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目次

综述与进展

C(sp ³)-H 键直接催化硅基化反应研究进展	程 昇 胡荣静* 陈晓琪 杨 浩 牛晓康 杨 磊*	(323)
氮宾/炔烃复分解串联反应研究进展	洪科苗 黄晶晶 姚铭瀚 徐新芳*	(344)
CF ₃ Br 参与的三氟甲基化反应研究进展	马然松 邓周斌 王克虎 黄丹凤 胡雨来* 闫肖波	(353)
基于有机光致变色的聚集诱导发光分子的研究进展	丁 伟 程勃雯 王 萌 窦清玉* 李思颖 张 鹏 罗千福*	(363)
硅亲电试剂参与碳硅交叉偶联反应研究进展	从思琪 刘梦亚 彭思远 郑秋翠 李梦娇 郭 艳 罗斐贤*	(384)
铈(III)催化的 C(sp ³)-H 官能团化	韩高旭 许红涛* 侯 卫*	(391)
手性化合物绝对构型确定的方法与应用	葛 锐 朱园园 王海峰* 古双喜*	(424)
烷基、芳基和氟烷基硒化反应的研究进展	李 珊 曹 原 蒋绿齐*	(434)
烯基自由基参与的分子内氢原子转移反应的新进展	乐柏佟 吴新鑫 朱 晨*	(458)
乙烯基/芳基磷盐在有机合成中的研究进展	黄卫春 丁欣宇* 訾 由*	(471)

研究论文

布朗斯特酸催化合成亚砷亚胺基二氢吡喃酮类衍生物	孙鑫浩 段学伦 宋汪泽* 姜文凤*	(487)
基于 DIC/Oxyma 的蜘蛛毒素多肽 GsMTx4 的高效合成及活性评价	马艳楠 刘雅妮 王金艳 陈西同 尹 昊 迟巧娜 贾世奎 杜姗姗 齐昀坤* 王克威	(498)
苯并[e][1,2,3]噁噻嗪-2,2-二氧化物的烯丙基化反应研究	王 凤 黄丹凤* 赵鹏飞 杨 明 韩侗育 王克虎 王君蛟 苏瀛鹏 胡雨来*	(507)
Palmarumycin B ₆ 类似物的合成及杀蚊活性	刘鑫磊 许磊川 安鑫鲲 蒋家珍 王明安*	(519)
一锅法合成两种含有季碳中心的氰基化合物	任新意 王广柱 纪晓雷 董开武*	(526)

* 通讯联系人.

Ag-Cu 负载的胺基石墨烯催化 β -羟基-1,2,3-三唑绿色合成研究	黄 强* 邓婷婷 朱佳运 李 军 黎飞飞	(534)
二氢噁唑并[5,4- <i>d</i>]吡咯并[1,2- <i>a</i>]嘧啶酮的合成及生物活性研究	曾 艳 聂礼飞 牛 超 阿依提拉·麦麦提江 Khurshed Bozorov 赵江瑜 阿吉艾克拜尔·艾萨*	(543)
新型泰乐菌素衍生物的设计合成和活性评价	王焕焕 杨 璞 翟洪进 张 烁 曹亚权 杨莹雪 吴春丽*	(557)
基于 9,9'-螺二茆和萘的纯碳氢主体材料	郑 琦 文 亚 屈扬坤 朱元皓 冯敏强* 蒋佐权*	(572)
<i>ent</i> -Kaurene 全碳骨架中 AB 环系的不对称合成	马文静* 朱礼志 章梦珣 李志成*	(580)
新型 5 位与 6 位取代的吡啶类衍生物的合成及抗肿瘤活性研究	曹亚权 杨莹雪 翟洪进 王 锦 张 烁 王焕焕 杨 璞 吴春丽*	(590)
无金属条件下亚磺酸钠与酚类化合物形成芳基磺酸酯的电化学合成反应	付拯江* 杨振江 孙 丽 尹 健 伊学政 蔡 琰* 雷爱文*	(600)
含取代吡啶新型苯甲酰胺类化合物的合成及抗真菌活性研究	王 伟 武复冉 马一丹 徐 丹* 徐 功*	(607)
吡啶吡啶喹啉铱负载催化剂的合成及在 2-氨基苯并噻唑 <i>N</i> -烷基化反应中的应用	李家豪 刘洪强 张 博 葛冰洋 王大伟*	(619)
温和条件下以芳基胺为原料 CuI 催化下区域选择性合成 3-芳基香豆素	孙亚敏 李锡勇 袁金伟* 余加琳 刘帅楠	(631)
电化学氧化下喹喔啉-2(1 <i>H</i>)-酮的三氟甲基化及电描述符对反应性能的评价	锅小龙 王玉贤 赵志强 王 庆 左 剑* 王陆瑶*	(641)

亮点述评

交流电促进的自由基交叉偶联	陈 娜 徐海超*	(650)
三元催化剂协同催化的不对称三组分烯丙基烷基化反应	龚流柱*	(652)
新型轴手性苯乙烯类硫脲-叔胺催化剂的设计与应用	闫海龙*	(654)
镍催化环内烯烃的区域立体选择性烷硼基化反应	杨 超 齐湘兵*	(657)
镍氢催化炔酮的不对称反式环化反应构建环内杂环烯丙醇	何玉立 朱少林*	(659)
镍/手性羧酸钠双催化体系实现羟胺的不对称 <i>O</i> -炔丙基化	孙洪宝 钮大文*	(662)

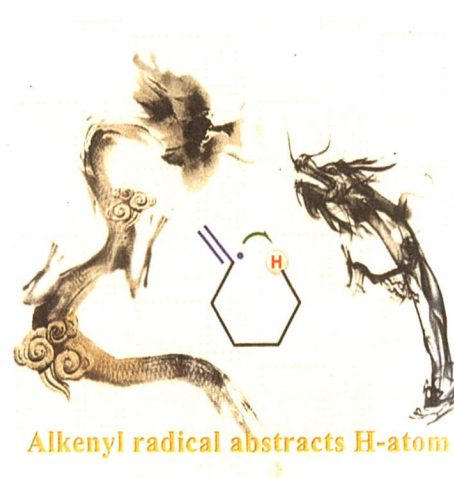
Cover Picture: Rhodium(III) Catalyzed C(sp³)—H Functionalization

C(sp³)—H activation is a challenging task due to the stronger bond energy and no π electron that is easily to interact with the metal center. The research progress in Rh(III) catalyzed C(sp³)—H functionalization has been reviewed for by Han, Xu and Hou on page 391. The advantages and limitations have been discussed, and the potential development directions have been prospected.



