

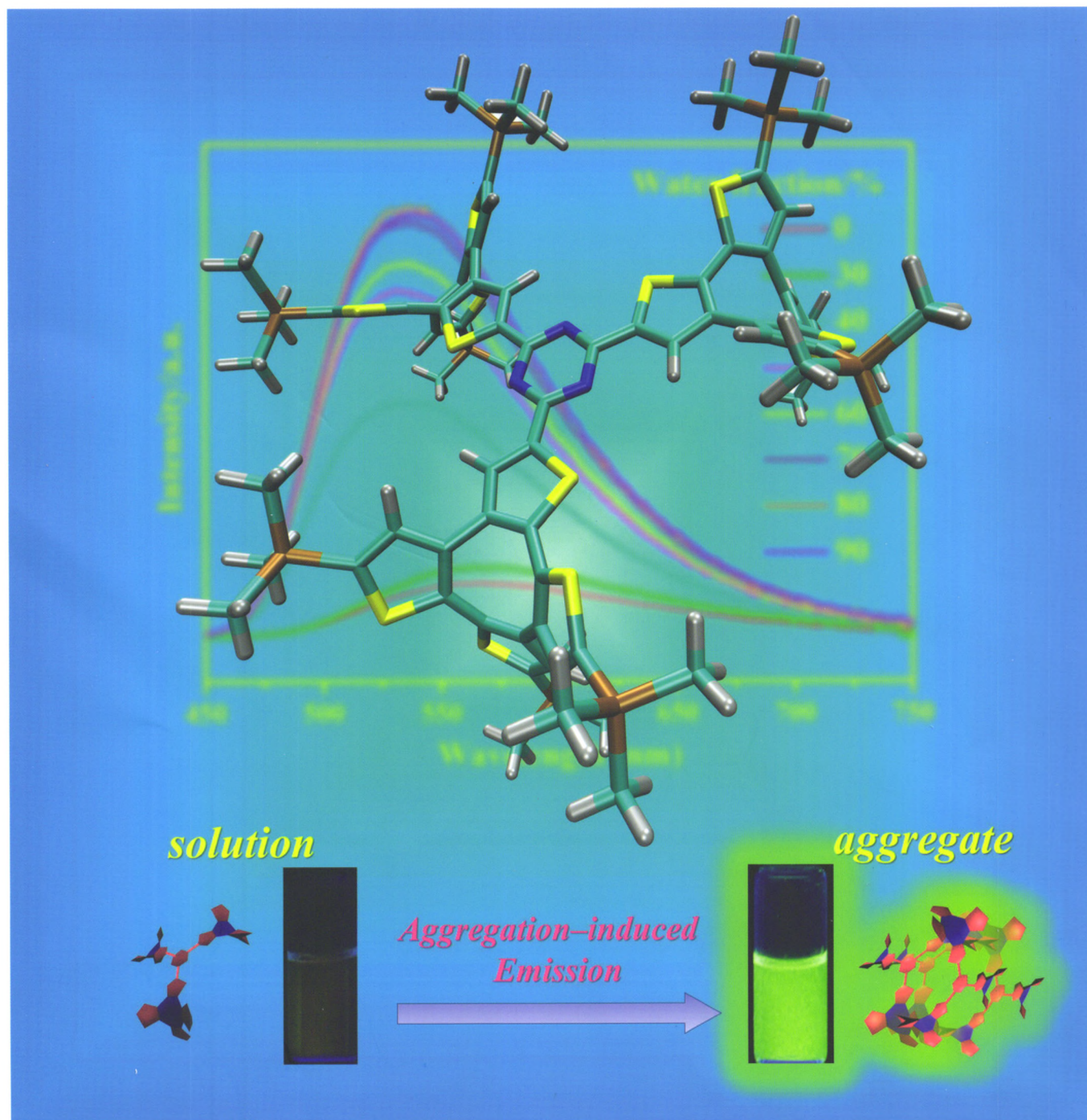
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(YOUJI HUAXUE)

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* 通讯联系人.

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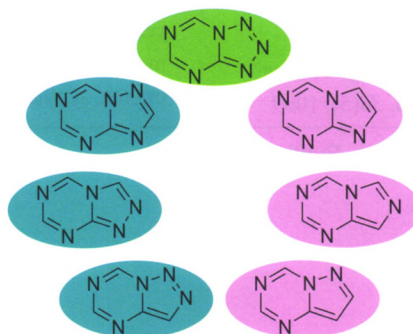
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On the Cover

The interesting aggregation-induced emission (AIE) properties of three new compounds with saddle-shaped cyclooctatetrathiophene (COTh) and 1,3,5-triazine as building blocks in THF-H₂O binary solvent system are reported by Zhang, Xu, Song, Ma and Wang on Page 1119. Besides the AIE study, the synthetic work, analysis of crystal structure, theoretical calculation and spectroscopic behaviors are also described.

REVIEWS

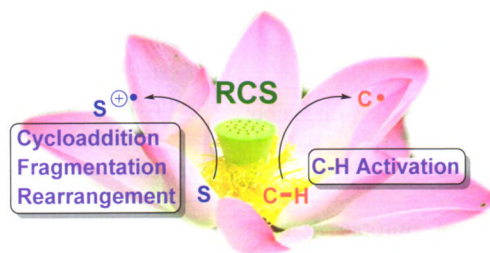
Advances in the Synthesis of Nitrogen Zole s-Triazine Compounds



Wang, Tao; Xie, Zhongpao; Zeng, Ming; Cui, Dongmei*
Chin. J. Org. Chem. **2018**, 38(5), 983

Nitrogen zole s-triazine compounds possess wide applications, and the synthetic methods of these compounds are reviewed. Finally, the future development of synthetic methods and applications is prospected.

