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(YOUJI HUAXUE)

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综述与进展

研究论文

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研究简报

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(YOUJI HUAXUE)

Volume 30, Number 7
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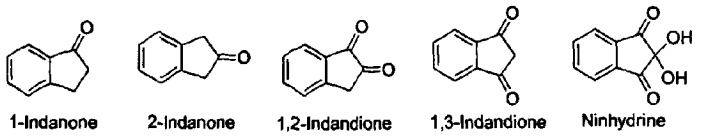
CONTENTS

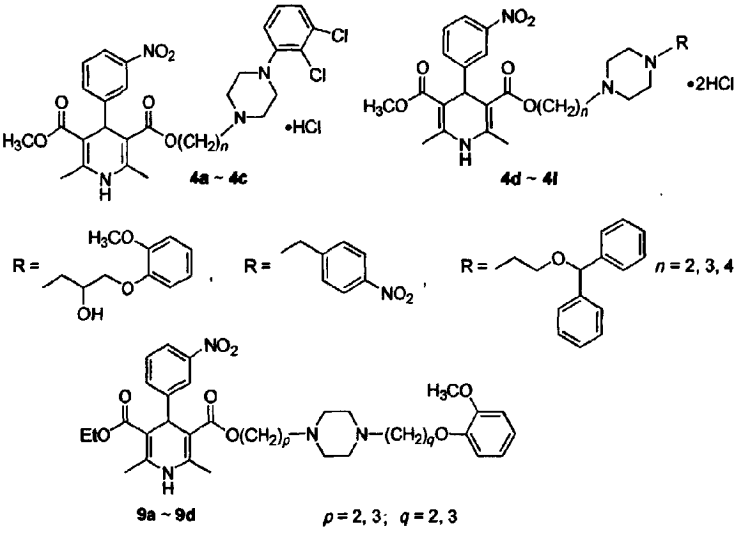
Progress in the Studies of Indanones



Indan ring frameworks are ubiquitous in a large number of natural products, bioactive and pharmaceutically interesting molecules. Indanones therefore are very useful molecules as starting building blocks for the synthesis of biologically active compounds and thus are of tremendous industrial interest. It is also very useful in organic light-emitting devices, dyes and photoremovable protecting groups. The synthetic methods and application of this kind of molecules are reviewed in this paper.

Duan, Yijie; Liu, Jianli*; Wang, Cuiling
Chin. J. Org. Chem. **2010**, *30*(7), 988

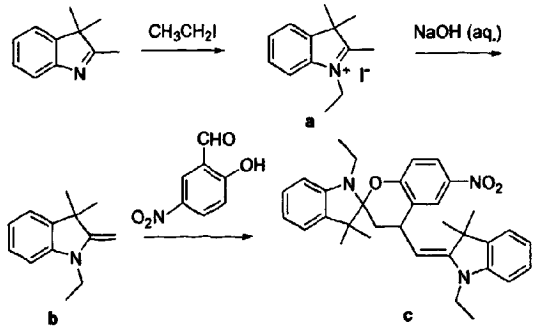
Synthesis and Biological Activity of Dihydropyridine Calcium Antagonists



Sixteen new dihydropyridine calcium antagonists **4a**~**4l** and **9a**~**9d** were designed and synthesized based on 5-(methoxycarbonyl)-2,6-dimethyl-4-(3-nitrophenyl)-1,4-dihydropyridine-3-carboxylic acid or diketene. The structures of the target compounds were confirmed by IR, ^{1}H NMR, ESI-MS techniques and elemental analysis. Preliminary pharmacological test revealed that five of them showed better antihypertensive activity than positive control (levoamlodipine besylate).

