

有机化学

Chinese Journal of Organic Chemistry

ISSN 0253-2786

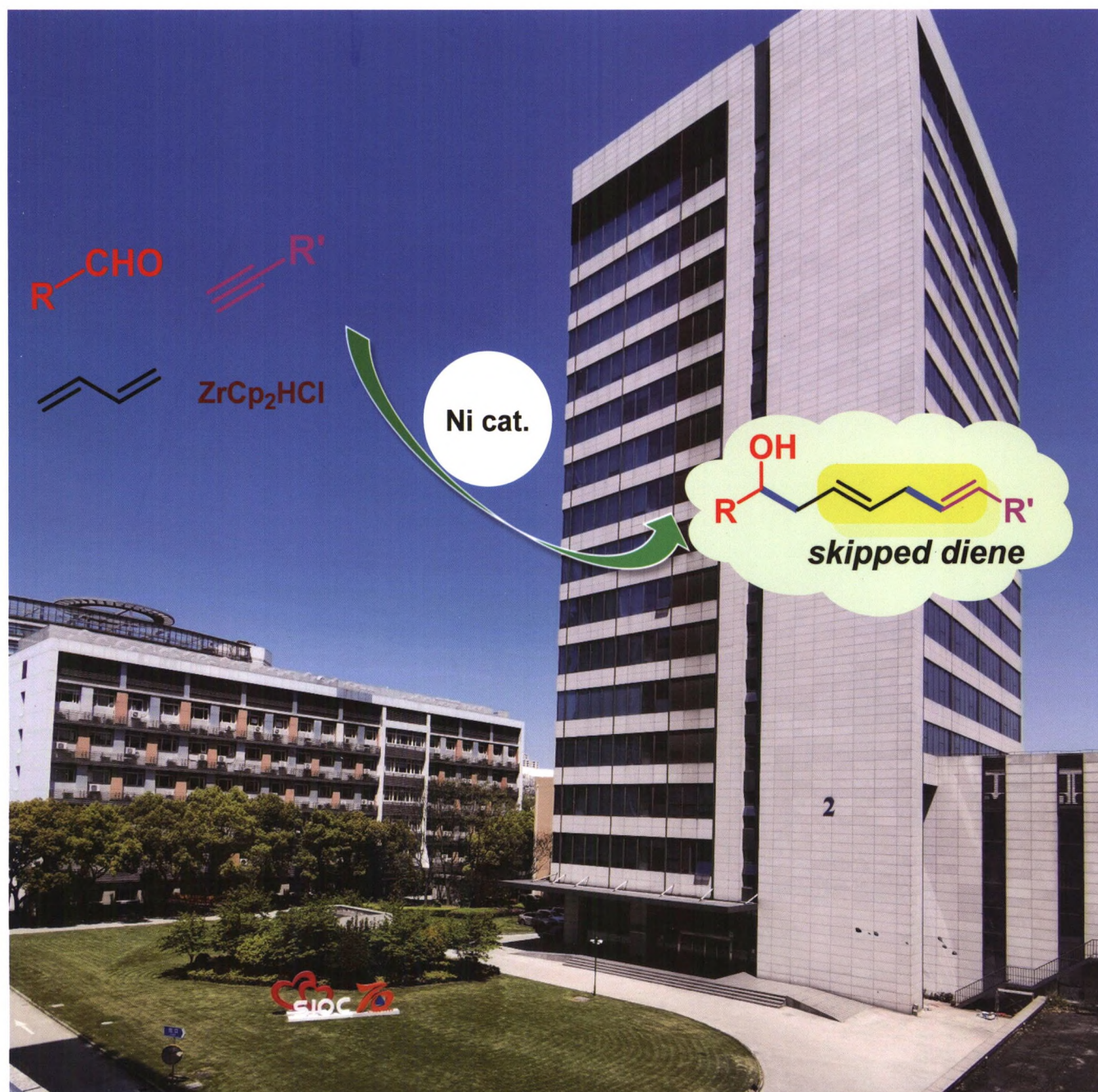
CN 31-1321/O6

<http://sioc-journal.cn>



QK2121143

Vol. 41 No. 5 May 2021



ISSN 0253-2786



中国化学会主办
中国科学院上海有机化学研究所

目 次

综述与进展

可见光催化和电化学合成在咪唑并[1,2-a]吡啶 3 位碳-杂键构建中的应用.....	刘 想* 李 文 刘环宇 曹 华* (1759)
亲核氟源参与的芳环氟-18 标记反应研究进展.....	朱 源 陈乐园 侯文彬* 李祎亮* (1774)
三氟乙酰亚胺参与的催化不对称反应研究进展.....	孙忠文* 张聪聪 陈丽君 谢惠定 柳 波 刘丹丹* (1789)
深海、沙漠、火山、极地来源链霉菌新天然产物(2009~2020).....	江 婷 蒲 洪 段燕文 颜晓晖 黄 勇* (1804)
三氟甲基自由基促进的烯炔官能团迁移新进展.....	邱云亮* 魏凤蛟 叶 蓁 赵昱玥 (1821)
过渡金属催化碳二亚胺环化的研究进展.....	张 震* 畅温旭 (1835)
N-烷基胺类作为多功能砌块在氧化偶联反应中的应用.....	陈 缘 夏立静 常怡婷 马武珍 王 彬* (1851)
中环大环化合物合成研究进展.....	张馨元 林 礼 李 静 段世好 隆宇航 李加洪* (1878)
过渡金属催化的环丙烯聚合反应研究.....	张泽鹏 郜云鹏 陈树峰* 王剑波* (1888)
交叉脱氢偶联反应构建碳-碳键的可替代策略.....	王 浩 应 婧 俞静波* 苏为科 (1897)
全氟烷基卤化物作为含氟砌块在构建氟烷基取代杂环化合物中的研究进展.....	程步清 葛丹华* 汪 欣 褚雪强* (1925)

研究论文

镍催化的丁二烯、醛、炔和氢氯二茂锆的多组分偶联反应合成 1,4-二烯.....	李雨青 施世良* (1939)
钯催化大位阻芳基异腈作为羰基源的烯丙基羰基化 Negishi 偶联反应.....	翁扬扬 曲景平 陈宜峰* (1949)
4-二甲氨基吡啶-硼自由基促进的缺电子烯炔区域选择性硼氢化反应.....	黄云帅 靳小慧 张凤莲* 汪义丰* (1957)
丙酮氰醇为氟源的卤代烃的氰基取代反应研究.....	郭 芳 由 君* 武文菊 喻艳超* 井 彬 刘 波 (1968)

* 通讯联系人.

基于亲电氯代反应的次氯酸荧光探针构建及细胞成像研究	赵 云* 李艳芳 李蓉晓 王雅卿 樊晓霞 (1974)
铜(I)催化邻烷基芳基异硫氰酸酯与(E)-2-(苄基氨基)乙酸酯串联双环化反应快速合成 5H-苯并咪唑[5,1-b][1,3]噻嗪	张亚辉 吴文锦 张可心 黎双双 郝文燕* (1982)
3-(吡啶-3-基)-4-(吡唑并[3,4-c]噻嗪-3-基)马来酰亚胺脱氢类异柠檬酸酶-1 突变体高效抑制剂的合成与评价	·许 萌 高荣原 曾源煦 高安慧 高立信 许 磊 周宇波 高建荣 叶 青* 李 佳* (1991)
aza-Morita-Baylis-Hillman 反应二次串联构建氨基衍生的 1,6-二烯化合物	彭福涛 黄立梁 黄军海* 冯煌迪* (2001)
含肟酯基 L-香芹酮衍生物的设计、合成、晶体结构及杀菌活性	金 灿 邓希乐 周 勇 周小毛* (2008)
含靛红并茚酮二甲酰亚胺端基的 A-D-A 型小分子受体材料的合成及其光电性质研究	吴 赛 陶吴晔 王 果 赵 斌* 陈华杰* (2019)
三氟甲基酰胺 N-烃基化反应研究	杨金字 黄丹凤 王克虎 王君姣 苏瀛鹏 邓周斌 杨天宇 胡雨来* (2029)
乙酸铵催化的酚与多聚甲醛的甲酰化反应研究	李 倩 杨 丽 刘 伟 王天昀 朱月杰 杜正银* (2038)
含 4-氨基二芳醚结构的辅酶 Q 衍生物的合成与生物活性研究	秦啸天 张俊朝 何钰晴 张 锐 程 华* 陈 威* 秦 鑫* (2045)
含芳基三氮唑结构的 3-乙磺基吡啶类化合物的合成及杀虫活性研究	姚阳意 任朝丽 陈 丽 钟良坤 许天明* 谭成侠* (2055)
5-(1-氨基-2-苯氧亚乙基)巴比妥酸衍生物的合成及除草活性研究	王超超 刘 会 赵 微 李 攀 冀庐莎 柳仁民 雷 康* 徐效华 (2063)
分子筛负载铜水相催化 α,β -不饱和化合物的共轭硼化反应	严 津 周丽洁 韩 彪 张瑶瑶* 李博解* 汪连生 朱 磊* (2074)
钯催化烯烃杂环化反应制备[60]富勒烯二氢呋喃化合物	朱三娥* 豆礼锋 张建辉 吴 纓 杨 伟 鲁红典 卫春祥 邓崇海 董 强* (2082)

