

有机化学 (月刊)

CHINESE JOURNAL OF ORGANIC CHEMISTRY
(YOUJI HUAXUE)

第 30 卷 第 12 期 (总 265 期) 2010 年 12 月*

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* 通讯联系人.

酮脞与一氧化氮的偶氮-硝化反应..... 杨得锁* 郭亚宁 朱海云 吴隆民 (1904)

研究简报

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2/3-取代硫基-5-邻羟基苯基噻类化合物的合成及抑菌活性..... 朱姗姗 卢俊瑞* 辛春伟 卢博为 鲍秀荣 唐大伟 邹敏 刘倩 (1914)

含氢硅油-三氯化钨催化酰胺的还原反应研究..... 张帆 李云庆 王家喜* (1921)

N'-{1-[(6-氯吡啶-3-基)甲基]-5-甲基-1*H*-1,2,3-三唑-4-甲酰基}-*N'*-叔丁基-*N*-取代苯甲酰肼的合成与杀虫活性..... Khalema, Thandiwe D. L. 石德清* (1925)

基于同一天然手性源(4*R*)-羟基脯氨酸的(2*S*,4*S*)/(2*S*,4*R*)-4-氟谷氨酸的立体专一性合成..... 李春雷 王衍超 谭瑛英 李硕* 杨中锋* (1931)

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4-取代苯基-2,3-二氢-1,5-苯并硫氮杂卓-3-乙酸甲酯及其钠盐的合成..... 张萍 黄金华 王兰芝 刘薇 李媛* (1939)

Lewis 酸催化下苯乙酮型苯并吡喃的合成..... 齐小燕 伍林* 王建国 易德莲 周锦霞 刘敏 彭功名 (1944)

微波辐射下咪唑连噁二唑啉衍生物的合成和抗菌活性测定..... 赵志刚* 刘兴利 陈宇 李辉 石治川 (1949)

烷氧基桥联双三联吡啶的简洁合成..... 严晓华 杜丽婷 毕欣 孙晶 颜朝国* (1955)

学术动态

点 化学合成杯芳烃衍生物的研究进展..... 刘连委 郭文博 李晓川 渠桂荣 赵邦屯* (1960)

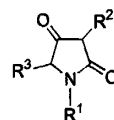
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CONTENTS

Progress in the Studies on Natural Bio-
active Pyrrolidine-2,4-diones



Liang, Ying*; Ke, Shaoyong; Wang, Kaimei;
Yang, Ziwen
Chin. J. Org. Chem. **2010**, 30(12), 1801

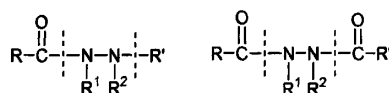
The naturally occurring pyrrolidine-2,4-dione (tetramic acid) derivatives originating from a variety of marine and terrestrial species have attracted a great deal of interest due to their broad-spectrum biological activities and challenging structural complexity. In this paper, recent advances in the structures, biological activities especially total synthesis of natural pyrrolidine-2,4-dione derivatives are reviewed.

Organocatalytic Asymmetric Henry Re-
actions

Gao, Shutao; Xi, Guohong; Li, Ning;
Wang, Chun; Ma, Jingjun*
Chin. J. Org. Chem. **2010**, 30(12), 1811

Asymmetric Henry reaction is a versatile tool for the synthesis of chiral nitro compounds. The reported organocatalysts for asymmetric Henry reaction include chiral guanidines, chiral (thio)urea derivatives, cinchona alkaloids and so on, which could catalyze the asymmetric Henry reaction with high catalytic activity and excellent enantioselectivity. The applications of various organocatalysts in asymmetric Henry reaction are reviewed in this paper. The reaction mechanism, catalytic activity and asymmetric induction influenced by the structure of organocatalysts and the reaction conditions are also discussed.

