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* 通讯联系人。

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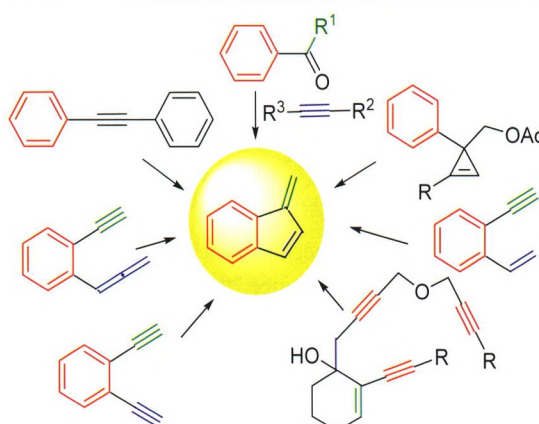
Cover Picture: Peroxide-Induced Radical Relay Carbocyclization towards Polycyclic Benzimidazole[2,1-*a*]isoquinolines

Structurally diverse polycyclic benzimidazole[2,1-*a*]isoquinolines are important synthetic intermediates and key structural motifs in biologically active molecules. A general radical relay carbocyclization reaction with 2-arylbenzimidazoles and peroxides is reported by Wang, Li, Sun and Zhang on page 913. This method provides an efficient route to a series of structurally diverse benzimidazole[2,1-*a*]isoquinolines under mild conditions in a straightforward manner.



REVIEWS

Recent Advancement in Benzofulvene Synthesis

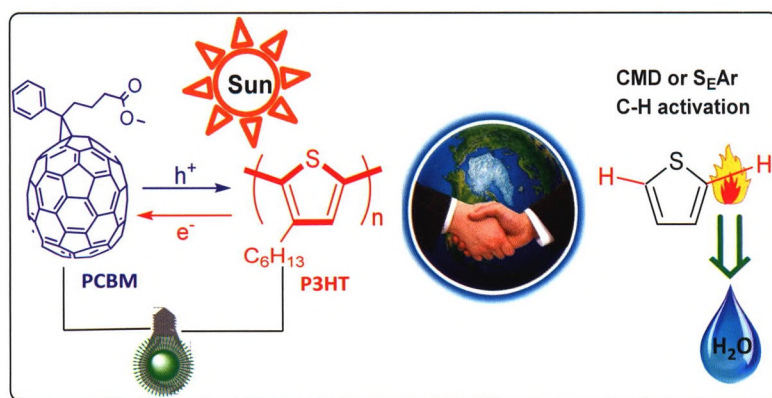


According to the types of the initiation of the reaction, these methods can roughly be classified into five categories: thermal or photochemical cyclization of enyne-allenes or enediynes, transition metal-catalyzed sequential cyclization reaction, electrophilic or nucleophilic attack initiated cyclization, radical initiated cyclization and acid promoted cyclization. This

Shi, Chuanxing; Feng, Chenguo; Chen, Yali*; Zhang, Shusheng*; Lin, Guoqiang
Chin. J. Org. Chem. **2020**, 40(4), 817

review describes the important synthetic methods of benzofulvenes according to their reaction types.

Direct C—H Arylation-Derived π -Conjugated Functional Materials for Device Applications

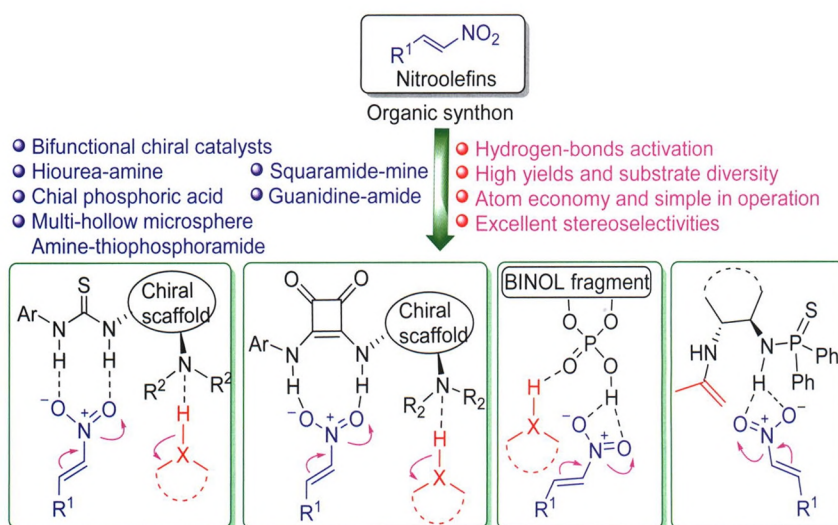


Liu, Hui; Zhang, Xiaofeng; Cheng, Jingzhao; Ye, Dongnai; Chen, Long; Wen, Herui; Liu, Shiyong*
Chin. J. Org. Chem. **2020**, 40(4), 831

The recent developments in direct C—H arylation for the synthesis of organic conjugated functional materials used in device applications have been reviewed. The advantages, challenges and the future developments have also been discussed and commented.

