的吡唑酮类衍生物的合成与生物活性	荀 校 倪亚丹 李金峰 丁 颖 张 敏 王 杨 胡兰萍* 周环宇 杨 冰* 石 健 高 磊 戴 红*	(1638)
新型含偕二甲基环丙烷的 4-甲基-1,2,4-三唑硫醚化合物的合成、生物活性及三维定量构效关系(3D-QSAR)研究	虞友培 段文贵* 林桂汕 康国强 王晓宇 雷福厚	(1647)
茚-环八四噻吩衍生物的合成与发光现象研究	杨玉杰 徐 莉* 王 华*	(1658)
含噻唑环结构的新型吡唑酰胺类化合物的合成与杀虫活性研究	梁 凯 周 钱 荀 校 倪亚丹 李金峰 石玉军* 周环宇 吴新星* 石 健 高 磊 戴 红*	(1665)
二苯甲酮脲与芳基氯化物及芳基硼酸的碳氮键偶联反应	姚丹丹 张金利* 徐 亮*	(1673)
亚甲基蓝/水溶性磷酸盐柱[5]芳烃对百草枯的竞争性荧光传感	杨云汉 保秋连 罗建萍 杨俊丽 李灿花 魏可可 钊永明 杨丽娟*	(1680)
三氯甲基酰胺与烯丙基硅或烯丙基硼试剂的烯丙基化反应研究	虎永琴 黄丹凤* 王克虎 赵转霞 赵芳霞 徐炜刚 胡雨来*	(1689)
O ₂ 参与下 FeCl ₂ 催化的分子内氧化反应构建异噻唑杂环	阿布力米提·阿布都卡德尔* 汪 荣 买尔哈巴·买买提 刘晨江*	(1697)
查尔酮衍生物的合成及其抑菌活性和分子对接研究	肖婷婷 程 玮 钱伟烽 张婷婷 陆 童 高 扬 唐孝荣*	(1704)
基于硅胶负载高氯酸(HClO ₄ -SiO ₂)的固氮螺菌四糖重复单元的高效合成	陈自力 李培霞 刘伟童 庄 喆 武源源 赵汗青* 张建军*	(1716)

研究简报

汉黄芩素的方便合成	董 玮 王 欣 葛泽梅 和 芳 李润涛*	(1725)
新型脲基取代嘧啶衍生物的合成及抗肿瘤活性评价	张 洋 张路野 刘丽敏 王 涛 孟姬琪 栗 娜 李二冬 汪正捷 刘秀娟 郑甲信 单丽红* 刘宏民* 张秋荣*	(1731)
氨甲酰基硅烷作氨酰基源与邻位二酮选择性氨酰化反应合成 α -羟基- β -羰基仲(伯)酰胺衍生物	张鹏鹏 韩生华 陈建新*	(1737)
三嗪衍生物荧光探针对于 Zr ⁴⁺ , Fe ³⁺ 和丙酮的识别	马学林 韩利民* 张骁勇* 张玉恒 王 丽 杨 坤 冀 婕	(1745)

无催化条件下采用叔丁基过氧化氢(TBHP)选择性氧化硫醚/二硫醚至亚砷/单砷	蒋筱莹 姚传胜 童 蹕 白仁仁 周 涛 谢媛媛*	(1752)
二(4-苄氧苯基)二硒醚的设计与制备: 一种铜残留清除剂	葛颜玉 孔 晶 杨成根 杨 倩 张 旭*	(1760)
无金属条件下通过与醚的自由基偶联反应实现 <i>N</i> -氧化物的 C-2 烷基化反应	董道青 李光辉 陈德茂 孙媛媛 韩晴晴 王祖利* 徐鑫明 于贤勇*	(1766)
新型含噻唑单元的吡唑酰胺衍生物的合成及其生物活性	张 敏 郑丹丹 倪亚丹 梁 凯 荀 校 胡兰萍* 陈庆文 杨 冰* 梁志鹏 丁 颖 石 健 戴 红*	(1772)
链霉菌 S001 代谢产生的多环特拉姆酸大环内酰胺	焦玉杰 颜雅倩 刘 焱 朱德裕 沈月毛* 李瑶瑶*	(1779)

亮点述评

基于铈催化的 C—H 键活化/轴手性到中心手性转化策略的螺环构筑	马小军 栾新军*	(1785)
构筑苯乙烯轴手性——高效的醛亚胺临时导向基	冯 佳 顾振华*	(1787)
解构重组: 从头构建羟基取代苯并呋喃的新策略	张梓曜 焦 宁*	(1790)
手性多取代环戊二烯配体的合成及应用	梁 昊 汪 君*	(1792)
碘促进 1-烯-6,11-二炔的串联环异构化反应研究	高 品 段新华*	(1794)
自由基极性反转策略实现的烯烃烷基化反应	韦榕标 鲍红丽*	(1797)
钯催化炔烃的 Heck 反应制备手性三取代联烯	侯雪龙*	(1800)
钨催化的直接不对称还原胺化合成手性二芳基甲胺与大位阻胺	黄海洲 常明欣*	(1802)

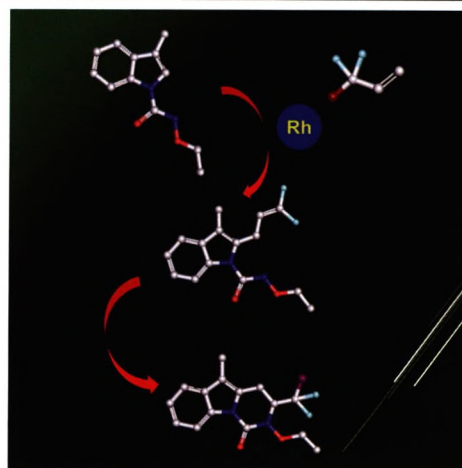
Cover Picture: Electrocatalytic Synthesis of 2,5-Disubstituted 1,3,4-Oxadiazoles

The electrocatalytic synthesis of non-symmetric 2,5-disubstituted 1,3,4-oxadiazole derivatives via the reaction of aldehydes and hydrazides is reported by Li, Wang, Hao, and Jiang on page 1540. By means of sustainable characteristics of electrocatalysis, the reaction could be triggered by *in-situ*-generated *N*-centered radical species under mild conditions, enabling [3+2] annulation to construct 1,3,4-oxadiazole scaffolds without additional oxidants.



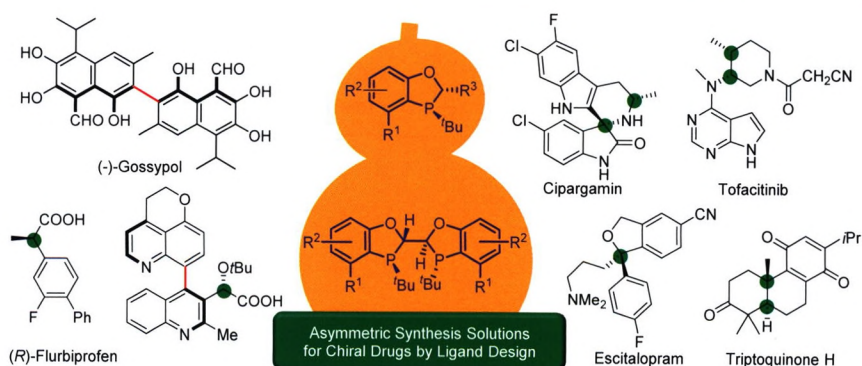
Inside Cover: Cp*Rh(III)-Catalyzed C—H 3,3-Difluoroallylation of Indoles and *N*-Iodosuccinimide-Mediated Cyclization for the Synthesis of Fluorinated 3,4-Dihydropyrimido-[1,6-*a*]-indol-1(2*H*)-one Derivatives

The synthesis of fluorinated 3,4-dihydropyrimido-[1,6-*a*]-indol-1(2*H*)-ones through Cp*Rh(III)-catalyzed C—H 3,3-difluoroallylation and NIS-mediated cyclization is reported by Zhao, Li, Xu and Liu on page 1549. This strategy featured broad synthetic generality, unique versatility and high efficiency, which provided a potential tool for the construction of fluorine-containing heterocycles for drug discovery.