Research Advance of Acylhydrazine
Derivatives with Biological Activities



Ke, Shaoyong*; Sun, Tingting; Liang, Ying;
Yang, Ziwen
Chin. J. Org. Chem. **2010**, 30(12), 1820

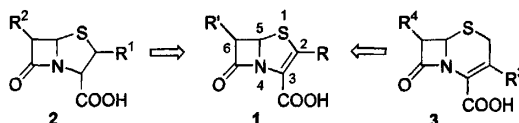
Acylhydrazine derivatives are not only the important organic synthons, but also have excellent biological activities, which exhibit extend application and development foreground. This review summarizes some recent advances of acylhydrazine derivatives in medicine and pesticide.

Synthesis and Application of Isoxazolin-
ium Salts

Wang, Ting; Imerhasan, Mukhtar*
Chin. J. Org. Chem. **2010**, 30(12), 1831

In this paper the structures and the synthesis methods of isoxazolinium salts are summarized, and its multiply intermediates functions are applied in the preparation of *N*-methyl-isoxazolidines, *N*-methylamino alcohols, *N*-methylamino polyols, α -amino acid and β -amino acids; at the same time the synthesis and application of new *N*-substituted isoxazolinium salts have been summarized. The developing and research direction are given based on the analysis of this research field.

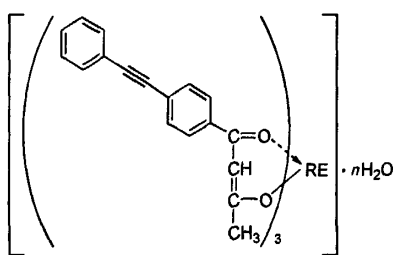
Synthesis and Antibacterial Activities of
Penem Ferrocene Derivatives



Yang, Yingbin; He, Chunlian; Wu, Chao;
Liu, Kaijian; Xiang, Jiannan*
Chin. J. Org. Chem. **2010**, 30(12), 1840

In order to enhance the antibacterial activities of penems antibiotics, eight novel penem ferrocene derivatives were synthesized and their structures were characterized. The minimal inhibitory concentration (MIC) of these compounds and faropenem against *Staphylococcus aureus* etc. was determined by the agar dilution method. The structure-activity relationships of these compounds were also discussed.

Synthesis, Characterization and Fluorescence Property of a New β -Diketone and Its Rare Earth Complexes



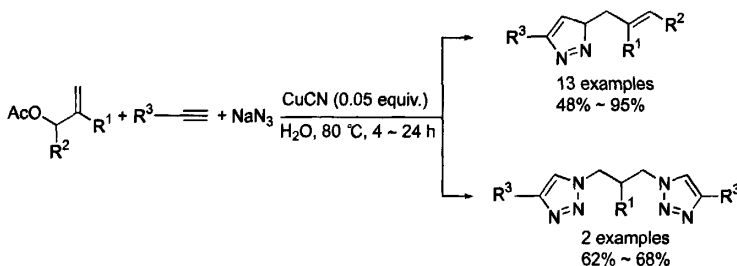
A new β -diketone, 1-(*p*-phenylethynyl)-1,3-butanedione (HPB), was synthesized and confirmed by elemental analysis, ^1H NMR and MS techniques. The result of ^1H NMR spectroscopy indicates that the HPB exists as an enol form isomer, which is in good agreement with the result of IR analysis. Four new binary rare

earth complexes were synthesized by the reaction of HPB with Sm(III), Eu(III), Tb(III) and Dy(III), respectively. The compositions of the complexes were characterized by IR spectra, chemical analysis, elemental analysis and thermogravimetric analysis as $\text{Sm}(\text{PB})_3 \cdot 2\text{H}_2\text{O}$, $\text{Eu}(\text{PB})_3 \cdot 3\text{H}_2\text{O}$, $\text{Tb}(\text{PB})_3 \cdot 2\text{H}_2\text{O}$ and $\text{Dy}(\text{PB})_3 \cdot 3\text{H}_2\text{O}$.