研究简报

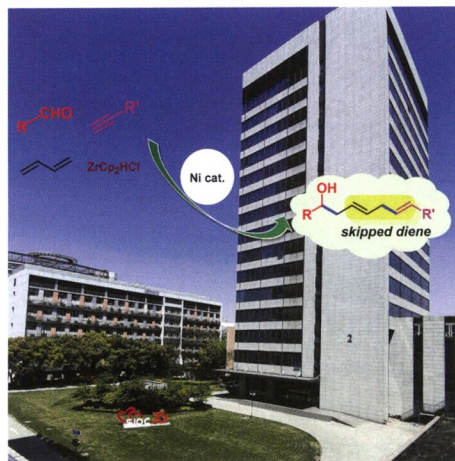
8-[(3,4,4-三氟丁-3-烯-1-基)硫基]取代的新型甲基黄嘌呤及其衍生物的合成和生物活性	刘 航 张舒昀 李 欢 张 燕 李正名 王宝雷* (2091)
硒化银新材料催化的醇氧化反应	刘 峰* 詹 杰 孙扬阳 景峭壁* (2099)
铈/(2 <i>S</i> ,2' <i>S</i> ,3 <i>S</i> ,3' <i>S</i>)-3,3'-二叔丁基-4,4'-二甲氧基-2,2',3,3'-四氢-2,2'-联苯并[d][1,3]草酰磷(MeO-BIBOP)催化的不对称还原烯胺合成(R)-3-叔丁氧羰基氨基-4-(2,4,5-三氟苯基)丁酸	盛 力 高浩凌* 吴旭锋 范 钢 刘鹏程 (2105)
石斛根中三个新的菲醌类化合物	刘英豪 林芳霞 谭银丰 杨静雨 张 斌 周学明* 宋鑫明* (2112)
一锅两步法合成 1,4-二芳基取代-1,3-丁二烯类化合物	梁静茹 王冰莹 黄成尹 叶晚君 温燕梅* (2116)
HBr 催化 α -溴代甲基酮类化合物的全脱溴反应研究	郑梦霞 曾 竞 买里克扎提·买合木提* 阿布都热西提·阿布力克木* (2121)
酒石酸催化四组分反应合成双螺环四氢喹啉双(1,3-二噁烷-4,6-二酮)衍生物	许招会* 叶华涛 张文峰 肖 强* (2127)

亮点述评

亚甲基乙烯基亚乙基碳酸酯: 一种用于钨催化环加成反应的新型合成子	郝向洪	郭红超*	(2134)
黄素依赖的单加氧酶催化酰胺键的 Bayer-Villiger 反应	汤志军	刘 文*	(2136)
钨催化不对称合成轴手性 2-芳基喹唑啉酮	张 红	崔秀灵*	(2138)
塔[5]芳烃: 一种具有深穴与规整空腔的新型蒽基大环芳烃	王彦方	蒋 伟*	(2141)
烷基取代的 1,3-二烯区域可控还原烯基化反应	陶相华	龚和贵*	(2143)
光催化烯炔亚胺烯基化反应实现 2-肉桂基吡咯啉的非对映选择性和立体多样性合成	周雪松	陈加荣*	(2146)
可见光/有机小分子协同催化选择性氧化硫醚化合物	金伟伟	刘晨江*	(2148)
三氟乙酸衍生物的分步可控碳-氟键官能化反应	葛丹华	褚雪强*	(2150)
N-二氟甲基吡啶盐的去芳构化反应	李彦霖	王细胜*	(2152)

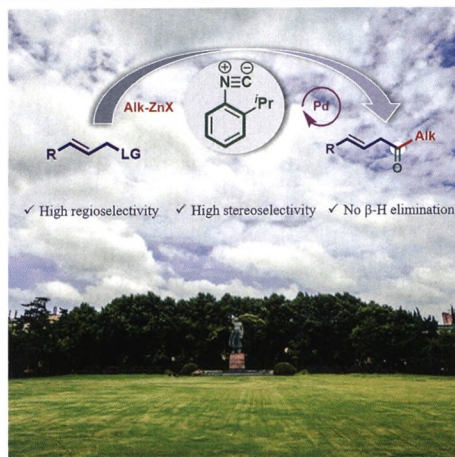
Cover Picture: Nickel-Catalyzed Multicomponent Coupling of Butadiene, Aldehydes, Alkynes and Schwartz Reagent to Form 1,4-Dienes

The Ni-catalyzed multi-component coupling of butadiene, aldehydes, alkynes, and Schwartz reagent has been reported by Li and Shi on page 1939. Various skipped dienes were readily synthesized under mild reaction conditions with excellent regio- and stereoselectivity and good functional group tolerance.



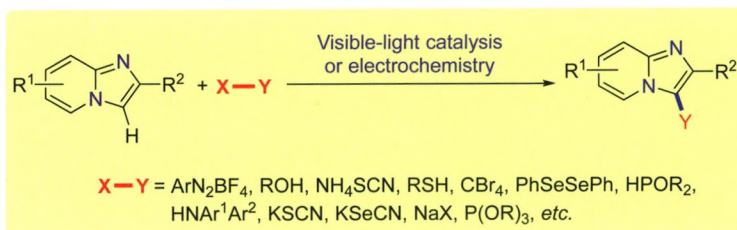
Inside Cover: Palladium-Catalyzed Allylic Carbonylative Negishi Cross-Coupling Reactions with Sterically Bulky Aromatic Isocyanides

The palladium-catalyzed allylic carbonylative reaction with alkyl zinc reagents employing sterically bulky aromatic isocyanides as the CO surrogate has been reported by Weng, Qu and Chen on page 1949. Various synthetically important β,γ -unsaturated ketones were afforded with excellent regio- and stereoselectivities under mild conditions without undesirable β -H elimination.



REVIEWS

Application on the Construction of Imidazo[1,2-*a*]pyridines C-3 Carbon-Hetero Bonds by Visible-light Catalysis and Electrochemistry



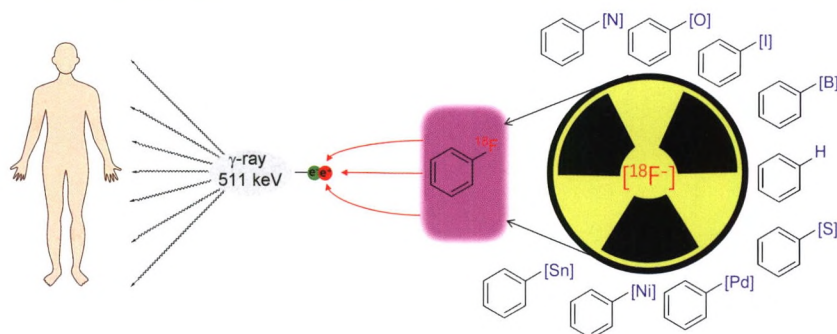
The synthesis of functionalized imidazo[1,2-*a*]pyridines via visible-light catalysis and electrochemistry based on green chemistry has become the powerful tool for the synthesis of these compounds. Based on the types of carbon-hetero bonds of imidazo[1,2-*a*]pyridines, the research on the construction of imidazo[1,2-*a*]pyridines C-3 carbon-hetero bonds by visible-light catalysis and electrochemical synthesis in recent 5 years is summarized.

Liu, Xiang*; Li, Wen; Liu, Huanyu; Cao, Hua*
Chin. J. Org. Chem. **2021**, 41(5), 1759

CONTENT

Recent Progress in Nucleophilic Fluoride Mediated Fluorine-18 Labeling of Arenes and Heteroarenes

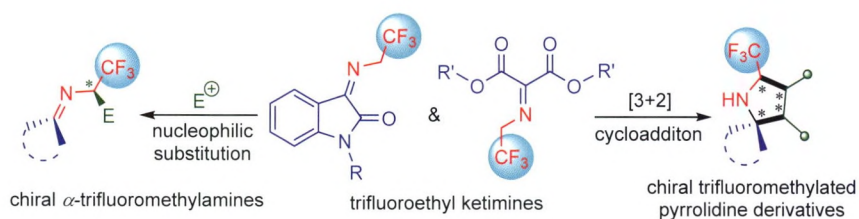
Zhu, Yuan; Chen, Leyuan; Hou, Wenbin*; Li, Yiliang*
Chin. J. Org. Chem. **2021**, 41(5), 1774



The recent developments in nucleophilic fluoride mediated fluorine-18 labeling of arenes and heteroarenes are summarized on the basis of different labeling precursor, including phenols, aryl iodoniums, aryl sulfoniums, aromatic metallic compounds and C(sp²)—H bond. The scope of labeling substrate, some application for radiopharmaceuticals and mechanism of several reactions are also discussed.

