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(YOUJI HUAXUE)

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学术动态

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(YOUJI HUAXUE)

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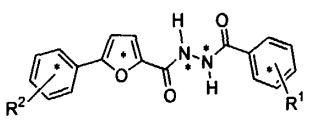
Synthesis, Insecticidal Activity and 3D-QSAR Studies on Diacylhydrazine Derivatives Containing Furan

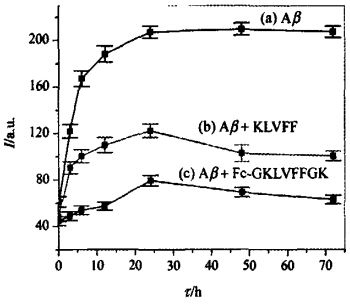


Cui, Zining; Zhang, Li; Huang, Juan; Ling, Yun; Yang, Xinling*

Chin. J. Org. Chem. **2010**, *30*(10), 1482

22 novel diacylhydrazine derivatives containing furan were synthesized by the reaction of 5-substituted phenyl-2-furoyl chloride with substituted benzohydrazides in anhydrous dichloromethane under reflux. Their structures were confirmed by IR, ^{1}H NMR spectra and elemental analysis. The preliminary bioassay against *Aphis fabae*, *Mythimna separate*, *Tetranychus urticae* and *Culex pipiens pallens* were evaluated. The three-dimensional quantitative structure activity relationship (3D-QSAR) of target compounds was studied by comparative molecular field analysis (CoMFA) method. The contour maps based on the analysis of steric and electrostatic CoMFA coefficients could not only explain the relationship between the structures and bioactivity, but also lead to the insight into the further design of highly active compounds.

Synthesis of Ferrocenoyl-peptide and Its Inhibition for β-Amyloid Peptide

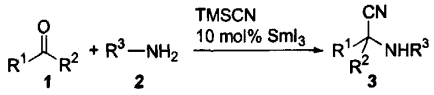


Li, Xueqiang; Wei, Chuanwan; Liu, Xiaofang; Liu, Younian*

Chin. J. Org. Chem. **2010**, *30*(10), 1492

Ferrocenoyl peptide Fc-GKLVFFGK was synthesized by solid-phase peptide synthesis method, and then the title compound was employed as an inhibitor for Alzheimer's β-amyloid (Aβ) fibrillogenesis or a β-sheet breaker for preformed Aβ fibers. The ferrocenoyl attached GKLVFFGK showed stronger inhibitory effects on Aβ1-42 fibrillogenesis than that of its parent peptide KLVFF *in vitro*.

Samarium(III) Iodide Catalyzed One-Pot Synthesis of α-Aminonitriles



1 2 3

Wu, Jirong; Chen, Weifeng; Luo, Mengxian; He, Xiaolin; Li, Zhifang*

Chin. J. Org. Chem. **2010**, *30*(10), 1497

Catalyzed by samarium(III) iodide (SmI_3), Strecker-type reaction took place smoothly giving the corresponding α-aminonitriles in moderate to high yields at room temperature. Under this reaction conditions, aldehydes, ketones (aromatic and aliphatic) and amines (primary plus secondary) were the suitable substrates.

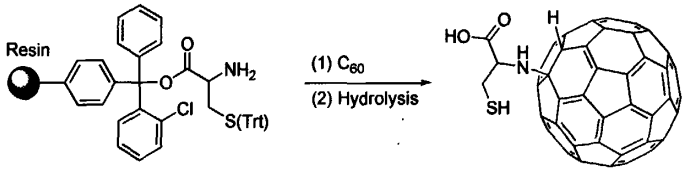
Synthesis and Characterization of 1,4-Diaryl-3-ethoxycarbonyl-5-(2-phenyl-1,2,3-triazoloylcarbonyl)-4,5-dihydropyrazole Derivatives