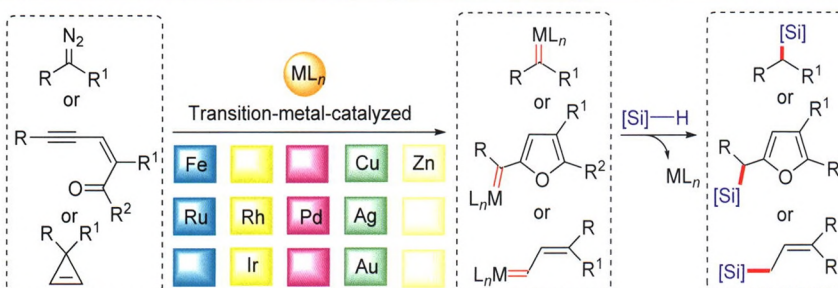
CONTENT

Advances in Multicomponent Asymmetric Cascade Synthesis Involving Hydrogen-Bond-Activated Nitroolefins



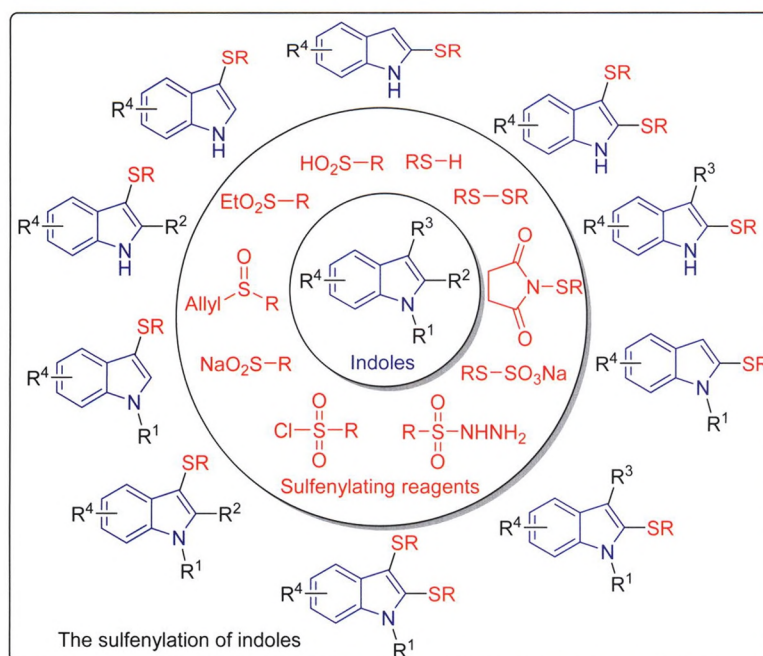
Yan, Lijun; Yan, Yuxin; Chen, Xuebing*; Wang, Yongchao*
Chin. J. Org. Chem. **2020**, 40(4), 856

Recent Advance in the Transition-Metal-Catalyzed Carbene Insertion Reactions of Si—H Bond



Zhang, Huimiao; Li, Lingzhi; Shen, Fangqi; Cai, Tao*; Shen, Runpu
Chin. J. Org. Chem. **2020**, 40(4), 873

Recent Advances in Transition Metal-Free Sulfenylation of Indoles

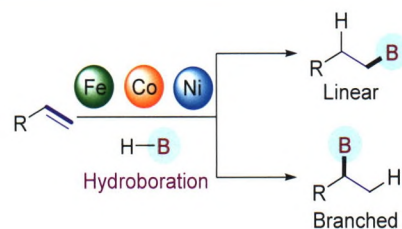


Xu, Xinming*; Li, Jiazhu; Wang, Zuli
Chin. J. Org. Chem. **2020**, 40(4), 886

The recent five-year progress in direct C—H bond sulfenylation of indoles under transition metal-free conditions is discussed and their mechanisms are described.

Recent Advances in Hydroboration of Alkenes Catalyzed by Fe, Co and Ni

Sun, Yue; Guan, Rui; Liu, Zhaohong*; Wang, Yeming*

Chin. J. Org. Chem. **2020**, 40(4), 899

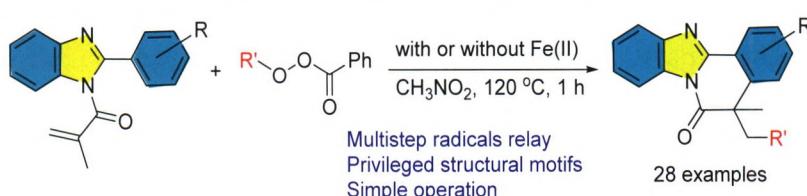
Compared with precious metal catalysts, iron, cobalt and nickel catalysts were not only low cost, but also they displayed unique reactivity and selectivity. The important advances in hydroboration of alkenes catalyzed by iron, cobalt and nickel have been summarized since 1994, including catalytic activity, selectivity and substrate scope of different catalytic systems.

ing catalytic activity, selectivity and substrate scope of different catalytic systems.

ARTICLES

Peroxide-Induced Radical Relay Carbocyclization towards Polycyclic Benzimidazole[2,1-*a*]isoquinolines

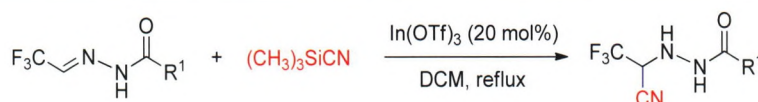
Wang, Xin; Li, Guofeng; Sun, Kai*; Zhang, Bing*

Chin. J. Org. Chem. **2020**, 40(4), 913

A general carbon-centered radical cascade carbocyclization reaction is realized, which provides an efficient route to a series of structurally diverse benzimidazole[2,1-*a*]isoquinolines in a straightforward manner.

Study on the Hydrocyanation Reaction of Trifluoromethylated Acylhydrazones

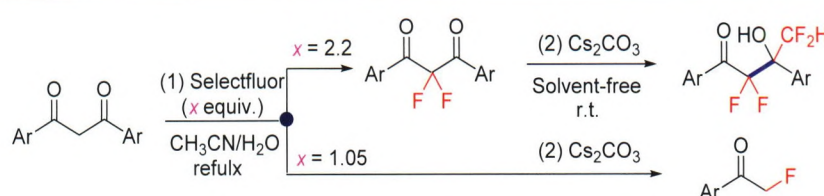
Xu, Weigang; Huang, Danfeng; Wang, Kehu; Zhao, Fangxia; Zhao, Zhuangxia; Hu, Yongqing; Su, Yingpeng; Hu, Yulai*

Chin. J. Org. Chem. **2020**, 40(4), 922

The 1,2-addition reaction of trifluoromethylated acylhydrazones with trimethylsilyl cyanide catalyzed by Lewis acid was investigated, and a series of cyanohydrazone compounds containing trifluoromethyl group were afforded in high yields.