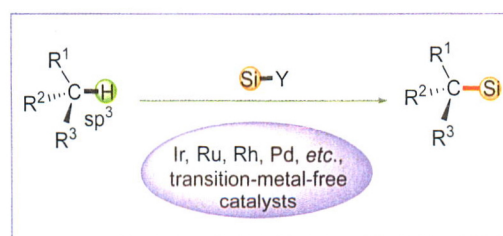
Inside Cover: Recent Advances in Vinyl Radical-Mediated Hydrogen Atom Transfer

Vinyl radical-mediated hydrogen atom transfer (HAT) has received increasing attention. This protocol provides an efficient pathway for radical cyclization and regioselective C(sp³)—H bond functionalization. This review by Zhu et al. summarizes the recent advances in this research area. The recent advances in this area are summarized by Yue, Wu and Zhu on page 458.



REVIEWS

Recent Progress in Direct Catalytic C(sp³)—H Silylation Reactions

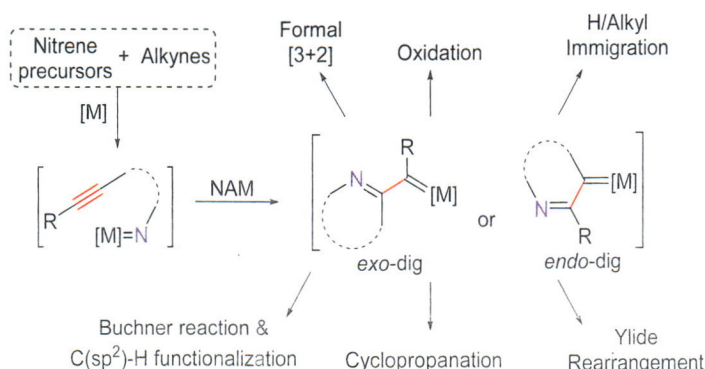


Cheng, Yi; Hu, Rongjing*; Chen, Xiaoqi; Yang, Hao; Niu, Xiaokang; Yang, Lei*
Chin. J. Org. Chem. **2022**, 42(2), 323

The recent progress of direct catalytic silylation of C(sp³)—H bonds is reviewed, according to the atom type adjacent to the C(sp³)—H bond. The substrate compatibility, reaction mechanism and application scope of related reactions are mainly discussed. An outlook in this research field is also prospected.

CONTENT

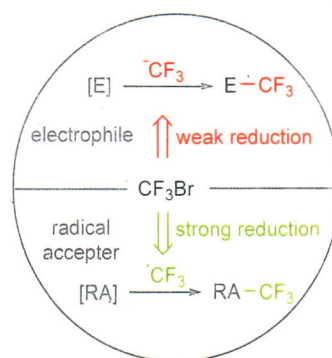
Recent Advances in Nitrene/Alkyne Metathesis Cascade Reaction



The recent progress in the nitrene/alkyne metathesis cascade reaction is highlighted after a briefly introduction of the nitrene chemistry by focusing on the pioneering and seminal advances. These cascade reactions terminated by a variety of transformations via key α -imino carbene intermediates, providing a modular method for the expeditious construction of complex *N*-heterocycles with high atom economy and bond formation efficiency. Finally, the remaining challenging and potential synthetic applications of this method are also prospected.

Hong, Kemiao; Huang, Jingjing; Yao, Minghan; Xu, Xinfang*
Chin. J. Org. Chem. **2022**, 42(2), 344

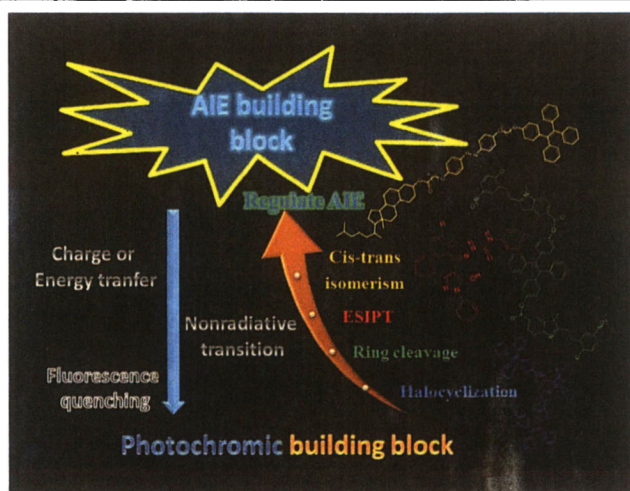
Research Progress of Trifluoromethylation Involving CF₃Br



Ma, Ransong; Deng, Zhoubin; Wang, Kehu; Huang, Danfeng; Hu, Yulai*; Lü, Xiaobo
Chin. J. Org. Chem. **2022**, 42(2), 353

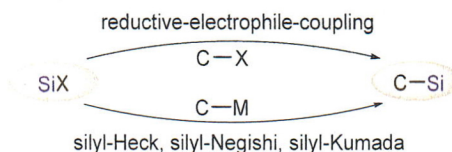
The recent progress in trifluoromethylation with CF₃Br as trifluoromethyl source is reviewed based on the reaction types. The further development prospects of this areas are also prospected.