Recent Progress in Radical Cation Salts Promoted Chemical Transformations

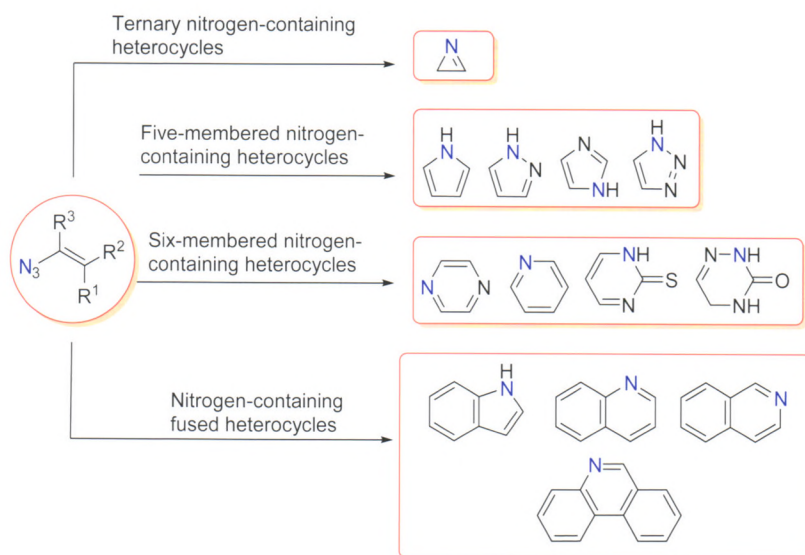


Hou, Wentao; Jia, Xiaodong*
Chin. J. Org. Chem. **2018**, 38(5), 999

The first radical cation salt (RCS) was prepared more than 100 years ago, and with the recent renaissance of radical chemistry, the RCS promoted organic transformations attract extensively attention. In early research, RCS was mainly used to initiate single electron oxidation reactions, such as cycloaddition, fragmentation, rearrangement and so on. Recently, RCS was used to induce the aerobic oxidation of C—H bond, and achieved a series of direct functionalization of C—H bond. In this review, prominent examples from the recent literatures are organized on the basis of the different reactions enabled by RCS catalysis.

CONTENT

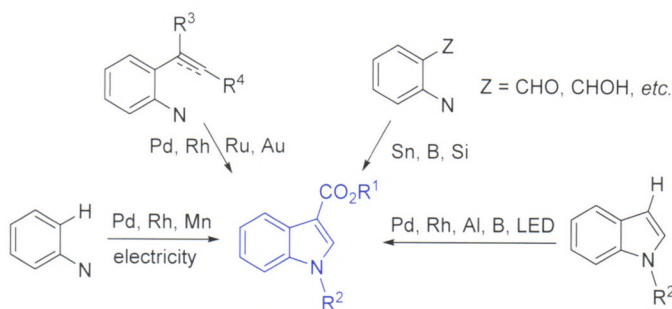
Advances Research in Synthesis of Aza-heterocyclic Compounds Involving Vinyl Azides



Vinyl azides have been extensively studied in organic synthesis since 1910. As a series of important intermediates, vinyl azides have a wide range of applications in recent years, especially in the synthesis of heterocyclic compounds catalyzed by transition-metals. The progress of the construction of aza-heterocyclic compounds in the field of organic synthesis is reviewed.

Wang, Yuying; Liu, Li*; Wang, Yeming*
Chin. J. Org. Chem. **2018**, 38(5), 1009

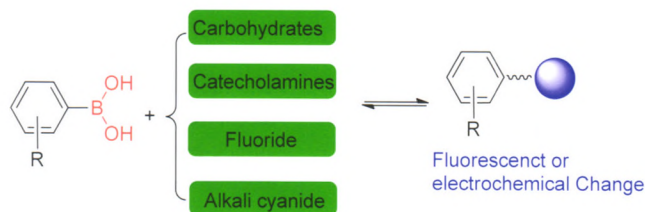
Research Progress in the Synthesis of 3-Indolecarboxylates



Elegant cyclization strategies have been developed via transition-metal catalyzed or transition-metal-free reactions, and direct functionalization at C(3) position for synthesis of ester functional groups was also extremely attractive. Based on our studies toward synthesis of 3-indolecarboxylates, the recent developments of synthesis of 3-indolecarboxylates by different synthetic methods in view of the types of substrates are reviewed.

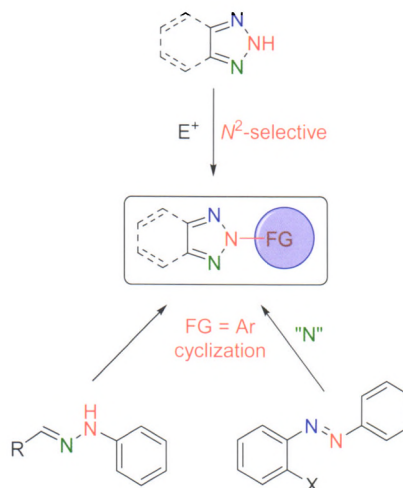
Xue, Hong; Dong, Yu; Feng, Lei; Li, Haibo; Li, Jin; Zhang, Zhiwei*
Chin. J. Org. Chem. **2018**, 38(5), 1029

