

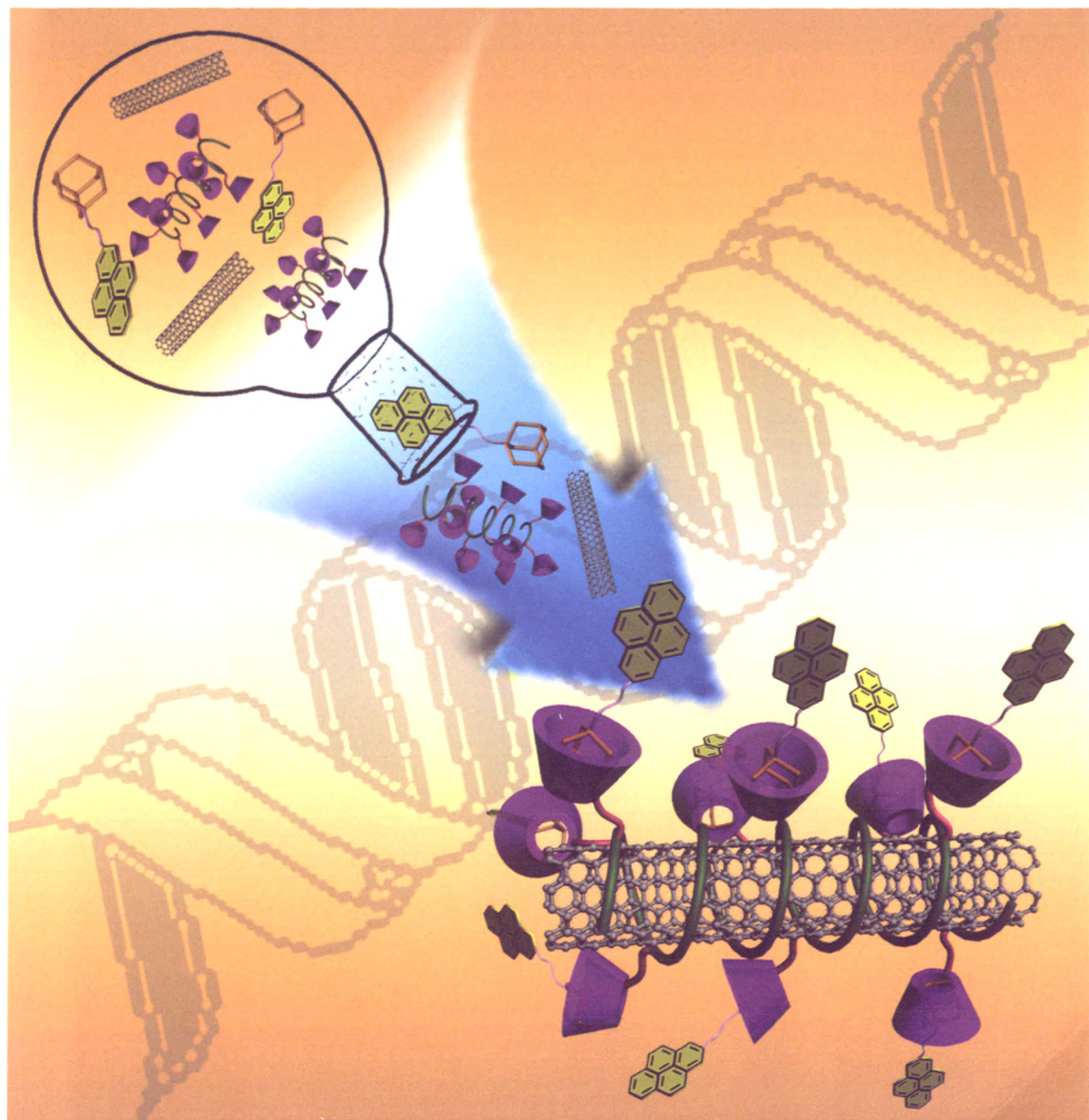
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Youji Huaxue

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(YOUJI HUAXUE)

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* 通讯联系人.