Advances in Aggregation-Induced Emission Molecules Based on Organic Photochromism



The research progress and application of photochromic aggregation-induced emission (AIE) molecules are reviewed since the development of AIE materials. These molecules are generally obtained by combining AIE building blocks with photochromic framework through coupling, self-assembly, polymerization, and doping. Under certain circumstances, AIE behavior can also be reversibly regulated through the process of photochromism.

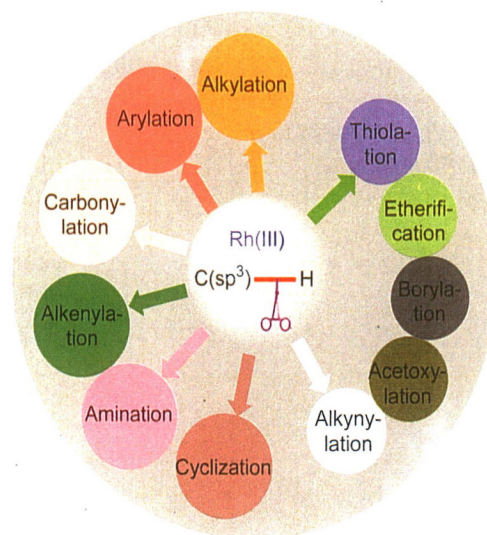
Ding, Wei; Cheng, Bowen; Wang, Meng; Dou, Qingyu*; Li, Siying; Zhang, Peng; Luo, Qianfu*
Chin. J. Org. Chem. **2022**, 42(2), 363

Cross-coupling of C—Si Bond by Using
of Silyl Electrophiles

Cong, Siqi; Liu, Mengya; Peng, Siyuan;
Zheng, Qiucui; Li, Mengjiao; Guo, Yan; Luo,
Feixian*

Chin. J. Org. Chem. **2022**, 42(2), 384

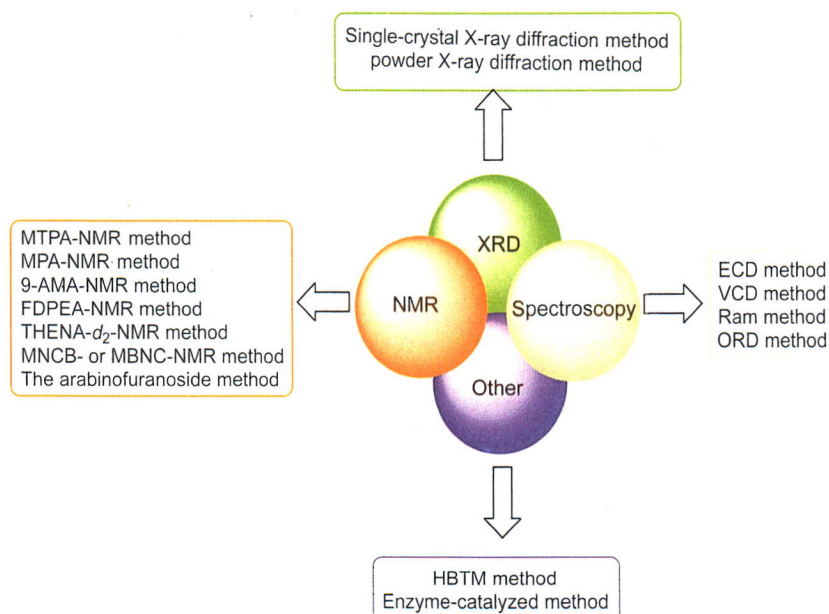
In this review, the recent progress on the cross-coupling of C—Si bond by using of silyl electrophiles is summarized, including silyl-Heck, silyl-Negishi, silyl-Kumada and silyl-reductive-electrophile-coupling, multicomponent coupling and radical silylation.

Rhodium(III) Catalyzed C(sp³)—H Func-
tionalization

Han, Gaoxu; Xu, Hongtao*; Hou, Wei*

Chin. J. Org. Chem. **2022**, 42(2), 391

The research progress in Rh(III) catalyzed C(sp³)—H functionalization is reviewed. The successes and limitations are summarized, and the potential development directions are prospected.

Methods and Application of Absolute
Configuration Assignment for Chiral
Compounds

Ge, Rui; Zhu, Yuanyuan; Wang, Haifeng*;
Gu, Shuangxi*

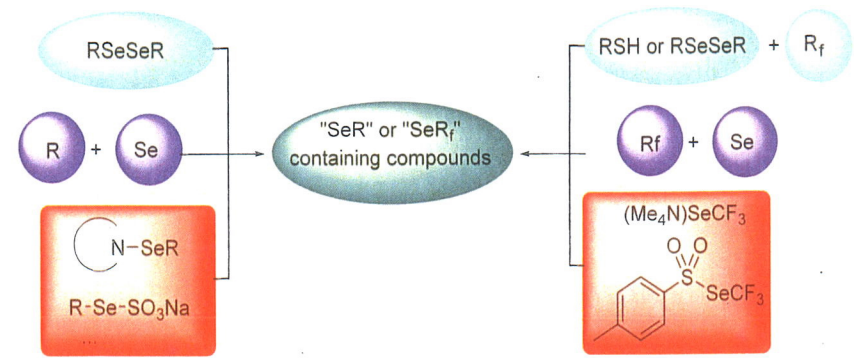
Chin. J. Org. Chem. **2022**, 42(2), 424

Various methods for the absolute configuration (AC) determination for chiral compounds spring up in the past decades. The principles and application of these methods are summarized, which is aimed to afford beneficial references for chiral chemists and related workers.