Wu, Xiaolong; Ye, Jiawei; Yang, Debao; Liu, Fangming*

Chin. J. Org. Chem. **2010**, *30*(10), 1502

4-Acetyl-2-phenyl-1,2,3-triazole (**1**) was synthesized from 2-phenyl-1,2,3-triazolocarboxylic acid and used as stating material for preparation of substituted chalcones **2a**~**2e**. These chalcones were converted into corresponding 4,5-dihydropyrazole derivatives **4a**~**4j** through [3+2] 1,3-dipolar cycloaddition with nitrileimines, generated *in situ* from hydrazonyl halides (**3a**, **3b**) in the presence of Et_3N. The structures of these compounds were characterized by IR, ^{1}H NMR, mass spectra, and elemental analysis. The structure of **4i** was comfirmed by X-ray diffraction analysis.

Solid-Phase Synthesis and Fluorescent Property of A Fullerene Cystine Derivative



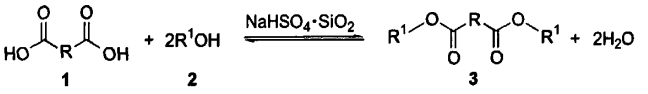
1

Xie, Xin; Yang, Xinlin*

Chin. J. Org. Chem. **2010**, *30*(10), 1508

A new mono-amino acid fullerene derivative fullerene cystine (Fcy) was synthesized for the first time, based on the addiction reaction between amino group and fullerene cage in combination with solid-phase polypeptide synthesis. An aqueous nanoparticle suspension of Fcy (denoted as *n*-Fcy) was then prepared by the method of organic solvent exchange, and the fluorescent properties of Fcy and *n*-Fcy were compared.

Synthesis of Dicarboxylic Acid Esters Catalyzed by Silica Supported Sodium Hydrogen Sulfate



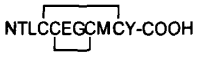
1 2 3

Xie, Xiaopeng; Xie, Zhengfeng; Cao, Liqin; Ren, Shuiying; Wang, Jide*

Chin. J. Org. Chem. **2010**, *30*(10), 1512

Silica supported sodium hydrogen sulfate ($NaHSO_4•SiO_2$) has been found to be an efficient heterogeneous catalyst for the esterification of dicarboxylic acid compounds with alcohols to give the corresponding dicarboxylic acid esters. This method has advantages of high yield, convenient post-treatment and easy recovery of catalyst.

A Mimetic Peptide with Two Pairs of Concentrated Disulfide Bridges

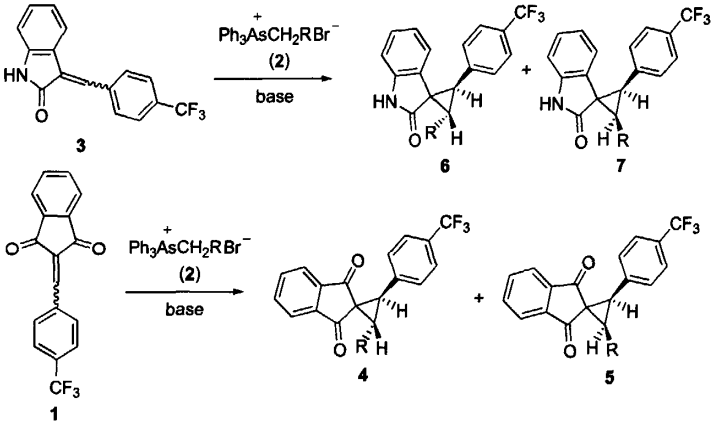


Wu, Qiaoling; Liu, Zhuguo; Fu, Chao; Lin, Yuanbin; Dai, Qiuyun*

Chin. J. Org. Chem. **2010**, *30*(10), 1517

A mimetic peptide of α-conotoxin with most concentrated disulfide bridges was synthesized and exhibited analgesic activity.