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学术动态

天然番荔枝内酯类化合物构效关系研究进展	陈 勇 李 祥* 陈建伟	(966)
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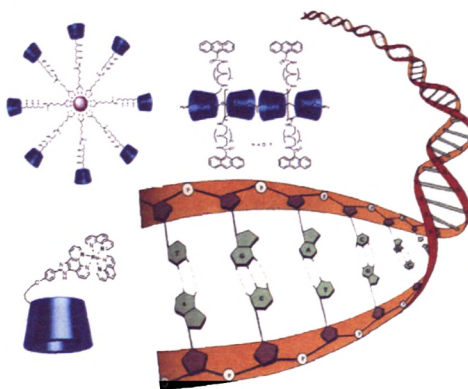
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On the Cover

From a series of cyclic oligosaccharides named cyclodextrins, various supramolecular assemblies such as cyclodextrin polypseudorotaxane, cyclodextrin/gold nanoparticle assembly, cyclodextrin/fullerene assembly, cyclodextrin/carbon nanotube assembly, can be constructed through judicious design and exhibit good to excellent activity of interacting with nucleic acids. Chen and Liu summarize their recent endeavors and related works by other investigators on the interactions of cyclodextrin supramolecular assemblies with nucleic acids on page 805.

REVIEWS

Supramolecular Assembly of Cyclodextrins and Its Interactions with Nucleic Acid

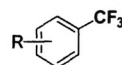


The representative works in the construction of some bioactive cyclodextrin-based supramolecular assemblies, including cyclodextrin polypseudorotaxane, cyclodextrin/gold nanoparticle assembly, cyclodextrin/fullerene assembly, cyclodextrin/carbon nanotube assembly, and their interactions with nucleic acids are reviewed.

Chen, Yong; Liu, Yu*

Chin. J. Org. Chem. **2012**, 32(5), 805

Recent Advances of Trifluoromethylation

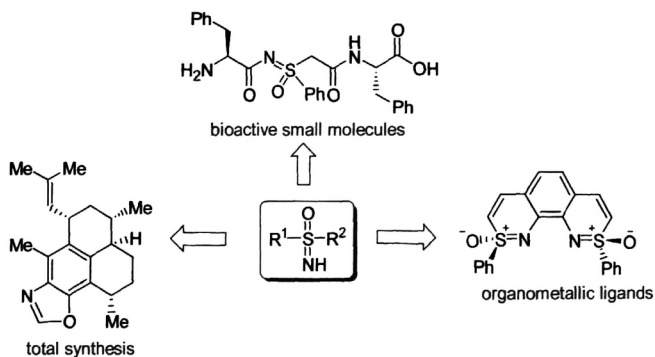


Qing, Fengling

Chin. J. Org. Chem. **2012**, 32(5), 815

This review takes a critical look at recent advances of trifluoromethylation reactions.

Progress in the Synthesis and Application of Sulfoximines



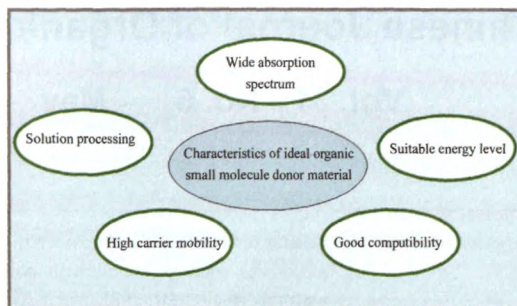
This review deals with methods for the synthesis of sulfoximines and their applications in catalytic asymmetric synthesis, bioactive small molecules synthesis and total synthesis of natural products. The developing trend and prospects for future application of sulfoximines compound are discussed.

Wang, Yaya; Hong, Xuechuan*; Deng, Zixin

Chin. J. Org. Chem. **2012**, 32(5), 825

CONTENT

Progress of Solution Processable Donor-Acceptor Organic Small Molecular Solar Cell Materials

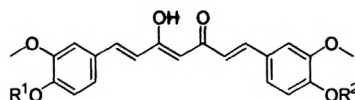


In recent years, bulk heterojunction solar cells based on small organic molecules are received wide attention due to their simple preparation technique, low-cost, light weight and flexibility. The ideal donor material of organic small molecule is the basis

Li, Zaifang; Peng, Qiang*; He, Ping; Wang, Yanling; Hou, Qiufei; Li, Benlin; Tian, Wenjing*
Chin. J. Org. Chem. **2012**, 32(5), 834

for improving the power conversion efficiency of the organic solar cell. In this review, the research advances of solution processable small molecule donor materials are reviewed systematically, and the development tendency and prospects for future application are discussed.