CONTENT

Recent Progress on Alkyl-, Aryl- and Fluoro-alkylselenenylation Reactions

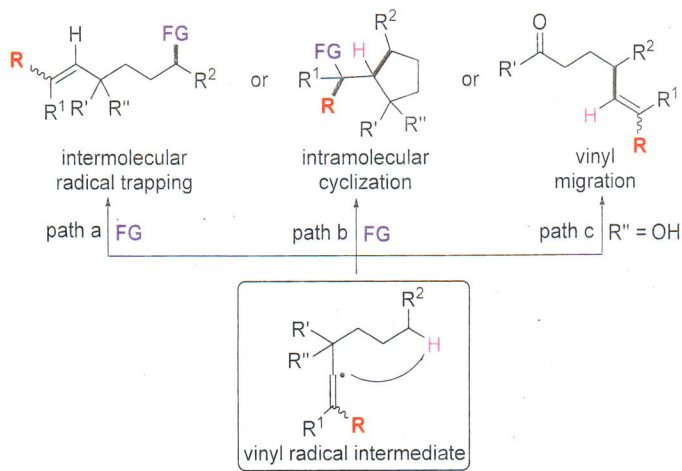
Li, Shan; Cao, Yuan; Jiang, Lüqi*
Chin. J. Org. Chem. **2022**, 42(2), 434



The recent development of alkyl-, aryl- and fluoroalkylselenenylation is summarized, and part of their mechanisms are also discussed.

Recent Advances in Vinyl Radical-Mediated Hydrogen Atom Transfer

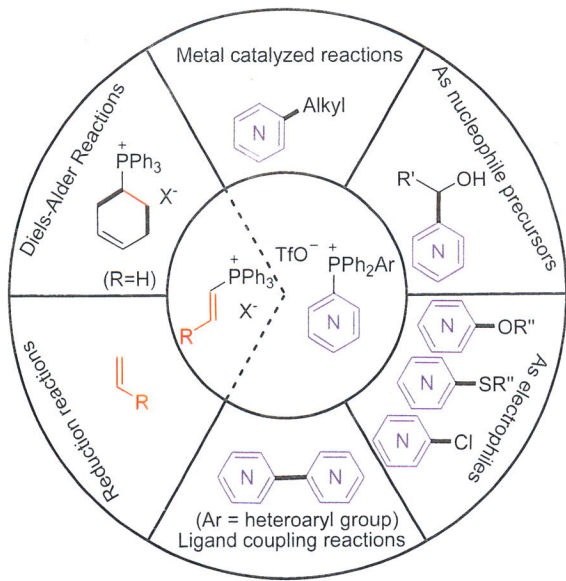
Yue, Baitong; Wu, Xinxin; Zhu, Chen*
Chin. J. Org. Chem. **2022**, 42(2), 458



In recent years, vinyl radical-mediated hydrogen atom transfer (HAT) has received increasing attention. This protocol provides an efficient pathway for radical cyclization and regioselective C(sp³)—H bond functionalization including vinylation, alkynylation, halogenation, arylation, *etc.* The alkyl radical arising from vinyl radical-mediated HAT process undergoes intramolecular addition to alkene, vinyl migration, or intermolecular radical trapping. The recent advances in this area are summarized.

Research Progress of Vinyl/Aryl Phosphonium Salts in Organic Synthesis

Huang, Weichun; Ding, Xinyu*; Zi, You*
Chin. J. Org. Chem. **2022**, 42(2), 471



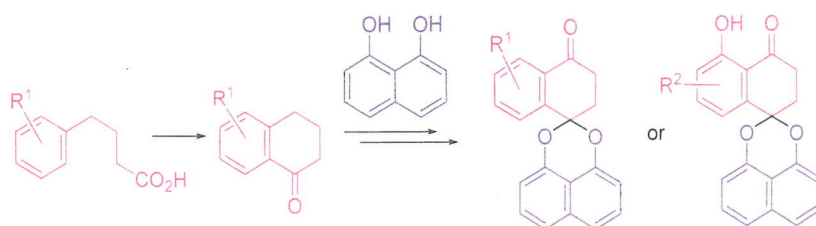
The research progress in the synthesis and applications of vinyl/phosphonium salts is summarized. Different types of reactions referring to vinyl/phosphonium salts to introduce vinyl/aryl groups are classified and discussed. Finally, the challenges and the perspective of the related reactions are also listed.

CONTENT

Synthesis and Larvicidal Activity of Palmarumycin B₆ Analogues

Liu, Xinlei; Xu, Leichuan; An, Xinkun;
Jiang, Jiazhen; Wang, Ming'an*

Chin. J. Org. Chem. **2022**, 42(2), 519

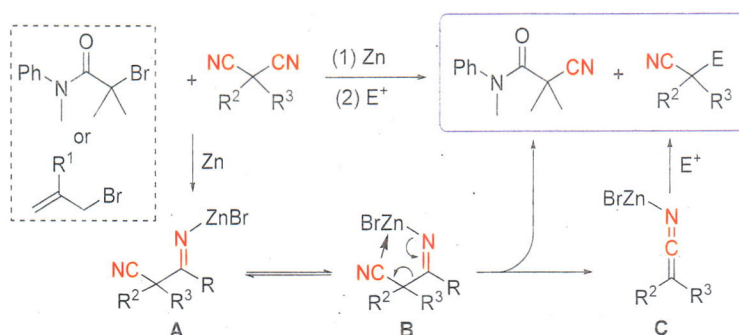


The synthesis of palmarumycin B₆ chlorine- and fluorine-containing analogues at A ring has been achieved via ketalization of substituted 3,4-dihydro naphthalen-1(2H)-one with 1,8-dihydroxynaphthalene and the benzyl oxidation involving pyridinium dichromate and *t*-BuOOH as the key steps, respectively. Their larvicidal activities against *Aedes albopictus* were evaluated.

Synthesis of Two Types of Nitriles Both Bearing Quaternary Carbon Centers in One-Pot Manner

Ren, Xinyi; Wang, Guangzhu; Ji, Xiaolei;
Dong, Kaiwu*

Chin. J. Org. Chem. **2022**, 42(2), 526

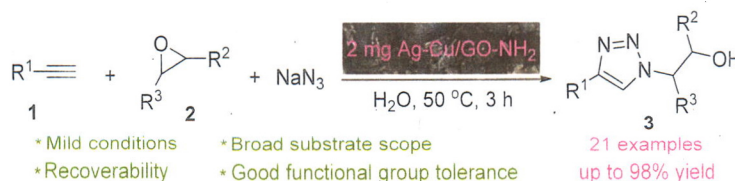


α -Bromocarboxamides and electrophilic cyanide reagent were transformed into the corresponding α -cyanocarboxamides and ketene imine zinc intermediate in the presence of Zn reductant. Trapping of such Zn species with additional electrophiles resulted another type of nitriles, which realized the synthesis of two types of nitriles both bearing quaternary carbon centers in one-pot manner.