Liu, Xingwang*; Gao, Saishengtai; Wang, Li; Jiang, Jiadong

Chin. J. Org. Chem. **2010**, *30*(12), 1848

One-Pot Synthesis of Novel Triazol and Double Triazol Compounds

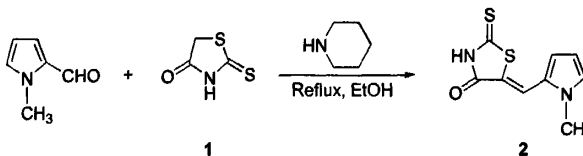


An efficient one-pot method is used to prepare a series of 1,4-disubstituted-1,2,3-triazoles and double triazoles in water from a variety of Baylis-Hillman acetates, sodium azide and aromatic alkynes using CuCN as catalyst. This method is simple, efficient and base free.

Lei, Ming*; Song, Wangze; Zhan, Zujin; Chen, Xiaowei; Wang, Yanguang

Chin. J. Org. Chem. **2010**, *30*(12), 1854

Synthesis, Crystal Structure and Spectral Properties of One Rhodanine Blue Laser Merocyanine Dye

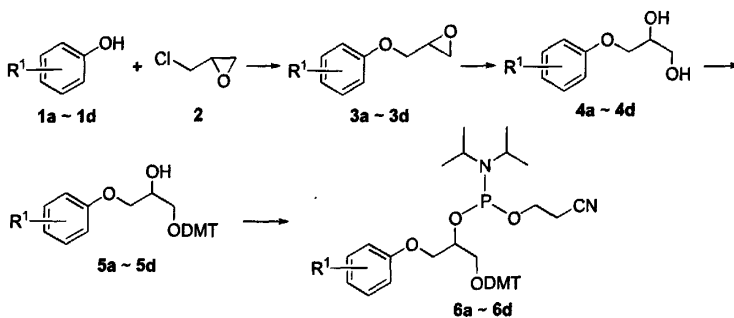


Liu, Qi; Tan, Yangping; Wang, Xue; Liu, Yanxia; Zhang, Xianghan; Wang, Lanying*; Zhang, Zuxun

Chin. J. Org. Chem. **2010**, *30*(12), 1860

One blue laser merocyanine dye **2** was synthesized and characterized by ^1H NMR, IR, UV-vis spectra and elemental analysis. From the UV-vis spectra investigation, dye **2** exhibited intensive absorption in blue light region. The X-ray crystal structure of dye **2** has been performed as well.

Design, Synthesis and Biological Activity of Novel Chemical Modified siRNAs



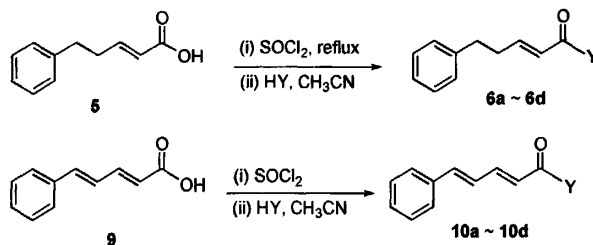
6a $\text{R}^1 = \text{H}$, **6b** $\text{R}^1 = 4\text{-F}$, **6c** $\text{R}^1 = 4\text{-CH}_3$, **6d** $\text{R}^1 = 4\text{-Cl}$

Li, Yiliang; Ren, Kaihuan; Li, Hongming; Wang, Juxian; Zou, Meixiang; He, Hongwei; Shao, Rongguang; Wang Yucheng*

Chin. J. Org. Chem. **2010**, *30*(12), 1865

Four aromatic chemically modified units **6a**~**6d** were synthesized from substituted phenol **1a**~**1d** and 3-chloro-1,2-epoxypropane. Modified units were incorporated into the 3'-overhang regions of Mdm2-siRNAs to enhance the activities of RNA interference and nuclease resistance.

Synthesis and Biological Activities of Daphneolone Analogues

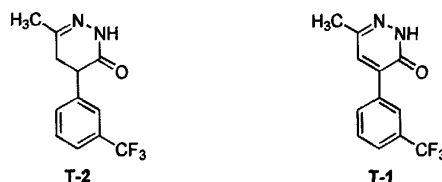


The botanical aphicide (*E*)-1,5-diphenyl-1-penten-1-one (**I**) from *Stellera chamaejasme* L. as the lead compound, 8 new compounds were designed and synthesized in which 1-phenyl was replaced by different nitrogen heterocycles. Their structures were confirmed by ^1H NMR, IR spectra and elemental analysis. The results of biological tests indicated that these compounds showed insecticidal activity against *Aphis gossypii* at 600 $\mu\text{g/mL}$, especially compound **6a** (corrected mortality is 92.9%) showed higher activity than **I**.