Facile, Highly Stereoselective Synthesis of Spiro[cyclopropane-1,3'-indolin]-2'-ones and Spiro[cyclopropane-1,2'-indane]-1',3'-diones Containing Trifluoromethyl Group



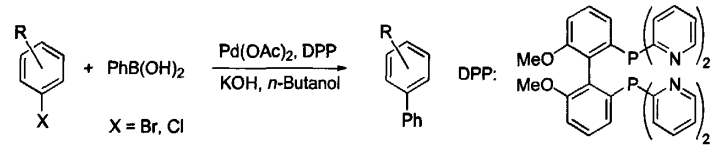
3 6 7 1 4 5

Yang, Shuxin; Chen, Jie; Wu, Xiaoyu; Deng, Hongmei; Shao, Min; Zhang, Hui*; Cao, Weiguo*

Chin. J. Org. Chem. **2010**, *30*(10), 1521

A series of 3'-spirocyclopropanyl-oxindole and 2'-spirocyclopropanyl-indandione derivatives were synthesized from arsonium ylides and 3-(4-(trifluoromethyl)benzylidene)indolin-2-one or 2-(4-(trifluoromethyl)benzylidene)-1*H*-indene-1,3(2*H*)-dione in good yields with high stereoselectivity.

Synthesis of a New Biphenyl Diphosphine Ligand and Its Pd-Catalyzed Suzuki-Miyaura Reaction

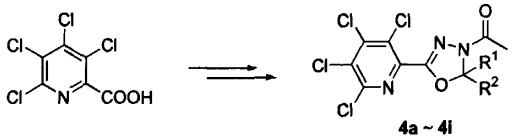


Peng, Zonghai; Ma, Menglin; Fu, Haiyan; Chen, Hua*

Chin. J. Org. Chem. **2010**, *30*(10), 1529

The palladium complex of the new ligand 6,6'-dimethoxy-2,2'-bis(di-2-pyridylphosphino)-1,1'-biphenyl (DPP), provided excellent yields in Suzuki-Miyaura coupling reaction of aryl bromides with phenyl boronic acid, even in the presence of hindered and functional groups.

Synthesis and Characterization of 2-Substituted-5-(perchloropyridin-2-yl)-1,3,4-oxadiazolines Derivatives



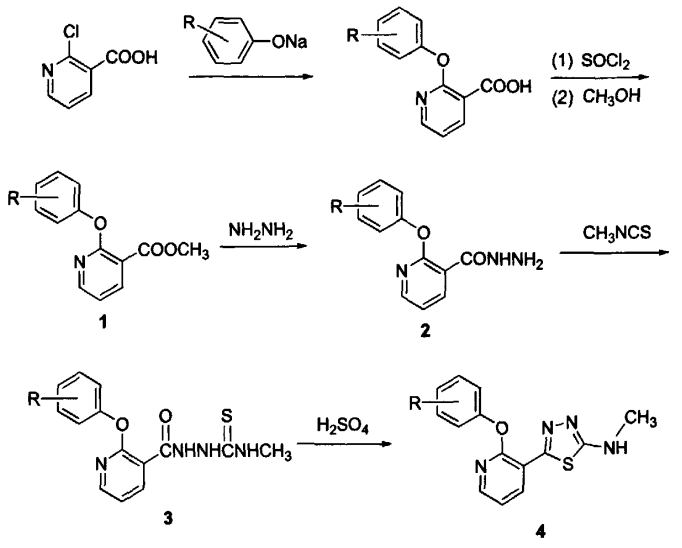
4a ~ 4i

Shen, Fenfang; Xu, Lijuan; Qiang, Genrong; Song, Qingbao*

Chin. J. Org. Chem. **2010**, *30*(10), 1535

The perchloronictinic hydrazine has been prepared using esterification and hydrazinolysis of perchloropyridin-2-carboxylic acid, and then condensated with aldehydes (ketones) producing correspond hydrazones. Finally 2-substituted-5-(perchloropyridin-2-yl)-1,3,4-oxadiazolines have been obtained by the cyclodehydration of these hydrazones in acetic anhydride. Their molecule structures were characterized by elemental analysis, IR, ^1H NMR, ^{13}C NMR and MS techniques.