Research Progress of Boronic Acid in Chemsensors



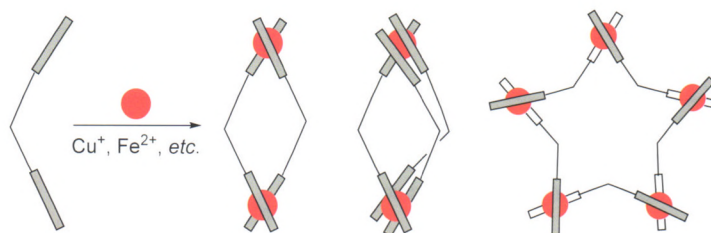
Due to the special structure, phenyl boronic acid compounds could interact and bind with carbohydrates, catecholamines, fluoride or alkali cyanide. In recent years, boronic acid has been functioned with new materials such as nanoparticles and quantum dots to design novel sensors for better performance. In this paper, the recent progress in the study of boronic acid compounds in sensors is reviewed.

Wang, Hao; Wang, Kai; Sun, Jie; Fang, Gui-qian; Yao, Qingqiang*; Wu, Zhongyu*
Chin. J. Org. Chem. **2018**, 38(5), 1035

Recent Progress in the Synthesis of N^2 -
Substituted 1,2,3-Triazoles

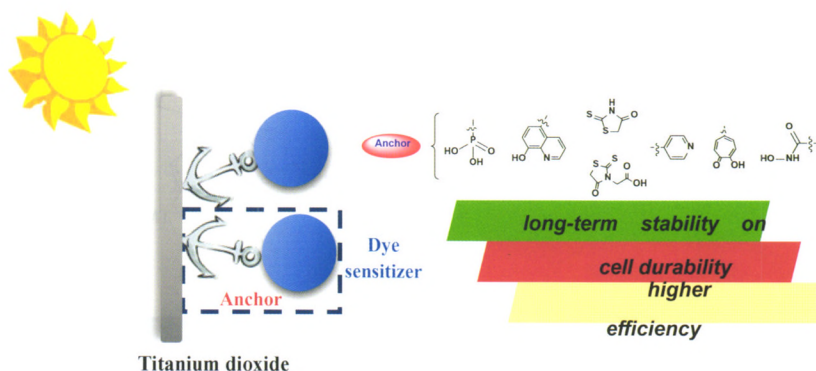
Recent progress for the synthesis of N^2 -substituted 1,2,3-triazoles is reviewed. Two types of approaches to obtain N^2 -substituted 1,2,3-triazoles, including N^2 -selective functionalization of 1,2,3-triazoles and oxidative cyclization of bisarylhydrazones or azobenzenes, are discussed.

Zhu, Lili*; Zhang, Hui; Wang, Chunjie;
Chen, Zili*
Chin. J. Org. Chem. **2018**, 38(5), 1052

Progress in Helicates Directed by Metal
Coordination

Coordination bond is widely used in the self-assembly process due to its bond strength and diverse geometry. In this article, we summarize a few types of metal-coordination helical folding systems, including single helicate, double helicates, triple helicates, quadruple helicates and cyclic helicates, and their folding behaviors and structural re-configuration in the coordination process.

Jiang, Hua*; Li, Qiaolian; Wang, Guangxia
Chin. J. Org. Chem. **2018**, 38(5), 1065

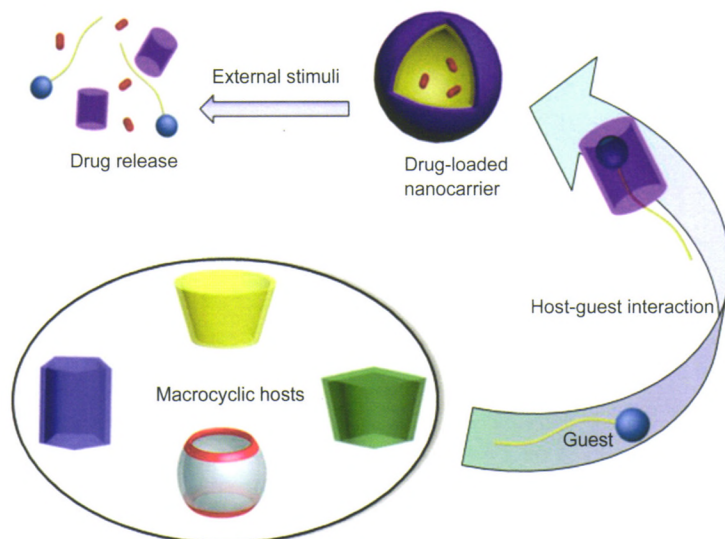
Dye-Sensitized Solar Cells: Progress on
Robust Anchor Groups in Dyes

Several robust anchor groups in recent years and corresponding photovoltaic parameters are reviewed and the relationship between molecular structures and device performance is also discussed. The research progress of anchoring groups in photocatalytic hydrogen and quantum dot sensitized solar cells is also examined.

