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^{*} 通讯联系人.

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CONTENTS

Application of Solvent-Free Reaction in Synthesis of Heterocyclic Compounds

reactants solvent-free reactions heterocyclic compounds

Heterocyclic compounds have shown many excellent biological activities in both area of

medicine and pesticide. The synthetic method is one of the focused fields concerning pharmaceutical and pesticidal researches at present. With the theme of green synthesis, some advantadges of organic solvent-free heterocyclic synthesis in high yield, good selectivity, easy operation, low cost and environmental friendship were introduced. And the developments in the recent four years of solvent-free reaction in heterocyclic synthesis study have been reviewed.

Yu, Fuchao; Yan, Shengjiao; Lin, Jun* Chin. J. Org. Chem. 2010, 30(10), 1421

Research Progress in Synthesis of Nitrogen-Rich Zole-Ring Compounds by Cycloaddition Reaction

Li, Guanqiong; Li, Yuchuan; Ma, Qiaoli; Sun, Chenghui*; Pang, Siping*
Chin. J. Org. Chem. 2010, 30(10), 1431

Progress in the Study of Different Position Substituted Thiazolidine-4-carboxylic Acid and Its Derivatives

Nitrogen-rich zole-ring compounds, as significant N-heterocyclic compounds, have a wide range of applications in medicinal chemistry, bio-conjugation, materials chemistry, etc. The syntheses of nitrogen-rich zole-ring compounds by cycloaddition reaction have been paid more and more attention. This review is focused on research progress in synthesis of nitrogen-rich zole-ring compounds by cycloaddition reaction in recent years from various aspects such as the type of catalysts, synthesis of differently substituted products, etc.

Wang, Chao; Zheng, Xuefang*; Zhang, Qian; Liu, Qun*

Chin. J. Org. Chem. 2010, 30(10), 1441

The progress in the study of substituted thiazolidine-4-carboxylic acid and its derivatives, and their applications to organic synthesis, pharmic synthesis, chemical analysis and chemical calculation in the past decade are reviewed.

Advances in Research on Natural Products with Anti-drug Resistance or Multidrug Resistance Reversal Activities

Yang, He; Ma, Chen*
Chin. J. Org. Chem. 2010, 30(10), 1455

Syntheses of Metal Complexes of N-Heterocyclic Carbenes and Recent Progress in Carbon-Carbon Multiple Bonds Hydrosilylation

Li, Jiayun; Peng, Jiajian; Li, Xiaonian*; Ma, Lei; Bai, Ying; Zhang, Guodong; Lai, Guoqiao* Chin. J. Org. Chem. 2010, 30(10), 1468 H₃CO O O H

The recent progress in the studies on natural products processing anti-drug resistance or multidrug resistance reversal activities is reviewed. The structural feature and biological activities of these natural products are described in detail and the total synthesis of some compounds is also provided.

Syntheses of N-heterocyclic carbene metal complexes and recent progresses of the metal N-heterocyclic carbene catalysts for carbon-carbon multiple bonds hydrosilylation are reviewed.

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

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, ¹H 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.

Cui, Zining; Zhang, Li; Huang, Juan; Ling, Yun; Yang, Xinling*
Chin. J. Org. Chem. 2010, 30(10), 1482

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

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

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

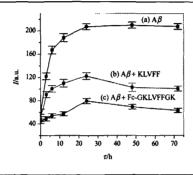
Chin. J. Org. Chem. 2010, 30(10), 1497

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

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



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 (A β) fibrillogenesis or a β -sheet breaker for preformed A β fibers. The ferrocenoyl attached GKLVFFGK showed stronger inhibitory effects on A β 1-42 fibrillogenesis than that of its parent peptide KLVFF *in vitro*.

Catalyzed by samarium(III) iodide (SmI₃), 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.