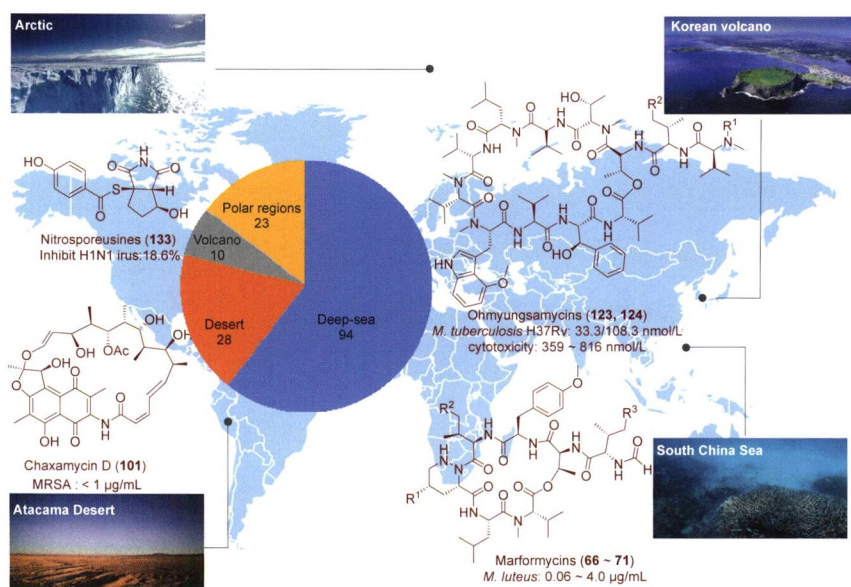
Recent Advances in Catalytic Asymmetric Reactions Involving Trifluoroethyl Ketimines

Sun, Zhongwen*; Zhang, Congcong; Chen, Lijun; Xie, Huiding; Liu, Bo; Liu, Dandan*
Chin. J. Org. Chem. **2021**, 41(5), 1789



The properties of trifluoroethylketimines provide both electrophilic and nucleophilic centers, and become an excellent 1,3-dipole, which possessed high research value in catalytic asymmetric reactions of construction of trifluoromethyl stereocenters. Based on the substrates and reaction types of trifluoroethylketimine, the research progress of catalytic asymmetric reactions involving trifluoroethylketimine in recent five years is reviewed, and the future development of this field is prospected.

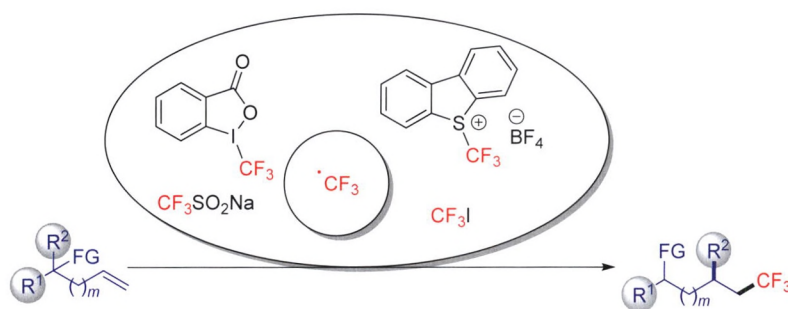
New Natural Products of *Streptomyces* Sourced from Deep-Sea, Desert, Volcanic, and Polar Regions from 2009 to 2020



Jiang, Ting; Pu, Hong; Duan, Yanwen; Yan, Xiaohui; Huang, Yong*
Chin. J. Org. Chem. **2021**, 41(5), 1804

The recent advancement of the structures and bioactivities of 155 natural products is reviewed, produced by various *Streptomyces* strains isolated from these extreme environments from 2009 to 2020.

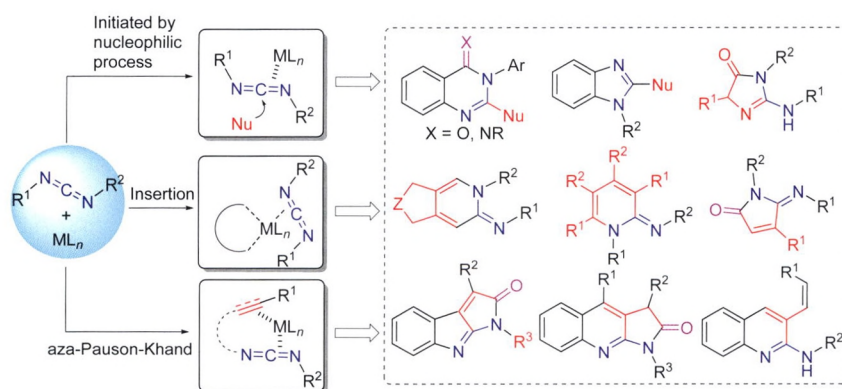
Advances in Trifluoromethylation-Promoted Functional Group Migration of Alkenes



Qiu, Yunliang*; Wei, Fengjiao; Ye, Liu; Zhao, Minyue
Chin. J. Org. Chem. **2021**, 41(5), 1821

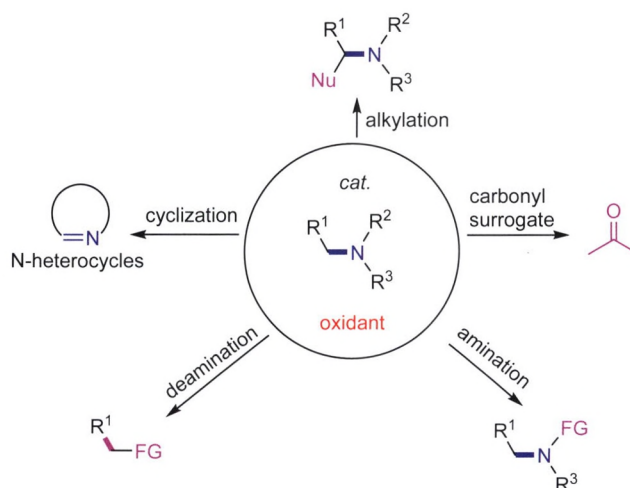
The recent advances in alkene trifluoromethylation-initiated functional group migration including functionalized allylic compounds and non-activated alkenes classified by different types of migrating functional groups are summarized.

Progress in Transition-Metal-Catalyzed Cyclization of Carbodiimides



The recent progress in the transition-metal-catalyzed cyclization of carbodiimide over last decade and some pioneering work is reviewed according to different cyclization processes. And the mechanism discussion of catalytic cyclization is highlighted. Finally, the new cyclization models involving carbodiimide are also prospected.

Zhang, Zhen*; Chang, Wenxu
Chin. J. Org. Chem. **2021**, 41(5), 1835

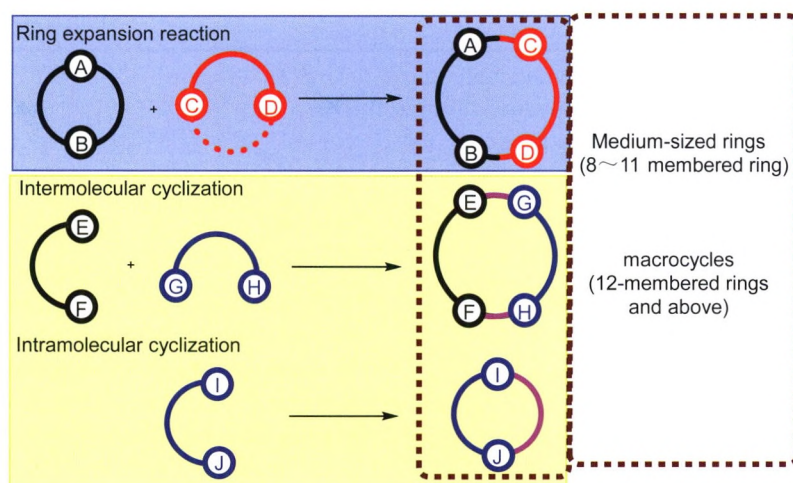
Application of *N*-Alkyl Amines as Versatile Building Blocks in Oxidative Coupling Reactions

The oxidative functionalization of *N*-alkylamines is one of the most direct and versatile strategies for the formation of C—C and C-heteroatom bonds. In recent years, *N*-alkylamines as multifunctional blocks have made great progress in cross-dehydrogenation-coupling (CDC) reactions. The recent progress in the application of *N*-alkylamines is summarized on the basis of different roles in oxidative coupling reactions.