Tian, Yajuan; Cai, Ning*; Chen, Yatong;
Qian, Sainan; Huo, Yanping*
Chin. J. Org. Chem. **2018**, 38(5), 1085

CONTENT

Applications of Supramolecular Amphiphilic for the Construction of Drug Delivery Systems

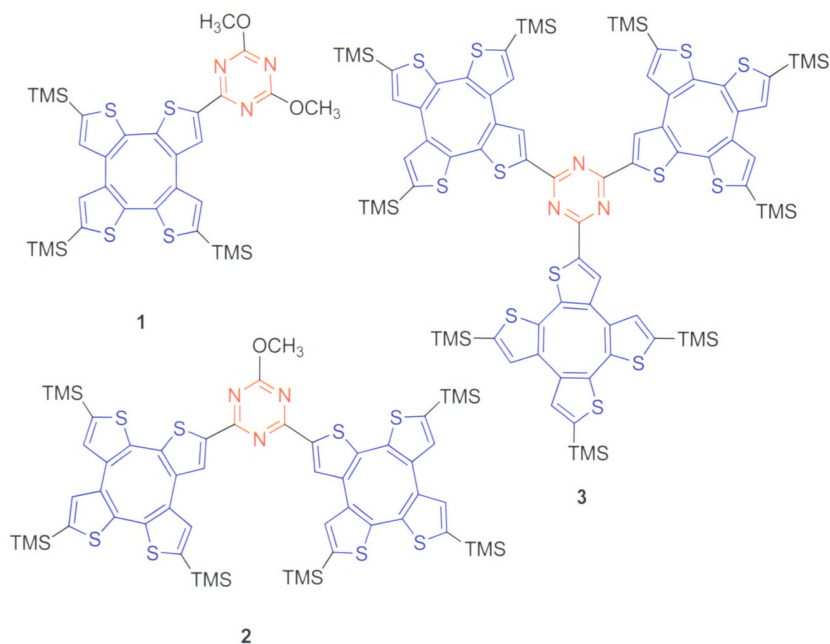


The construction of smart supramolecular drug delivery systems based on the different structural characteristics of macrocyclic compounds is reviewed, and their recent applications in anti-cancer drug delivery are described. Advantages and drawbacks of the current supramolecular drug delivery systems are also discussed, along with the opportunities and challenges in future.

Shao, Wei; Liu, Xin; Wang, Tingting; Hu, Xiao-Yu*
Chin. J. Org. Chem. **2018**, 38(5), 1107

ARTICLES

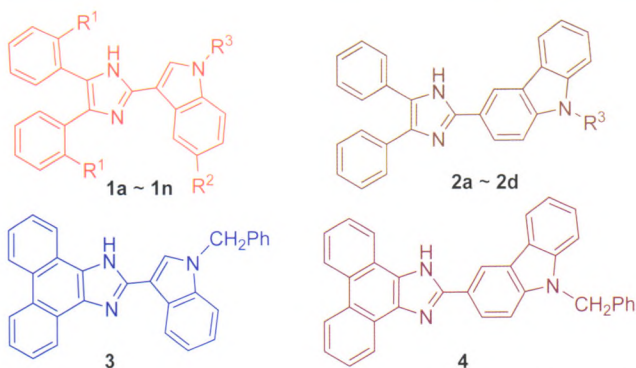
Synthesis of Saddle-Shaped Cyclooctatetrathiophene-Triazine Derivatives and Their Aggregation Induced Emissions (AIE) Properties



With saddle-shaped cyclooctatetrathiophene (**COTh**) and 1,3,5-triazine as building blocks, three derivatives bearing one, two and three **COTh** units were synthesized via Kumada-typed reaction. They all give charge transfer (CT) absorption at ground state and intramolecular charge transfer (ICT) emission at excited state.

Zhang, Weijie; Xu, Li; Song, Jinsheng; Ma, Zhiying*; Wang, Hua*
Chin. J. Org. Chem. **2018**, 38(5), 1119

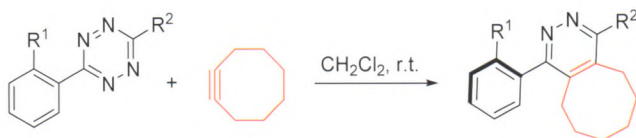
Synthesis and Photophysical Properties of Multi-aryl Imidazoles Containing Nitrogen Heterocyclic Ring



A series of novel imidazole derivatives containing indole or carbazole unit were efficiently synthesized via one-pot reaction of benzil/9,10-phenanthraquinone, indole-3-carbaldehyde/carbazole-3-carbaldehyde and ammonium acetate utilizing glacial acetic acid as solvent and catalyst. The photophysical properties of the synthesized products were also investigated, and two compounds possessing structural characteristics and pH-sensitive were selected as pH fluorescent probes.

Cai, Liu; Lü, Liu; Wang, Mengying; Wu, Yusheng; Huang, Jinfeng; Zeng, Xiangchao*
Chin. J. Org. Chem. **2018**, 38(5), 1126

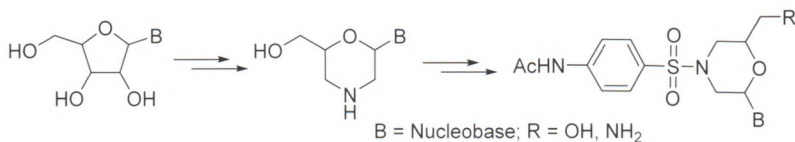
Application of [4+2] Cycloaddition Reaction of Tetrazine with Cyclooctyne in the Construction of Pyridazine Structure with Axial Chirality



The application of [4+2] cycloaddition reaction of tetrazine with cyclooctyne in the construction of pyridazine structure with axial chirality was studied. The reaction underwent a six-membered bridged transition state, gently release a molecule of nitrogen to get axial chiral pyridazine structure. The transformation of the reaction can be determined by the change of color. The reaction could get potential axial chiral pyridazine structure with high yield (95%) under mild conditions.