Synthesis of $\alpha,\alpha,\gamma,\gamma$ -Tetrafluoro- β -hydroxy Ketones and α -Fluoroacetophenones via 1,3-Diaryl-1,3-diketones

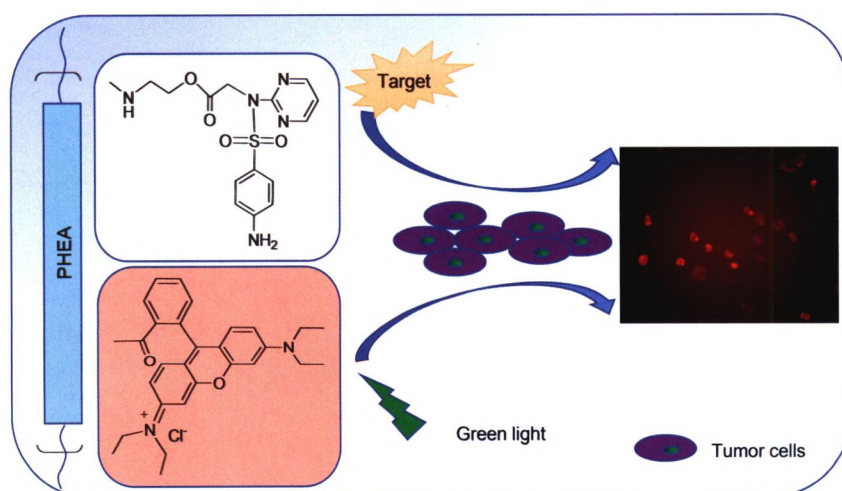
Bao, Kun; Wei, Jun; Sheng, Rong*

Chin. J. Org. Chem. **2020**, 40(4), 930

A mild and excellent method to synthesize $\alpha,\alpha,\gamma,\gamma$ -tetrafluoro- β -hydroxy ketones and α -fluoroacetophenones from 1,3-diaryl-1,3-diketones has been developed.

Polyaspartamide Fluorescent Probe Containing Rhodamine B and Sulfadiazine Groups for Molecular Imaging and Diagnosis

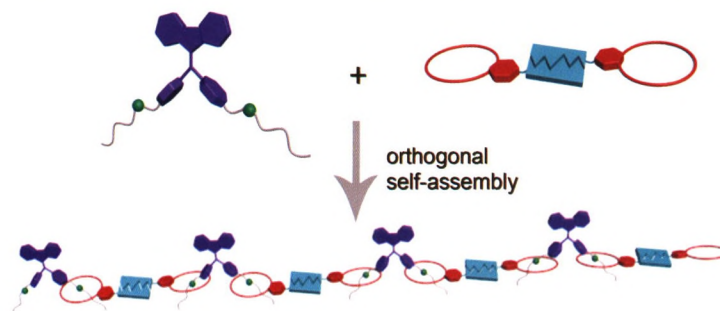
Zhang, Miao; Liu, Fan*; Ke, Xijun; Chen, Si; Yan, Guoping*; Zhang, Qiao; Liang, Shucui; Wang, Yufang; Jiang, Can

Chin. J. Org. Chem. **2020**, 40(4), 938

The water-soluble polyaspartamide fluorescent probe was synthesized by the incorporation of rhodamine B and sulfadiazine as a tumor-targeting group to polysuccinimide. It possessed the low cytotoxicities to HepG2 and HeLa cells, high specific uptake and good red fluorescent imaging in HeLa tumor cells.

CONTENT

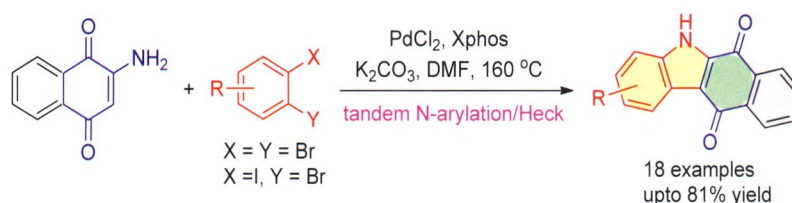
Supramolecular Copolymers Driven by Quadruple Hydrogen Bonding and Host-Guest Interactions



Xiao, Tangxin*; Zhou, Ling; Wei, Xiaoyan; Li, Zhengyi; Sun, Xiaoqiang*
Chin. J. Org. Chem. **2020**, 40(4), 944

Supramolecular copolymers constructed from two different monomers by orthogonal self-assembly have been developed.

Synthesis of Carbazolequinones by Pd-Catalyzed Double Arylation Process



Li, Xue; Song, Zirui; Chen, Xin; Cai, Yichao; Liu, Yajie; Chen, Chunxia*; Peng, Jinsong*
Chin. J. Org. Chem. **2020**, 40(4), 950

A palladium-catalyzed protocol for the tandem synthesis of carbazoles from 2-aminonaphthalene-1,4-dione and *o*-dihaloarenes is described. This reaction sequence comprises intermolecular *N*-arylation followed by an intramolecular Heck reaction to give annulation products in moderate to good yields.