ACCOUNT

Efficient Synthesis of Chiral Drugs Facilitated by *P*-Chiral Phosphorus Ligands

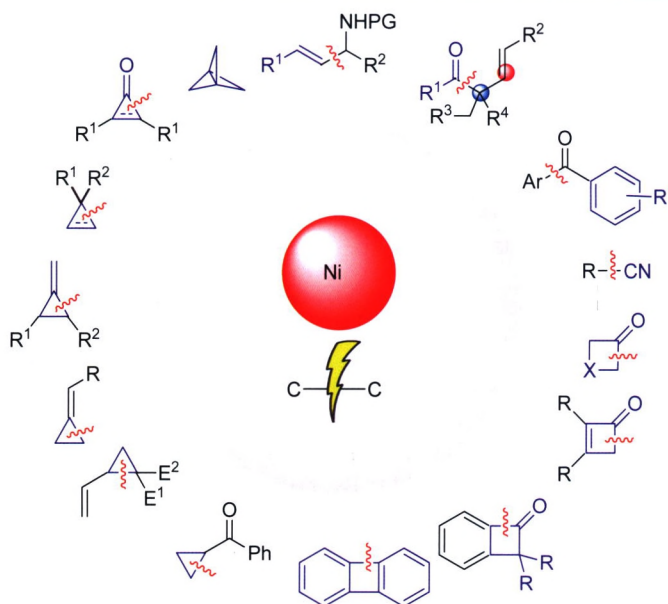


Xu, Ronghua; Yang, He*; Tang, Wenjun*
Chin. J. Org. Chem. **2020**, 40(6), 1409

The design and development of a series of *P*-chiral mono- and bis-phosphorus ligands based on a benzooxaphosphane backbone and their applications in the synthesis of chiral drugs are summarized.

REVIEWS

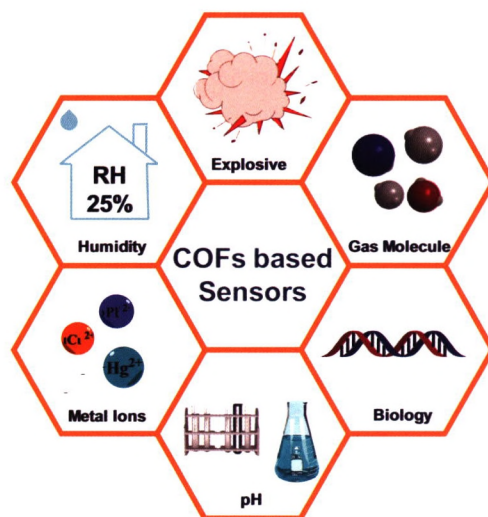
Recent Advances in Ni-Catalyzed C—C bond Activation Reactions



Dai, Hongxue; Wu, Fen; Bai, Dachang*
Chin. J. Org. Chem. **2020**, 40(6), 1423

The recent progress in nickel catalyzed C—C bond activation is reviewed. The nickel catalysis offered a more cost effective option and unique activity.

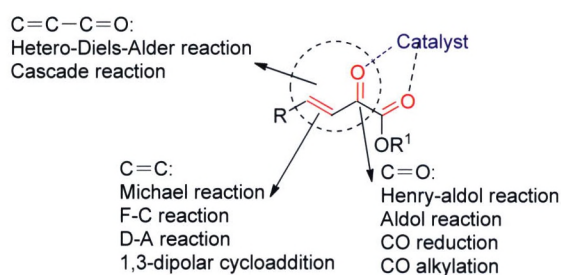
Research Progress of Covalent Organic Frameworks in Sensing



Yu, Ge; Wang, Cheng*
Chin. J. Org. Chem. **2020**, 40(6), 1437

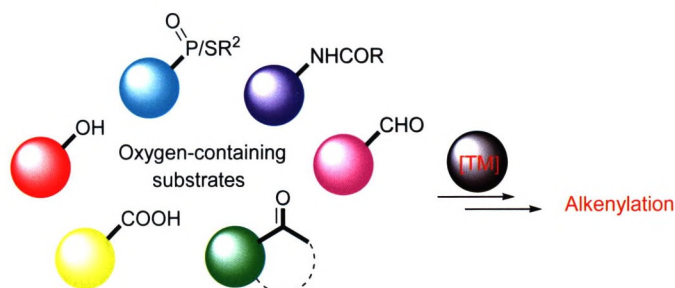
The research progress of COFs in sensing, including explosive sensing, humidity sensing, metal ions sensing, pH sensing, biosensing and gas sensing is summarized. Finally, a perspective of the application of COFs in sensing is given.

New Application of β,γ -Unsaturated α -Ketoesters in Asymmetric Catalysis



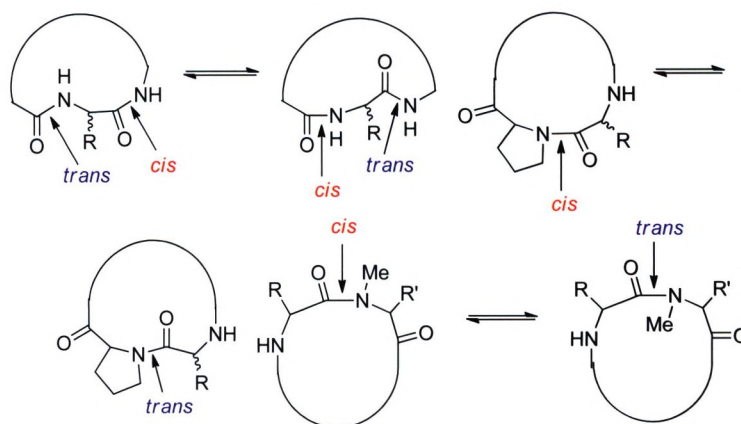
ChenZhang, Pengfei; Lan, Wenjie; Yu, Xuan;
Fu, Bin*
Chin. J. Org. Chem. **2020**, 40(6), 1448

The new applications of β,γ -unsaturated α -ketoesters in asymmetric catalysis have been reviewed. Moreover, the limitation of related reactions and the future development trends are also described.

Recent Advances in Transition Metal-O
Weak Coordinated C(sp²)-H Direct Al-
kenylation Reaction

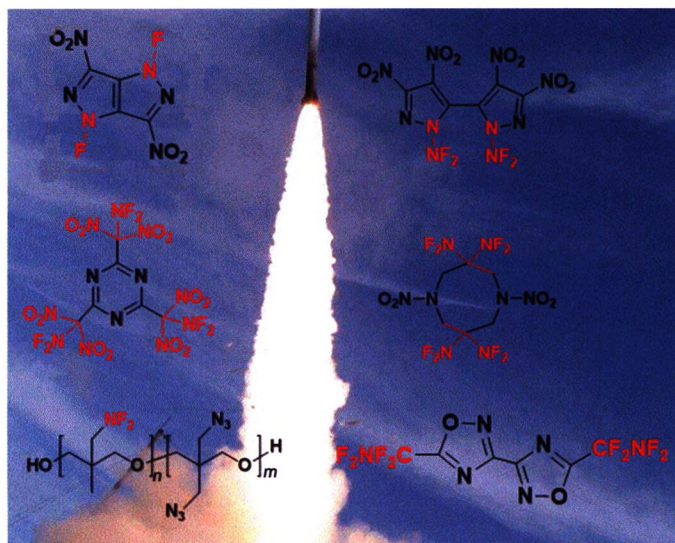
The transition metal-catalyzed C(sp²)-H alkenylation of substrates containing hydroxy, aryl ether, aldehyde, carbonyl, carboxyl, amide, phosphoric acid and sulfonic acid and their derivatives groups is reviewed, and its future development trends are prospected.

Yin, Biao; Fu, Manlin; Zhu, Qing*
Chin. J. Org. Chem. **2020**, 40(6), 1461

Research Progress on *cis*-/*trans*-Isome-
rization of Cyclic Peptide

The characteristics of *cis*- and *trans*-structures in cyclic peptide, such as non-*N*-unsubstituted, proline-containing, and *N*-methylated residues of cyclic peptide are focused. The research progress on *cis*- or *trans*-structure in above cyclic peptides and their analogs is discussed.