Chen, Yuan; Xia, Lijing; Chang, Yiting; Ma, Wuzhen; Wang, Bin*
Chin. J. Org. Chem. **2021**, 41(5), 1851

CONTENT

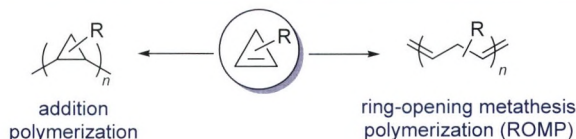
Recent Progress in the Synthesis of Medium-Sized Ring and Macrocyclic Compounds



Developing simple, green and efficient protocol to synthesize medium-sized rings and macrocycles has attracted great interests from chemists in the recent years. The latest ring expansion/cyclization reaction in the synthesis of medium-sized ring and macrocyclic compounds in the past five years is reviewed, and the prospect of their future and development is also outlined.

Zhang, Xinyuan; Lin, Li; Li, Jing; Duan, Shiyu; Long, Yuhang; Li, Jiahong*
Chin. J. Org. Chem. **2021**, 41(5), 1878

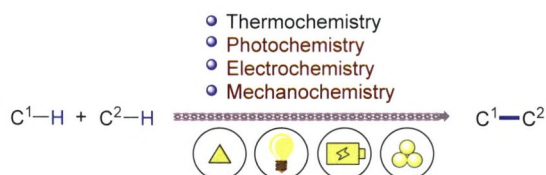
Transition-Metal-Catalyzed Polymerization of Cyclopropenes



The research progress of cyclopropene polymerization is reviewed, including addition polymerization and ring-opening metathesis polymerization (ROMP). The future development of this field is prospected from the perspective of polymer synthesis methodology.

Zhang, Zepeng; Gao, Yunpeng; Chen, Shufeng*; Wang, Jianbo*
Chin. J. Org. Chem. **2021**, 41(5), 1888

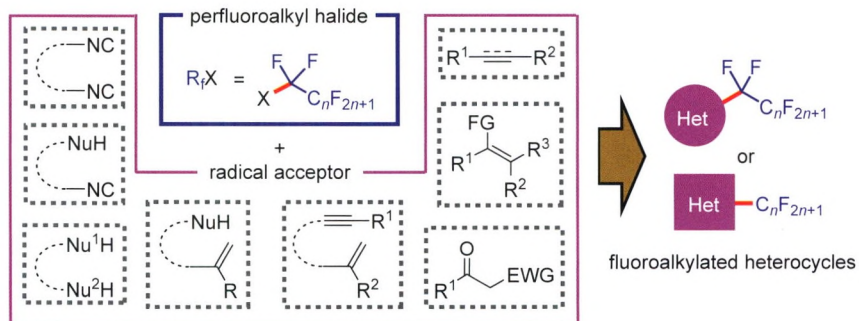
Alternative Strategies Enabling Cross-Dehydrogenative Coupling: Access to C—C Bonds



The recent progress in cross-dehydrogenative coupling (CDC) reaction via thermochemistry and alternative new technologies like photochemistry, electrochemistry and mechanochemistry on the basis of same or similar substrates is reviewed. The advantages and disadvantages of the enabling strategies are compared and discussed.

Wang, Hao; Ying, Ping; Yu, Jingbo*; Su, Weike
Chin. J. Org. Chem. **2021**, 41(5), 1897

Perfluoroalkyl Halides as Fluorine-Containing Building Blocks for the Synthesis of Fluoroalkylated Heterocycles

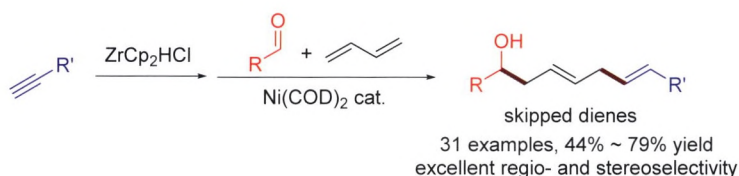


Cheng, Buqing; Ge, Danhua*; Wang, Xin; Chu, Xueqiang*
Chin. J. Org. Chem. **2021**, 41(5), 1925

The recent advances for the synthesis of fluoroalkylated heterocycles by using perfluoroalkyl halides as key fluorine-containing building blocks were summarized.

ARTICLES

Nickel-Catalyzed Multicomponent Coupling of Butadiene, Aldehydes, Alkynes and Schwartz Reagent to Form 1,4-Dienes

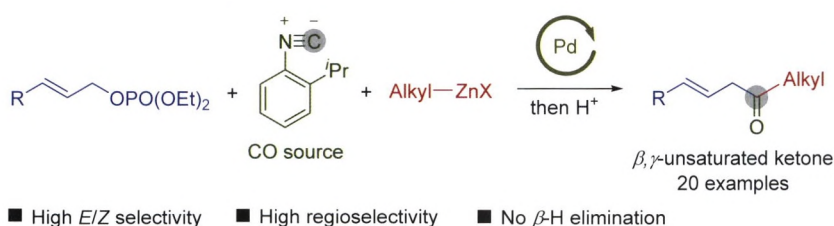


A nickel-catalyzed multi-component coupling of 1,3-butadiene, aldehydes, alkynes, and Schwartz reagents to prepare skipped dienes is described. The reagents are common feedstock chemicals. Moreover, the hydrosilylation of alkynes using Schwartz reagent was applied to *in-situ* prepare the alkenylzirconium reagents, which were used directly without further treatment. Various (*E,E*)-1,4-diene products were synthesized with excellent regio- and stereoselectivity.

Li, Yu-Qing; Shi, Shi-Liang*

Chin. J. Org. Chem. **2021**, 41(5), 1939

Palladium-Catalyzed Allylic Carbonylative Negishi Cross-Coupling Reactions with Sterically Bulky Aromatic Isocyanides

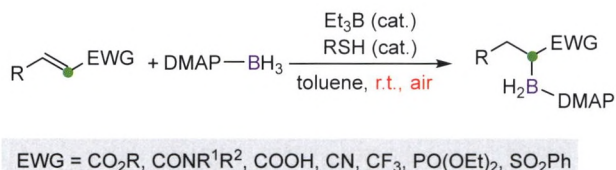


Pd-catalyzed three components allylic carbonylative Negishi coupling employing sterically bulky aromatic isocyanide as CO source has been reported. This method could provide versatile building blocks β,γ -unsaturated ketones with high selectivity and mild conditions.

Weng, Yangyang; Qu, Jingping; Chen, Yifeng*

Chin. J. Org. Chem. **2021**, 41(5), 1949

4-Dimethylaminopyridine-Boryl Radical Promoted Regioselective Radical Hydroboration of Electron-Deficient Alkenes

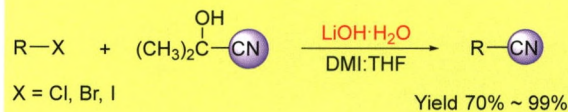


A radical hydroboration reaction of electron-deficient alkenes using DMAP-BH₃ as the boryl radical precursor and Et₃B/air as radical initiator is reported. The reaction is carried out at ambient conditions and features excellent α -regioselectivity. α -Borylated esters, amides, carboxylic acid, nitrile, trifluoromethyl molecule, sulfone, and phosphonate have been easily accessed.

Huang, Yunshuai; Jin, Xiaohui; Zhang, Fenglian*; Wang, Yifeng*

Chin. J. Org. Chem. **2021**, 41(5), 1957

Study on the Cyanide Substitution Reaction of Acetone Cannolhydrin as Cyanogen Source



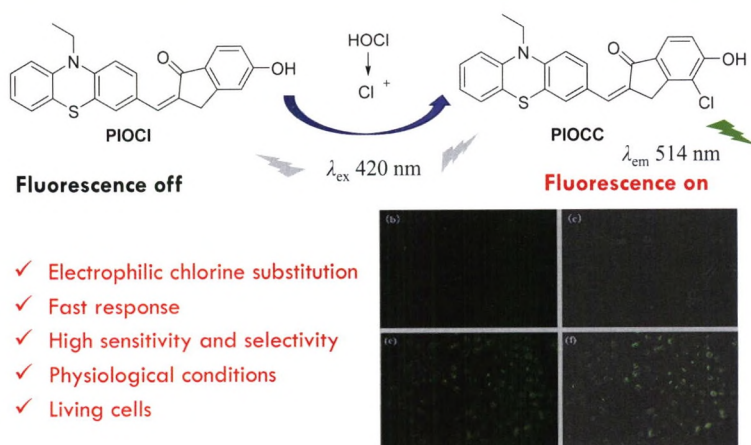
In this paper, a series of cyano compounds were synthesized by nucleophilic substitution reaction using acetone cyanohydrin as cyanation reagent and aliphatic haloalkanes R-X (X=Cl, Br, I) as substrates.