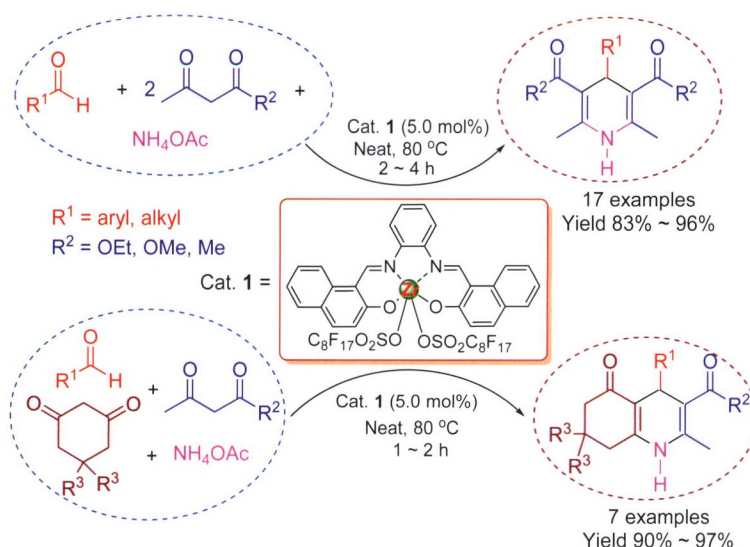
Synthesis and Bioactive Evaluation of Pyridazino[6,1-*b*]quinazolinones Derivatives



Wang, Shuqin; Huang, Wanyun*; Zhang, Xiaorong; Zhang, Xiaoting; Pan, Chengxue*
Chin. J. Org. Chem. **2020**, 40(4), 959

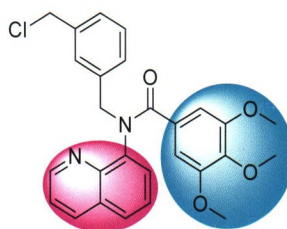
Novel *N*-containing heterocyclic scaffold pyridazino[6,1-*b*]quinazolinone was designed and 14 derivatives were synthesized. Their *in vitro* cytotoxic activities against a panel of human tumor cell lines (SK-OV-3, CNE-2, MGC-803, NCI-H460) and normal liver cell LO2 were evaluated via methyl thiazolyl tetrazolium (MTT) assay.

Efficient Synthesis of 1,4-Dihydropyridines and Polyhydroquinolines Catalyzed by Novel Schiff Base Zirconium Lewis Acid



Wang, Jing; Jin, Zhaohui; Ma, Rong; Hao, Yinjian; Wang, Yijun; Li, Ningbo*; Xu, Xinhua
Chin. J. Org. Chem. **2020**, 40(4), 969

A novel air-stable β -naphthol formaldehyde Schiff base zirconium perfluorooctanesulfonate was successfully synthesized. It could effectively catalyze the Hantzsch reaction to obtain 1,4-dihydropyridine and 4-aryl polyhydroquinoline compounds at 80 °C under solvent-free conditions in good to excellent yields.

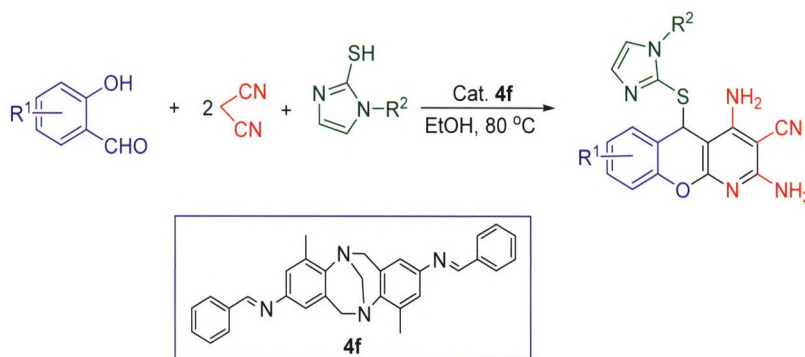
Design, Synthesis and Anticancer Activity
Studies of Novel Trimethoxyphenyl-quinoline
DerivativesCompound **12j**

IC₅₀: 18.09 ± 1.09 μmol/L (EC-109)
9.23 ± 0.81 μmol/L (PC-3)
12.4 ± 0.32 μmol/L (MGC-803)
Induce cell apoptosis and arrest PC-3
cells in G2/M phase

Wu, Bowen; Cui, Xinxin; Zhu, Ting; Wang, Shenghui; Lu, Chaofan; Wang, Jinjie; Dang, Hexiang; Zhang, Saiyang*; Ding, Li'na*; Jin, Chengyun*

Chin. J. Org. Chem. **2020**, 40(4), 978

A series of novel trimethoxyphenyl-quinoline hybrids were designed, synthesized and evaluated for antiproliferative activity against three different cancer cell lines (EC-109, PC-3, and MGC-803). Among them, compound **12j** showed the best growth inhibitory activity against EC-109, PC-3 and MGC-803 cells with the IC₅₀ values of (18.09 ± 1.09), (9.23 ± 0.81) and (12.42 ± 0.32) μmol/L, respectively.

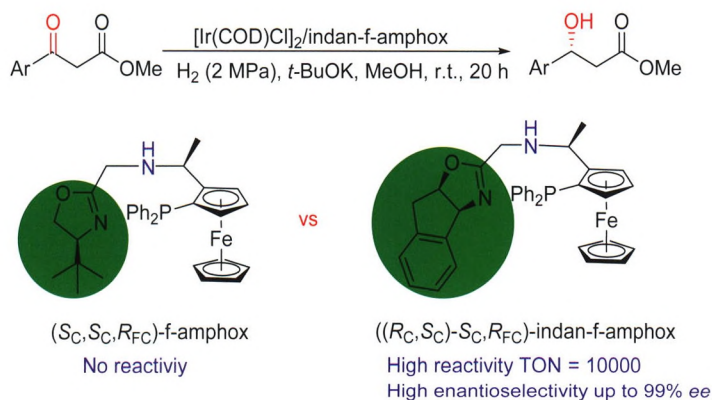
Preparation and Application in the Synthesis of 4*H*-Chromeno[2,3-*b*]pyridine-3-carbonitriles of Tröger's Base Derivatives