Huang, Jing; Yang, Yihua*; Feng, Juan; Li, Junzhang; Liu, Shouxin*
Chin. J. Org. Chem. **2020**, 40(6), 1473

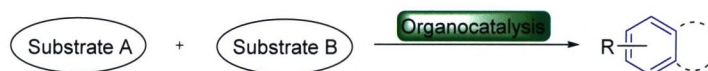
Progress in Synthesis and Properties of
High Energy Density Compounds Regu-
lated by N—F Bond

The recent developments of N—F bond and difluoramino (NF₂) energetic derivatives are reviewed. The construction methodologies of N—F bond and difluoroamino groups as well as the synthetic routes to their energetic derivatives are emphatically reviewed. Moreover, the physicochemical and energetic properties of some typical compounds are briefly introduced.

Zhai, Lianjie; Zhang, Junlin; Zhang, Jiarong;
Wu, Minjie; Bi, Fuqiang*; Wang, Bozhou*
Chin. J. Org. Chem. **2020**, 40(6), 1484

CONTENT

Recent Advances in Organocatalyzed Aromatization Reactions

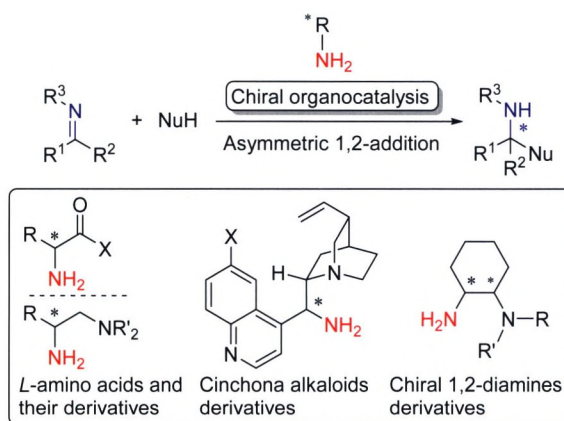


Organocatalysts = amines, bases, *N*-heterocyclic carbenes, phosphoric acid *etc.*

The development of organocatalyzed aromatization reactions from acyclic starting materials is featured. Several representative organocatalysts, including amines, bases, *N*-heterocyclic carbenes, phosphoric acid *etc.* and their applications in benzannulation reactions are mainly discussed.

Jia, Qianfa; Li, Yaqiong; Lin Yinhe*
Chin. J. Org. Chem. **2020**, 40(6), 1502

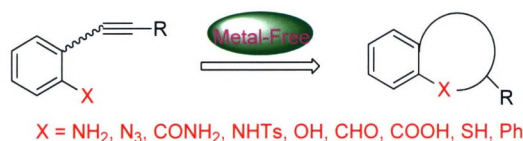
Research Progress in Asymmetric Reactions of Imines Using Chiral Primary Amines as Organocatalysts



The recent progress in catalytic asymmetric 1,2-addition (including direct addition and cycloaddition) to imines with chiral primary amines as organocatalysts to construct α -chiral amines is reviewed. The used chiral primary amine organocatalysts come from three types of chiral sources: natural *L*-amino acids, cinchona alkaloids, and chiral 1,2-diamines.

Zhang, Yongna*; Duan, Hui-Xin; Wang, You-Qing*
Chin. J. Org. Chem. **2020**, 40(6), 1514

Recent Advances in Cyclization Reaction of Alkynes under Transition Metal-Free Conditions

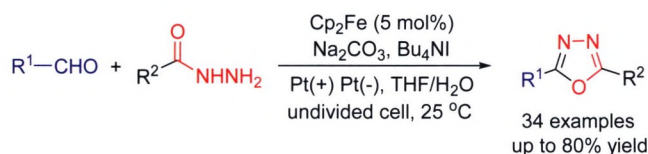


Remarkable achievements have been made in the construction of carbocyclic (heterocyclic) compounds through cyclization reaction of alkynes. It is vitally important to achieve the high selectivity of cyclization reactions, and neighboring group-participated selective cyclization reaction of alkynes is widely considered as an effective strategy. The recent advances in neighboring group-participated cyclization reaction of alkynes under transition metal-free conditions are summarized.

Zhang, Lei; Yuan, Sitian; Wang, Peng*; Liu, Jinbiao*
Chin. J. Org. Chem. **2020**, 40(6), 1529

ARTICLES

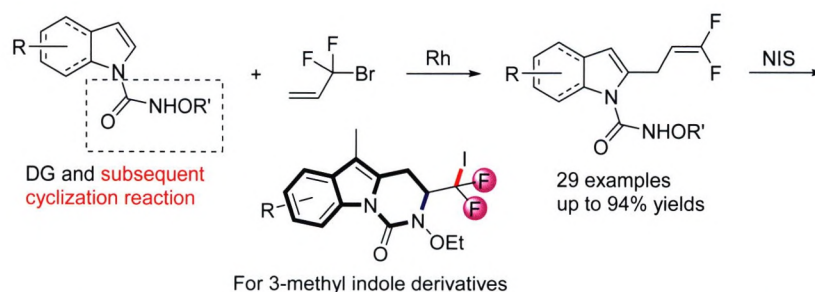
Electrocatalytic Synthesis of 2,5-Disubstituted 1,3,4-Oxadiazoles



One-step synthesis of non-symmetric 2,5-disubstituted 1,3,4-oxadiazole derivatives with good yield was completed under electrocatalytic conditions by using cheap and readily available aldehydes and hydrazides as starting materials. The reaction features mild conditions, high atom-economy and wide substrate scope, providing a green and sustainable synthetic protocol for constructing 1,3,4-oxadiazole skeleton.

Li, Mengfan; Wang, Rong; Hao, Wenjuan; Jiang, Bo*
Chin. J. Org. Chem. **2020**, 40(6), 1540

Cp*Rh(III)-Catalyzed C—H 3,3-Difluoroallylation of Indoles and *N*-Iodosuccinimide-Mediated Cyclization for the Synthesis of Fluorinated 3,4-Dihydropyrimido[1,6-*a*]-indol-1(2*H*)-one Derivatives



✓ Mild condition & Moderate to excellent yields
✓ Good functional group tolerance
✓ Construction of fluorinated 3,4-dihydropyrimido[1,6-*a*]-indol-1(2*H*)-one scaffold

Zhao, Sen; Li, Chunpu; Xu, Bin*; Liu, Hong*
Chin. J. Org. Chem. **2020**, 40(6), 1549

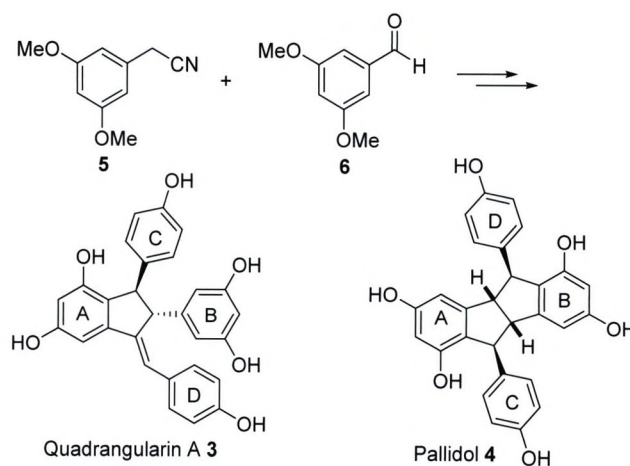
An efficient approach to novel fluorinated 3,4-dihydropyrimido[1,6-*a*]-indol-1(2*H*)-one scaffold has been developed using a Rh-catalyzed C—H 3,3-difluoroallylation of indoles and *N*-iodosuccinimide (NIS)-mediated cyclization strategy.

Mechanism of Synthesis of Phosphinecarboxamides by Reaction of Sodium Phosphaethynolate Anion and Amines under Acid-Free Conditions: Density Functional Theory Investigation

Li, Zhifeng; Wang, Wenpeng; Wang, Xicun; Quan, Zhengjun*
Chin. J. Org. Chem. **2020**, 40(6), 1563

The reaction of 2-phosphaethynolate anion and primary amines for phosphinecarboxamides synthesis using mechanochemistry has been studied using IR, ^{13}C NMR and ^{31}P NMR spectra, and the reaction occurred under grinding, mild and acid-free conditions at room temperature. In this paper, a comprehensive mechanistic density functional theory (DFT) of B3LYP/6-31G(d,p) study reveals that H shift can be aided/catalyzed with solvents and further the activation free energies barrier can be dramatically decreased, which is responsible for the higher yield of the product in the experiment.