Cai, Zhengjun; Gao, Jianbao; Li, Bai; Zhong, Yuan; Feng, Xing; Xue, Jijun*; Jiang, Xianxing*
Chin. J. Org. Chem. **2018**, 38(5), 1138

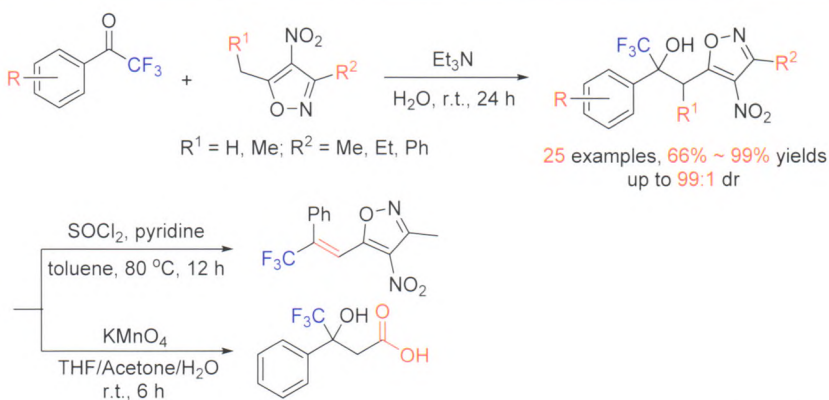
Synthesis and Preliminary Anti-bovine Viral Diarrhea Virus (BVDV) Activity Evaluation of Morpholine Nucleoside Analogues and Their Sulfonamide Derivatives



A series of morpholine nucleosides and 6'-hydroxymethyl and 6'-aminomethyl morpholine nucleoside sulfonamide derivatives were synthesized starting from ribonucleosides. Preliminary evaluation showed poor anti-BVDV activity of the obtained compounds.

Hua, Yingchun; He, Bin; Qin, Zhiyan; Wang, Song; Liu, Huiping; Liu, Fengwu*
Chin. J. Org. Chem. **2018**, 38(5), 1147

Catalytic Nucleophilic Addition of 3,5-Dialkyl-4-nitroisoxazoles to Trifluoromethyl Ketones on Water

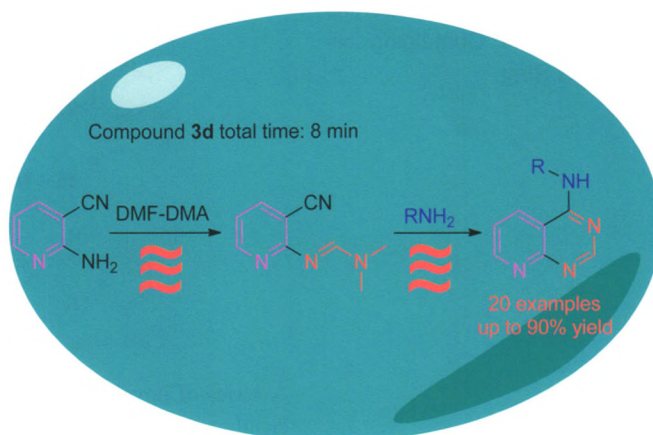


The triethylamine catalyzed nucleophilic addition of 3,5-dialkyl-4-nitroisoxazoles to trifluoromethyl ketones on water has been realized affording trifluoromethyl tertiary alcohol derivatives in 66%~99% yields. The products were easily transformed to the resulting alkenes by dehydration or acids by oxidation.

Wang, Jingjing*; Li, Feng; Xu, Yan; Wang, Juan; Wu, Ziyang; Yang, Chengyu; Liu, Lantao*
Chin. J. Org. Chem. **2018**, 38(5), 1155

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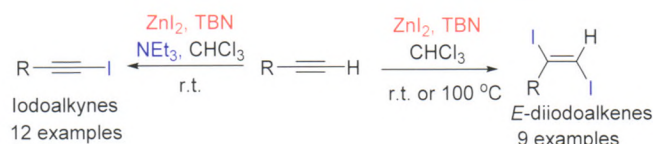
Microwave-Accelerated Dimroth Rearrangement for the Synthesis of Pyrido[2,3-*d*]pyrimidin-4-amine Derivatives



A novel synthetic method for *N*-(3,5-dichlorophenyl)pyrido[2,3-*d*]-pyrimidin-4-amine was reported. The overall yield was 90%. Employing the same synthetic method, a series of pyrido[2,3-*d*]pyrimidin-4-amine derivatives were synthesized. The results showed that the method of microwave irradiation for the preparation of pyrido[2,3-*d*]pyrimidin-4-amine was efficient, gentle and environmentally friendly.

Zong, Chaoyang; Gu, Huiwen; Zhang, Lijie; Jin, Yudong; Sun, Yaquan*
Chin. J. Org. Chem. **2018**, 38(5), 1165

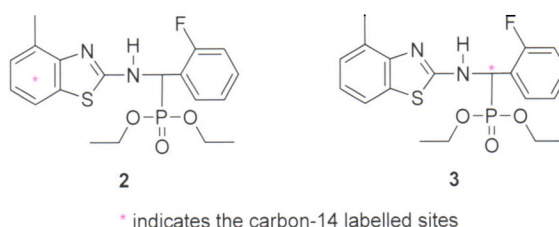
Switchable Synthesis of Iodoalkynes and Diiodoalkenes from Terminal Alkynes



Chen, Suo; Zhang, Xiaowei*; Zhao, Hui; Guo, Xiaohong; Hu, Xiangguo*
Chin. J. Org. Chem. **2018**, 38(5), 1172

A novel protocol for the synthesis of iodoalkynes and diiodoalkenes with the same reagent system (ZnI_2 /tert-butyl nitrite), in the presence or absence of triethylamine, has been developed.