Chen, Wen; Yuan, Rui; Fang, Yue; Dong, Hongrui; Yassen, Bumaryam; Su, Liujuan; Ren, Xuanxuan; Zhou, Hang; Wan, Yu; Zhang, Peng*; Zhou, Shengliang*; Wu, Hui*

Chin. J. Org. Chem. **2020**, 40(4), 988

A series of Schiff base catalysts derived from Tröger's base-NH₂ were synthesized and used to promote the multi-component reactions of substituted salicylaldehydes, malononitrile and substituted 2-mercaptoimidazole to afford 5-(1*H*-imidazol-2-ylthio)-2,4-diamino-5*H*-chromeno[2,3-*b*]pyridine-3-carbonitrile derivatives (**8**) efficiently. The reaction mechanism was discussed based on the ¹H NMR analysis preliminarily. Most of products showed high inhibitory on the human breast adenocarcinoma cell (MCF-7) and adenocarcinomic human alveolarbasal epithelial cell (A549).

Indan-f-amphox: An Efficient PNN Ligand for Iridium-Catalyzed Asymmetric Hydrogenation of β-Aryl β-Ketoesters



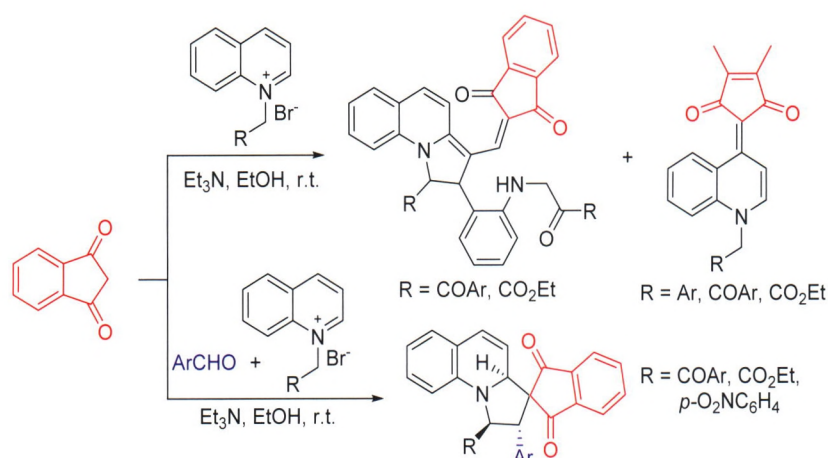
Gu, Guoxian; Hu, Yang; Liu, Shaodong; Dong, Xiu-Qin*; Zhang, Xumu*

Chin. J. Org. Chem. **2020**, 40(4), 997

A new chiral tridentate PNN ligand, indan-f-amphox (a sister ligand of f-amphox), was synthesized and applied in iridium-catalyzed asymmetric hydrogenation of β-aryl β-ketoesters. A wide range of β-aryl β-ketoesters are reduced using an Ir(III)-indan-f-amphox catalyst with excellent enantioselectivities and reactivities (up to 99% ee, TON = 10000).

CONTENT

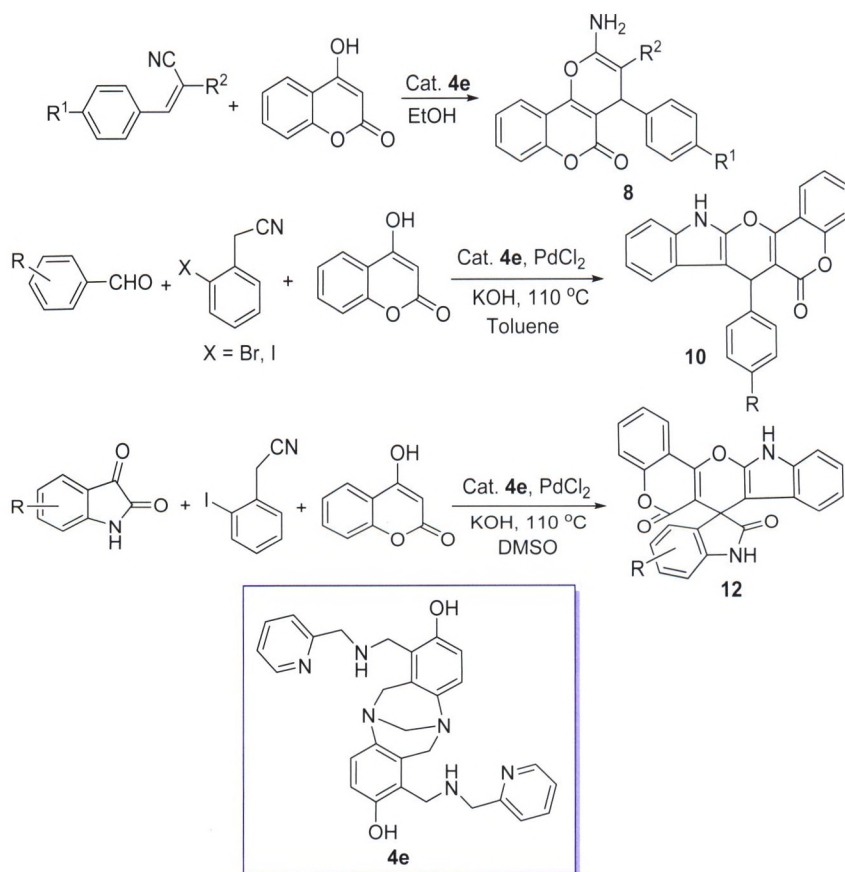
Synthesis of Indanone-Containing Heterocycles via Cycloaddition Reaction of Quinolinium Ylides with 1,3-Indanedione and 2-Arylidene-1,3-indanediones



The base promoted cycloaddition reaction of various quinolinium bromides with 1,3-indanedione and 2-arylidene-1,3-indanediones selectively afforded functionalized dihydropyrrolo[1,2-*a*]quinolines, spiro[indene-2,3'-pyrrolo[1,2-*a*]quinolines] and 2-(1-(2-oxophenylethyl)-quinolin-4-ylidene)-indene-1,3-diones.