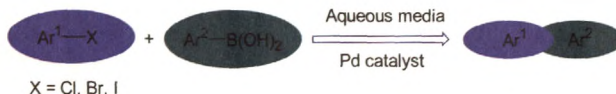
Research Progress in the Prodrugs of Curcumin



Tu, Yongyuan; Xu, Xianxiang; Qiu, Fei*
Chin. J. Org. Chem. **2012**, 32(5), 852

The development of prodrugs of curcumin was an effective method to improve the druggability of curcumin. Through conjugated the phenolic hydroxyl group of curcumin with low or high molecular weight carriers, various prodrugs of curcumin have been studied and developed to overcome its disadvantages. In this paper, the research progresses in the prodrugs of curcumin in recent years are reviewed.

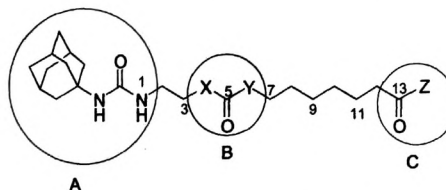
Palladium-Catalyzed Suzuki Reaction in Aqueous Media



Liu, Ning; Liu, Chun*; Jin, Zilin
Chin. J. Org. Chem. **2012**, 32(5), 860

This paper reviews the recent progress in the Suzuki reaction using neat water and aqueous-organic co-solvent as reaction media. A large number of different strategies for the Suzuki reaction in water have been developed, in which the authors aim at the solutions to the enhancement of the reactivity of the palladium-catalyzed Suzuki reaction using water-soluble ligands, surfactants, microwave assistance, or ligand-free system.

Advances in the Research of Human Soluble Epoxide Hydrolase Inhibitors

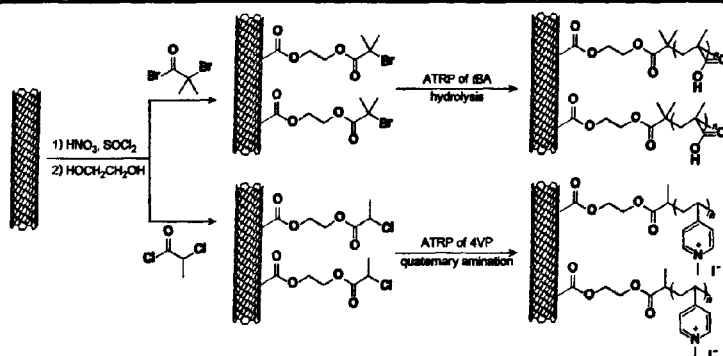


Huang, Shaocu; Wang, Yong; Long, Yaqiu*
Chin. J. Org. Chem. **2012**, 32(5), 877

The soluble epoxide hydrolase (sEH) is an attractive target for the treatment of hypertension and inflammation, since it is involved in the metabolism of the biologically active epoxyeicosatrienoic acids. The 1,3-disubstituted urea is the most advanced sEH inhibitor, but its poor solubility and high melting point prevent its clinical application. Around the urea nucleus, pharmacophore-based structural optimization has been extensively conducted. Herein, the recent development of human soluble epoxide hydrolase inhibitors is reviewed from early epoxide HsEHs to the third generation of urea HsEHs.