Chen, Guohua*; Wang, Li; Yao, Xiumei; Zhang, Mingliang; Wu, Feihua
Chin. J. Org. Chem. **2010**, *30*(7), 997

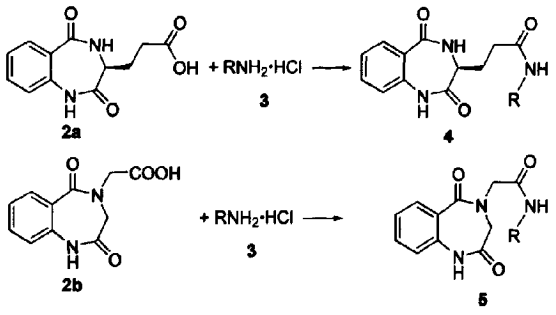
Synthesis, Characterization and Crystal Structure of a Dicondensed Spiropyran



A compound of 4-(2-methylene-1-ethyl-3,3-dimethylindoline-2'-yl)-6-nitryl-1'-ethyl-3',3'-dimethylspiro[3,4-dihydro-2*H*-1-benzopyran-2,2'-indoline] has been synthesized by the reaction of excess indoline with 5-nitrosalicylaldehyde in refluxing ethanol. The molecular structure was characterized by ^{1}H NMR, IR spectra and elemental analysis. Meanwhile, the crystal of the compound was obtained and determined by X-ray diffraction study.

Jin, Dan*; Zhang, Feng; Zhang, Dechun
Chin. J. Org. Chem. **2010**, *30*(7), 1005

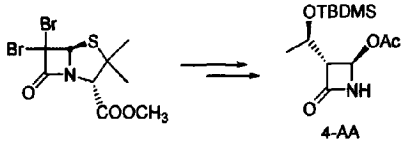
Synthesis of Benzodiazepinonic Acid and Application in Peptide Mimetics



Yuan, Jianhai; Yang, Xiaoxiao; Lin, Hao; Wang, Dexin*
Chin. J. Org. Chem. **2010**, *30*(7), 1010

To synthesize two 1,4-benzodiazepine-2,5-dione intermediates **2a** and **2b** with free carboxyl, two methods were applied in this paper, in which isatoic acid was used as starting material and reacted with glutamic acid (*L*-Glu) and imino diacetic acid (Ida), respectively. Meanwhile, peptide mimetics **4** and **5** with 1,4-benzodiazepine-2,5-dione cycle were prepared by condensation of **2a** or **2b** and amino components **3**. In addition, effect on the microwave-assisted synthesis of 1,4-benzodiazepine-2,5-dionic acid by solvent was discussed. All the new compounds were characterized by the MS and ^{1}H NMR techniques.

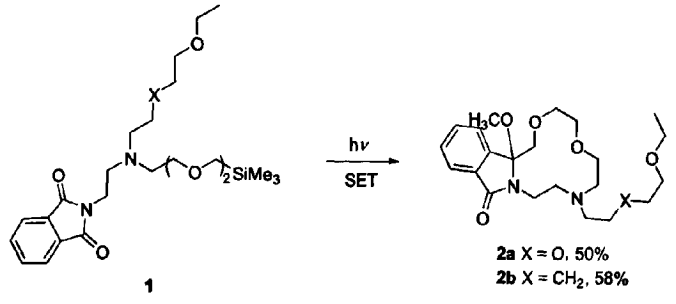
Stereoselective Synthesis of 4-Acetoxyazetidione: the Key Intermediate of Penem and Carbapenem Antibiotics



Xu, Xiaobo; Yang, Yingbin; Deng, Qin; Xiang, Li; Xiang, Jiannan*
Chin. J. Org. Chem. **2010**, *30*(7), 1017

The key intermediate of penem and carbapenem antibiotics 4-acetoxyazetidione (4AA) was obtained from methyl 6,6-dibromo-penicillanate via oxidation, Grignard reaction, reduction, hydroxyl protection, ring opening reaction, methylation, deprotection and acetylation. This process avoided the separation of chiral isomers and the use of heavy metallic salt with an excellent stereoselectivity. The overall yield was 30%. The structures of the products were characterized by IR, MS, ^{1}H NMR and ^{13}C NMR techniques.

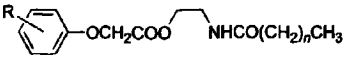
Photoinduced Single Electron Transfer (SET) Cyclizations of *N*-Linked Biselectrondonor Chain with Dissimilar Leave Groups Phthalimides Derivatives



Tan, Guanghui; Wei, Shuquan; Yue, Qunfeng; Zhao, Junming; Jin, Yingxue*
Chin. J. Org. Chem. **2010**, *30*(7), 1021

Phthalimide derivatives **1** could occur photoinduced single electron transfer (SET) cyclization reaction in methanol solvent (containing $HClO_4$) to yield cyclocompounds **2** which were participation constituted with the trimethylsily terminated polyethoxy chain in high regioselectivity and high yield.

