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(YOUJI HUAXUE)

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ORGANIC CHEMISTRY
(YOUJI HUAXUE)

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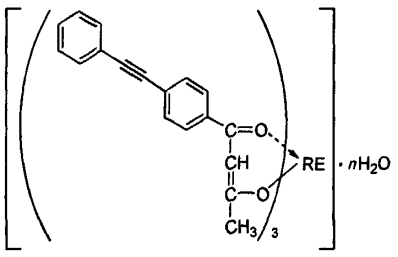
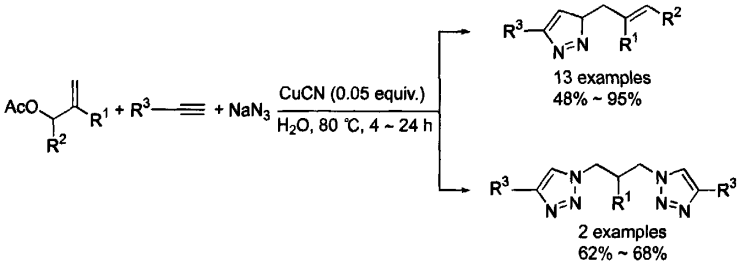
Synthesis, Characterization and Fluorescence Property of a New β-Diketone and Its Rare Earth Complexes



A new β-diketone, 1-(*p*-phenylethynylphenyl)-1,3-butanedione (HPB), was synthesized and confirmed by elemental analysis, ^{1}H NMR and MS techniques. The result of ^{1}H 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 $Sm(PB)_3{\cdot}2H_2O$, $Eu(PB)_3{\cdot}3H_2O$, $Tb(PB)_3{\cdot}2H_2O$ and $Dy(PB)_3{\cdot}3H_2O$.

Liu, Xingwang*; Gao, Saishengtai; Wang, Li; Jiang, Jiadong
Chin. J. Org. Chem. **2010**, *30*(12), 1848

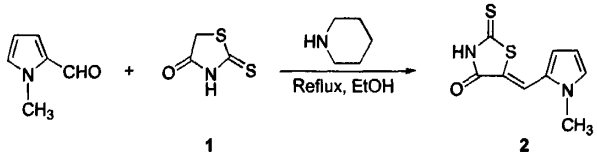
One-Pot Synthesis of Novel Triazol and Double Triazol Compounds



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

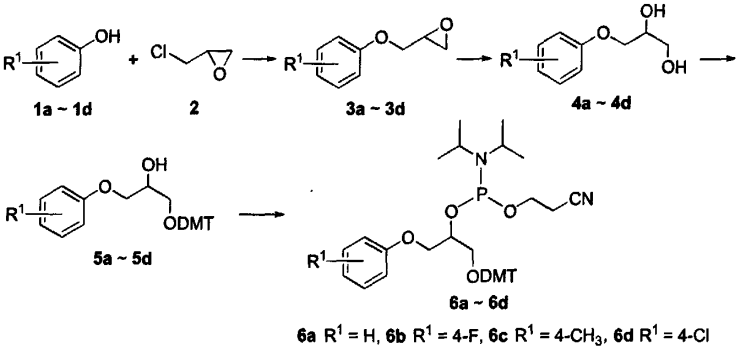


1 **2**

One blue laser merocyanine dye **2** was synthesized and characterized by ^{1}H 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.

Liu, Qi; Tan, Yangping; Wang, Xue; Liu, Yanxia; Zhang, Xianghan; Wang, Lanying*; Zhang, Zuxun
Chin. J. Org. Chem. **2010**, *30*(12), 1860

Design, Synthesis and Biological Activity of Novel Chemical Modified siRNAs



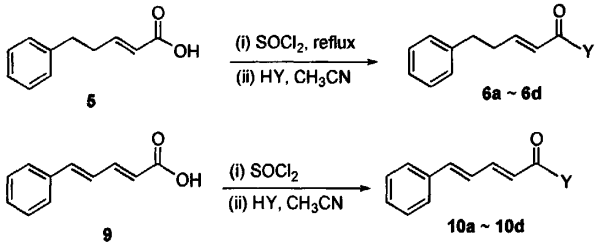
1a ~ 1d **2** **3a ~ 3d** **4a ~ 4d** **5a ~ 5d** **6a ~ 6d**

6a R^1 = H, **6b** R^1 = 4-F, **6c** R^1 = 4-CH_3, **6d** R^1 = 4-Cl

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.