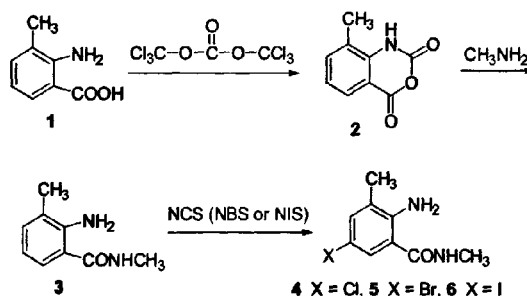
ARTICLES

Preparation of Polyelectrolyte Functionalized Multiwalled Carbon Nanotubes via Surface-Initiated ATRP



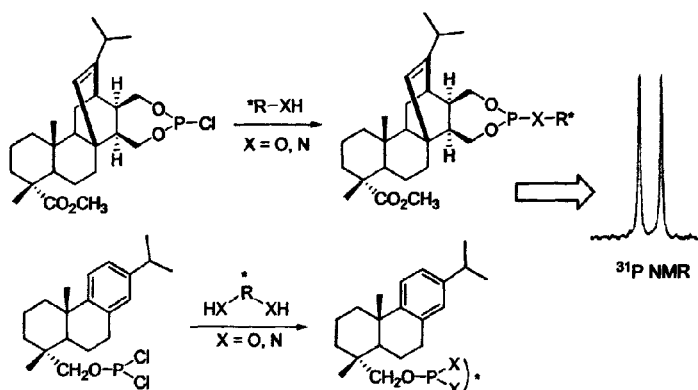
Different types of polyelectrolyte-functionalized multiwalled carbon nanotubes (MWNT) with good water dispensability were prepared via surface-initiated ATRP of *tert*-butyl acrylate or 4-vinylpyridine onto the surface of MWNT following corresponding hydrolysis (or quaternary amination) of the grafted polymers. The content of the polymer can be tuned through the feed ratio of monomer to the initiating-sites on MWNT.

Sun, Qingwen; Yu, Ying; Zhang, Nan; Zhang, Fayong*
Chin. J. Org. Chem. **2012**, *32*(5), 889

One-Pot Synthesis of 2-Amino-5-halogenated-*N*,3-dimethylbenzamides

The synthetic method of 2-amino-5-halogenated-*N*,3-dimethylbenzamide (4~6) is reported from 2-amino-3-methylbenzoic acid by three steps in one-pot. This whole process does not need to separate the middle product, and the needlelike crystals of the target product can be directly separated from water after evaporating the organic solvent under reduced pressure. The overall yield was 87%~94%, at least 30% higher than using the substep methods which reported by early literatures.

Qin, Weiyan*; Liu, Bo; You, Jun; Ma, Jing; Li, Xiang; Lü, Chengcheng
Chin. J. Org. Chem. **2012**, *32*(5), 896

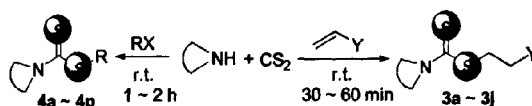
Synthesis of Rosin Derived Chiral Derivatizing Agents for ^{31}P NMR Assays of Amine or Alcohol and Amino Alcohol Enantiomers

Wu, Qiang; Yao, Guiyang; Zhu, Yongtao; Wang, Hengshan*; He, Chunhuan; Pan, Yingming*
Chin. J. Org. Chem. **2012**, *32*(5), 900

Rosin derived chiral derivatizing agents for *ee* determination.

CONTENT

Highly Efficient Catalyst-Free One-Pot Synthesis of Dithiocarbamates under Solvent-Free Conditions

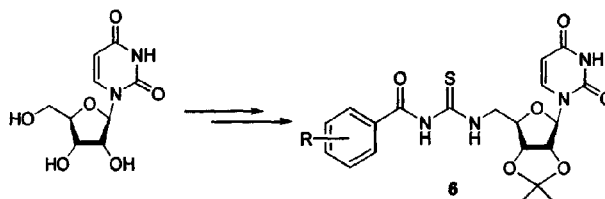


X = OTs, Br; Y = SO₂Ph, CO₂CH₂CH₂SPh, etc.

A highly efficient and simple synthesis of dithiocarbamates is possible based on the one-pot reaction of amines, CS₂, and alkyl halides without using a catalyst under solvent-free conditions. The mild reaction conditions, high yields, and broad scope of the reaction illustrate the good synthetic utility of this method. The reaction is a highly atom-economic process for production of dithiocarbamates and can be successfully used in large amount for in the pharmaceutical or agrochemical industries.