Yang, Shaoxiang; Kang, Tieniu; Yang, Xinling; Sun, Yufeng; Rui, Changhui; Ling, Yun*

Chin. J. Org. Chem. **2010**, *30*(12), 1870

Synthesis and Herbicidal Activity of Novel 6-Methyl-4-(3-trifluoromethylphenyl)pyridazin-3(2*H*)-one

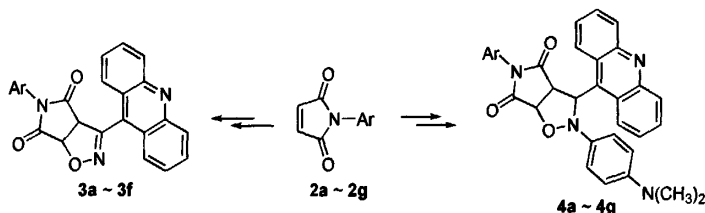


6-Methyl-4-(3-trifluoromethylphenyl)pyridazin-3(2*H*)-one (**T-1**) was designed and synthesized based on the comparative molecular field analysis (CoMFA) of three series herbicidal 3-trifluoromethyl-1,1'-biphenyl derivatives inhibiting phytoene desaturase. Herbicidal activities of **T-1** were evaluated through barnyardgrass, rape cup tests, *Spiridola polyrrhiza* (L.) and greenhouse test. **T-1** exhibited good herbicidal and bleaching activities. Three methods for the preparation of **T-1** were reported.

Xu, Han; Hu, Xuhong; Liu, Bin; Zhu, Youquan; Zou, Xiaomao; Hu, Fangzhong; Yang, Huazheng*

Chin. J. Org. Chem. **2010**, *30*(12), 1876

Synthesis and Bioactivity of Novel Pyrrolino[3',4'-*d*]isoxazole Derivatives Containing Acridinyl

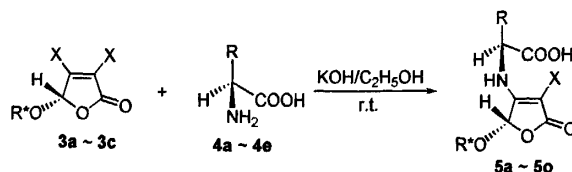


A series of 3-(9-acridinyl)-5-aryl-3*a*,6*a*-dihydro-4,6-dioxopyrrolino[3',4'-*d*]isoxazole derivatives and 2-(*N,N*-dimethylanilin)-3-(9-acridinyl)-5-aryl-3*a*,6*a*-dihydro-4,6-dioxopyrrolino[3',4'-*d*]isoxazolidine derivatives were synthesized. The bioactivities of compounds **3** and **4** were evaluated by preliminary bioassay and they exhibited different extent of Leucocythemia activities against Human HL-60.

Imerhasan, Mukhtar*; Wang, Ting; Helil, Setiwalidi; Osman, Kurban; Muhammad, Turghun

Chin. J. Org. Chem. **2010**, *30*(12), 1884

Synthesis of 5*H*-Furan-2-ones with Multiple Chiral Centers Directly from Unprotected α -Amino Acids



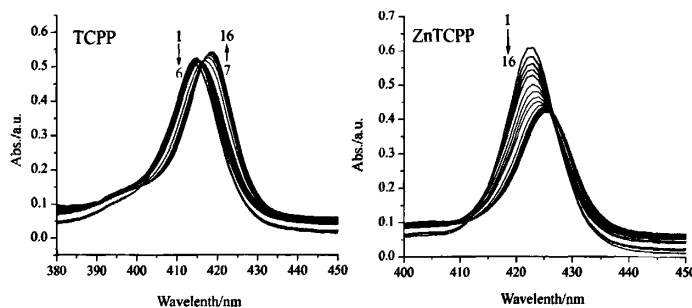
Five unprotected α -amino acids, serving as nucleophiles, were reacted with different (*5S*)-5-alkoxy-3,4-dihalo-5*H*-furan-2-ones via tandem Michael addition-elimination to give 15 new optically active 5*H*-furan-2-one derivatives. The chemical structures and absolute configurations of these compounds with multiple chiral centers were confirmed via rotation, UV-Vis, IR, ^1H NMR, ^{13}C NMR, MS, elemental analysis and X-ray single crystal diffraction.