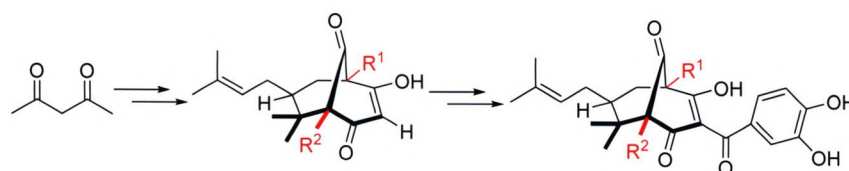
Study on the Total Synthesis of Resveratrol Dimers Quadrangularin A and Pallidol



Han, Jilai; Tang, Meilin; Sun, Xun*
Chin. J. Org. Chem. **2020**, 40(6), 1571

A effective approach to quadrangularin A (3) and pallidol (4) has been established from the inexpensive materials of 3,5-dimethoxybenzaldehyde (5) and 3,5-dimethoxybenzaldehyde (6).

Synthesis and Anti-tumor Activity of Novel Garcinol Analogs



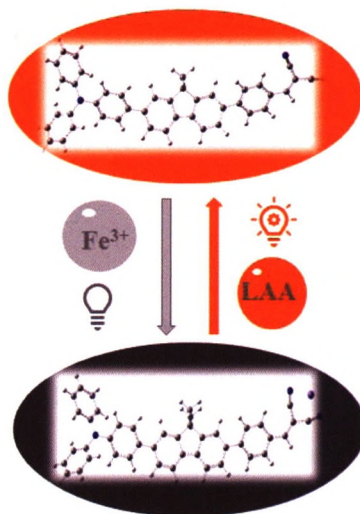
Zhou, Huiyuan; Wu, Yanlin; Huang, Dianhong; Zhang, Mingting; Chen, Huanming; Qian, Mingcheng; Zhao, Shuai; Zhang, Xinyan*; Chen, Xin*
Chin. J. Org. Chem. **2020**, 40(6), 1578

Three novel garcinol derivatives, in which the bulky side chains at the C8 position and C4 position of garcinol were replaced with smaller allyl group or isoprenyl group, were synthesized through a 9-step procedure starting from acetylacetone. The methyl thiazolyl tetrazolium (MTT) results indicated that the title compounds were moderately lower than that of garcinol on cell proliferation of oral cancer cell lines.

CONTENT

Hydrophilic Fluorescent Probes for Fe^{3+} Ions Based on Nanoparticles of Twisting D- π -A Type Compound Derived from Benzylidenemalononitrile

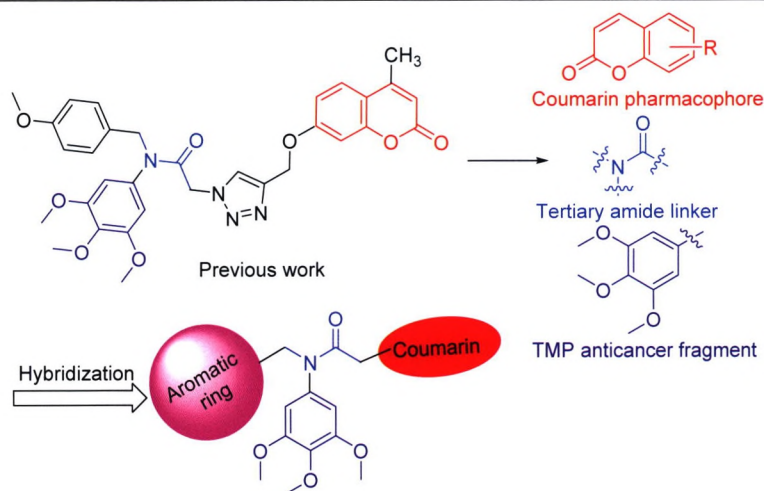
Liu, Xing; Tao, Peng; Yang, Jingjing; Liu, Wen; Wang, Hua*; Wang, Xuening; Zhao, Qiang; Wong, Wai-yeung; Xu, Bingshe*
Chin. J. Org. Chem. **2020**, 40(6), 1588



The novel fluorescent probes for detecting Fe^{3+} ions based on nanoparticles (TA-DF-BDM/PSMA NPs) of fluorescence material with twisting D- π -A configuration was designed and prepared. TA-DF-BDM/PSMA NPs exhibit high selectivity for detecting Fe^{3+} ions in pure water with high sensitivity and low detection limit of $0.9833 \mu\text{mol/L}$. More importantly, TA-DF-BDM/PSMA NPs show such properties as good photophysical stability, reversibility, excellent biocompatibility and low cytotoxicity, which can be utilized in bioimaging investigations.

Synthesis and Anticancer Activity of Novel Coumarin Derivatives

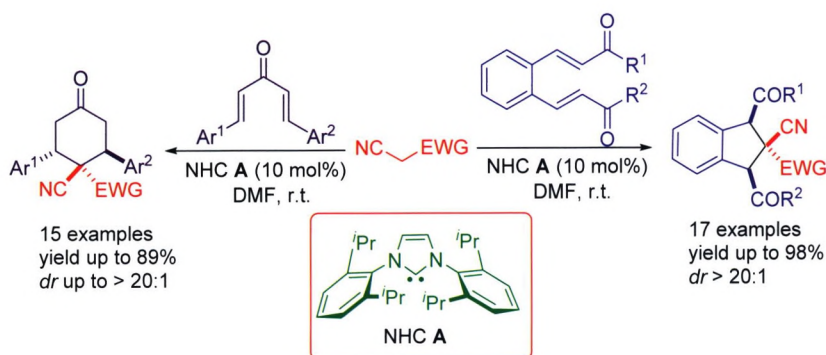
Shi, Lei; Li, Ziqiu; Cui, Xinxin; Zhu, Ting; Pang, Xiaojing; Li, Longhui; Luo, Defu; Liu, Fangfang; Zhao, Bingyu; Long, Yue*; Zhang, Saiyang*
Chin. J. Org. Chem. **2020**, 40(6), 1598



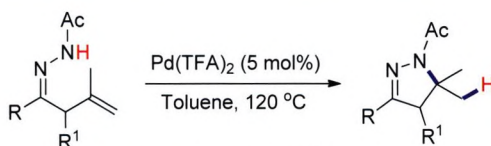
Nineteen novel 3,4,5-trimethoxyphenyl coumarin derivatives have been synthesized and evaluated for antitumor activity against three human cancer cell lines (EC-109, PC-3, and MGC-803). These chemical structures were well characterized by NMR and HRMS spectroscopic methods.

N-Heterocyclic Carbene-Catalyzed Double Michael Addition of Cyano Acetates and Dienones: Diastereoselective Synthesis of Multisubstituted Cyclohexanones and Indanes

Zhang, Yang; Xing, Fen; Feng, Zenan; Du, Guangfen*; Gu, Chengzhi; He, Lin*
Chin. J. Org. Chem. **2020**, 40(6), 1608



The unique Brønsted basic character of *N*-heterocyclic carbenes (NHCs) has been used to catalyze the double Michael addition between dienones and cyano acetylesters. In the presence of 10 mol% NHC, divinyl ketones reacted with cyano acetates to produce multisubstituted cyclohexanones in 60%~89% yields with 5 : 1~>20 : 1 *dr*. Under the same conditions, benzenedi(enones) underwent double Michael addition with cyanoacetates or malononitrile to construct multisubstituted indanes in 77~98% yields and >20 : 1 *dr*.

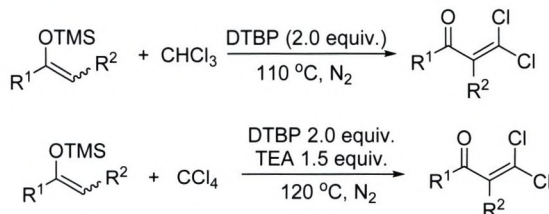
Palladium-Catalyzed 5-*exo-trig* Hydroamidation of β,γ -Unsaturated Hydrazones for Synthesis of Dihydropyrazoles

- 5-Exo-trig hydroamidation
- Broad substrate scope (27 examples)

Wang, Xucai; Chen, Ming; Zhang, Wei; Zhang, Yaodu; Ren, Zhihui; Guan, Zhenghui*

Chin. J. Org. Chem. **2020**, 40(6), 1618

A novel and efficient palladium-catalyzed 5-*exo-trig* hydroamidation of β,γ -unsaturated hydrazones for the synthesis of dihydropyrazoles was developed. The reaction employs readily available starting materials, tolerates a wide range of functional groups, and produces a series of valuable dihydropyrazoles in good to high yields.