Synthesis and Fluorescence Properties of 2-Methylamino-5-(2-aryloylpyrid-3-yl)-1,3,4-thiodiazoles

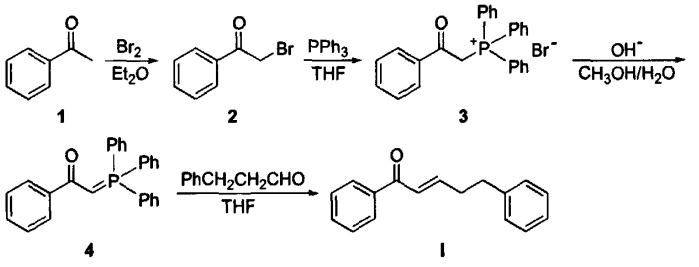


A series of 2-methylamino-5-(2-aryloylpyrid-3-yl)-1,3,4-thiodiazole derivatives were synthesized and structurally confirmed by ^{1}H NMR, IR spectra and elemental analysis. The fluorescence spectra showed that these compounds had good fluorescence. The fluorescence maximum emission wavelengths were about 384～390 nm, and maximum fluorescence quantum yield is 0.12.

Ran, Zhaojin; Fu, Bin; Xiao, Yumei; Qin, Zhaohai*

Chin. J. Org. Chem. **2010**, *30*(10), 1539

Synthesis and Biological Activity of (*E*)-1,5-Diphenyl-2-penten-1-one

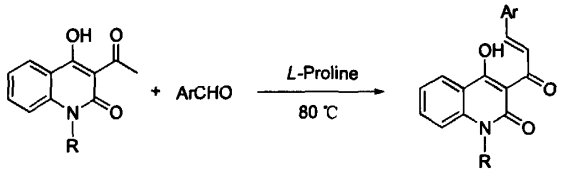


(*E*)-1,5-Diphenyl-1-penten-1-one (**I**) is an aphicidal compound originally isolated from *Stellera chamaejasme* L. In order to get the compound **I** largely, a practical method for preparing **I** from starting material acetophenone in four steps was proposed. The structure was confirmed by ^{1}H NMR, IR spectra and elemental analysis. The effect of temperature and solvent on *Z*/*E* ratio was also studied in this paper. The bioassay result indicated that compound **I** showed insecticidal activity against *Myzus persicae* (LC_{50} 178.96 μg/mL).

Yang, Shaoxiang; Yang, Xinling; Lu, Yuanyuan; Sun, Yufeng; Sun, Liang; Ling, Yun*

Chin. J. Org. Chem. **2010**, *30*(10), 1543

Study on Proline-Catalyzed Facile Synthesis of Novel 3-(α,β-Unsaturated carbonyl)-4-hydroxy-quinolin-2(1*H*)-ones and Their Fluorescent Properties

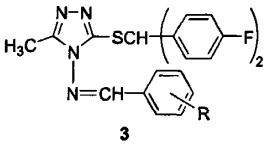


A series of novel 3-(α,β-unsaturated carbonyl)-4-hydroxyquinolin-2(1H)-ones were prepared through *L*-proline catalyzed aldol reaction. The reaction mechanism was proposed and confirmed by the research result. The fluorescent properties of some products were studied.

Ye, Jiahai*; Wu, Jun; Huang, Nianfeng; Zhang, Wenchao; Yu, Shuyan; Qin, Zhichun; Wang, Zhiyong; Shang, Yongjia*

Chin. J. Org. Chem. **2010**, *30*(10), 1548

Microwave-Assisted Synthesis and Characterization of 3-Methyl-4-amino-5-[bi-(4-fluorophenyl)-methyl]-thio-1,2,4-triazole Schiff base