Synthesis and Bioactivity of *N*-(Fatty acid)-*O*-aryloxyacetyl-ethanolamine

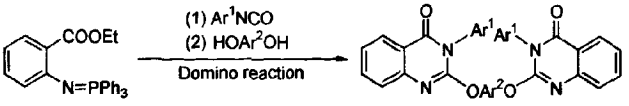


n = 10, 12, 14, 16
R = H, *p*-CH_3, *o*-CH_3, *p*-Cl, 2,4-Cl_2

Han, Liang*; Li, Zhengming; Gao, Jianrong; Jia, Jianhong; Sheng, Weijian; Li, Yujing
Chin. J. Org. Chem. **2010**, *30*(7), 1026

A series of *N*-(fatty acid)-*O*-aryloxyacetyl-ethanolamines were synthesized. Most title compounds have better bioactivity for stimulating the hypocotyls elongation of rape than the parent compound, especially chloro-substituted benzene derivatives have the comparable activities with the conventional plant growth regulator 2,4-dichlorophenoxyacetic acid.

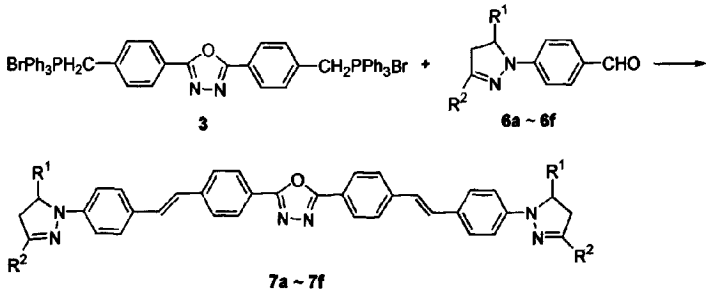
Synthesis of 2,2'-Disubstituted Bis(3-arylquinazolin-4(3*H*)-one)s



Yang, Xuhong; Wu, Minghu*; Ding, Mingwu
Chin. J. Org. Chem. **2010**, *30*(7), 1032

Twenty eight 2,2'-disubstituted bis(3-arylquinazolin-4(3*H*)-one)s were synthesized by domino reaction of iminophosphorane with aromatic isocynates to give carbodiimides and subsequent reaction with diphenols in the presence of potassium carbonate.

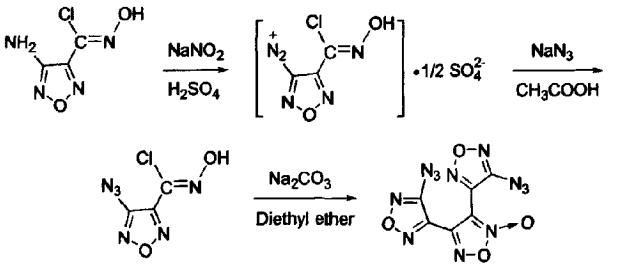
Synthesis and Fluorescence Spectral Study of Triaryl Pyrazoline Oxadiazole Compounds



A new method of synthesizing 1,3,4-oxadiazole compound was described. The synthesized 1,3,4-oxadiazole compounds were connected with 1,3,5-triaryl-2-pyrazoline through Wittig reaction, and six new triaryl pyrazoline oxadiazole compounds were synthesized. Their structures were characterized by IR, MS, ^{1}H NMR techniques and elemental analysis. The fluorescence properties were measured by fluorometry, and the test results showed that the target compounds have good fluorescence and λ_{em} ranged from 437 to 511 nm, fluorescence quantum yield up to 0.36.