Song, Xiumei; Tan, Yuehe; Li, Jianxiao; Wang, Zhaoyang*

Chin. J. Org. Chem. **2010**, *30*(12), 1890

Synthesis of *meso*-Tetra(4-carboxylphenyl)porphyrin and Metal Complex and the Selective Recognition with Carbohydrates

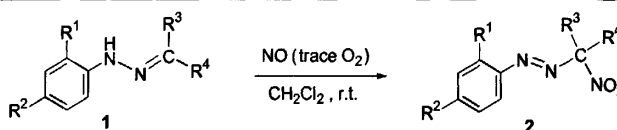
Zhao, Shengfang*; Chen, Nianyou; Guo, Xin; Wang, Menghua; Li, Zaoying
Chin. J. Org. Chem. **2010**, *30*(12), 1898



meso-Tetra(4-carboxylphenyl)porphyrin (TCPP) and the zinc complex were synthesized on microwave radiation and solvent-thermal methods. The molecular recognition was studied between hosts and five carbohydrates (*D*-glucose, *D*-fructose, sucrose, maltose, lactose) by the UV-Vis and fluorimetric spectrum.

Azotization and Nitration Reaction of Ketone Arylhydrazones with Nitric Oxide

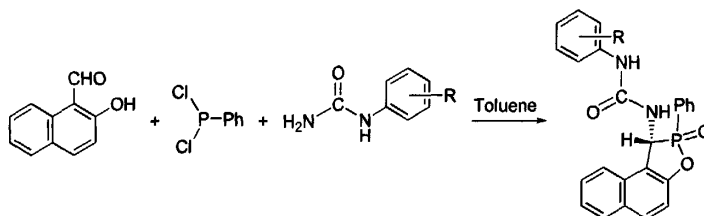
Yang, Desuo*; Guo, Yaning; Zhu, Haiyun; Wu, Longmin
Chin. J. Org. Chem. **2010**, *30*(12), 1904



Ketone arylhydrazones reacted with nitric oxide in the presence of microquantitative amount of oxygen to give α -nitro azo-compounds in high selectivity. The reaction occurred most likely by a tandem nitration-azotization reaction. Structures of the products were characterized by NMR, IR, MS, HR-ESI-MS, and X-ray crystallography. By analyzing the composition of products using HPLC under oxygen concentration in system, the results showed that controlling oxygen content in the reaction system has a greater influence on the reaction selectivity of producing α -nitro azo-compounds.

Stereospecific Synthesis of *cis*-3-Arylureido-2-phenyl-2-oxo-naphtho[1,2-*d*]-1,2-oxaphospholance

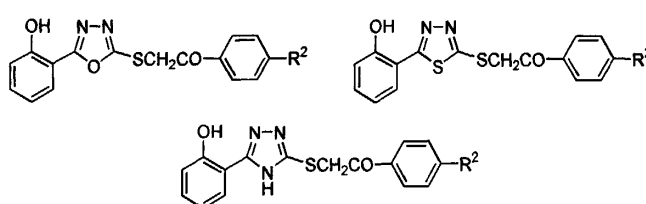
Kong, Dulin; Wu, Mingshu*; Li, Qianqian; Ma, Jingya
Chin. J. Org. Chem. **2010**, *30*(12), 1911



A general and efficient stereospecific synthesis of *cis*-3-arylureido-2-phenyl-2-oxo-naphtho[1,2-*d*]-1,2-oxaphospholance was studied utilizing 2-hydroxy-1-naphthaldehyde, arylurea and phenyl-dichlorophosphine as starting materials in anhydrous toluene. The product structures were characterized by IR, ^1H NMR, ^{31}P NMR spectral data and elemental analyses.