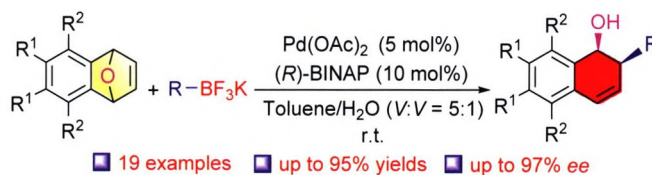
Synthesis of β,β -Dichloro- α,β -unsaturated Ketones by Trichloromethyl Radical Addition/Elimination of Enol Silyl Ethers

Using di-*tert*-butyl peroxide (DTBP) as oxidant, chloroform or carbon tetrachloride as trichloromethyl radical source and reaction solvent, enol silyl ethers derived from aryl ketone was transferred to β,β -dichloro- α,β -unsaturated ketone by trichloromethyl radical addition/elimination. The conditions are mild with avoiding the use of metal catalysts, and the substrate of enol silicone ether has good universality.

Ge, Haochen; Du, Keying; Sheng, Weijian*

Chin. J. Org. Chem. **2020**, 40(6), 1625

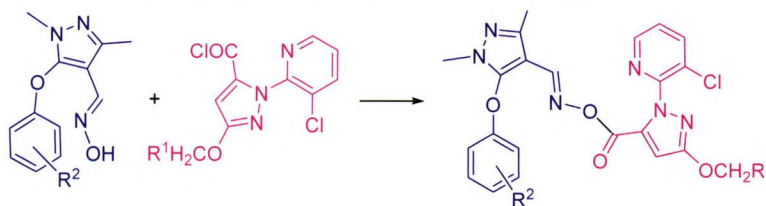
Palladium-Catalyzed Asymmetric Ring-Opening Reactions of Oxabenzonorbornadienes with Potassium Trifluoroborate Salts



Tao, Pingfang; Huang, Jun; Liu, Yuzhao; Wei, Guangming; Wang, Yifei; Wei, Xian-sheng; Huang, Guobao*; Li, Xiuying*

Chin. J. Org. Chem. **2020**, 40(6), 1630

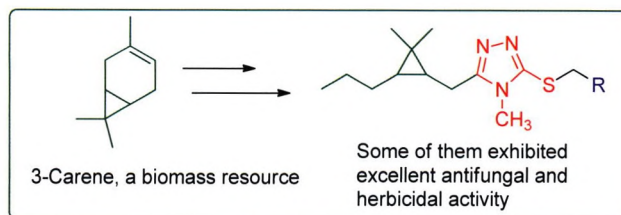
A new, versatile and highly efficient palladium(II)-catalyzed asymmetric ring-opening reaction of oxabenzonorbornadienes with a wide range of potassium trifluoroborate salts was developed.

Synthesis and Bioactivities of Novel Pyrazole Oxime Derivatives Containing a *N*-Pyridylpyrazole Moiety

Xun, Xiao; Ni, Yadan; Li, Jinfeng; Ding, Ying; Zhang, Min; Wang, Yang; Hu, Lan-ping*; Zhou, Huanyu; Yang, Bing*; Shi, Jian; Gao, Lei; Dai, Hong*

Chin. J. Org. Chem. **2020**, 40(6), 1638

A series of novel pyrazole oxime compounds containing a *N*-pyridylpyrazole moiety were synthesized, and their bioactivities were evaluated.

Synthesis, Biological Activity and Three-Dimensional Quantitative Structure-Activity Relationship (3D-QSAR) Study of Novel 4-Methyl-1,2,4-triazole-thioethers Containing *gem*-Dimethylcyclopropane Ring

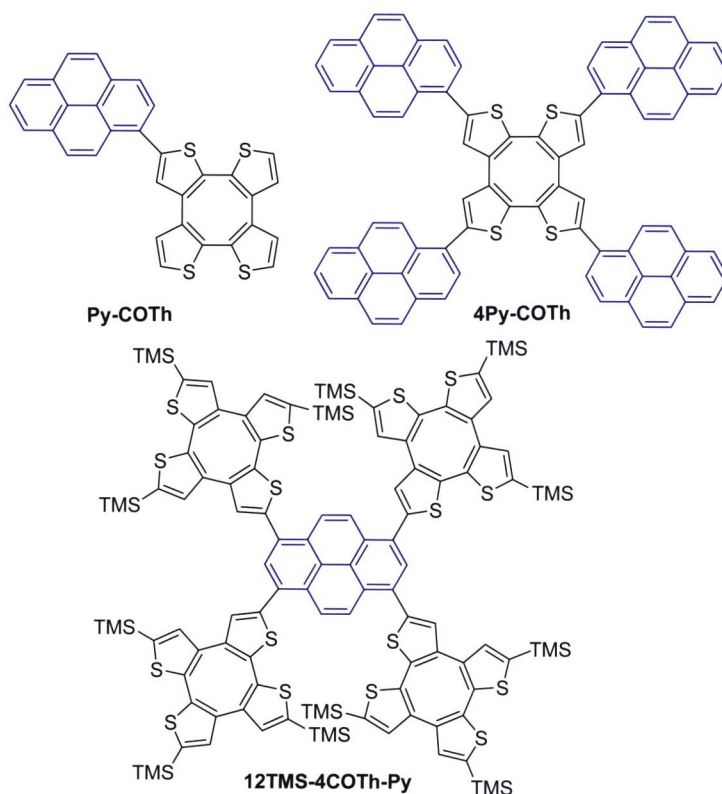
Yu, Youpei; Duan, Wengui*; Lin, Guishan; Kang, Guoqiang; Wang, Xiaoyu; Lei, Fuhou

Chin. J. Org. Chem. **2020**, 40(5), 1647

Twenty novel 4-methyl-1,2,4-triazole-thioether compounds containing *gem*-dimethylcyclopropane ring were designed and synthesized. The *in vitro* antifungal and herbicidal activities of the target compounds were preliminarily evaluated.

CONTENT

Synthesis of Pyrene-Cyclooctatetrathio- phene Derivatives and Their Behaviors of Photoluminescence



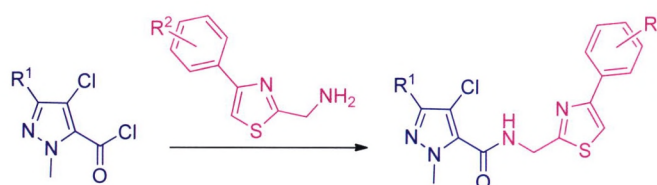
The synthesis and photophysical behaviors including absorption spectra, fluorescence emissions in solution, rigid state (77 K) and the aggregation state were explored to three pyrene-COT derivatives, **Py-COT**, **4Py-COT** and **12TMS-4COT-Py**. The relationship between the photophysical property and molecular structure is remarkably exhibited.

Yang, Yujie; Xu, Li*; Wang, Hua*
Chin. J. Org. Chem. **2020**, 40(6), 1658

Synthesis and Insecticidal Activities of Novel Pyrazole Amide Derivatives Con- taining a Thiazole Unit

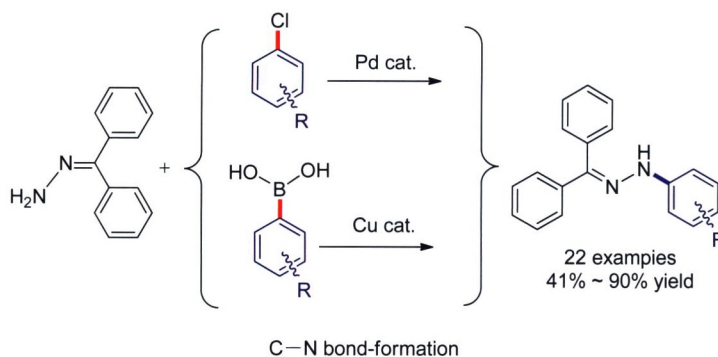
Liang, Kai; Zhou, Qian; Xun, Xiao; Ni, Ya-
dan; Li, Jinfeng; Shi, Yujun*; Zhou, Huanyu;
Wu, Xinxing*; Shi, Jian; Gao, Lei; Dai,
Hong*