Liu, Jifu; Li, Dongfeng*; Tang, Xin; Cai, Ran; Han, Xiao; Xie, Zhiyuan
Chin. J. Org. Chem. **2010**, *30*(7), 1039

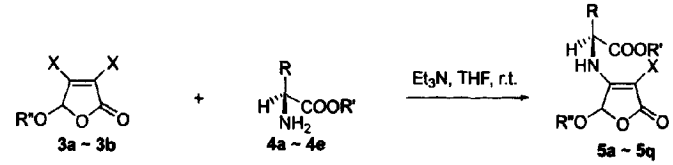
Synthesis, Characterization and Crystal Structure Study on 3,4-Bis(4'-azidofurazano-3'-yl)furoxan



Zhou, Yanshui; Wang, Bozhou*; Zhou, Cheng; Li, Jiankang; Chen, Zhiqun; Lian, Peng; Zhang, Zhizhong
Chin. J. Org. Chem. **2010**, *30*(7), 1044

3,4-Bis(4'-azidofurazano-3'-yl)furoxan (DAZTF), a novel energetic material, was devised for the first time and synthesized from 3-aminofurazan-4-carboxchloridoxime by the process of diazotization, azidation and dimerization.

Tandem Reaction of 5-Alkoxy-3,4-dihalo-5*H*-furan-2-one with Amino Acid Esters



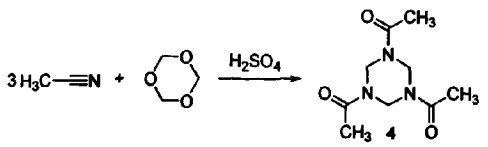
3a: X = Cl, R'' = CH_3
3b: X = Br, R'' = CH_3
3c: X = Cl, R'' = M*
3d: X = Br, R'' = M*

4a: R = H, R' = CH_3
4b: R = $(CH_3)_2CHCH_2CH_2CH_2$, R' = CH_3
4c: R = R' = CH_3
4d: R = $PhCH_2$, R' = CH_3CH_2
4e: R = $CH_3CH_2CH(CH_3)CH$, R' = CH_3

Five amino acid esters, serving as nucleophiles, were reacted with 5-alkoxy-3,4-dihalo-5*H*-furan-2-one in the presence of triethylamine to give 17 new compounds. The chemical structures of the new compounds were confirmed via [α], UV, IR, ^{1}H NMR, ^{13}C NMR, MS techniques, and elemental analysis.

Mo, Yangqing; Wang, Zhaoyang*; Li, Jianxiao; Hong, Wenkun
Chin. J. Org. Chem. **2010**, *30*(7), 1051

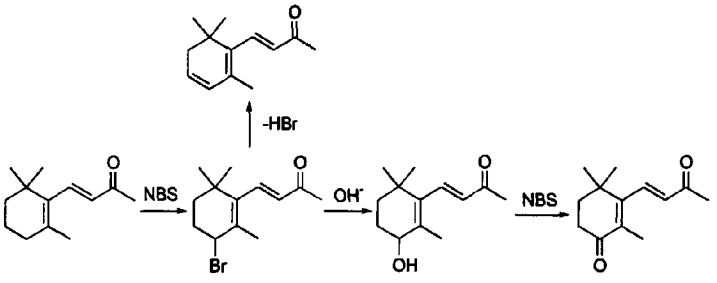
Synthetic Mechanism for 1,3,5-Triacetyl-1,3,5-Triazacyclohexane Synthesized Using Small-Molecule Method



Lou, Zhongliang; Wang, Peng; Meng, Zihui*; Liu, Yue; Qin, Guangming; Ge, Zhongxue; Wang, Bozhou
Chin. J. Org. Chem. **2010**, *30*(7), 1059

1,3,5-Triacetyl-1,3,5-triazacyclohexane was synthesized from 1,3,5-trioxane with acetonitrile using concentrated sulfuric acid as a catalyst with a yield of 89% and the synthetic mechanisms was proposed.

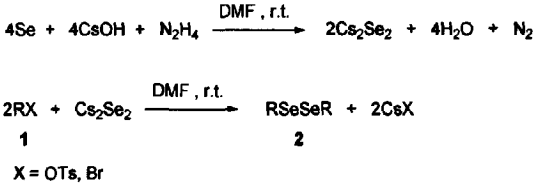
Preparation of 4-Oxo-β-ionone by Allylic Oxidation of β-Lonone with *N*-Bromosuccinimide in Aqueous Phase



Chen, Xiong*; Yang, Huawu; Li, Yanling; Zhu, Zhuoyue; Li, Yinhui; Xu, Xinhua*
Chin. J. Org. Chem. **2010**, *30*(7), 1063

β-Ionone, β-methyl ionone, β-damascone and β-cyclocitral can be readily converted respectively to the 4-oxo products in moderate yields, when treated with *N*-bromosuccinimide in aqueous sodium hydroxide solution.