Li, Yiliang; Ren, Kaihuan; Li, Hongming; Wang, Juxian; Zou, Meixiang; He, Hongwei; Shao, Rongguang; Wang Yucheng*
Chin. J. Org. Chem. **2010**, *30*(12), 1865

Synthesis and Biological Activities of Daphneolone Analogues



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

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

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 ^{1}H NMR, IR spectra and elemental analysis. The results of biological tests indicated that these compounds showed insecticidal activity against *Aphis gossypii* at 600 μg/mL, especially compound **6a** (corrected mortality is 92.9%) showed higher activity than **I**.

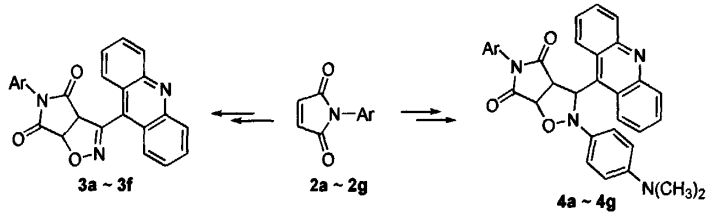
Synthesis and Herbicidal Activity of Novel 6-Methyl-4-(3-trifluoromethylphenyl)pyridazin-3(2*H*)-one

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

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

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, *Spirodela polyrrhiza* (L.) and greenhouse test. **T-1** exhibited good herbicidal and bleaching activites. Three methods for the preparation of **T-1** were reported.

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

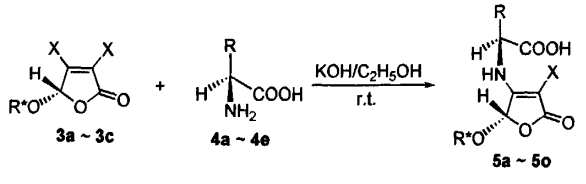


Imerhasan, Mukhtar*; Wang, Ting; Helil, Setiwaldi; Osman, Kurban; Muhammad, Turghun

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

A series of 3-(9-acridinyl)-5-aryl-3*a*,6*a*-dihydro-4,6-dioxopyrrolino[3',4'-*d*]isoxazoline 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..

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

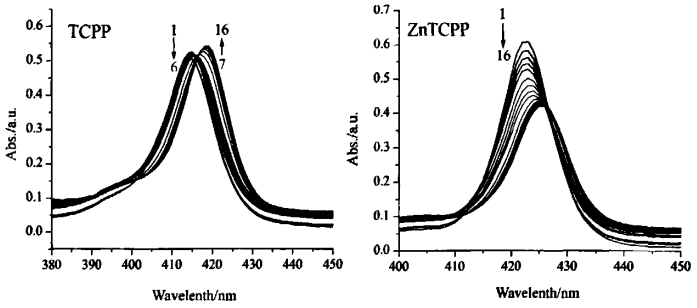


Song, Xiumei; Tan, Yuehe; Li, Jianxiao; Wang, Zhaoyang*

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

Five unprotected α-amino acids, serving as nucleophiles, were reacted with different (5*S*)-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, ^{1}H NMR, ^{13}C NMR, MS, elemental analysis and X-ray single crystal diffraction.

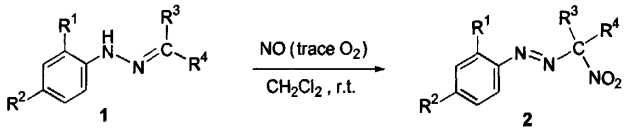
Synthesis of *meso*-Tetra(4-carboxylphenyl)porphyrin and Metal Complex and the Selective Recognition with Carbohydrates



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.