Study on the Green Synthesis of β -Hydroxy-1,2,3-triazoles Catalyzed by An Amino-Functionalized Graphene-Supported Ag-Cu Composites

Huang, Qiang*; Deng, Tingting; Zhu, Jiayun;
Li, Jun; Li, Feifei

Chin. J. Org. Chem. **2022**, 42(2), 534

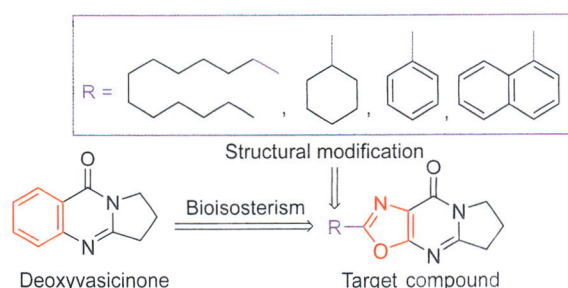


An amino-functionalized graphene-immobilized Ag-Cu composite (Ag-Cu/GO-NH₂) was synthesized. The composite showed high catalytic activity, good recyclability, and easy separability in the green synthesis of β -hydroxy-1,2,3-triazole derivatives under mild reaction conditions resulting in good to excellent yields.

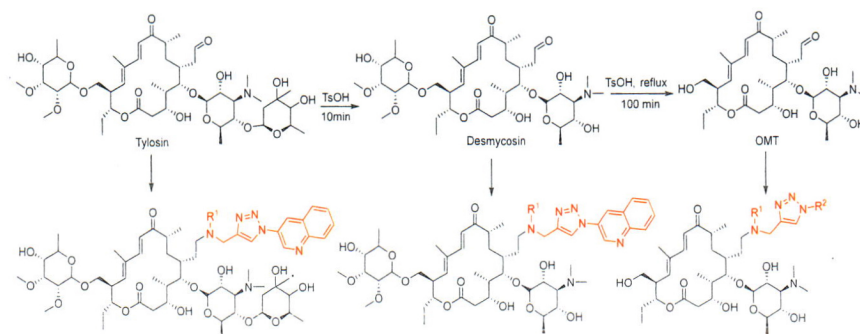
Synthesis and Biological Activities of Dihydrooxazolo[5,4-*d*]pyrrolo[1,2-*a*]pyrimidinones

Nie, Lifei; Niu, Chao; Mamatjan, Aytilla;
Bozorov, Khurshed; Zhao, Jiangyu; Aisa, Haji Akber*

Chin. J. Org. Chem. **2022**, 42(2), 543



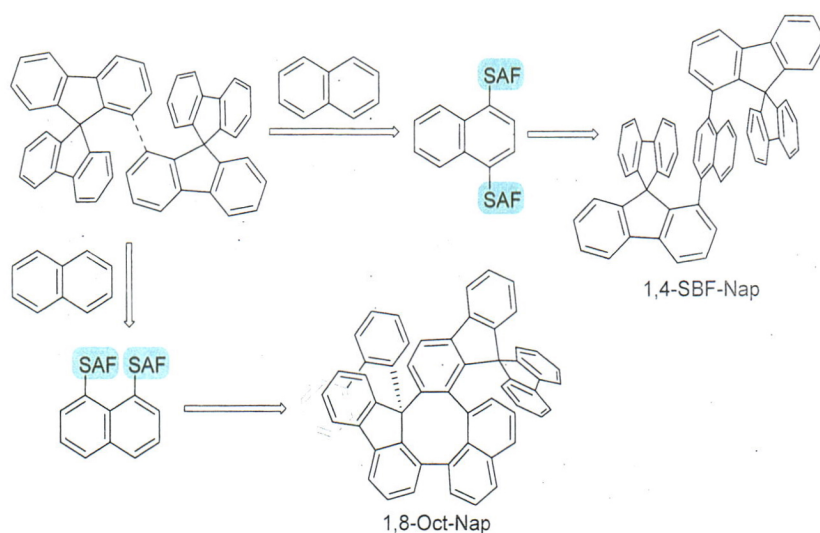
Based on the general framework of deoxyvasicinone alkaloid from natural products, the benzene ring of deoxyvasicinone was replaced by oxazole ring through bioisosterism strategy. The diversity of substituents at position C-2 of oxazole ring was studied. A series of novel dihydrooxazolo[5,4-*d*]pyrrolo[1,2-*a*]pyrimidinone compounds were designed and synthesized. The compounds were evaluated for their anti-proliferation activities against three tumor cells and antimicrobial activities.

Design, Synthesis and Activity Evaluation
of New Tylosin Derivatives

Wang, Huanhuan; Yang, Pu; Zhai, Hongjin;
Zhang, Shuo; Cao, Yaquan; Yang, Yingxue;
Wu, Chunli*

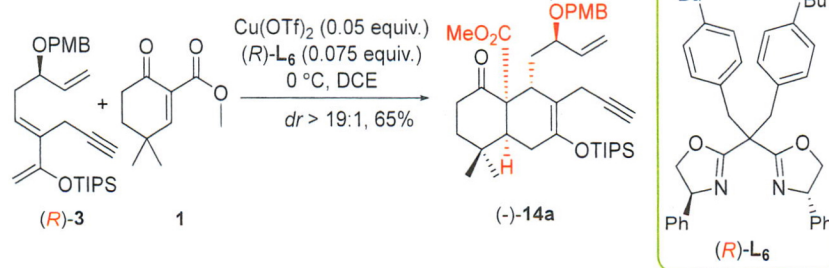
Chin. J. Org. Chem. **2022**, 42(2), 557

Based on general framework of tylosin, the C-20 position of tylosin was modified and introduced side chain containing 3-quinoline or 3-pyridine. A series of novel semi-synthetic derivatives of tylosin compounds were designed and synthesized. The resistant strains and resistant strains were evaluated.