Chin. J. Org. Chem. **2020**, 40(6), 1665



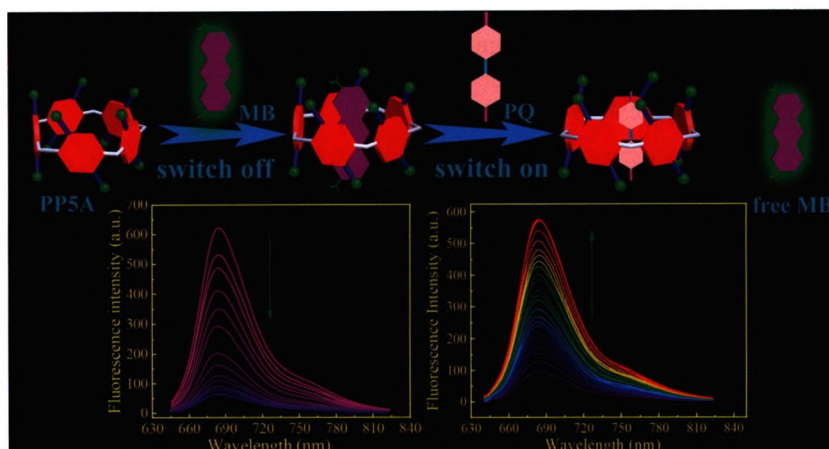
A series of novel pyrazole amide compounds containing substituted thiazole moiety were synthesized, and their insecticidal activities were evaluated.

C—N Coupling Reactions between Ben- zophenone Hydrazone and Aryl Chlo- rides and Boronic Acids



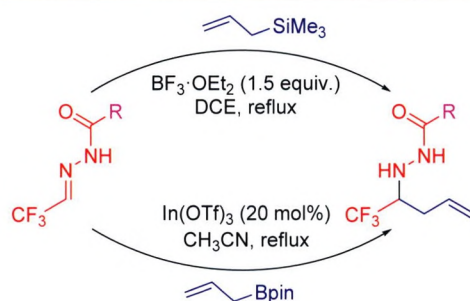
The reactions between aryl chlorides and boronic acids with benzophenone hydrazone have been developed to form aryl hydrazones. This approach can provide an indirect pathway to synthesize aryl hydrazines.

Yao, Dandan; Zhang, Jinli*; Xu, Liang*
Chin. J. Org. Chem. **2019**, 39(6), 1673

Competitive Fluorescence Sensing for
Paraquat Based on Methylene Blue/
Water-Soluble Phosphate Salt Pillar[5]-
arene

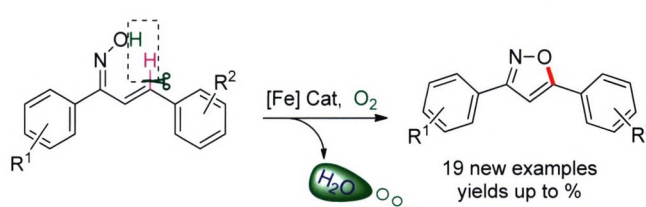
The methylene blue/water-soluble phosphate salt pillar[5]arene (MB/PP5A) inclusion complex was prepared. A competitive fluorescence sensing for detection of paraquat (PQ) based on this inclusion complex was constructed. The inclusion complex probe has the advantages of strong selectivity, simple and fast preparation, wide pH measurement and good anti-interference performance.

Yang, Yunhan; Bao, Qiulian; Luo, Jianping;
Yang, Junli; Li, Canhua; Wei, Keke; Chuan,
Yongming; Yang, Lijuan*
Chin. J. Org. Chem. **2020**, 40(6), 1680

Study on Allylation Reactions of Trifluoro-
methylated Acylhydrazones with Al-
lylsilanes or Allylboronates

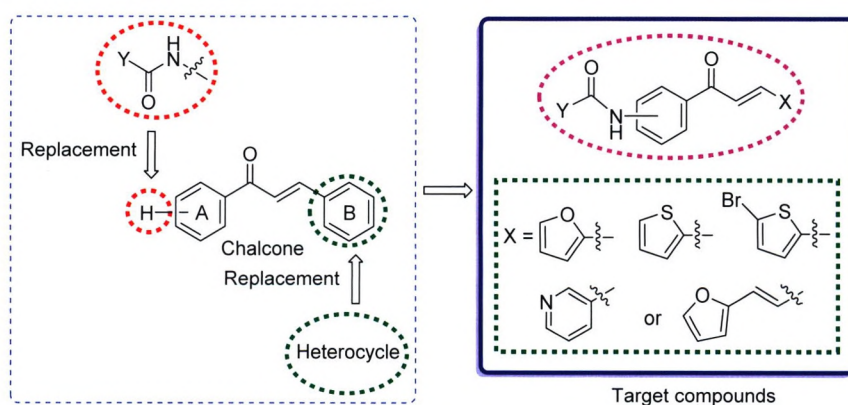
Allylation reactions of trifluoro-
methylated acylhydrazones with al-
lyltrimethylsilane or pinacol allyl-
boronate were found to proceed
smoothly in the presence of Lewis
acid to afford a series of trifluoro-
methylated homoallylic *N*-acylhydra-
zines in high yields.

Hu, Yongqin; Huang, Danfeng*; Wang, Ke-
hu; Zhao, Zhuangxia; Zhao, Fangxia; Xu,
Weigang; Hu, Yulai*
Chin. J. Org. Chem. **2019**, 39(6), 1689

FeCl₂-Catalyzed Intramolecular Aerobic
Reaction for Construction of Isoxazoles
Heterocycle

Abdukader, Ablimit*; Wang, Rong; Mamat,
Marhaba; Liu, Chenjiang*
Chin. J. Org. Chem. **2020**, 40(6), 1697

A general produce is developed to synthesize varieties of multi substituted isoxazole
derivatives via iron-catalyzed direct aerobic oxidative C—O bond formation.

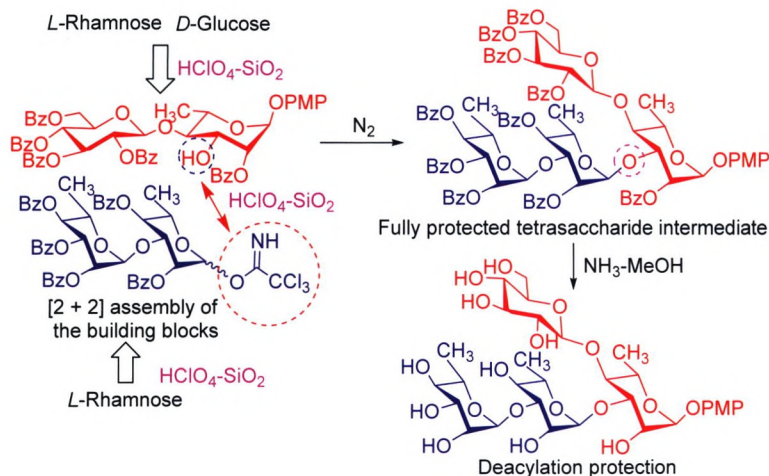
Synthesis of Chalcone Derivatives and
Studies on Their Inhibitory Activity and
Molecular Docking

Xiao, Tingting; Cheng, Wei; Qian, Weifeng;
Zhang, Tingting; Lu, Tong; Gao, Yang; Tang,
Xiaorong*
Chin. J. Org. Chem. **2020**, 40(6), 1704

Twenty nine chalcone derivatives were designed and synthesized. The antifungal activi-
ties of all the synthesized compounds were determined against five plant pathogenic
fungi namely *Rhizoctonia solani*, *Fusarium graminearum*, *Helminthosporium maydis*,
Sclerotinia sclerotiorum and *Botrytis cinerea*.

CONTENT

High Efficiency Synthesis of the Tetrasaccharide Repeating Unit in *Azospirillum brasilense* Strains Sp246 Based on Silica Gel Supported Perchloric Acid ($\text{HClO}_4\text{-SiO}_2$)

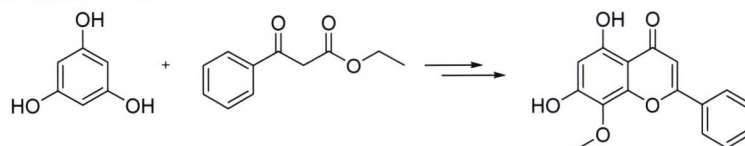


A convenient method is described for the practically simple and efficient construction of fully protected tetrasaccharides. The silica-supported perchloric acid is used as a solid acid catalyst, which has excellent properties such as stable nature, non-corrosiveness, low toxicity, recyclability and simple post-treatment. The total synthesis of the tetrasaccharide creates conditions for further chemical modification, structural modification, mechanism research, and biological activity research.