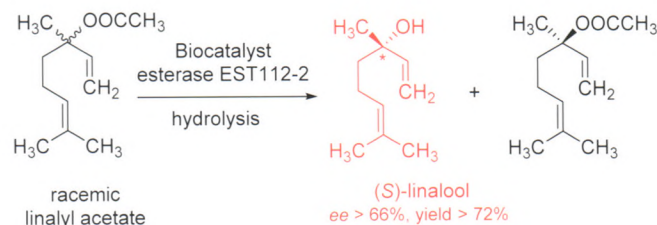
Synthesis and Analysis of Two Versions of Radioisotope Carbon-14 Labelled Dufulin



Yang, Zhengmin*; Jian, Caiguang; Zhou, Bing; Xu, Yajun; Zhang, Guihua; Xu, Lingfeng; Li, Xiao; Tang, Shenghua; Xu, Pengfei; Chen, Hufei; Xia, Yanting; Li, Shaobo; Ye Qingfu*
Chin. J. Org. Chem. **2018**, 38(5), 1177

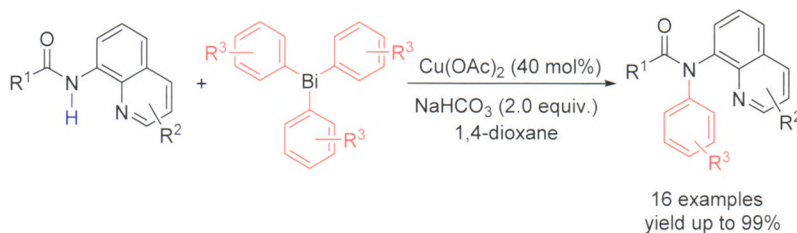
Two versions of carbon-14 labelled Dufulin, diethyl ((2-fluorophenyl)((4-methylphenyl)- $U\text{-}^{14}\text{C}_6$)benzo[d]thiazol-2-yl)amino)methylphosphonate (**2**) and diethyl ((2-fluorophenyl)((4-methylbenzo[d]thiazol-2-yl)amino)[^{14}C]methyl)phosphonate (**3**), were synthesized from barium [^{14}C]carbonate, respectively.

Functional Characterization of a New Antarctic Microbial Esterase EST112-2 and Its Use in the Preparation of Chiral Tertiary Alcohol (*S*)-Linalool



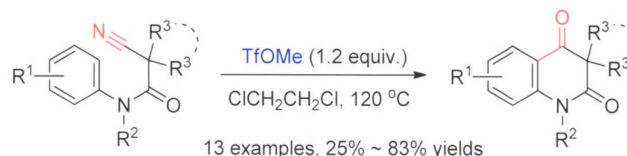
Deng, Dun; Zhang, Yun; Sun, Aijun; Sai, Ke*; Hu, Yunfeng*
Chin. J. Org. Chem. **2018**, 38(5), 1185

A new microbial esterase EST112-2 from the antarctic sediments was functionally characterized and further utilized as a green biocatalyst in preparation of chiral tertiary alcohol (*S*)-linalool, with the enantiomeric excess (ee) of over 66% and the yield of over 72%.

Copper-Promoted *N*-Arylation of 8-Acylaminoquinoline Compounds

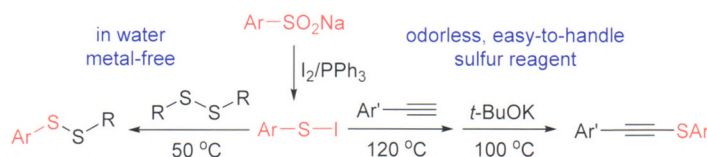
Xiao, Zhen; Yue, Qiang; Ran, Ziyao; Zhang, Qian*; Li, Dong*
Chin. J. Org. Chem. **2018**, 38(5), 1193

Synthesis of Quinoline-2,4-diones from Cyanoacetanilide Derivatives



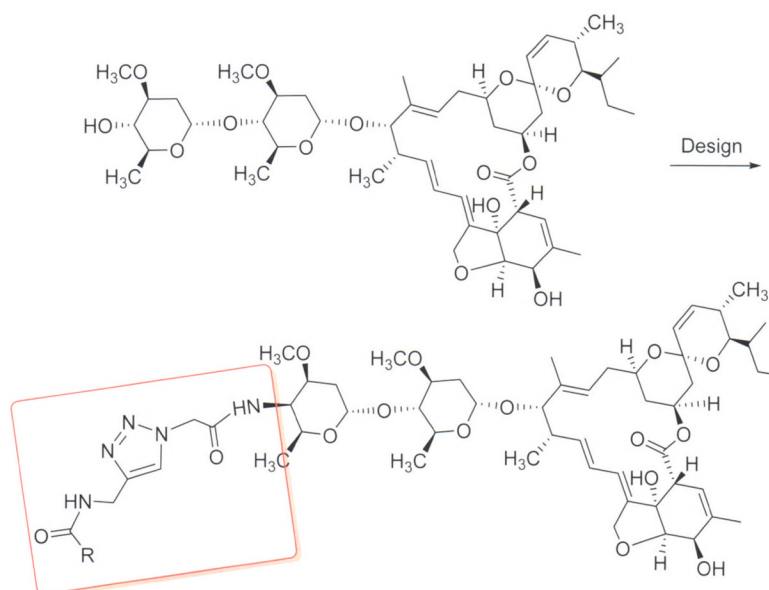
Zhong, Shuaishuai; Huang, Peng*; Wang, Xingyue; Lin, Mi; Ge, Chunhua*
Chin. J. Org. Chem. **2018**, 38(5), 1199