Guo, Fang; You, Jun*; Wu, Wenju; Yu, Yanchao*; Jing, Bin; Liu, Bo

Chin. J. Org. Chem. **2021**, 41(5), 1968

CONTENT

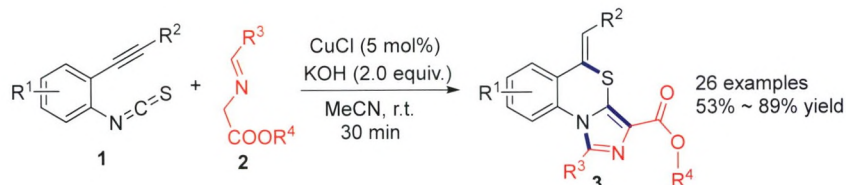
A New Fluorescent Probe for Hypochlorous Acid Based on Chlorinium Ions Recognition Mechanism and Its Bio-imaging Research in Living Cells



Zhao, Yun*; Li, Yanfang; Li, Rongxiao; Wang, Yaqing; Fan, Xiaoxia
Chin. J. Org. Chem. **2021**, 41(5), 1974

A simple phenothiazine-indanone conjugated fluorescent probe **PIOCI** for HOCl based on the chlorinium ions induced the unique electrophilic substitution reaction under the physiological conditions was designed and synthesized. The probe **PIOCI** has successfully used to detected the endogenous and exogenous HOCl in living cells.

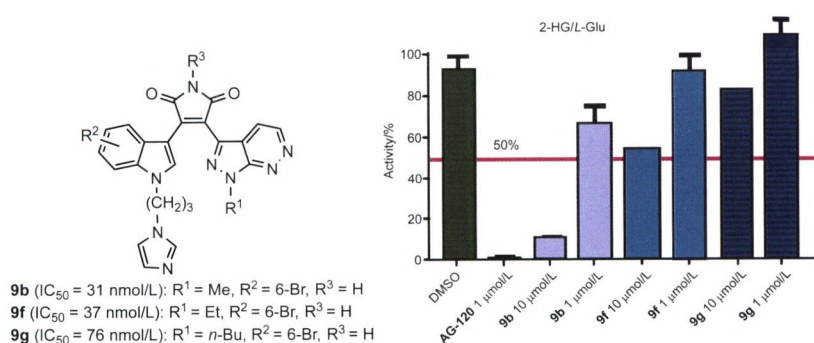
A Rapid Synthesis of 5*H*-Benzo[*d*]imidazo[5,1-*b*][1,3]thiazines via Copper(I)-Catalyzed Cascade Bicyclization of *o*-Alkynylphenyl Isothiocyanates with Ethyl (*E*)-2-(Benzylideneamino)acetates



Zhang, Yahui; Wu, Wenjin; Zhang, Kexin; Li, Shuangshuang; Hao, Wenyan*
Chin. J. Org. Chem. **2021**, 41(5), 1982

A rapid and efficient method for the synthesis of 5*H*-benzo[*d*]imidazo[5,1-*b*][1,3]thiazines via the copper(I)-catalyzed tandem bicyclization of *o*-alkynylphenyl isothiocyanates with (*E*)-2-(benzylideneamino)acetates has been reported.

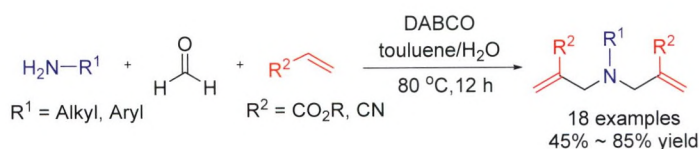
Synthesis and Evaluation of 3-(Indol-3-yl)-4-(pyrazolo[3,4-*c*]pyridazin-3-yl)maleimides as Potent Mutant Isocitrate Dehydrogenase-1 Inhibitors



Xu, Meng; Gao, Shenyan; Zeng, Yuanxu; Gao, Anhui; Gao, Lixin; Xu, Lei; Zhou, Yubo; Gao, Jianrong; Ye, Qing*; Li, Jia*
Chin. J. Org. Chem. **2021**, 41(5), 1991

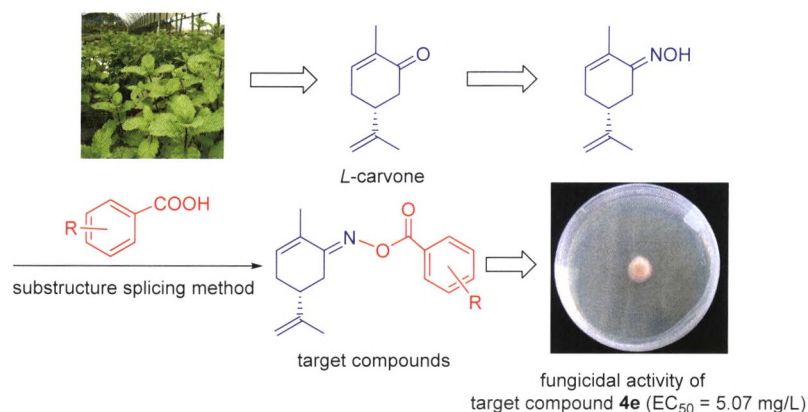
A series of novel 3-(indol-3-yl)-4-(pyrazolo[3,4-*c*]pyridazin-3-yl)maleimides were prepared and identified as potent IDH1 inhibitors. Among them, compound **9b** has the highest inhibitory activity, and its IC_{50} value reaches 37 nmol/L.

Double *aza*-Morita-Baylis-Hillman Domino Reaction to Access Amino Derived 1,6-Dienes



Peng, Futao; Huang, Liliang; Huang, Junhai*; Feng, Huangdi*
Chin. J. Org. Chem. **2021**, 41(5), 2001

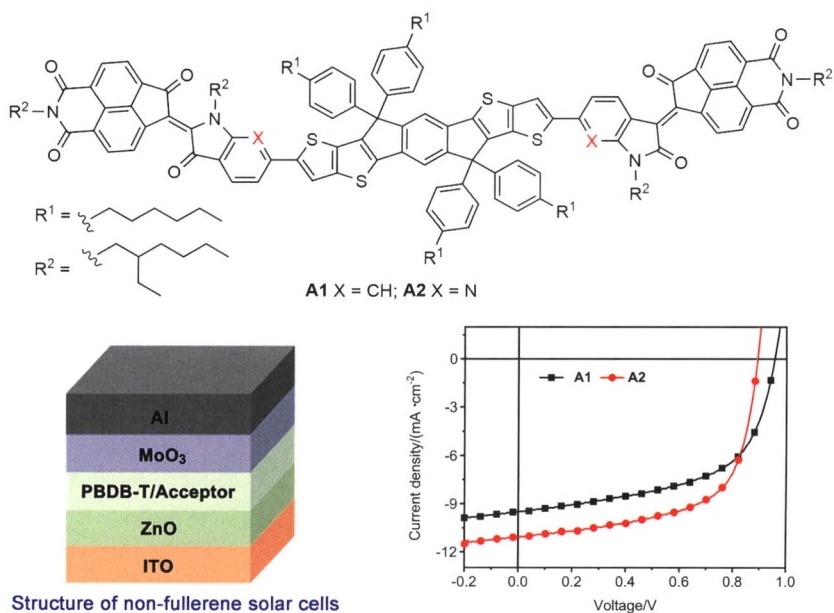
1,4-Diazabicyclo[2.2.2]octane-mediated double *aza*-Morita-Baylis-Hillman reaction of primary amine, formaldehyde and activated alkene was developed. The method provides a general and convenient approach to the synthesis of various amino derived 1,6-dienes in moderate to high yield.

Design, Synthesis, Crystal Structure, and
Fungicidal Activity of *L*-Carvone Deriva-
tives Containing an Oxime Ester Moiety

L-Carvone is a natural product with fungicidal activity. Herein, a series of *L*-carvone derivatives containing an oxime ester moiety was synthesized by splicing active sub-structure strategy with *L*-carvone as the lead compound. Fungicidal activities of *L*-carvone derivatives were evaluated. The results indicated that these *L*-carvone deriva-
tives have good development and application prospects.

Jin, Can; Deng, Xile; Zhou, Yong; Zhou, Xiaomao*

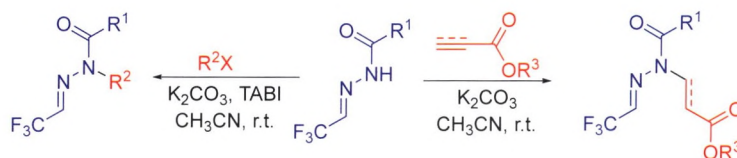
Chin. J. Org. Chem. **2021**, 41(5), 2008

Synthesis and Optoelectronic Properties
of A-D-A Type Small Molecule Acceptors
Containing Isatin-Fused Acenaphthene-
quinone Imide Terminal Groups

Two novel A-D-A type small molecule acceptors (**A1** and **A2**) are designed and synthe-
sized by using isatin-fused acenaphthenequinone imide or nitrogen-doped isatin-fused
acenaphthoquinone imide as the terminal groups and indacenodithiophene derivative as
the donor core. The effect of pyridal nitrogen on the molecular structure, optical absorp-
tion, energy level, and energy conversion efficiency of **A1** and **A2** is studied systemati-
cally.