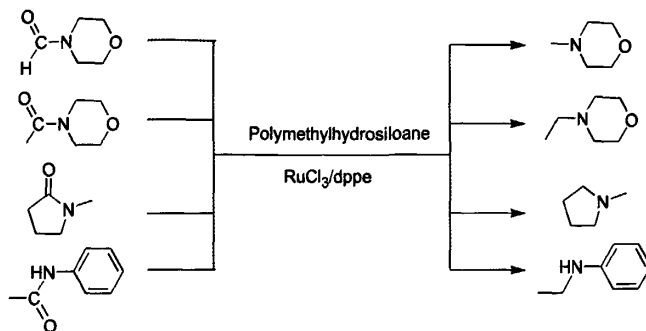
Synthesis and Antibacterial Activities of 2/3-Substituted Sulfur-5-*o*-hydroxyphenyl Zoles Compounds

Zhu, Shanshan; Lu, Junrui*; Xin, Chunwei; Lu, Bowei; Bao, Xiurong; Tang, Dawei; Zou, Min; Liu, Qian
Chin. J. Org. Chem. **2010**, *30*(12), 1914



A series of novel 2/3-substituted sulfur-5-*o*-hydroxyphenyl zoles compounds were designed by means of combining segments *o*-hydroxyphenyl andazole heterocycles, according to the superposition principle of reinforcement of biological activities. The structures of products were determined by ^1H NMR, IR spectra and element analysis. The result of preliminary bioassay showed that the target compounds had 92% inhibitory rate against *Monilia albican* and *Escherichia coli* at 0.01% mass concentration and a favorable extent of antibacterial activities against *Staphylococcus aureus* (over 82%).

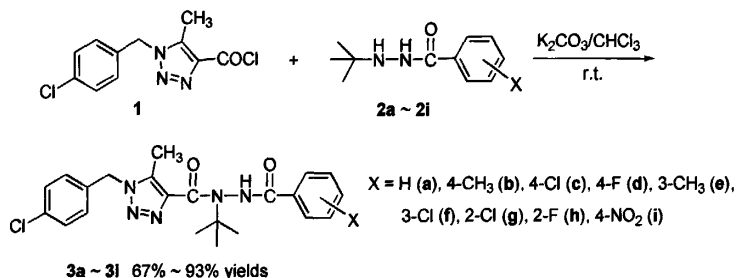
PMHS/RuCl₃ as an Efficient System for Reduction of Amide to Amine



The reduction of amide promoted by "in situ" formed ruthenium phosphine complex was carried out using polymethylhydrosiloxane as reductive reagent. The effects of structure of the amide, solvent and ligand on the catalytic efficiency and the products have been evaluated.

Zhang, Fan; Li, Yunqing; Wang, Jiayi*
Chin. J. Org. Chem. 2010, 30(12), 1921

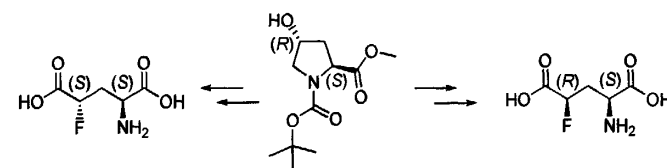
Synthesis and Insecticidal Activities of *N'*-[1-[(6-Chloropyrid-3-yl)methyl]-5-methyl-1*H*-1,2,3-triazol-4-formyl]-*N'*-*tert*-butyl-*N*-substitutedbenzoylhydrazines



A series of novel nitrogen heterocyclic analogues of diacylhydrazine derivatives were designed and synthesized by introduction of 1-[(6-chloropyrid-3-yl)methyl]-5-methyl-1*H*-1,2,3-triazol-4-yl moiety to the diacylhydrazine molecule skeleton. The results of bioassays showed that some of the title compounds **3** possessed moderate insecticidal activities against *Spodoptera exigua* and *Plutella xylostella* larvae in the concentration of 200 mg/L. Whereas all the title compounds **3** displayed weak insecticidal activity against *Aphis* in the same concentration.