Ding, Bangdong; Jiang, Yechao; Zhang, Yu; Ye, Rong; Sun, Jin; Yan, Chaoguo*
Chin. J. Org. Chem. **2020**, 40(4), 1003

Synthesis of 1,7-Bis(*N*-substituted-aminomethyl)-2,8-dihydroxy-Tröger's Bases and Their Application in Aldol-Ullmann Reaction

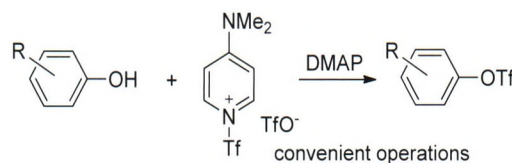


1,7-Bis(*N*-substituted-aminomethyl)-2,8-dihydroxy-Tröger's bases **4** were synthesized and used as efficient organocatalyst for the Aldol reaction of 4-hydroxycoumarin and 2-benzylidenemalononitrile (or methyl(ethyl)-2-cyano-3-phenylacrylate) to afford **8**. Subsequently, they were used as the efficient ligand to promote the Pd-catalyzed Aldol-Ullmann reaction to give **10** and **12**, respectively. The anti-cancer activity on human three positive breast cancer cells (MCF-7), human three negative breast cancer cells (MDA-MB-231), human hepatoma cells (HepG2), human hepatoma cells (MHCC-97H) and cytotoxicity on human hepatocyte cells (LO2) of catalyst **4** and all products *in vitro* were evaluated.

Yuan, Rui; Cui, Hao; Chen, Wen; Ren, Xuanxuan; Zhou, Hang; Xu, Hui; Sun, Yawen; Liang, Yanni; Wan, Yu*; Liu, Jinjuan*; Wu, Hui*
Chin. J. Org. Chem. **2020**, 40(4), 1017

NOTES

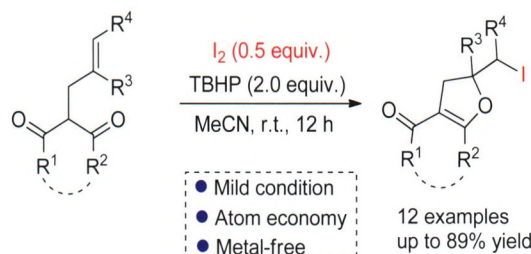
Trifluoromethanesulfonylation of Phenols



Bai, Xiaodong; Fu, Zhihong*; Cao, Yucai;
Lin, Jinhong*
Chin. J. Org. Chem. **2020**, 40(4), 1028

A trifluoromethanesulfonylation of phenols with pyridinium salt ($C_5H_5N^+SO_2CF_3^-$, $CF_3SO_3^-$) to afford aryl triflates is described. The expected products could be isolated simply by washing without the need for chromatography operations.

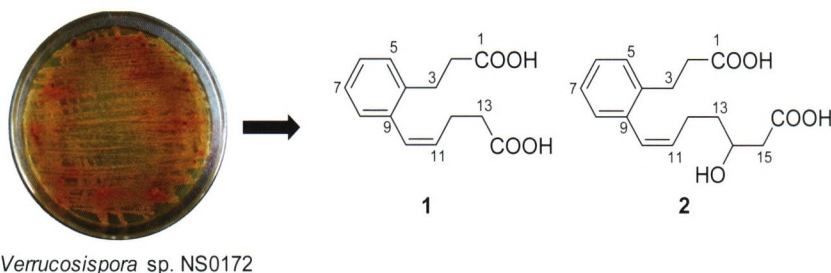
Synthesis of 5-Iodomethyl Dihydrofurans
via *t*-butyl hydroperoxide-Induced Iodo-
cyclization of Olefinic Dicarboxyl Com-
pounds with I_2



Quan, Jining; He, Xiaoxue; Yan, Xinhuan;
Li, Xiaoqing; Xu, Xiangsheng*
Chin. J. Org. Chem. **2020**, 40(4), 1033

A new method for the iodocyclization of olefinic dicarboxyl compounds with *t*-butyl hydroperoxide (TBHP) and I_2 was developed. The *in situ* generated hypoiodous acid and t -BuOI were used as active iodine cation reagents. This method allowed the synthesis of polysubstituted 5-iodomethyldihydrofurans under mild reaction conditions with cheap reagents and high atom economy.

ortho-Dialkyl-Substituted Aromatic Acids
from *Verrucosipora* sp. NS0172

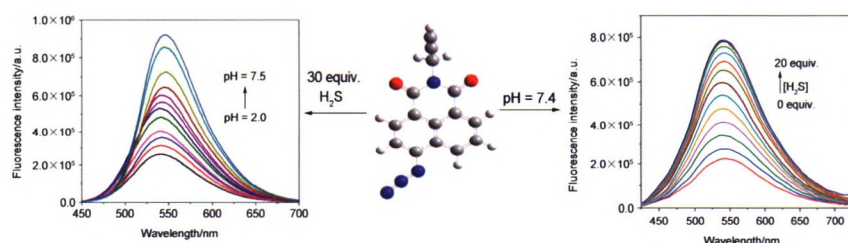


Verrucosipora sp. NS0172

Zhang, Kun; Xie, Xiangqian; Shi, Haixia;
Shen, Yuemao*; Wang, Haoxin*
Chin. J. Org. Chem. **2020**, 40(4), 1038

Two new *ortho*-dialkyl-substituted aromatic acids **1** and **2** were isolated from *Verrucosipora* sp. NS0172. The chemical structures of **1** and **2** were determined by spectroscopic methods including 1D- and 2D-NMR and HR-ESI-MS experiments. The cytotoxicity of compounds **1** and **2** was evaluated by methyl thiazolyl tetrazolium (MTT) assay, and compound **1** showed potent antiproliferative activity against human hepatocellular carcinoma SMMC-7721 (IC_{50} $7.74 \mu mol \cdot L^{-1}$). Compounds **1** and **2** were tested for the antimicrobial and antifungal activities by filter paper disc diffusion assay, and both of them showed no evident activities at a dose of $40 \mu g/disc$.