Wu, Sai; Tao, Wuxi; Wang, Guo; Zhao, Bin*;
Chen, Huajie*

Chin. J. Org. Chem. **2021**, 41(5), 2019

Study on *N*-Alkylation Reaction of Trifluo-
romethylated Acylhydrazones

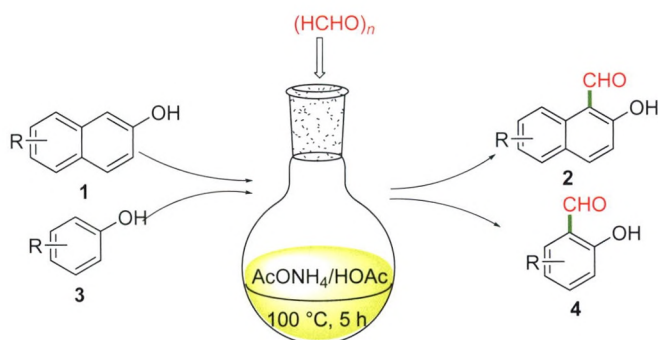
Yang, Jinyu; Huang, Danfeng; Wang, Kehu;
Wang, Junjiao; Su, Yingpeng; Deng, Zhou-
bin; Yang, Tianyu; Hu, Yulai*

Chin. J. Org. Chem. **2021**, 41(5), 2029

The *N*-alkylation reaction of trifluoromethylated acylhydrazones with halogenated
hydrocarbons or α,β -unsaturated esters was investigated, and a series of *N*-alkyl acylhy-
draones compounds containing trifluoromethyl group were obtained in good yield.

CONTENT

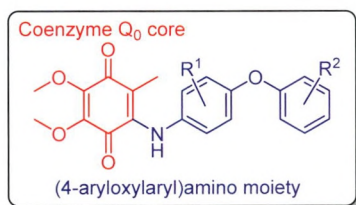
Formylation of Phenols and Paraformaldehyde Catalyzed by Ammonium Acetate



The ammonium acetate-catalyzed *ortho*-formylation reaction of naphthols with paraformaldehyde as a formylation reagent in acetic acid solution is described. A series of *ortho*-hydroxynaphthaldehydes are prepared in moderate to good yields. Several phenols can also be transformed into corresponding salicylaldehydes under the same reaction conditions.

Li, Qian; Yang, Li; Liu, Wei; Wang, Tianyun; Zhu, Yuejie; Du, Zhengyin*
Chin. J. Org. Chem. **2021**, 41(5), 2038

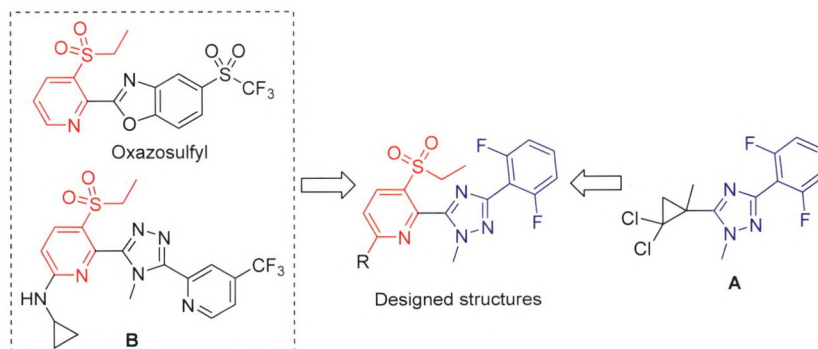
Synthesis and Biological Activities of Coenzyme Q Derivatives Containing (4-Aryloxyaryl)amino Moiety



A new series of coenzyme Q derivatives containing (4-aryloxyaryl)amino moiety were designed, synthesized, and fully characterized. Moreover, the *in vitro* inhibitory activities of these compounds against succinate-cytochrome *c* reductase (SCR) as well as their *in vivo* bactericidal, herbicidal and insecticidal activities were evaluated.

Qin, Xiaotian; Zhang, Junchao; He, Yuqing; Zhang, Rui; Cheng, Hua*; Chen, Cheng*; Qin, Xin*
Chin. J. Org. Chem. **2021**, 41(5), 2045

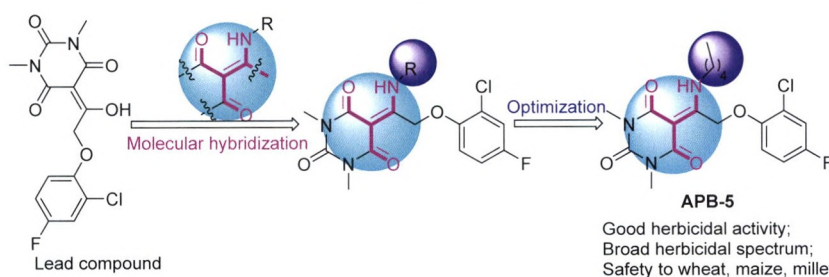
Synthesis and Insecticidal Activity of 3-Ethyl Sulfone Pyridine Substituted Aryl Triazole Compounds



A series of novel 3-ethylsulfone pyridines containing aryltriazole fragment were prepared, and their insecticidal activities were evaluated.

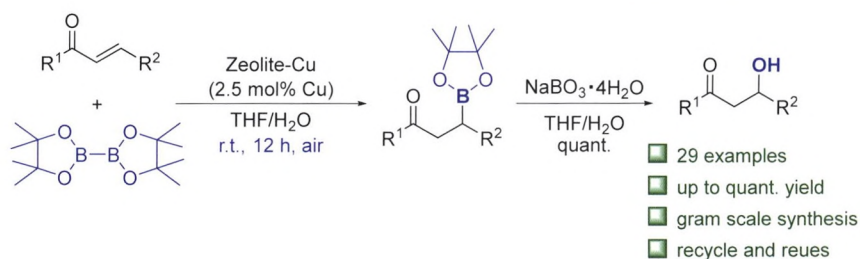
Yao, Yangyi; Ren, Chaoli; Chen, Li; Zhong, Liangkun; Xu, Tianming*; Tan, Chengxia*
Chin. J. Org. Chem. **2021**, 41(5), 2055

Synthesis and Herbicidal Activity of 5-(1-Amino-2-phenoxyethylidene)barbituric Acid Derivatives



26 novel 5-(1-amino-2-phenoxyethylidene)barbituric acid derivatives were designed and synthesized. After evaluating the herbicidal activity, herbicidal spectrum and crop safety under greenhouse condition, compound **APB-5** was confirmed as a potential herbicidal lead compound. The study of molecular mode of action revealed that compound **APB-5** has a similar herbicidal mechanism to 2,4-D.

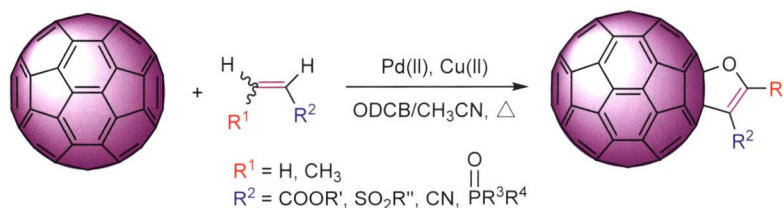
Wang, Chaochao; Liu, Hui; Zhao, Wei; Li, Pan; Ji, Lusha; Liu, Renmin; Lei, Kang*; Xu, Xiaohua*
Chin. J. Org. Chem. **2021**, 41(5), 2063

Zeolite Immobilized Copper Catalyzed
Conjugate Borylation of α,β -Unsaturated
Compounds in Aqueous Media

A new β -borylation strategy towards α,β -unsaturated acceptors using easily prepared zeolite immobilize copper catalyst was reported. This method exhibited quite a broad substrate scope and the desired products were obtained in good to excellent yields with broad substrate scope. Remarkably, this zeolite supported copper catalyst could be recovered easily by simple centrifugation and reused for seven times without any significant decrease of catalytic activity.

Yan, Feng; Zhou, Lijie; Han, Biao; Zhang, Yaoyao*; Li, Bojie*; Wang, Liansheng; Zhu, Lei*

Chin. J. Org. Chem. **2021**, 41(5), 2074

Palladium-Catalyzed Synthesis of Dihydrofuran-Fused [60]Fullerene Derivatives
via Heteroannulation of Olefins

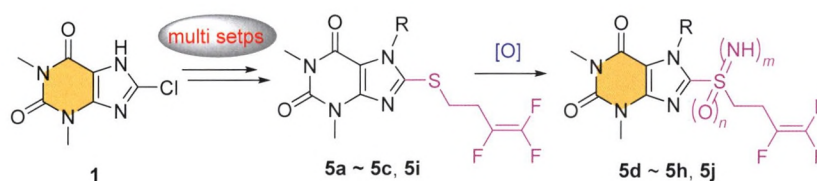
Zhu, San'e*; Dou, Lifeng; Zhang, Jianhui; Wu, Ying; Yang, Wei; Lu, Hongdian; Wei, Chunxiang; Deng, Chonghai; Dong, Qiang*

Chin. J. Org. Chem. **2021**, 41(5), 2082

A series of monosubstituted and disubstituted dihydrofuran-fused [60]fullerene derivatives were synthesized by heterocyclic reaction using palladium chloride as catalyst, copper trifluoromethanesulfonate as oxidant, olefin and [60] fullerenes as raw materials. A possible reaction mechanism was proposed.