Chen, Zili; Li, Peixia; Liu, Weitong; Zhuang, Zhe; Wu, Yuanyuan; Zhao, Hanqing*; Zhang, Jianjun*
Chin. J. Org. Chem. **2019**, 39(6), 1716

NOTES

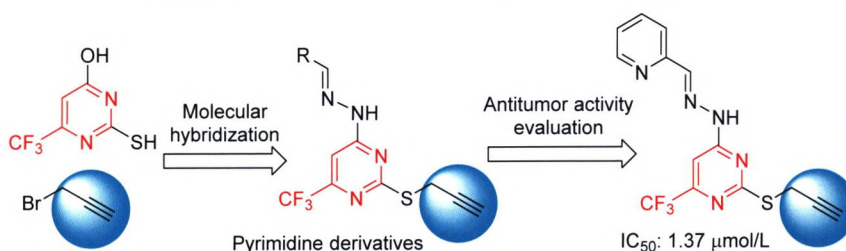
Efficient Synthesis of Wogonin



Dong, Wei; Wang, Xin; Ge, Zemei; He, Fang; Li, Runtao*
Chin. J. Org. Chem. **2020**, 40(6), 1725

Wogonin is an important flavonoid with a wide range of pharmacological activities. An efficient synthesis of wogonin from benzene-1,3,5-triol as starting material via seven steps with total yield of 58% was developed.

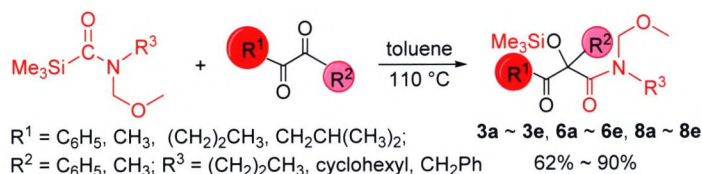
Synthesis and Antitumor Activity Evaluation of Novel Hydrazone-Substituted Pyrimidine Derivatives



Zhang, Yang; Zhang, Luye; Liu, Limin; Wang, Tao; Meng, Yaqi; Li, Na; Li, Erdong; Wang, Zhengjie; Liu, Xiujuan; Zheng, Jiaxin; Shan, Lihong*; Liu, Hongmin*; Zhang, Qiurong*
Chin. J. Org. Chem. **2020**, 40(6), 1731

A series of novel hydrazone-substituted pyrimidine derivatives were designed, synthesized, and evaluated for antitumor activity. Among them compound **121** possessed strong anti-proliferative activity against PC-3 ($\text{IC}_{50} = 1.37 \mu\text{mol}\cdot\text{L}^{-1}$), and the anti-proliferative activity was significantly better than the positive control drug 5-fluorouracil.

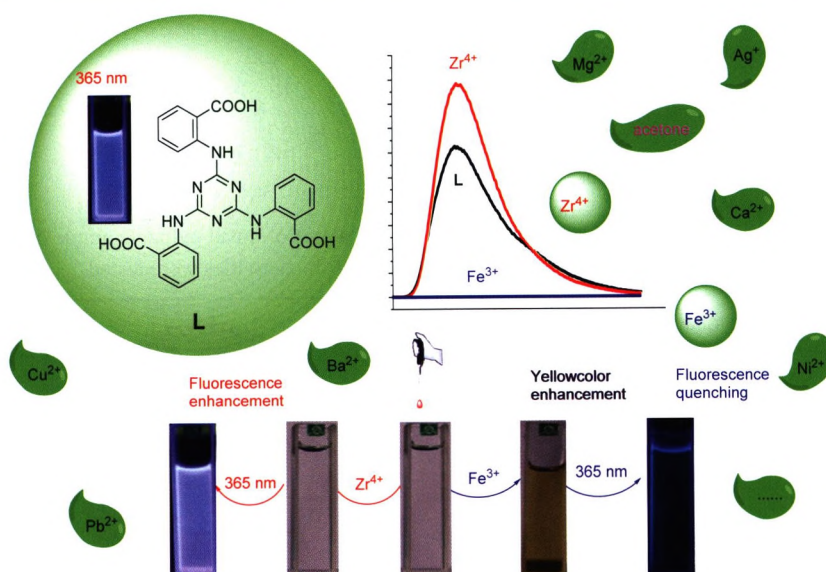
Efficient Synthesis of β -Keto- α -hydroxy Secondary (Primary) Amides by Selective Aminocarbonylation of Vicinal Diketones Using Carbamoylsilane as an Amide Source



Zhang, Pengpeng; Han, Shenghua; Chen, Jianxin*
Chin. J. Org. Chem. **2020**, 40(6), 1737

A straightforward and practical synthetic method of β -keto- α -hydroxy amides by the selective aminocarbonylation of carbamoylsilanes to vicinal diketones under mild condition without any oxidants and catalysts has been developed.

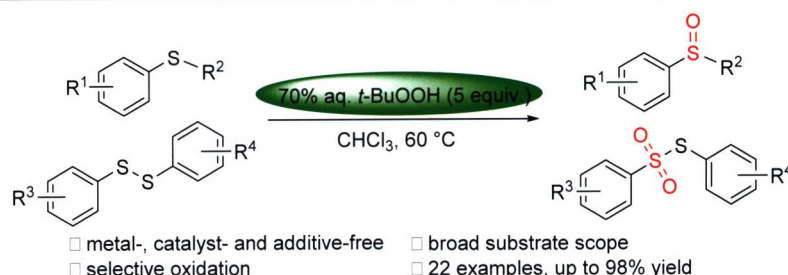
Triazine Derivative for Fluorescence Sensing of Zr^{4+} , Fe^{3+} Ions and Acetone



Ma, Xuelin; Han, Limin*; Zhang, Xiaoyong*; Zhang, Yuheng; Wang, Li, Yang, Kun; Ji, Jie
Chin. J. Org. Chem. **2020**, 40(6), 1745

2,2',2''-(1,3,5-Triazine-2,4,6-triimino)tribenzoic acid (**L**, **H₃TATIB**) fluorescence response chemosensor has been synthesized by one pot reaction and its fluorescent behaviors to transition metal ions were systematically investigated.

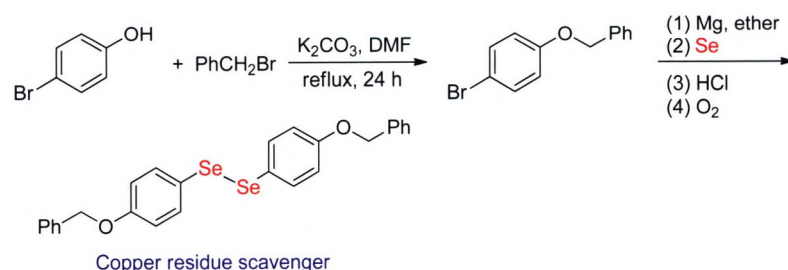
Selective Oxidation of Sulfides/Disulfides to Sulfoxides/Thiosulfonates Using *t*-Butyl Hydroperoxide (TBHP) without Catalyst



Jiang, Xiaoying; Yao, Chuansheng; Tong, Chuo; Bai Renren; Zhou, Tao; Xie, Yuanyuan*
Chin. J. Org. Chem. **2020**, 40(6), 1752

A novel and simple method for the selectively oxidation of sulfides to sulfoxides and oxidation of disulfides to thiosulfonates in the presence of a common oxidant *t*-butylhydroperoxide (TBHP) without catalyst and additives.

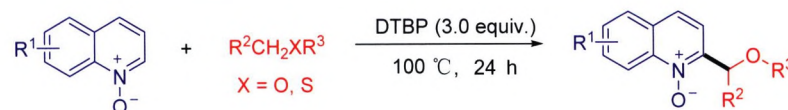
Design and Synthesis of 1,2-Bis(4-(benzyloxy)phenyl)diselane: A Scavenger for Residual Copper



Ge, Yanyu; Kong, Jing; Yang, Chenggen; Yang, Qian; Zhang, Xu*
Chin. J. Org. Chem. **2020**, 40(6), 1760

The designed and prepared 1,2-bis(4-(benzyloxy)phenyl)diselane showed superior activity in copper pollutant elimination. This work presents a novel method for removing copper residue and may be applied in pharmaceutical industry owing to the safe and metabolizable features of selenium.