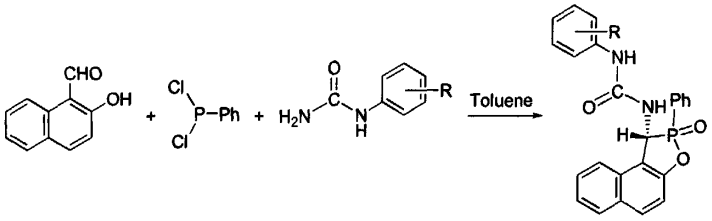
Azotization and Nitration Reaction of Ketone Arylhydrazones with Nitric Oxide



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 selectively. 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.

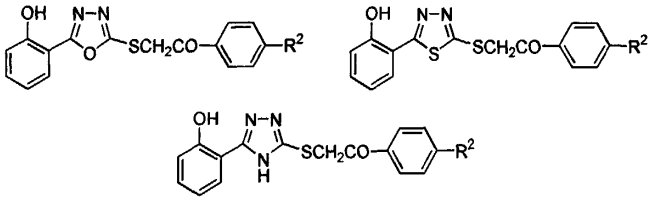
Stereospecific Synthesis of *cis*-3-Arylureido-2-phenyl-2-oxo-naphtho[1,2-*d*]-1,2-oxaphospholance



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, ^{1}H NMR, ^{31}P NMR spectral data and elemental analyses.

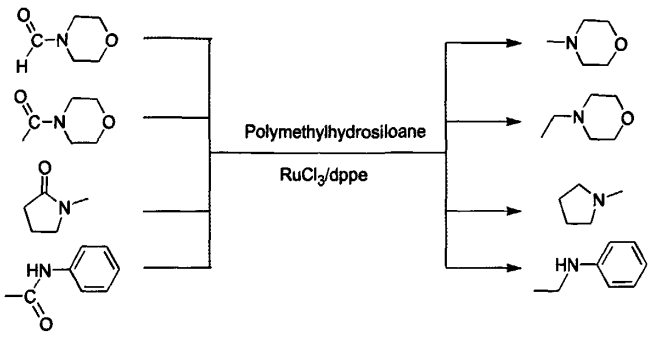
Synthesis and Antibacterial Activities of 2/3-Substituted Sulfur-5-*o*-hydroxyphenyl Zoles Compounds



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 and azole heterocycles, according to the superpostion principle of reinforcement of biological activities. The structures of products were determined by ^{1}H 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%).

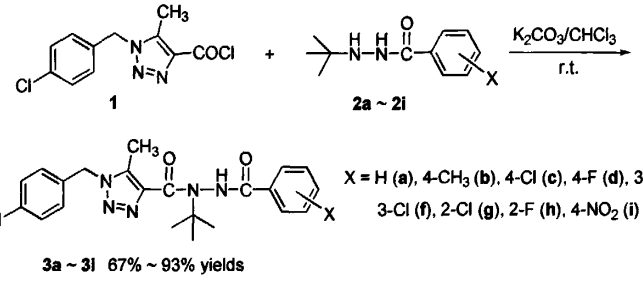
PMHS/$RuCl_3$ as an Efficient System for Reduction of Amide to Amine



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, Jiaxi*
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*-subsitututedbenzoylhydrazines

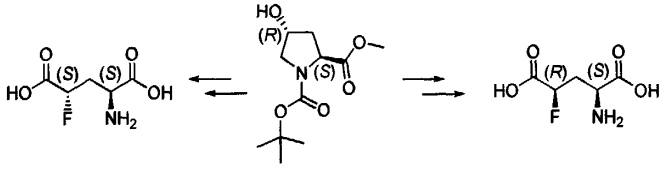


1 **2a ~ 2i** **3a ~ 3i** 67% ~ 93% yields

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* larvaes 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

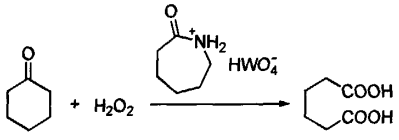
Stereospecific Synthesis of (2*S*,4*S*)/(2*S*,4*R*)-4-Fluoroglutamic Acids Base on Natural Chiral Source (4*R*)-Hydroxyproline



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 of Mitsunobo reaction, fluorination with perfluoro-1-butanesulfonyl fluoride and $NaIO_4/RuO_2$ oxidation.