Khalema, Thandiwe, D. L.; Shi, Deqing*
Chin. J. Org. Chem. 2010, 30(12), 1925

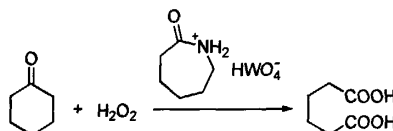
Stereospecific Synthesis of (2*S*,4*S*)/(2*S*,4*R*)-4-Fluoroglutamic Acids Base on Natural Chiral Source (4*R*)-Hydroxyproline



Li, Chunlei; Wang, Yanchao; Tan, Yingying; Li, Shuo*; Yang, Zhongduo*
Chin. J. Org. Chem. 2010, 30(2), 1931

Stereospecific synthesis of (2*S*,4*S*)/(2*S*,4*R*)-4-fluoroglutamic acids base on natural chiral source (4*R*)-hydroxyproline was studied by using Mitsunobu reaction, fluorination with perfluoro-1-butanefluoride and NaIO₄/RuO₂ oxidation.

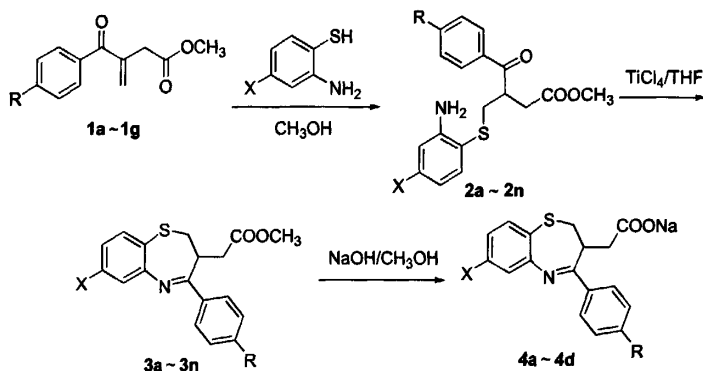
Oxidation of Cyclohexanone to Adipic Acid Catalyzed by Lactam-Based Ionic Liquid



Wang, Xiaodan; Wu, Wenyuan*; Tu, Ganfeng; Jiang, Kaixi
Chin. J. Org. Chem. 2010, 30(12), 1935

Caprolactam tungstate ([CP]HWO₄) room temperature ionic liquid was synthesized with *N*-methylimidazolium and caprolactam by one step. Oxidation of cyclohexanone to adipic acid catalyzed by this ionic liquid was carried out.

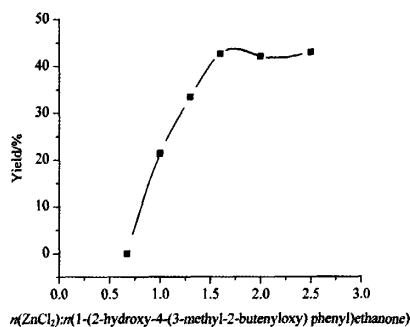
Synthesis of Methyl 4-Substituted-phenyl-2,3-dihydro-1,5-benzothiazepine-3-acetates and Their Sodium Salts



Starting from substituted benzenes, methyl 3-methylene-4-substituted-phenyl-4-oxobutanoate (1a~1g) were synthesized by Friedel-Crafts acetylation, esterification and Mannich reaction, then reacted with 2-amino benzenethiol getting a series of methyl 4-substituted-phenyl-2,3-dihydro-1,5-benzothiazepine-3-acetates and their sodium salts. The structures of the new products were confirmed by ¹H NMR, IR, MS techniques and elemental analysis.