NOTES

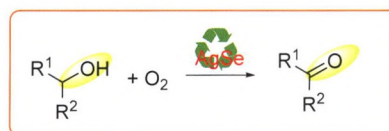
Synthesis and Biological Activities of Novel 8-((3,4,4-Trifluorobut-3-en-1-yl)thio)-substituted Methylxanthines and Their Derivatives



A series of novel 8-((3,4,4-trifluorobut-3-en-1-yl)thio)-substituted methylxanthines and their derivatives were designed and synthesized, and their biological activities were investigated. The relationships between the structures and bioactivities of the compounds were summarized. The insecticidal leading structures found in this work will provide useful information for further research and development of new agrochemicals.

Liu, Hang; Zhang, Shuyun; Li, Huan; Zhang, Yan; Li, Zhengming; Wang, Baolei*

Chin. J. Org. Chem. **2021**, 41(5), 2091

Silver Selenide as the Novel Catalytic
Material for Alcohol Oxidation

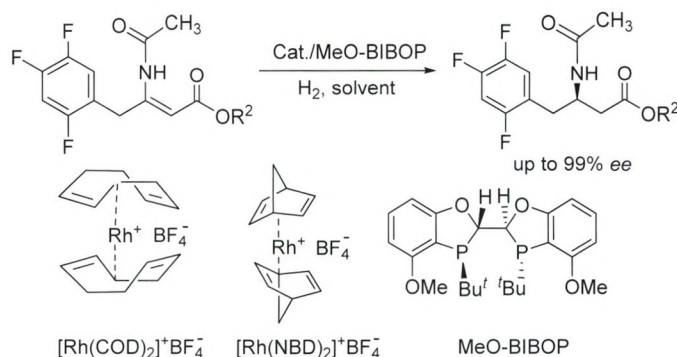
The easily prepared Ag/Se material possessed very strong oxygen carrier features and could activate the molecular oxygen to achieve the selective oxidation of primary and secondary alcohols to synthesize ketones and aldehydes. Ag/Se as the novel Se-containing catalytic material may be comprehensively applied in future and significantly pushes forward the development of the chemistry of Se catalysis.

Liu, Feng*; Zhan, Jie; Sun, Yangyang; Jing, Xiaobi*

Chin. J. Org. Chem. **2021**, 41(5), 2099

CONTENT

Rhodium/(2*S*,2'*S*,3*S*,3'*S*)-3,3'-Di-*tert*-butyl-4,4'-dimethoxy-2,2',3,3'-tetrahydro-2,2'-bibenzo[*d*][1,3]oxaphosphole (MeO-BIBOP) Catalyzed Synthesis of (*R*)-3-*tert*-Butoxy-carbonylamino-4-(2,4,5-trifluorophenyl)butyric Acid by Asymmetric Reduction of Enamines



[Rh(NBD)₂]⁺BF₄⁻/MeO-BIBOP catalyst has high stereoselectivity for the hydrogenation of *N*-acetylenamine ester, reaching 99% *ee*. Finally, 2,4,5-trifluorophenylacetic acid (**1**) was used as starting material with Mildrum's acid (**2**) through condensation, alcoholysis, condensation, amino acetylation, asymmetric hydrogenation, hydrolysis and amino protection to synthesize the target product with 61% yield in total and 99.62% purity. It provides a practical and efficient synthetic method for its scale-up production.

Sheng, Li; Gao, Haoling*; Wu, Xufeng; Fan, Gang; Liu, Pengcheng
Chin. J. Org. Chem. **2021**, 41(5), 2105

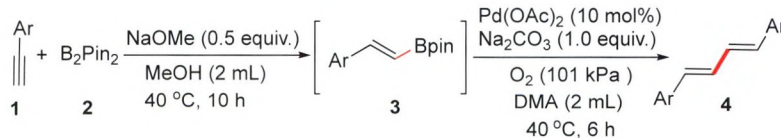
Three New Phenanthraquinones from the Root of *Dendrobium nobile*



Liu, Yinghao; Lin, Fangxia; Tan, Yinfeng; Yang, Jingyu; Zhang, Bin; Zhou, Xueming*; Song, Xinming*
Chin. J. Org. Chem. **2021**, 41(5), 2112

Three new phenanthraquinones, phenanobiles A~C, together with two known phenanthraquinones were isolated from the root of *Dendrobium nobile*. These five compounds exhibited inhibitory effects with IC₅₀ values ranging from 3.2 to 31.5 μmol·L⁻¹.

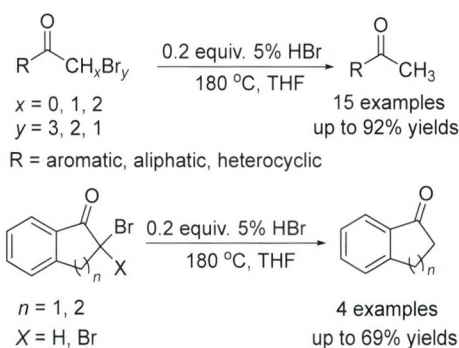
Synthesis of Symmetrical (*E,E*)-1,4-Diaryl-1,3-butadienes by One-Pot Method



Using terminal alkyne and bis(pinacolato)diboron as raw materials and NaOMe as the base, alkenyl borates were formed, and a series of 1,3-butadienes were directly synthesized via palladium-catalyzed homocoupling reaction of alkenyl borates. This one pot method is simple and mild, which provides a simple way for the synthesis of a series of 1,3-butadienes.

Liang, Jingru; Wang, Bingying; Huang, Chengyin; Ye, Xiaojun; Wen, Yanmei*
Chin. J. Org. Chem. **2021**, 41(5), 2116

Study on the Debromination of α -Bromo-methyl Ketones Catalyzed by HBr

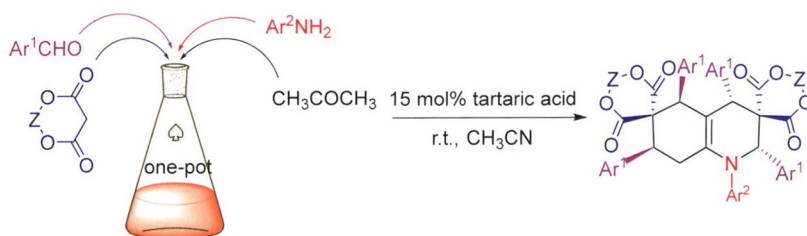


isolated yield of 94% by reacting at 180 °C for 5~14 h

Zheng, Mengxia; Zeng, Jing; Meihemuti, Mailikezhati*; Abulikemu, Abudu Rexit*
Chin. J. Org. Chem. **2021**, 41(5), 2121

The debromination reaction of α -bromomethylketone compounds was achieved by using water as the hydrogen source under the catalysis of HBr. Using α -bromomethylketones as raw materials, tetrahydrofuran as solvent, when the molar ratio of α -bromomethylketone to 5% mass fraction hydrobromic acid was 1.0 : 0.2, the debrominated product could be obtained with a

A Four-Component Reaction for the Synthesis of Dispirotetrahydroquinoline-bis-(1,3-dioxane-4,6-dione) Derivatives Catalyzed by Tartaric acid

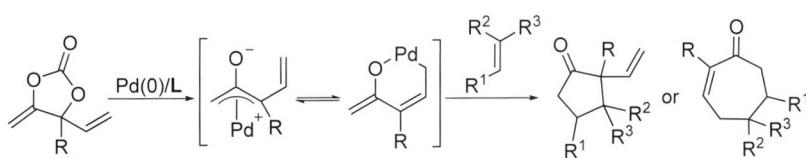


Eleven dispiro[tetrahydroquinoline-bis(1,3-dioxane-4,6-dione)] derivatives were synthesized by a four-component reaction of 1,3-dioxane-4,6-dione, aromatic aldehydes, arylamines and acetone in the presence of tartaric acid. This method can provide the advantages of high yields, broader substrate scope, mild conditions, simple operation and environmental friendliness.