Pure Hydrocarbon Host Materials Based
on 9,9'-Spirobifluorene/Naphthalene Hy-
brid

Zheng, Qi; Wen, Ya; Qu, Yangkun; Zhu, Yu-
anhao; Fung, Mankeung*; Jiang, Zuoquan*
Chin. J. Org. Chem. **2022**, 42(2), 572

Two types of SBF-based pure hydrocarbon (PHC) phosphorescent light-emitting device host materials were synthesized and characterized, by introducing naphthalene, named 1,4-SBF-Nap and 1,8-Oct-Nap. Co-evaporating with Ir(MDQ)₂(acac), the red-light devices based on these two hosts were successfully fabricated, with maximum external quantum efficiencies (EQE) of 15.0% and 13.7% for 1,4-SBF-Nap and 1,8-Oct-Nap, respectively.

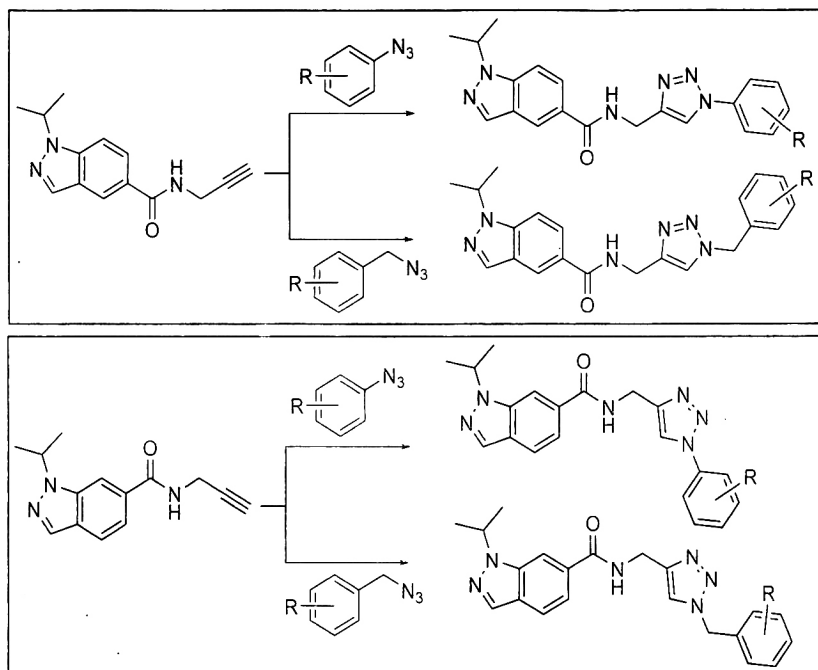
Asymmetric Synthesis of AB Rings in
ent-Kaurene Carbon Framework

Ma, Wenjing; Zhu, Lizhi; Zhang, Mengxun;
Lee, Chising*
Chin. J. Org. Chem. **2022**, 42(2), 580

To enantioselective synthesis *ent*-kaurene natural products, the asymmetric Diels-Alder reaction with silylenol ether dienyl as diene and β -ketoate as dienophile was developed. Using Cu(OTf)₂ as Lewis acid and oxazoline as chiral ligand, AB-ring-skeleton was constructed with 65% yield and >19 : 1 *dr* value. Also, the regioselectivity of Horner-Wadsworth-Emmons reaction was optimized, and a single *Z* configuration was transformed from *Z/E* mixture under acidic condition.

CONTENT

Synthesis and Antitumor Activity of Novel 5- and 6-Substituted Indazole Derivatives

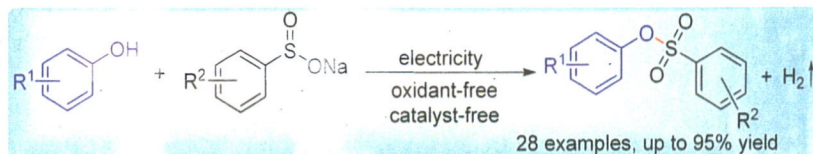


Cao, Yaquan; Yang, Yingxue; Zhai, Hongjin; Wang, Jin; Zhang, Shuo Wang, Huanhuan; Yang, Pu; Wu, Chunli*

Chin. J. Org. Chem. **2022**, 42(2), 590

In order to search for new antitumor drugs with high efficiency and low toxicity, 5- and 6-substituted indazole compounds were designed and synthesized. The antiproliferative activity of target compounds in four human cancer cells, PC-3 (human prostate cancer cell), MCF-7 (human breast cancer cell), HepG-2 (human hepatoma cell) and MGC-803 (human gastric cancer cell), was evaluated by thiazole blue (MTT) method.

Electrochemical Synthesis of Aryl Sulfonates from Sodium Sulfinates and Phenols under Metal-Free Conditions

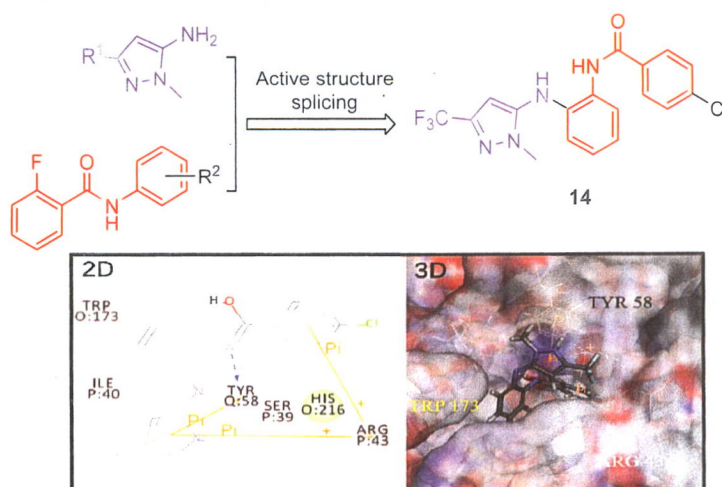


Fu, Zhengjiang*; Yang, Zhenjiang; Sun, Li; Yin, Jian; Yi, Xuezheng; Cai, Hu*; Lei, Aiwu*

Chin. J. Org. Chem. **2022**, 42(2), 600

An electrochemical oxidative sulfonylation of phenols with sodium sulfinates has been established to produce arylsulfonyl esters with good functional group tolerance in moderate to high yields. The synthesis of chlorfenson and a gram-scale reaction have been performed to evaluate the practicality of this green protocol.