4-Acetyl-2-phenyl-1,2,3-triazole (1) was synthesized from 2-phenyl-1,2,3-triazolocar-boxylic acid and used as stating material for preparation of substituted chalcones $2a\sim$ 2e. These chalcones were converted into corresponding 4,5-dihydropyrazole derivatives $4a\sim4j$ through [3+2] 1,3-dipolar cycloaddition with nitrileimines, generated in situ from hydrazonyl halides (3a, 3b) in the presence of Et₃N. The structures of these compounds were characterized by IR, ¹H NMR, mass spectra, and elemental analysis. The structure of 4i was comfirmed by X-ray diffraction analysis.

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.

Xie, Xin; Yang, Xinlin*
Chin. J. Org. Chem. 2010, 30(10), 1508

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

Xie, Xiaopeng; Xie, Zhengfeng; Cao, Liqin; Ren, Shuiying; Wang, Jide* Chin. J. Org. Chem. 2010, 30(10), 1512 Silica supported sodium hydrogen sulfate (NaHSO₄•SiO₂) 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

NTLCCEGCMCY-COOH

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

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

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

$$\begin{array}{c} R \\ + PhB(OH)_2 \end{array} \xrightarrow{Pd(OAc)_2, DPP} \begin{array}{c} R \\ \hline KOH, n\text{-Butanol} \end{array} \begin{array}{c} R \\ \hline Ph \end{array} \begin{array}{c} DPP: \\ MeO \end{array} \begin{array}{c} MeO \\ \hline P \end{array} \begin{array}{c} N \\ N \end{array}$$

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

The palladium complex of the new ligand 6,6'-dimethoxy-2,2'-bis(di-2-pyridyl-phosphino)-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.

Peng, Zonghai; Ma, Menglin; Fu, Haiyan; Chen, Hua*
Chin. J. Org. Chem. 2010, 30(10), 1529

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

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, ¹³C NMR and MS techniques.

Shen, Fenfang; Xu, Lijuan; Qiang, Genrong; Song, Qingbao* Chin. J. Org. Chem. 2010, 30(10), 1535 Synthesis and Fluorescence Properties of 2-Methylamino-5-(2-aryloylpyrid-3-yl)-1,3,4-thiodiazoles

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

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

(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 ¹H 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 (LC₅₀ 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(1H)-ones and Their Fluorescent Properties

Ye, Jiahai*; Wu, Jun; Huang, Nianfeng; Zhang, Wenchao; Yu, Shuyan; Qin, Zhichun; Wang, Zhiyong; Shang, Yongjia* Chin. J. Org. Chem. 2010, 30(10), 1548

A series of novel $3-(\alpha,\beta-\text{unsaturated carbonyl})-4-\text{hydroxyquinolin-2(1H)-ones}$ 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.

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

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

Synthesis and Analgesic Activity of Dispirocyclopiperazinium Analogues

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

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 ¹H NMR, ¹³C NMR and elemental analysis. Preliminary bioassay test indicated that most of the compounds showed significant analgesic activity, and the structure-activity relationship was revealed.

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, ¹H 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

Wang, Xin; Liu, Wenjun; Li, Gang; Ye, Jia;

Cheng, Tieming; Li, Runtao*

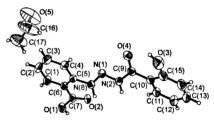
Synthesis and Fungicidal Activities of Novel Thioethers Containing Benzothiazole Moiety

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

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. Synthesis of Oxyresveratrol

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

Synthesis and Properties of o-Carboxybenzaldehyde Salicyloylhydrazone 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%.



Liang, Bei; Liu, Xiangrong*; Zhang, Penghui

Chin. J. Org. Chem. 2010, 30(10), 1580

Tangutorine: Synthesis, Biogenetic Relationship and Biomimetic Synthesis

Tu, Xiaojun; Liu, Jianli*; Wang, Cuiling; Liu, Chen

Chin. J. Org. Chem. 2010, 30(10), 1584

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

Highlights

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

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

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.

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.