Guo, Shengrong*; Yuan, Yanqin; Zhang Chunniu
Chin. J. Org. Chem. **2012**, 32(5), 907

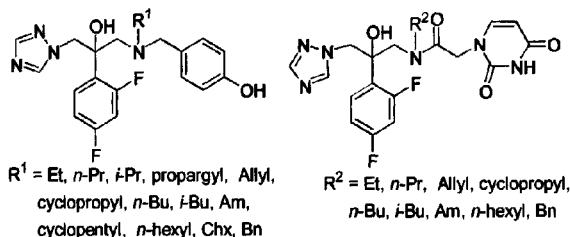
Synthesis and Fungicidal Activities of Nucleoside Compounds Containing Substituted Benzoyl Thiourea



To find new fungicidal lead compounds, based on the catalytic mechanism of chitin synthase, a series of novel nucleoside compounds containing thiourea were designed via the method of linking active sub-structures, in which the thiourea with high fungicidal activity was combined to the uridine part of polyoxins and nikkomycins. The target compounds were synthesized from uridine in 5 steps. The preliminary bioassay results indicated that some compounds showed obvious inhibition effects against *Phomopsis asparagi bubak*, especially, the fungicidal activity of **6m** (97.2%) at 50 µg/mL is similar to that (100%) of polyoxin B.

Miao, Hongjian; Zhang, Jiwei; Yuan, Hui-zhu; Li, Ying; Xu, Yan; Li, Hui; Yang, Xinling; Ling, Yun*
Chin. J. Org. Chem. **2012**, 32(5), 915

Design, Synthesis and *in vitro* Antifungal Activities of Fluconazole Derivatives

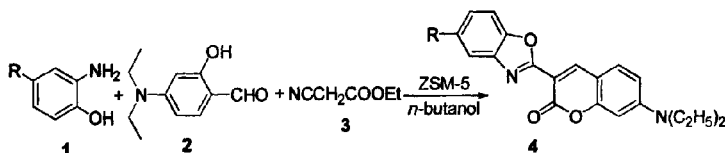


Wang, Nan; Li, Wenjuan; Zhang, Lei; Gao, Yijun; Ji, Chunmei; Chen, Ying; Chai, Xiaoyun; Sun, Haijun; Bi, Yi; Wu, Qiuye; Meng, Qingguo*
Chin. J. Org. Chem. **2012**, 32(5), 922

Based on the previous conclusion of computer-aided drug design, two series of new fluconazole derivatives have been designed and synthesized, and their *in vitro* antifungal activities against eight tested pathogenic fungi are also evaluated.

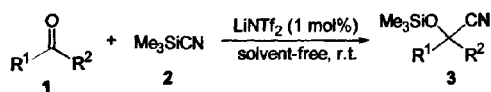
NOTES

One-Pot Synthesis of 3-(5'-Substituted-benzoxazol-2'-yl)-7-diethylamino-chromen-2-one Catalyzed with ZSM-5



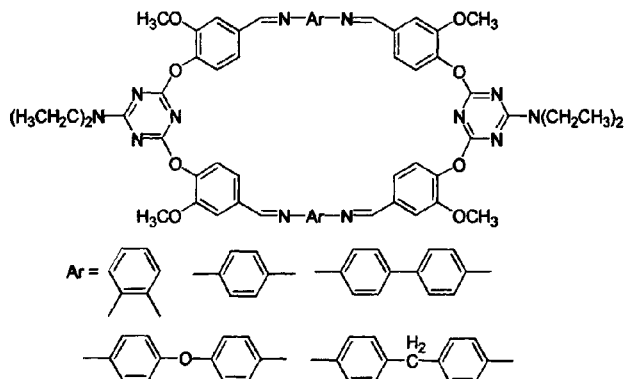
3-(5'-Substituted-benzoxazol-2'-yl)-7-diethylamino-chromen-2-ones were prepared by one-pot three-component reaction of 4-diethylaminosalicylaldehydes, ethyl cyanoacetate and *o*-aminophenols in refluxing *n*-butanol catalyzed by ZSM-5. This method has advantages of better yields and more environment-friendly process compared with that catalyzed by liquid catalysts.