A Route to Alkynyl Sulfides and Asymmetric Disulfides from Sodium Arylsulfinate



Lin, Yamei; Yi, Wenbin*
Chin. J. Org. Chem. **2018**, 38(5), 1207

Synthesis and Insecticidal Activity of 4"-Avermectin Triazole Derivatives Containing Amide Unit



Sun, Guoshao; Zhang, Jingjing; Jin, Shuhui*; Zhang, Jianjun*
Chin. J. Org. Chem. **2018**, 38(5), 1214

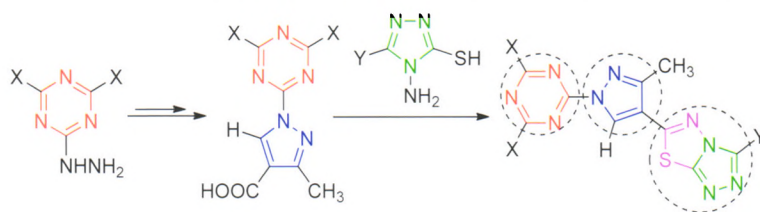
Based on avermectin structure framework, a series of novel 4"-avermectin triazole derivatives containing amide unit were synthesized and evaluated for their insecticidal activity.

CONTENT

Synthesis and Bioactivity Evaluation of Novel 1,3,5-Triazine-1*H*-pyrazole-triazol-ethiadiazole Derivatives

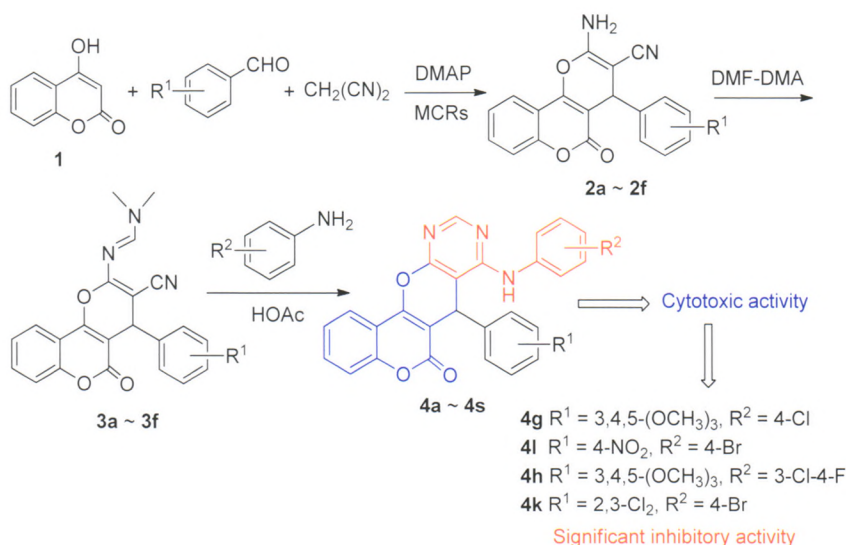
Zhang, Chenglu*; Li, Chuanyin; Gu, Yao-dong; Sun, Xiaona; Tang, Jie; Wang, Jing; Li, Yizheng; Wang, Huayu

Chin. J. Org. Chem. **2018**, 38(5), 1223



Twenty-one novel 1,3,5-triazine-1*H*-pyrazole triazolethiadiazole derivatives are designed and synthesized. Fourteen target compounds have higher inhibitory activities than Na_3VO_4 and are expected to be potential Cdc25B inhibitors. Nine target compounds have better inhibitory activities than oleanolic acid and are expected to be potential PTP1B inhibitors.

Synthesis and Anticancer Activities of Novel Pyranocoumarin Fused Pyrimidine Based on Cyanoenamine

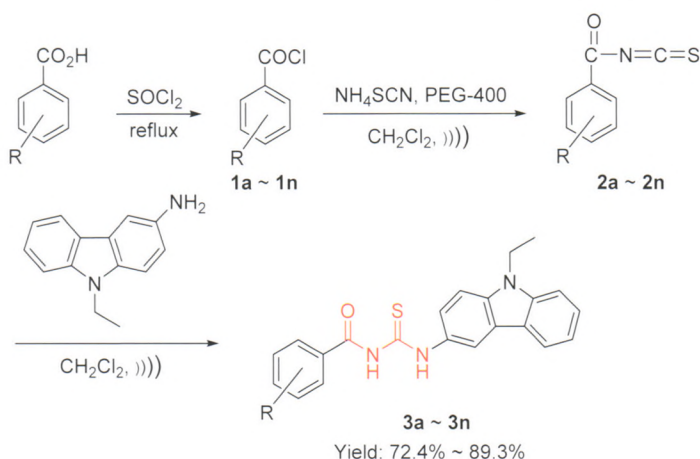


A series of novel pyranocoumarin fused pyrimidines were synthesized. The structures of target compounds were characterized by melting point, IR, ^1H NMR, ^{13}C NMR and elemental analysis. All the title compounds were evaluated for anticancer activities *in vitro* against HL-60 cell lines and Hela human cervical carcinoma cell lines.