Study on Synthesis and Antifungal Activity of Novel Benzamides Containing Substituted Pyrazole Unit

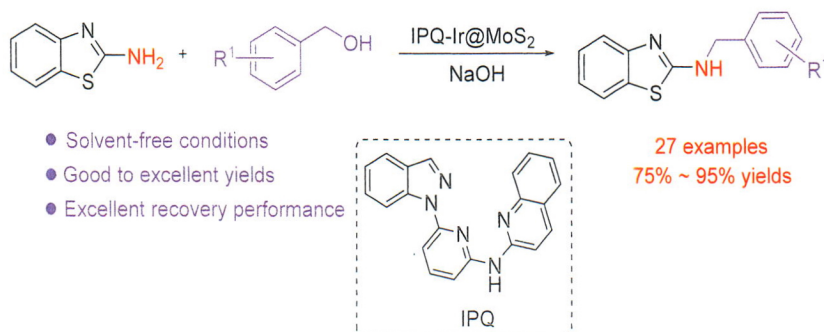


Wang, Wei; Wu, Furan; Ma, Yidan; Xu, Dan*; Xu, Gong*

Chin. J. Org. Chem. **2022**, 42(2), 607

A series of novel 1-methyl-pyrazol-5-yl-amino-phenyl-benzamide derivatives were designed, synthesized and evaluated for their antifungal activities against five fungi (*S. sclerotiorum*, *V. mali*, *C. lunata* (Walk) Boed, *A. alternariae*, and *B. cinerea*). The antifungal bioassay discovered a highly active compound **14** with a broad spectrum of excellent *in vitro* fungicidal activity.

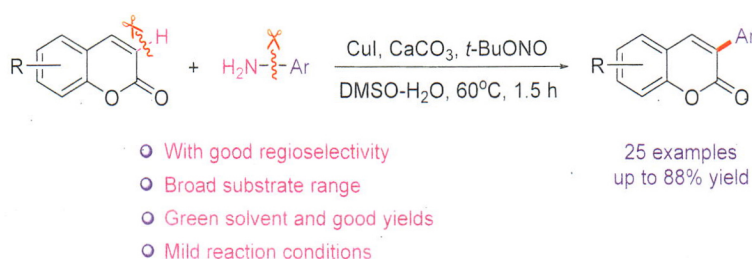
Synthesis of Supported Indazolyl-pyridyl-quinoline Iridium Catalyst and Its Application to *N*-Alkylation of 2-Amino-benzothiazoles



The tridentate indazolyl-pyridyl-quinoline ligand was designed and synthesized, and its iridium complex was successfully loaded on MoS₂ nanosheets. This iridium composite could realize *N*-alkylation of 2-aminobenzothiazole with benzyl alcohol under solvent-free conditions and preliminary mechanism was also carried out to better understand this catalytic system.

Li, Jiahao; Liu, Hongqiang; Zhang, Bo; Ge, Bingyang; Wang, Dawei*
Chin. J. Org. Chem. **2022**, 42(2), 619

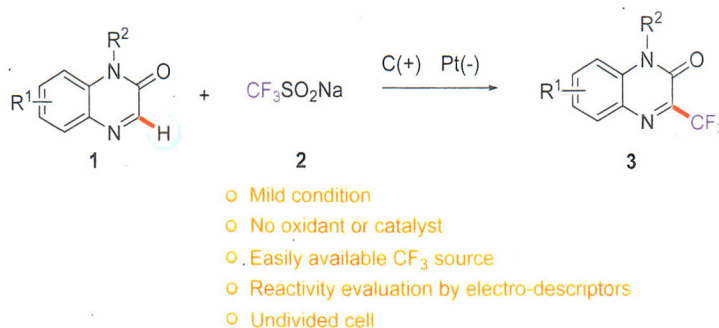
CuI-catalyzed Regioselective Synthesis of 3-Arylcoumarins with Arylamines under Mild Conditions



A mild and convenient method for the construction of 3-arylcoumarins through CuI-catalyzed reaction of coumarins with arylamines has been developed. The advantages of this protocol are simply readily available starting materials as substrates, inexpensive metal as a catalyst, good functional groups toleration, and with moderate to good yields. Moreover, the mechanism is speculated by control experiments.

Sun, Yamin; Li, Xiyong; Yuan, Jinwei*; Yu, Jialin; Liu, Shuainan
Chin. J. Org. Chem. **2022**, 42(2), 631

Electrochemical Oxidative C—H Trifluoromethylation of Quinoxalin-2(1*H*)-ones and the Performance Evaluation via Electro-descriptors

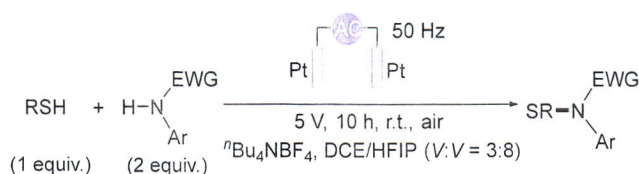


An electrochemical oxidative C—H trifluoromethylation of quinoxalin-2(1*H*)-ones was achieved in the absence of any catalysts or oxidant reagents. By using "electric-descriptor-diagram" to evaluate the substrates. The reactive/non-reactive boundary and the trend of yield change can be clearly seen.