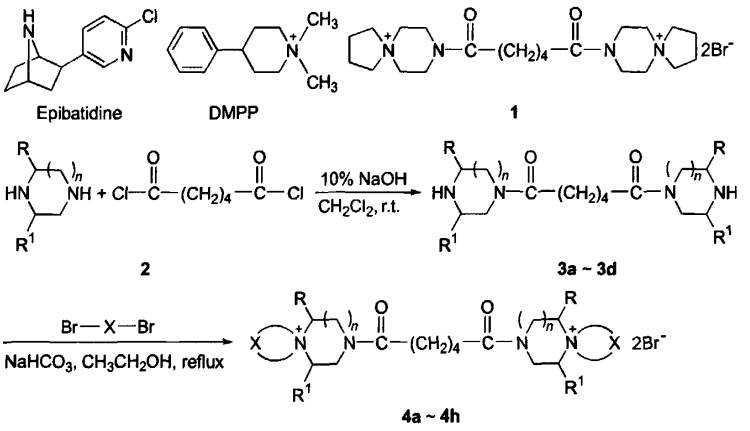


3

Under microwave irradiation conditions, ten new Schiff bases **3a**~**3j** were synthesized. The structures of the ten new compounds were confirmed by IR, ^{1}H NMR, MS techniques and elemental analysis.

Li, Qinghan*; Cui, Hao
Chin. J. Org. Chem. **2010**, *30*(10), 1555

Synthesis and Analgesic Activity of Dispirocyclopiperazinium Analogues



Epibatidine DMPP 1

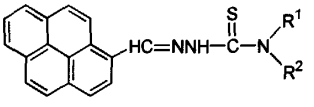
2 3a ~ 3d

4a ~ 4h

A series of dispirocyclopiperazinium analogues were synthesized by the reaction of hexanedioyl chloride, piperazine analogues and dibromides. The structures of target compounds were characterized by ^{1}H NMR, ^{13}C NMR and elemental analysis. Preliminary bioassay test indicated that most of the compounds showed significant analgesic activity, and the structure-activity relationship was revealed.

Wang, Xin; Liu, Wenjun; Li, Gang; Ye, Jia; Cheng, Tieming; Li, Runtao*
Chin. J. Org. Chem. **2010**, *30*(10), 1559

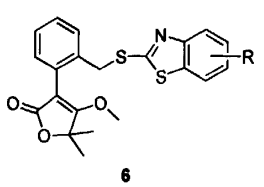
Synthesis of Some Thiosemicarbazones Containing Pyrene Group and Their Mercury Ion Recognition Properties



Novel pyrenecarboxaldehyde-4-methyl-3-thiosemicarbazone (**1**), pyrenecarboxaldehyde-4-(4-ethylphenyl)-3-thiosemicarbazone (**2**) and pyrenecarboxaldehyde-4,4-dimethyl-3-thiosemicarbazone (**3**) have been synthesized and characterized by elemental analysis, FT-IR, ^{1}H NMR MS techniques. Their fluorescence and recognition properties to the mercury ion were studied by the fluorescence excitation and emission spectra. With adding mercury ion into their solutions respectively, their fluorescence emission intensities at different characteristic wavelength changed continually.

Wang, Xuemei*; Yan, Hua; Feng, Xinlu; Chen, Yong; Qiao, Jianxian
Chin. J. Org. Chem. **2010**, 30(10), 1563

Synthesis and Fungicidal Activities of Novel Thioethers Containing Benzothiazole Moiety



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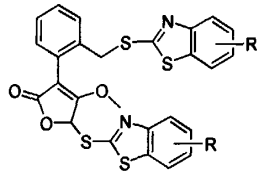
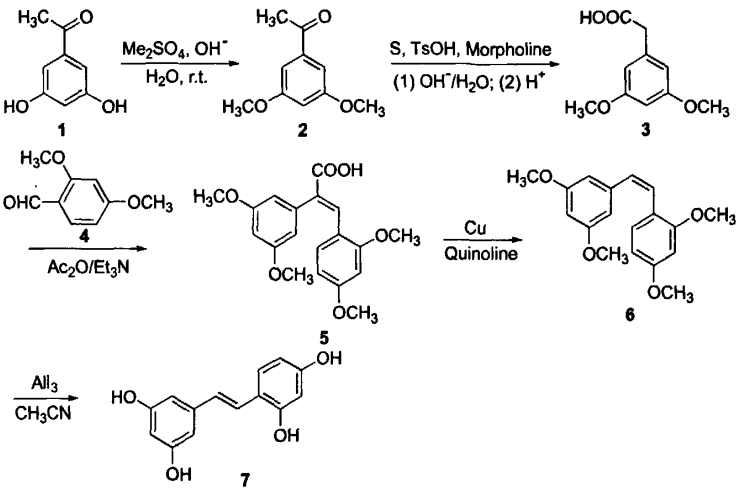