Jiang, Shaoliang; Han, Liang*
Chin. J. Org. Chem. **2012**, 32(5), 930

Solvent-Free Achiral Cyanosilylation of
Aldehydes and Ketones Catalyzed by
LiNTf₂

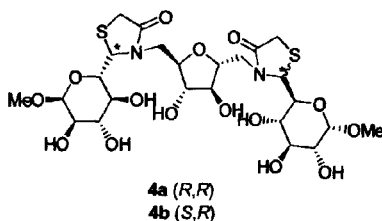
An efficient method for the addition of trimethylsilyl cyanide (TMSCN) to various aldehydes and ketones has been described using LiNTf₂ (1 mol%) as a catalyst at room temperature under solvent-free conditions. The advantages of this method are easy work-up, mild reaction conditions, high yields and the catalyst exhibited remarkable reusable activity.

Wang, Hongshe*; Zeng, June
Chin. J. Org. Chem. **2012**, 32(5), 934

Synthesis and Characterization of Novel
Schiff Base Macrocylic Compounds of
1,3,5-Triazine

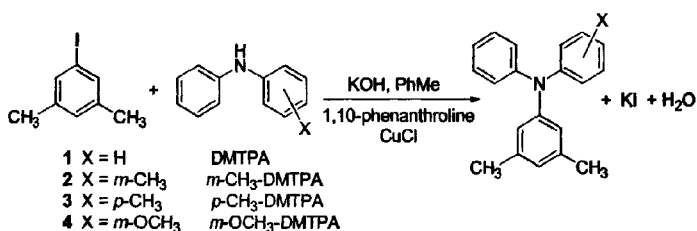
Several novel Schiff base macrocyclic compounds of 1,3,5-triazine were synthesized from cyanuric chloride, diethylamine, vanillin, *o*-phenylenediamine, *p*-phenylenediamine, benzidine, 4,4'-diamino-ether, 4,4'-diamino-diphenyl methane by substitution and cyclization. The studies on the UV-Vis absorption spectroscopies show that Schiff base **3b** has a selective recognition for Cu²⁺, and **3a**, **3c** for Fe³⁺.

Li, Xiaolan; Hua, Chengwen*; Gou, Xiaofeng; Zhao, Junlong; Chen, Bang
Chin. J. Org. Chem. **2012**, 32(5), 939

Synthesis and Proliferative Effects on T
Lymphocytes of Novel Thiazolidin-4-one
Linked Pseudotrisaccharides

Novel thiazolidin-4-one-linked pseudotrisaccharides **4a** and **4b** were synthesized by the one-pot tandem Staudinger/aza-Wittig cyclization and their effects on T-cell proliferation were evaluated.

Chen, Hua; Gao, Fang; Yin, Qingmei; Li, Chunxiao; Li, Na; Meng, Ming; Li, Xiaoliu*
Chin. J. Org. Chem. **2012**, 32(5), 943

Synthesis, Characterization and Properties
of Some Novel Structural Asymmetric
Triarylaminates

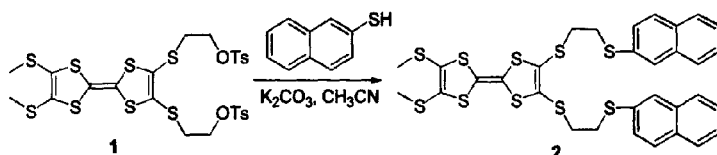
Four structural asymmetric triarylaminates were synthesized by diarylamines and 3,5-dimethyl-iodobenzene as the starting materials using Ullmann reaction. The structures of the target compounds were characterized by IR, ¹H NMR, ¹³C NMR, HRMS and elemental analysis. The optical, electrochemical, and thermal properties were examined. The results indicated that the synthesized compounds emitted green fluorescence in chloroform, and exhibited a good electrochemical and thermal stability. The synthesized triarylaminates are potential hole-transporting materials and green-light-emitting materials.