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

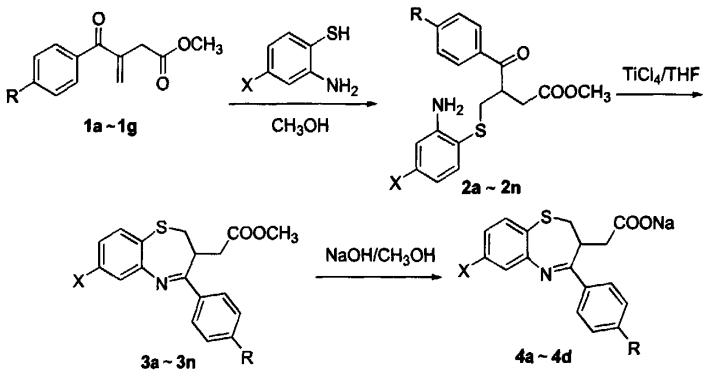
Oxidation of Cyclohexanone to Adipic Acid Catalyzed by Lactam-Based Ionic Liquid



Caprolactam tungstate ([CP]HWO_4) 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.

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

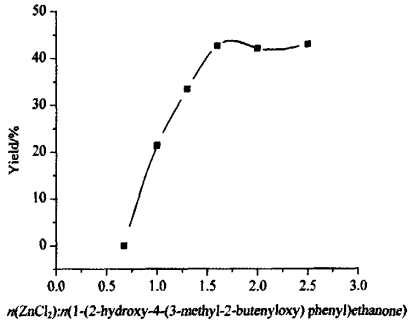
Synthesis of Methyl 4-Substituted-phenyl-2,3-dihydro-1,5-benzothiazepine-3-acetates and Their Sodium Salts



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

Starting from substituted benzenes, methyl 3-methylene-4-substituted-phenyl-4-oxo-butanate (**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 ^{1}H NMR, IR, MS techniques and elemental analysis.

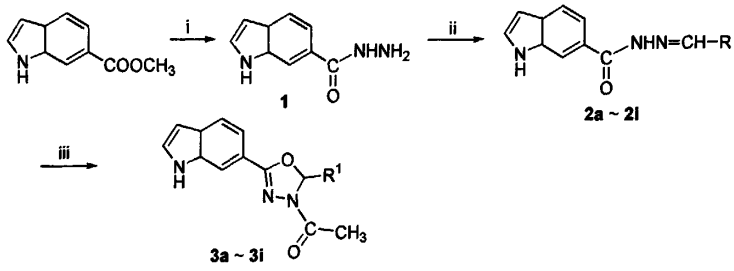
Synthesis of Acetophenone Benzopyran Derivative Catalyzed by Lewis Acids



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-dihydroxy-phenyl)ethanone (**1a**) through *O*-prenylation and Lewis acids catalyzed intramolecular cyclization reaction. The structure of product **3a** was characterized by UV, IR, ^{1}H NMR, ^{13}C NMR spectra and elemental analysis, and the reaction conditions were discussed.

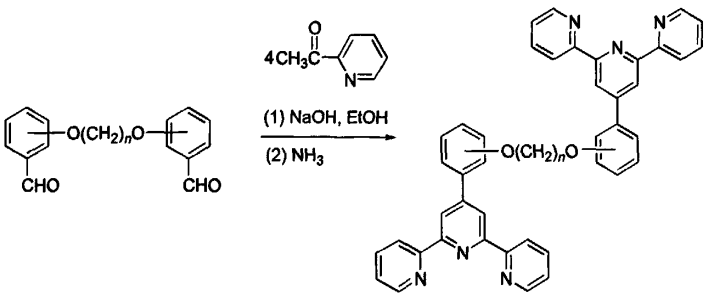
Microwave-assisted Synthesis of Oxadiazoline Derivatives Containing Indole Structure and Their Antibacterial Activities



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

A novel type of 6-substituted indole compounds has been designed and synthesized by connecting the structure of oxdiazoline 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, ^{1}H 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.

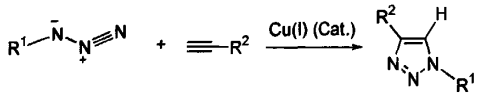
Efficient Synthesis of Alkoxyl Bridging Bisterpyridines



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 ^{1}H 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



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

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

Highlights

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