Metal-Free C-2 Alkylation of *N*-Oxides with Ethers via Radical Cross-Coupling Reactions



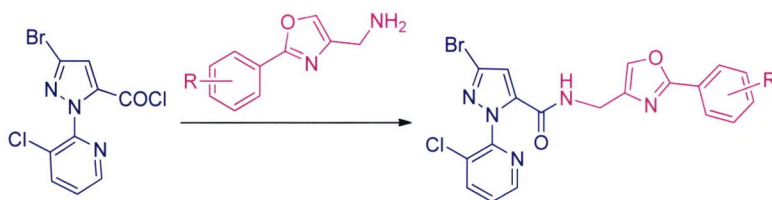
Dong, Daoqing; Li, Guanghui; Chen, Demao; Sun, Yuanyuan; Han, Qingqing; Wang, Zuli*; Xu, Xinming; Yu, Xianyong
Chin. J. Org. Chem. **2020**, 40(6), 1766

An efficient method for the C-2 alkylation of quinoline *N*-oxides with ethers via radical cross-coupling reactions has been developed. The desired products with moderate to high yield could be obtained.

CONTENT

Synthesis and Bioactivities of Novel Pyrazole Amides Carrying Oxazole Moiety

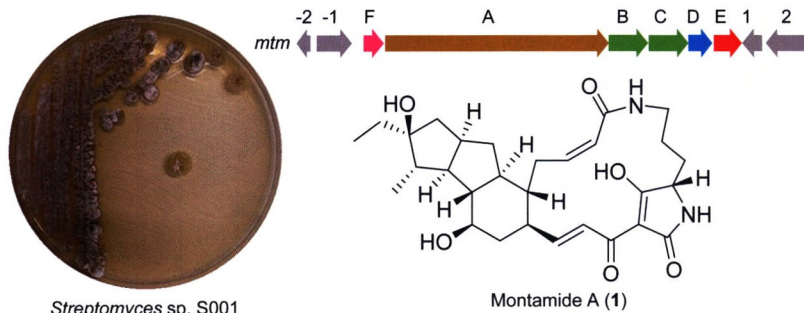
Zhang, Min; Zheng, Dandan; Ni, Yadan; Liang, Kai; Xun, Xiao; Hu, Lanping*; Chen, Qingwen; Yang, Bing*; Liang, Zhipeng; Ding, Ying; Shi, Jian; Dai, Hong*
Chin. J. Org. Chem. **2020**, 40(6), 1772



A series of novel pyrazole amide derivatives carrying oxazole unit were synthesized, and their bioactivities were tested.

New Polycyclic Tetramate Macrolactam from *Streptomyces* sp. S001

Jiao, Yujie; Yan, Yaqian; Liu, Yan; Zhu, Deyu; Shen, Yuemao*; Li, Yaoyao*
Chin. J. Org. Chem. **2020**, 40(6), 1779

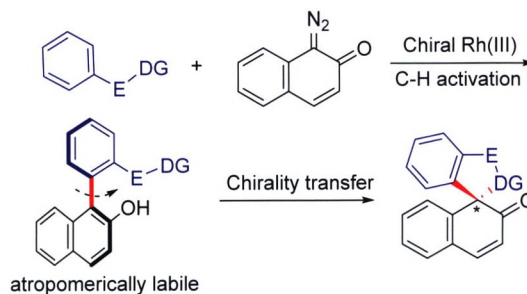


Montamide A (**1**), a new polycyclic tetramate macrolactam (PoTeM) with a 5/5/6 tricyclic system was isolated from recombinant strain S001-PoTeM_{S023}. The antimicrobial and antifungal activities of compound **1** were carried out by filter paper disc diffusion assay.

HIGHLIGHTS

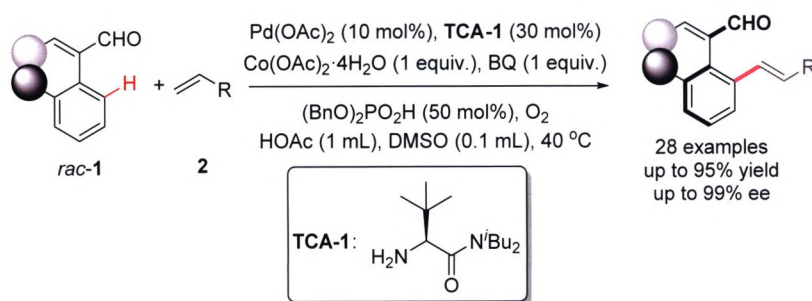
Rh(III)-Catalyzed Asymmetric Access to Spirocycles: C—H Activation and Axial-to-Central Chirality Transfer

Ma, Xiaojun; Luan, Xinjun*
Chin. J. Org. Chem. **2020**, 40(6), 1785



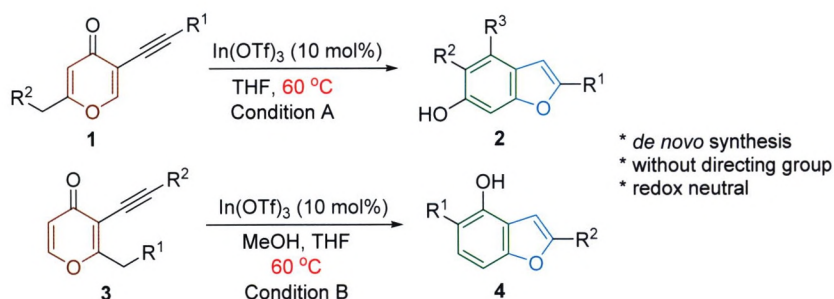
Construction of Axially Chiral Styrenes—Highly Efficient Amino Amide Transient Directing Group

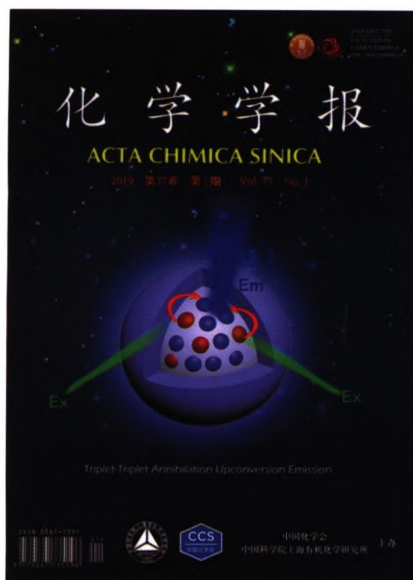
Feng, Jia; Gu, Zhenhua*
Chin. J. Org. Chem. **2020**, 40(6), 1787



Deconstructive Reorganization: *De Novo* Synthesis of Hydroxylated Benzofurans

Zhang, Ziyao; Jiao, Ning*
Chin. J. Org. Chem. **2020**, 40(6), 1790





化学学报

ACTA CHIMICA SINICA

主编：周其林 院士

- SCI收录、中文核心、入选卓越计划
- 中国创刊最早的化学期刊(始于1933年)
- 中国最早被SCI收录的化学期刊
- 中国“百强科技期刊”
- SCI影响因子最高的中文期刊
- 免费审稿、免费发表
- 免费阅读、开放获取

Tel.: +86-21-54925242

E-mail: hxxb@sioc.ac.cn



CHINESE JOURNAL OF CHEMISTRY

中国化学

主编：麻生明 院士

- SCI收录、入选卓越计划
- 1983年创刊(原名Acta Chimica Sinica English Edition)
- 与Wiley-VCH合作出版
- 免费审稿、免费发表

Tel.: +86-21-54925243-27

E-mail: cjc@sioc.ac.cn



有机化学

Chinese Journal of Organic Chemistry

主编：丁奎岭 院士

- SCI收录、中文核心
- 1980年创刊
- 全面覆盖有机化学领域
- 设有研究专题、综述与进展、研究论文、研究简报、亮点介绍等栏目
- 免费阅读、开放获取

Tel.: +86-21-54925244-28

E-mail: yjhx@sioc.ac.cn

国际刊号: ISSN 0253-2786

国内刊号: CN 31-1321/O6

国内邮发代码: 4-285

国外发行代码: M 513