Li, Yingjun*; Zhao, Nan; Li, Lina; Li, Chunyan; Sun, Shuqin; Zhou, Xiaoxia
Chin. J. Org. Chem. **2012**, 32(5), 949

CONTENT

Synthesis and Properties of A Novel Naphthol-Substituted Tetrathiafulvalene Derivative

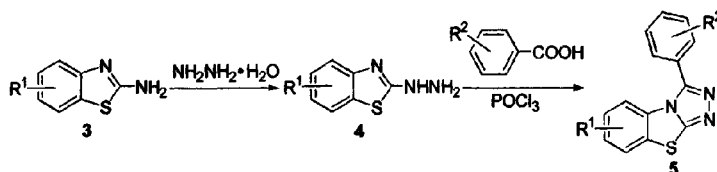
Zhao, Bangtun*; Liu, Lianwei; Li, Xiaochuan; Qu, Guirong*
Chin. J. Org. Chem. **2012**, 32(5), 953



A novel naphthol-substituted tetrathiafulvalene derivative **2** was conveniently synthesized and characterized by ^1H NMR, ^{13}C NMR, IR, MS techniques and elemental analysis. The recognition properties for **2** were carried out by CV (cyclic voltammetry) and fluorescence titration methods.

Synthesis and Antifungal Activity of Novel Substituted-3-aryl-1,2,4-triazolo-[3,4-*b*]benzothiazoles

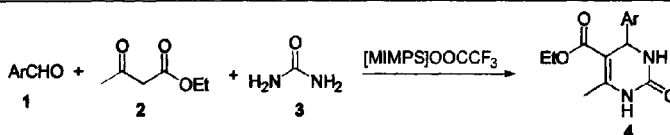
Weng, Jianquan*; Huang, Hua; Tan, Chengxia; Liu, Xinghai; Chu, Weisheng; Chen, Jie
Chin. J. Org. Chem. **2012**, 32(5), 957



Eighteen new substituted-3-aryl-1,2,4-triazolo[3,4-*b*]benzothiazoles **5** were synthesized by reacting substituted-2-hydrazinobenzothiazole with various substituted benzoic acids in POCl_3 under reflux condition. Their structures were confirmed by ^1H NMR, EIMS techniques and elemental analysis. The preliminary bioassay results indicated that some compounds exhibited certain fungicidal activities.

Synthesis of 3,4-Dihydropyrimidin-2(1*H*)-ones Catalyzed by Brønsted Acidic Ionic Liquid

Liu, Weihua; Gao, Shutao; Feng, Cheng; Zang, Xiaohuan; Zhou, Xin; Ma, Jingjun; Wang, Chun*
Chin. J. Org. Chem. **2012**, 32(5), 962

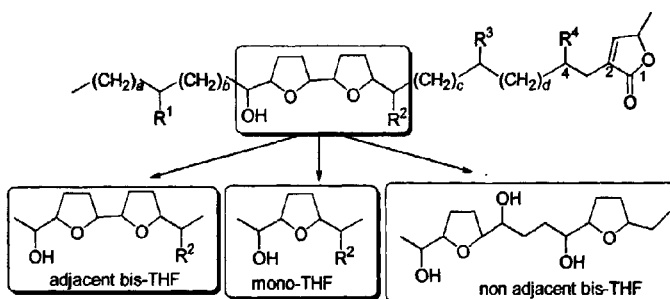


A series of 3,4-dihydropyrimidin-2(1*H*)-ones were prepared through the Biginelli condensation reactions of aromatic aldehydes, keto ester and urea catalyzed by Brønsted acidic ionic liquid 3-methyl-1-(3-sulfopropyl)-imidazolium trifluoroacetate under solvent free conditions.

REPORT

Progress in Structure Activity Relationship of Natural Annonaceous Acetogenins

Chen, Yong; Li, Xiang*; Chen, Jianwei
Chin. J. Org. Chem. **2012**, 32(5), 966



Annonaceous acetogenins are characterized by special chemical structures and antitumor mechanism. In this paper, the advance in structure activity relationship of natural annonaceous acetogenins is reviewed.

HIGHLIGHTS

Chin. J. Org. Chem. **2012**, 32(5), 973