An Intramolecular Charge Transfer (ICT)-
Based Fluorescent Probe of Hydrogen
Sulphide under pH Control Strategy



Zhu, Jihua; Zhang, Hao; Liu, Min; Liu,
Jingjiang; Liao, Yuan; Quan, Zhengjun*;
Wang, Xicun*
Chin. J. Org. Chem. **2020**, 40(4), 1043

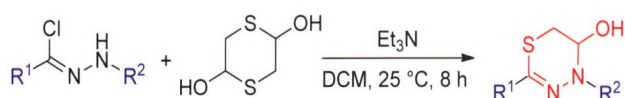
A fluorescent probe **L** based on intramolecular charge transfer (ICT) mechanism can be used to detect H_2S with satisfactory sensitivity and fast response. It was also successfully applied to detection of pH within the pH range of 2~6.5 in the presence of H_2S .

CONTENT

A New Method for the Synthesis of 1,3,4-Thiadiazine Derivatives

Cao, Xueli; Guo, Xiao*

Chin. J. Org. Chem. **2020**, 40(4), 1050

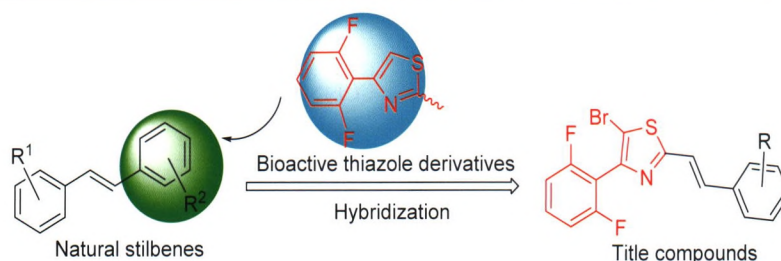


An operationally simple, environmentally friendly, high yield and good substrate universality method for the synthesis of 1,3,4-thiadiazine derivatives has been reported.

Synthesis and Biological Activity of Natural Stilbene-Inspired Substituted Styrylthiazole Derivatives

Zhang, Junhui; Zhu, Yabo; Weng, Jianquan*; Yu, Qian*; Yuan, Jing; Chen, Jie*

Chin. J. Org. Chem. **2020**, 40(4), 1055

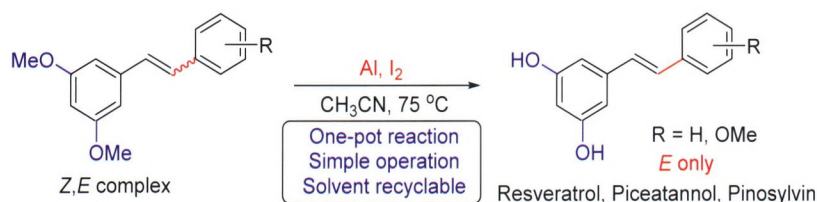


In order to find novel drug leads, sixteen natural stilbene-inspired substituted styrylthiazole derivatives were designed and synthesized by hybridization the structures of both bioactive 2,6-difluorophenylthiazole moiety and stilbene. The *in vitro* antifungal bioassay results indicated that some compounds showed moderate inhibition activity against *FusaHum graminearum*, *Helminthosporium maydis* and *Mycosphaerella melonis* at 100 µg/mL.

Synthesis of Resveratrol, Piceatannol and Pinosylvin

Zhang Jingjing; Yao, Ming*; Li, Li; Sang Dayong; Xiong Hangxing*; Liu Shengpeng*

Chin. J. Org. Chem. **2020**, 40(4), 1062

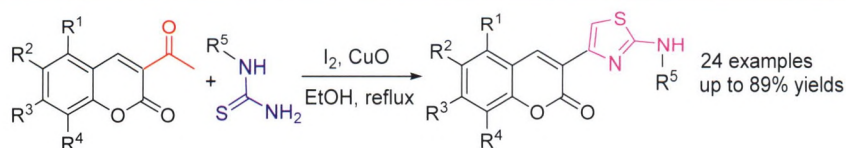


A convenient method for the practical synthesis of resveratrol, piceatannol and pinosylvin is described. Resveratrol, pinosylvin and piceatannol can be achieved through simultaneous demethylation and isomerization process from stilbenes with the aid of aluminum and iodine. The overall yields of the reaction were 68%, 78% and 56% (based on aromatic aldehyde). The solvent of the reaction can be reused after filtered.