Xu, Zhaohui*; Ye, Huatao; Zhang, Wenfeng; Xiao, Qiang*
Chin. J. Org. Chem. **2021**, 41(5), 2127

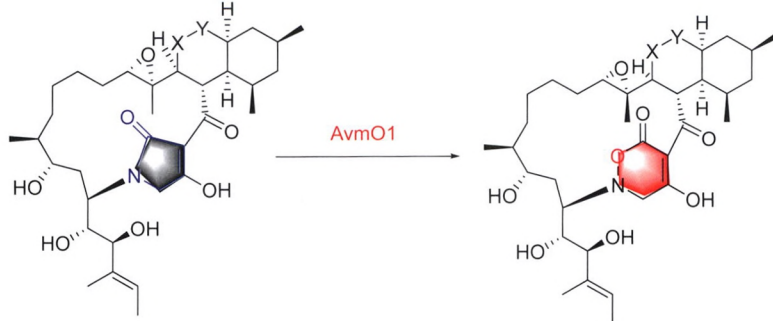
HIGHLIGHTS

Vinyl Methylene Cyclic Carbonate: A New Type of Synthon for Pd-Catalyzed Cycloaddition Reactions



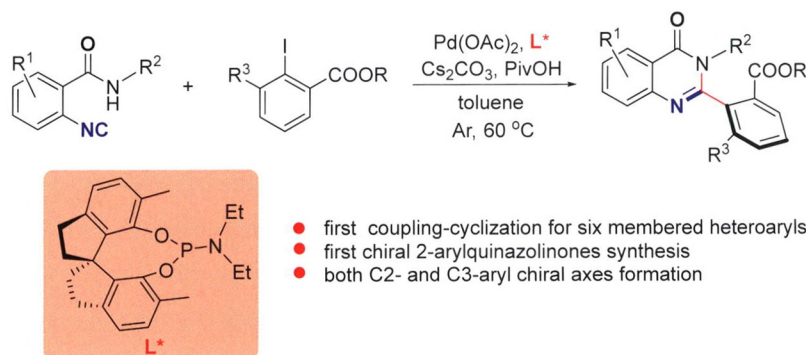
Hao, Xianghong; Guo, Hongchao*
Chin. J. Org. Chem. **2021**, 41(5), 2134

A Flavin-Dependent Monooxygenase Catalyzing Bayer-Villiger Reaction in an Amide Bond



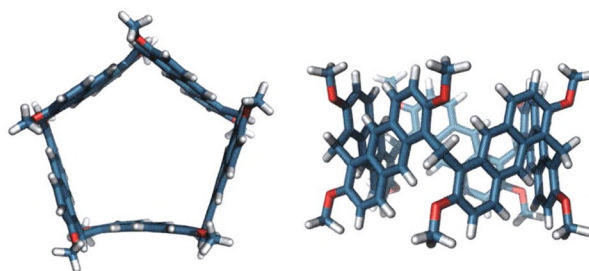
Tang, Zhijun; Liu, Wen*
Chin. J. Org. Chem. **2021**, 41(5), 2136

Pd-Catalyzed Enantioselective Synthesis of Axially Chiral 2-Aryl-quinazolinones



Zhang, Hong; Cui, Xiuling*
Chin. J. Org. Chem. **2021**, 41(5), 2138

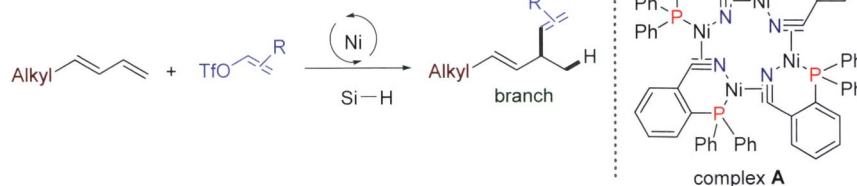
Pagoda[5]arene: An Emerging Anthracene-Based Macrocyclic Arene with a Deep and Well-Defined Cavity



Wang, Yanfang; Jiang, Wei*
Chin. J. Org. Chem. **2021**, 41(5), 2141

CONTENT

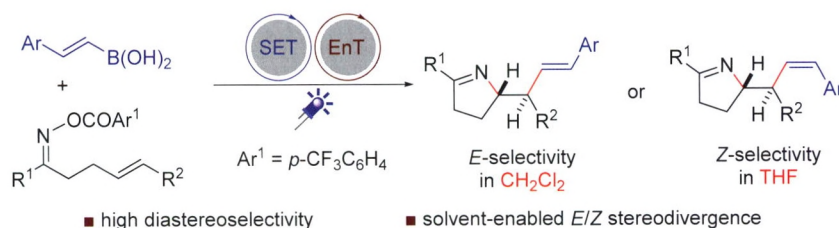
Regiocontrolled Reductive Vinylation of Aliphatic 1,3-Dienes



Tao, Xianghua; Gong, Hegui*

Chin. J. Org. Chem. **2021**, 41(5), 2143

Photoredox-Catalyzed Iminoalkenylation of Alkenes for Diastereoselective and Stereodivergent Synthesis of 2-Cinnamylpyrrolines



Zhou, Xuesong; Chen, Jiarong*

Chin. J. Org. Chem. **2021**, 41(5), 2146

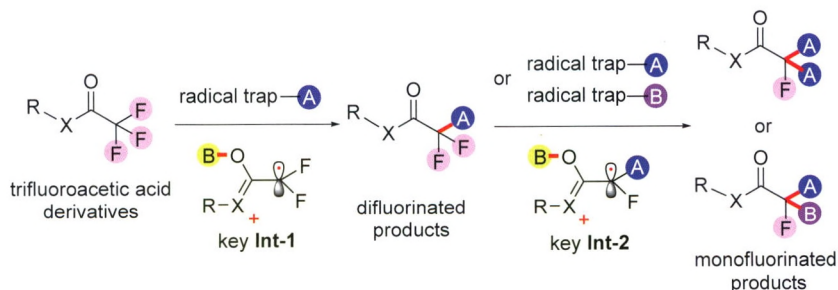
Synergistic Visible Light Catalysis/Organocatalysis for Selective Oxidation of Sulfides



Jin, Weiwei; Liu, Chenjiang*

Chin. J. Org. Chem. **2021**, 41(5), 2148

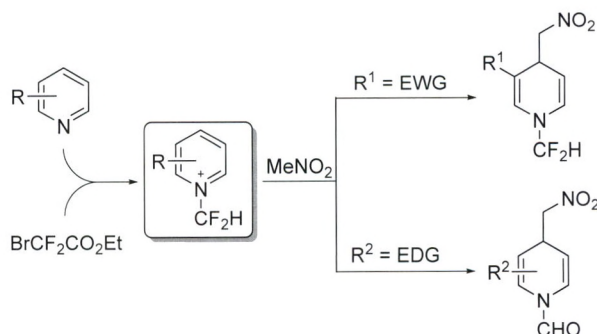
Stepwise C(sp³)—F Bond Functionalizations of Trifluoroacetic Acid Derivatives



Ge, Danhua; Chu, Xueqiang*

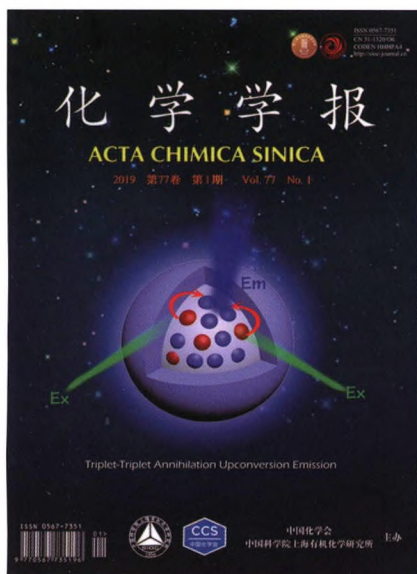
Chin. J. Org. Chem. **2021**, 41(5), 2150

Dearomatization of *N*-Difluoromethylpyridinium Salts



Li, Yanlin; Wang, Xi-Sheng*

Chin. J. Org. Chem. **2021**, 41(5), 2152



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

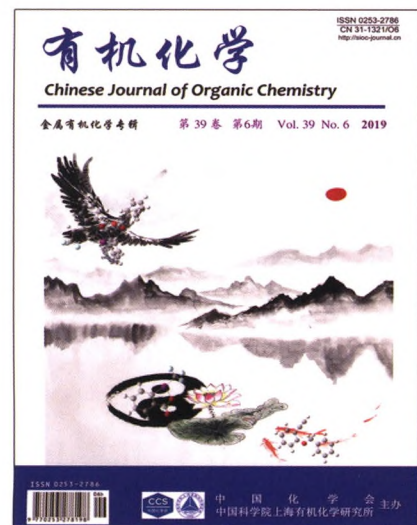
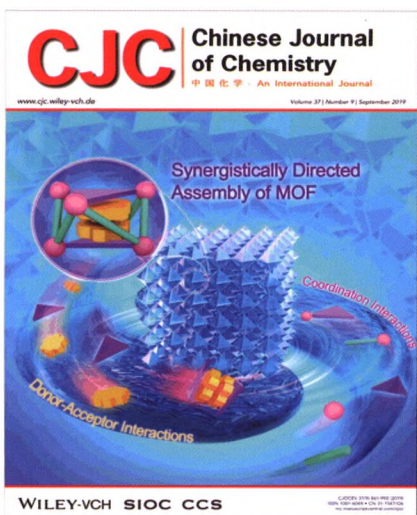
中国化学

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