Guo, Xiaolong; Wang, Yuxian; Zhao, Zhiqiang; Wang, Qing; Zuo, Jian*; Wang, Luyao*
Chin. J. Org. Chem. **2022**, 42(2), 641

HIGHLIGHTS

Alternating Current Promoted Radical-Radical Cross Coupling



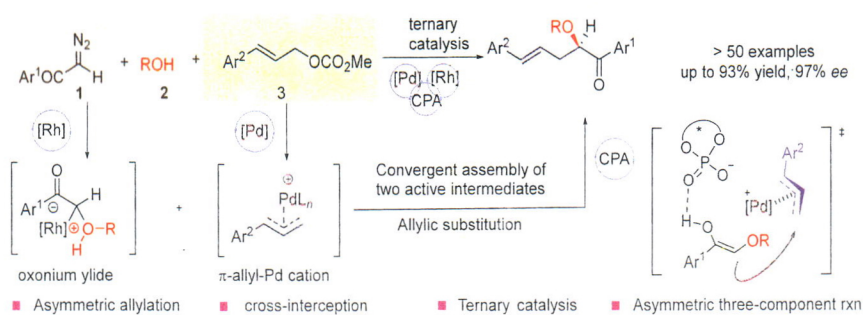
Chen, Na; Xu, Hai-Chao*
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Cooperative Catalytic Asymmetric Three-Component Allylic Alkylation Enabled by Ternary Catalyst System

Gong, Liu-Zhu*

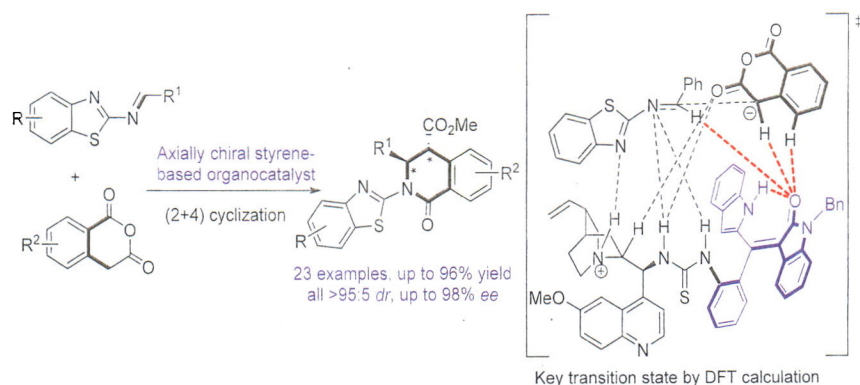
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Design and Application of Axially Chiral Styrene-Based Thiourea-Tertiary Amine Catalysts

Yan, Hailong*

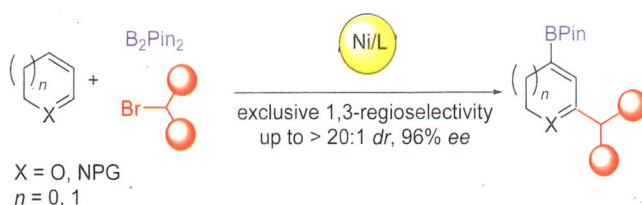
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Nickel Catalyzed Regio- and Stereoselective Alkylboration of Endocyclic Olefins

Yang, Chao; Qi, Xiangbing*

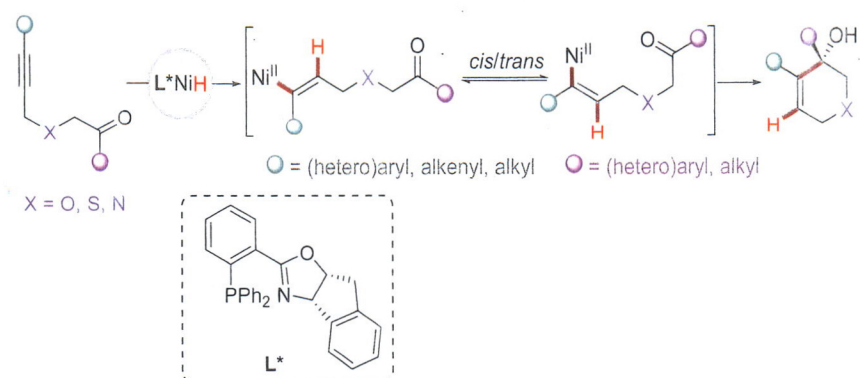
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Enantioselective NiH-Catalyzed anti-Hydrometalative Cyclization of Alkynones to Endo- and Heterocyclic Allylic Alcohols

He, Yuli; Zhu, Shaolin*

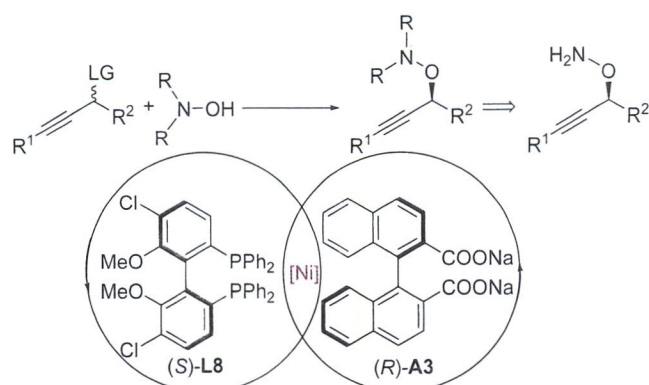
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Asymmetric O-Propargylation of Hydroxylamines via Ni/Chiral Sodium Carboxylate Dual Catalytic System

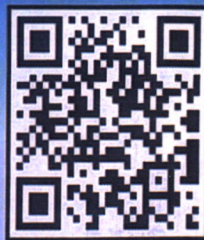
Sun, Hongbao; Niu, Dawen*

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