I₂/CuO-Catalyzed One-Pot Synthesis of 3-(2-Amino-4-thiazolyl) Coumarin Derivatives from 3-Acetylcoumarins and Thiourea

Zhao, Fang; Hu, Yang; Li, Qiao; Hu, Shengli*

Chin. J. Org. Chem. **2020**, 40(4), 1068



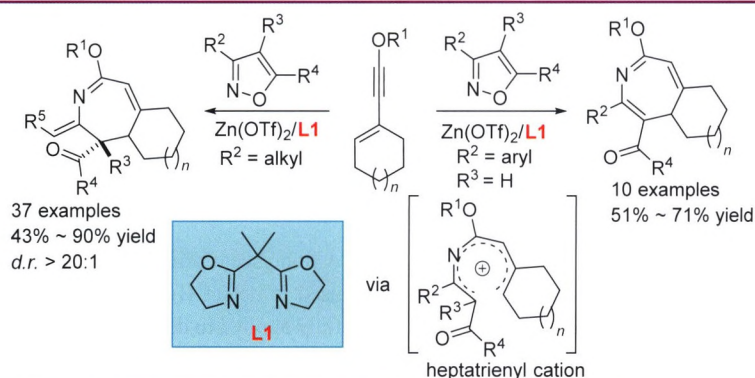
A facile and efficient one-pot process was developed to synthesize substituted aminothiazoles from 3-acetylcoumarins and thiourea/N-substituted thioureas under the media of I₂/CuO.

HIGHLIGHTS

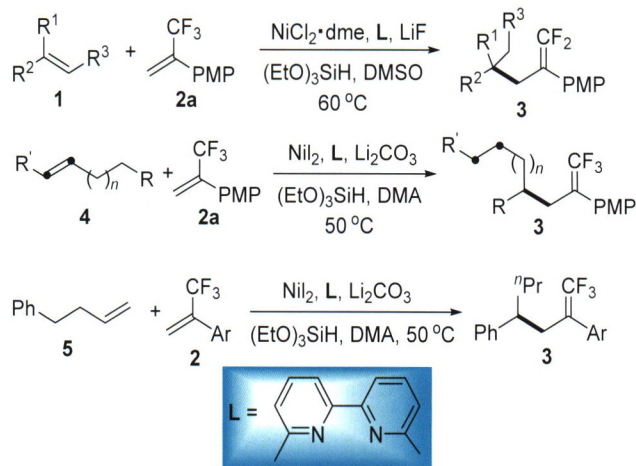
Zinc-Catalyzed Asymmetric 6π Electrocyclization of Isoxazoles and Enynol Ethers

Ou, Pengcheng; Huang, Xueliang*

Chin. J. Org. Chem. **2020**, 40(4), 1074



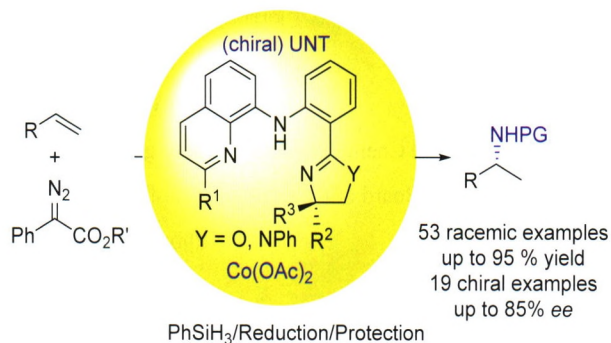
NiH-Catalyzed Migratory Defluorinative Olefin Cross-Coupling: Trifluoromethyl-Substituted Alkenes as Acceptor Olefins to Form *gem*-Difluoroalkenes



Qian, Chao; Tang, Wenjun*

Chin. J. Org. Chem. **2020**, 40(4), 1076

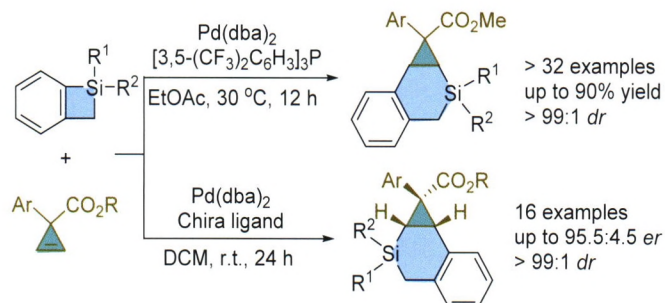
Ligand-Promoted Cobalt-Catalyzed Radical Hydroamination of Alkenes



Liu, Bingxue; Liu, Qiang*

Chin. J. Org. Chem. **2020**, 40(4), 1078

Palladium-Catalyzed [4+2] Annulation of Cyclopropenes with Benzosilacyclobutenes



Wang, Xichao; Zhao, Dongbing*

Chin. J. Org. Chem. **2020**, 40(4), 1080

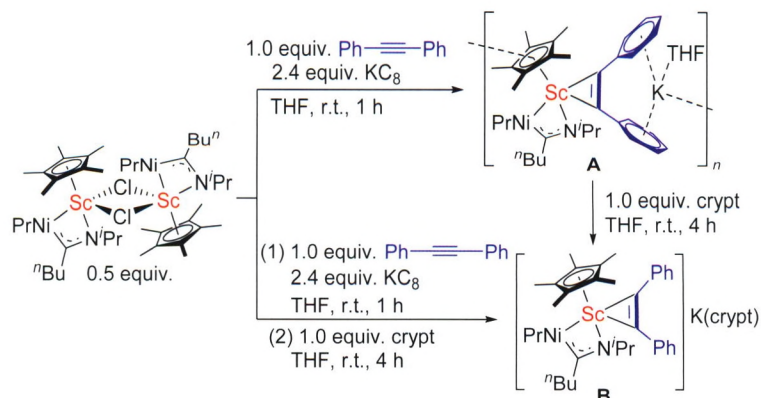
Regio- and Stereo-selective Vicinal Fluoroarylation of Allenates



Zhang, Faguang; Ma, Jun'an*

Chin. J. Org. Chem. **2020**, 40(4), 1082

Aromatic Scandacyclopropenes: Synthesis, Structure, and Reactivity



Wang, Kai; Zhou, Xigeng*

Chin. J. Org. Chem. **2020**, 40(4), 1084

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