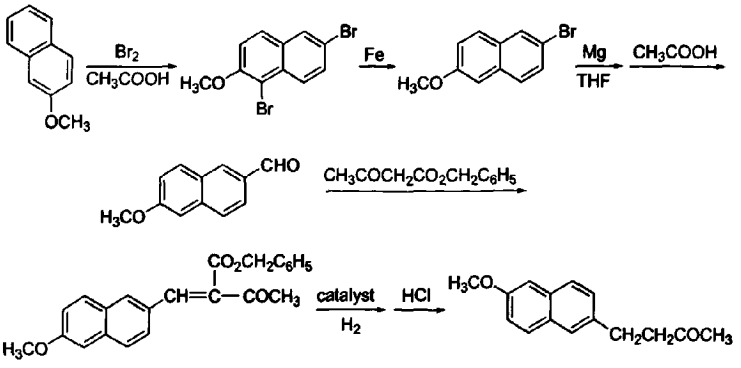
Synthesis of Dialkyl Diselenides Promoted by Cesium Hydroxide



Liu, Wenqi; Yin, Xianhong*; Cai, Xitian; Zhang, Zunying; Li, Ruoxin; Chen, Sihai; Xu, Xinhua*
Chin. J. Org. Chem. **2010**, *30*(7), 1066

In the presence of cesium hydroxide, using dry *N*,*N*'-dimethylformamide (DMF) as solvent, hydrazine hydrate reduced selenium to give cesium diselenide, which reacted with functional alkyl halide or tosylates at room temperature to afford the corresponding diaryl diselenides in high yields.

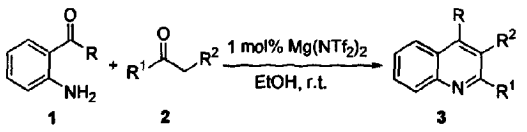
Technological Improvement of Synthesis for Nabumetone



Chen, Xiaoquan*; Zuo, Zhili; Qiu, Yuqin; Zhou, Xiuyan; Zhang, Changjun; Zhai, Hu; Shao, Huiying; Li, Baoqing
Chin. J. Org. Chem. **2010**, *30*(7), 1069

Using 2-methoxy naphthalene as original material, nabumetone was synthesized via catalyst under ultrasonic irradiation, and structurally characterized by means of IR, MS, ^{1}H NMR techniques, the results of which show an agreement with the proposed structure.

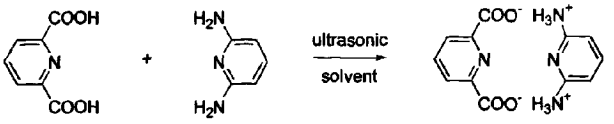
$Mg(NTf_2)_2$ Catalyzed Efficient Synthesis of Quinoline Derivatives via Friedländer Condensation Reaction



Wang, Hongshe*; Zeng June
Chin. J. Org. Chem. **2010**, *30*(7), 1072

Quinoline derivatives have been synthesized via Friedländer condensation reaction of 2-aminoaryl ketones with α-methylene ketones in the presence of 1 mol% of $Mg(NTf_2)_2$ at room temperature in high yields.

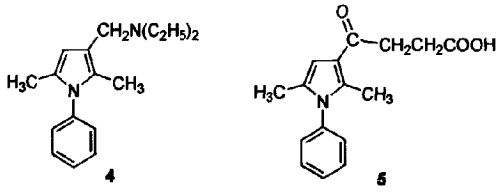
A New Ultrasonic Synthetic Method for Proton Transfer Compound of 2,6-Pyridinedicarboxylic Acid and 2,6-Pyridinediamine



Cai, Mengjun; Chen, Jianding*
Chin. J. Org. Chem. **2010**, *30*(7), 1076

Proton transfer compound $[pyda{\cdot}H_2]^{2+}[pydc]^{2-}$ could be synthesized from 2,6-pyridinedicarboxylic acid ($pydc{\cdot}H_2$) and 2,6-pyridinediamine (pyda) in different types of solvents within a relatively short time under ultrasonic. The synthetic method was very convenient without catalyst and heating but short reaction time and high yield, and it showed a simple procedure in practice.