Zhang, Ping; Huang, Jinhua; Wang, Lanzhi; Liu, Wei; Li, Yuan*
Chin. J. Org. Chem. **2010**, 30(12), 1939

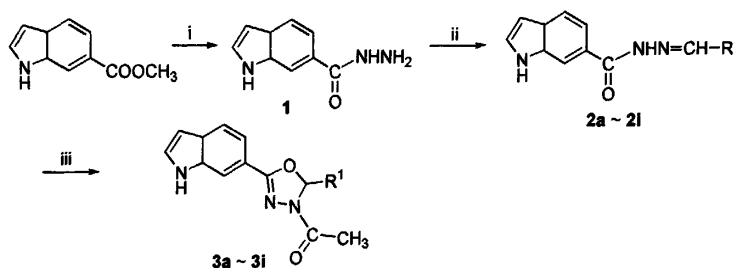
Synthesis of Acetophenone Benzopyran Derivative Catalyzed by Lewis Acids



Qi, Xiaoyan; Wu, Lin*; Wang, Jianguo; Yi, Delian; Zhou, Jinxia; Liu, Min; Peng, Gongming
Chin. J. Org. Chem. **2010**, 30(12), 1944

A acetophenone benzopyran derivative was prepared from 1-(2,4-dihydroxyphenyl)ethanone (1a) through *O*-prenylation and Lewis acids catalyzed intramolecular cyclization reaction. The structure of product 3a was characterized by UV, IR, ¹H NMR, ¹³C NMR spectra and elemental analysis, and the reaction conditions were discussed.

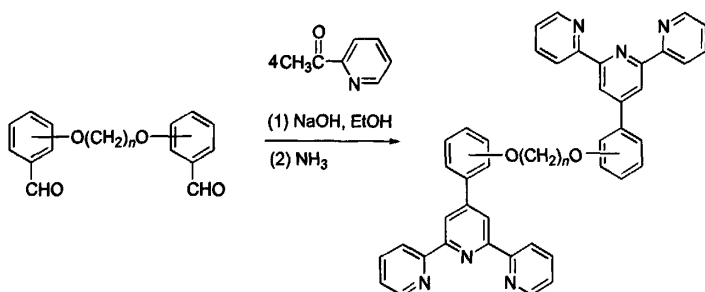
Microwave-assisted Synthesis of Oxadiazoline Derivatives Containing Indole Structure and Their Antibacterial Activities



A novel type of 6-substituted indole compounds has been designed and synthesized by connecting the structure of oxadiazoline to indole ring, with a view of providing lead compounds for the screening of new drugs. Under the condition of microwave irradiation, nine new compounds have been obtained with high yields, and the structures of all new compounds were characterized by IR, ¹H NMR, MS techniques and elemental analysis. The antibacterial activities of compounds have been tested against two kinds of bacteria. The preliminary results showed that the compounds possess different inhibitive effects.

Zhao, Zhigang*; Liu, Xingli; Chen, Yu; Li, Hui; Shi, Zhichuan
Chin. J. Org. Chem. **2010**, 30(12), 1949

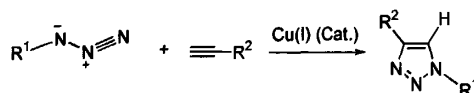
Efficient Synthesis of Alkoxy Bridging Bisterpyridines



A series of novel alkoxy-bridging bis(2,2':6,2''-terpyridine) ligands were conveniently obtained from one-pot tandem reaction of 2,2'-alkoxy-bridging or 4,4'-alkoxy-bridging dialdehydes with excess of 2-acetylpyridine in sodium hydroxide solution and aqueous ammonia solution, respectively. The structures of the synthetic bisterpyridines were characterized by ^1H NMR, ^{13}C NMR and HPMS techniques and further confirmed by determination of single crystal structure of one representative compound.

Yan, Xiaohua; Du, Liting; Bi, Xin; Sun, Jing; Yan, Chaoguo*
Chin. J. Org. Chem. **2010**, 30(12), 1955

Progress on Synthesis of Calixarene Derivatives via Click Chemistry



Liu, Lianwei; Guo, Wenbo; Li, Xiaochuan; Qu, Guirong; Zhao, Bangtun*
Chin. J. Org. Chem. **2010**, 30(12), 1960

The recent progress of synthesis and application of calixarene derivatives via click chemistry is summarized.

Highlights

Chin. J. Org. Chem. **2010**, 30(12), 1975