Huang, Xinwei*; Liu, Jianli

Chin. J. Org. Chem. **2018**, 38(5), 1233

Synthesis and Cell Division Cycle 25B Phosphatase/Protein Tyrosine Phosphatase 1B Inhibitory Activity Evaluation of Novel Acylthiourea Derivatives

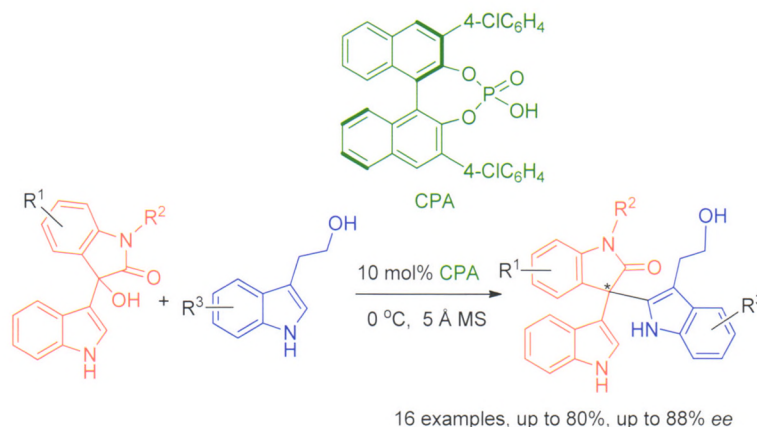


A series of new acylthiourea derivatives **3** containing carbazole moiety have been synthesized by the techniques of ultrasonic irradiation and solid-liquid phase transfer catalysis. Their structures were characterized by IR, ^1H NMR spectra and elemental analysis. All synthesized target compounds were screened for their inhibitory activity against cell division cycle 25B phosphatase (Cdc25B) and protein tyrosine phosphatase 1B (PTP1B).

Li, Yingjun*; Wang, Siyuan; Jin, Kun; Gao, Lixin; Sheng, Li; Zhang, Nan; Yang, Kai-dong; Zhao, Yue; Li, Jia*

Chin. J. Org. Chem. **2018**, 38(5), 1242

Catalytic Asymmetric Dehydrative Arylation of 3-Indolylmethanols with Tryptophols: Enantioselective Synthesis of Bisindolyl-Substituted Triarylmethanes



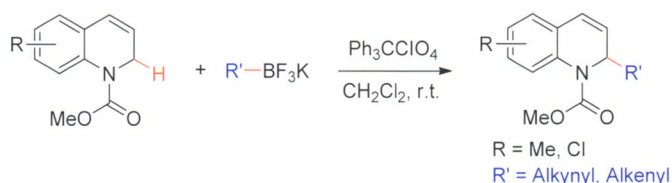
Herein, we reported the chiral phosphoric acid catalyzed dehydrative arylation of 3-indolylmethanols with tryptophols, leading to the efficient synthesis of a series of structurally diversified chiral bisindolyl-substituted triarylmethanes in moderate to good yields (up to 80% yield) with acceptable enantioselectivities (up to 88% ee).

Wu, Ping; Wu, Jiale; Wang, Jingyi; Mei, Guangjian*

Chin. J. Org. Chem. **2018**, 38(5), 1251

NOTES

Trityl Ion-Mediated Oxidative C—H Alkylation of 1,2-Dihydroquinolines

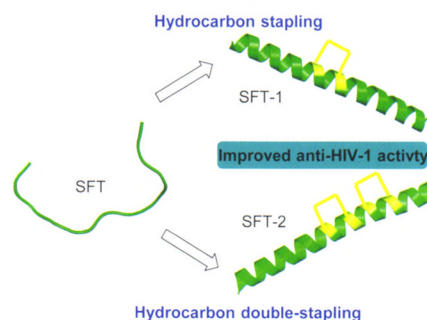


Liu, Ziqiang; Zhao, Ran; He, Ni; Li, Wei*

Chin. J. Org. Chem. **2018**, 38(5), 1261

A modular and efficient method for the synthesis of α -substituted 1,2-dihydroquinolines through oxidative C—H functionalization strategy is described.

Synthesis and Anti-HIV-1 Activity of Stapled HIV-1 Fusion Inhibitors



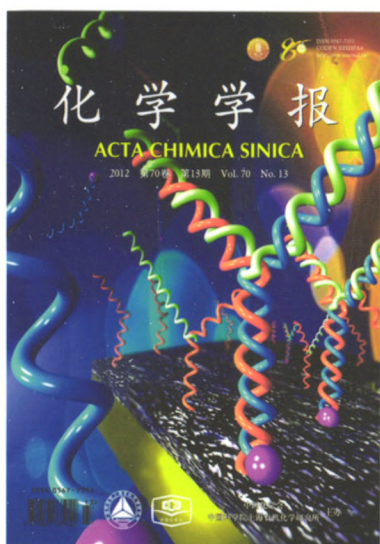
Guo, Ye; Fu, Lili; Fan, Xiaowen; Shi, Xuanling*

Chin. J. Org. Chem. **2018**, 38(5), 1267

In this study, SFT-1 and SFT-2 were synthesized via all-hydrocarbon cross-linking system with replacing the original salt bridge in SFT by hydrocarbon covalent bond, using sifuvirtide as template. The anti-HIV-1 activity was evaluated for all synthetic peptides.

HIGHLIGHTS

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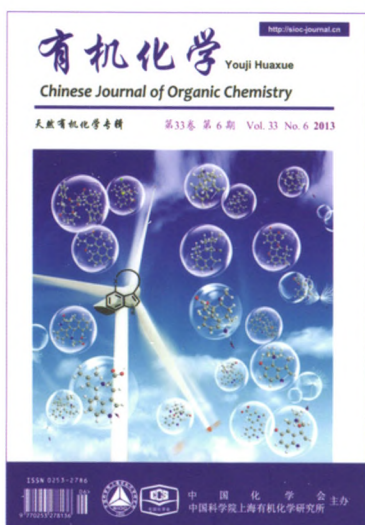
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