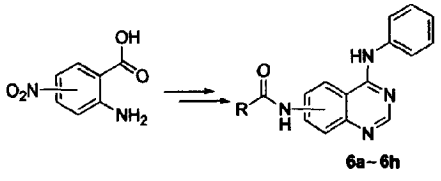
Synthesis of Pyrrole Derivatives



Han, Fugen; Lu, Ye; Ji, Xiaoming; Zhao, Mingqin*; Zhang, Xiaoyun; Liu, Yun
Chin. J. Org. Chem. **2010**, *30*(7), 1080

Acetonylacetone was treated with amine (aminothiourea, thiourea, aniline, amino acid) to give six 2,5-dimethyl-*N*-substitute derivatives by Paal-Knorr reaction. Using the new *N*-pyrrole glycin and *N*-phenyl pyrrole compounds as materials, three *N*-(2,5-dimethyl pyrrole) glycin esters and two *N*-phenyl-2,5-dimethyl pyrrole derivatives were obtained via esterification reaction and Mannich, Friedel-Craft reactions, respectively.

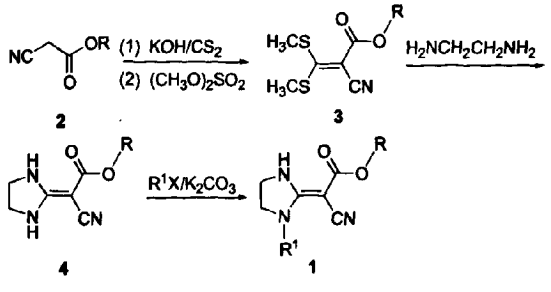
Synthesis of 4-Anilinoquinazoline and Evaluation of Its Antileukemic Activity



Liu, Yingxiang*; Zhang, Yang; Ma, Yuzhuo
Chin. J. Org. Chem. **2010**, *30*(7), 1084

Eight new compounds using JANEX-1 as a lead have been designed and synthesized. Their structures were confirmed by IR, ^1H NMR and elemental analyses. In addition, their *in vitro* antitumor activity against human leukemia cell line K562 shows that target compounds **6a**, **6b** and **6e** are more potent than JANEX-1.

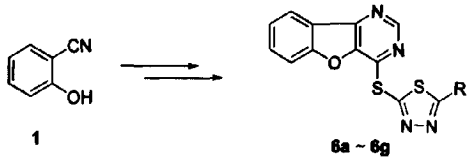
Synthesis and Bioactivities of 2-Cyanoalkoxycarbonylmethyleneimidazolidine



Zhu, Youquan*; Liu, Cui; Zhang, Jin; Yuan, Yanwei; Zhu, Ran; Zou, Xiaomao*; Hu, Fangzhong; Yang, Huazheng
Chin. J. Org. Chem. **2010**, *30*(7), 1088

In order to find new kinds of herbicides or insecticides, 20 new title compounds were designed and synthesized. All of them have been confirmed by ^1H NMR, IR techniques and elemental analysis. Preliminary quantitative structure activity relationship analysis indicated that some compounds showed moderate herbicidal or insecticidal activity.

Synthesis, X-ray Structure and Antitumor Activity of 4-(1,3,4-Thiadiazole-2-ylthio)-benzo[4,5]furo[3,2-*d*]pyrimidine Derivatives



Zhao, Yun; Ouyang, Guiping*; Xu, Weiming; Jin, Linhong; Yuan, Kai
Chin. J. Org. Chem. **2010**, *30*(7), 1093

A series of 4-(1,3,4-thiadiazole-2-ylthio)benzo[4,5]furo[3,2-*d*]pyrimidine derivatives were designed and synthesized, and their antitumor activity to PC3 cells was evaluated. The structures of the products were characterized by ^{1}H NMR, ^{13}C NMR, IR and MS techniques. Compound **6a** was investigated with X-ray crystallography.

Highlights

Chin. J. Org. Chem. **2010**, *30*(7), 1098