11

Eighteen novel thioethers were designed and synthesized by modifying the pharmacophore of the strobilurin fungicide with various substituted benzothiazole rings as the side chain structure.

Zhao, Peiliang; Wang, Fu; Huang, Wei; Chen, Qiong; Liu, Zuming*
Chin. J. Org. Chem. **2010**, *30*(10), 1567

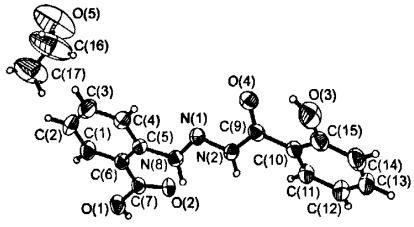
Synthesis of Oxyresveratrol



Sun, Hongyi; Xiao, Chunfen; Wei, Wen; Chen, Yu; Lü, Zeliang; Zou, Yong*
Chin. J. Org. Chem. **2010**, *30*(10), 1574

A convenient and practical synthetic route for oxyresveratrol (7) is reported. Starting from the readily available 3,5-dihydroxyacetophenone (1), the target compound 7 was obtained through methylation, Willgerodt-Kindler rearrangement, Perkin condensation, decarboxylation and demethylation/isomerization reactions in an overall yield of 30%.

Synthesis and Properties of *o*-Carboxybenzaldehyde Salicyloylhydrazone



Liang, Bei; Liu, Xiangrong*; Zhang, Penghui
Chin. J. Org. Chem. **2010**, *30*(10), 1580

By using salicyloylhydrazine and *o*-carboxybenzaldehyde salicyloylhydrazone, a compound of *o*-carboxybenzaldehyde salicyloylhydrazone was synthesized and characterized by elemental analysis, ^{1}H NMR IR, single-crystal X-ray diffraction, and thermal gravity analysis. Its antibacterial activity was tested against *Escherichia coli*.

Tangutorine: Synthesis, Biogenetic Relationship and Biomimetic Synthesis

Tu, Xiaojun; Liu, Jianli*; Wang, Cuiling; Liu, Chen
Chin. J. Org. Chem. **2010**, *30*(10), 1584

Tangutorine was isolated from the leaves of *Nitraria tangutorum* (Zygophylaceae/ Nitrariaceae) collected in China. Since its discovery, the unusual structure stimulated synthetic work and speculation of biogenetic relationship. Relying on the similarity with yohimbane alkaloids, a possible biosynthetic origin was proposed. An alternative biosynthetic pathway according to *Nitraria* metabolism was also proposed. It is believed that tangutorine biogenetically comes from lysine. A biomimetic synthesis was achieved in three steps from simple C(5) lysine-derived units. This paper reviews the progresses in the synthesis, biogenetic relationship and biomimetic synthesis of tangutorine.

Dissolution and Regeneration of Cellulose and Development in Processing Cellulose-Based Materials with Ionic Liquids

Lu, Yun; Sun, Qingfeng; Yu, Haipeng*; Liu, Yixing*
Chin. J. Org. Chem. **2010**, *30*(10), 1593

In this paper, the recent advances in the dissolution behaviour of cellulose in ionic liquids are reviewed, including the influencing factors of the cellulose solubility, the dissolving process and the dissolution and regeneration mechanism of cellulose in ionic liquids, as well as their applications in the development of novel cellulose modified materials, *etc*. Finally, some problems that need urgent solution and the future research aspects of ionic liquids in cellulose chemistry are presented and suggested.

Highlights

Chin. J. Org. Chem. **2010**, *30*(10), 1603