Graphical Abstract

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Magnetic non-equivalence of methylene protons of n-benzyl group in n-benzyl aziridines and their adducts

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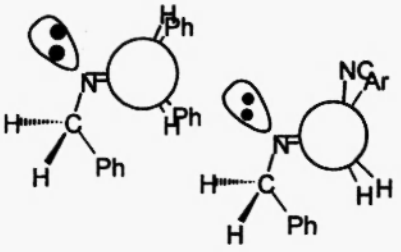
Magnetic non-equivalence of the benzyl methylene protons of trans-1-benzyl-2,3-diphenylaziridine and erythro-1-benzyl-2-cyano-3-phenylaziridines, as well as the related cycloadducts, has been investigated by means of dynamic 1H NMR spectroscopy. It is postulated that the diastereotopic origin of the benzyl methylene protons of the first two compounds arises from n-p electronic interaction.



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Reactions of 4-amino-5-(4,6-dimethyl-2-pyrimidinyl)-2,3-dihydro-1,2,4-triazole-3-thione with *c*-electrophiles

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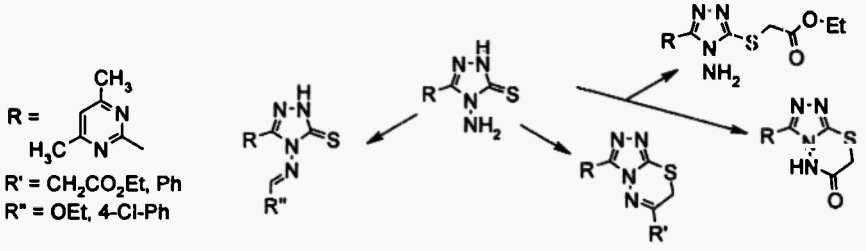
The title 1,2,4-triazole-3-thione **2** reacted with ethyl bromoacetate in ethanol in the presence of sodium ethoxide to form S-alkyl derivative **3** and its cyclocondensation product - 3-(4,6-dimethyl-2-pyrimidinyl)-7*H*-[1,2,4]triazolo[3,4-*b*][1,3,4]thiadiazin-6(5*H*)-one (4), whereas with 4-chloroacetoacetate under similar conditions only corresponding cyclocondensation product **5a** was isolated. Reaction of **2** with ω-bromoacetophenone gave 6-hydroxy-3-(4,6-dimethyl-2-pyrimidinyl)-6,7-dihydro-6-phenyl-5*H*-[1,2,4]triazolo[3,4-*b*][1,3,4]thiadiazinium bromide (6), which under treatment with sodium hydroxide was converted into corresponding 1,3,4-thiadiazine **5b**. Heating **2** with ethyl orthoformate or 4-chlorobenzaldehyde afforded 4-(methylidene)amino substituted derivatives **7a,b**. Condensation of **2** with benzoic acid in phosphorus oxychloride gave 3-(4,6-dimethyl-2-pyrimidinyl)-6-phenyl-[1,2,4-triazolo][3,4-*b*][1,3,4]thiadiazole (**8**). Reaction of **2** with acetic anhydride yielded triacetylderivative **9**.



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New entry to 2-aza-2,4-cyclopentadienone by ring expansion of 4-azido-2-cyclobutenone

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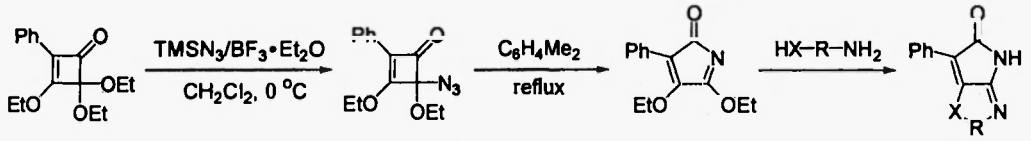
Thermal decomposition of 4-azido-3,4-diethoxy-2-phenyl-2-cyclobutenone obtained by phenylation, acetalization and azidation of squaric acid ethyl ester gave rise to a polysubstituted 2-aza-2,4-cyclopentadienone through the combined process of nitrogen extrusion and ring expansion. Anti-aromatic yet resonance-stabilized nature of this product allowed easy isolation and further cyclization with binucleophilic reagents to nitrogen heterocycles.



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$SnCl_2$-catalyzed synthesis of dihydropyrimidinones under solvent-free conditions

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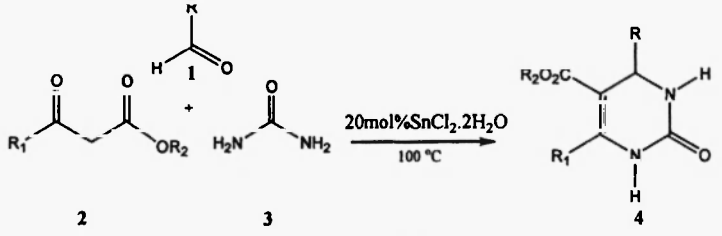
A simple, efficient and practical green synthetic route to the Biginelli cyclocondensation reaction using Stannous (II) Chloride Dihydrate as the catalyst is described under solvent free conditions to yield dihydropyrimidinones in high yields.



Heterocycl. Commun. 13 (2007) 283 – 288

Synthesis of new thiazolo [3, 2-*a*: 4, 5-*d*] dipyrimidine derivatives

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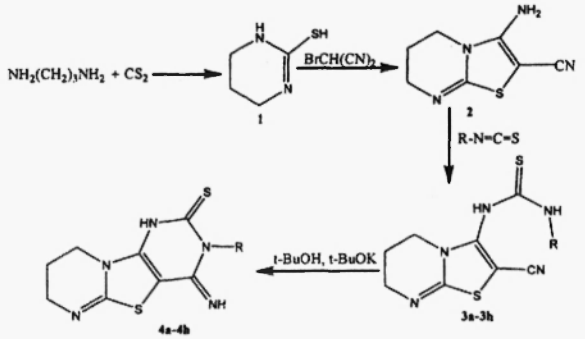
Substituted thiazolo [3, 2-*a*] pyrimidines were successfully converted to their corresponding dipyrimidothiazoles by sequential treatment with various isothiocyanates and potassium *t*-butoxide in *t*-butyl alcohol.



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Siver salts/ iodine monochloride as a new oxidation system for the oxidative aromatization of 1, 4- dihydropyridines

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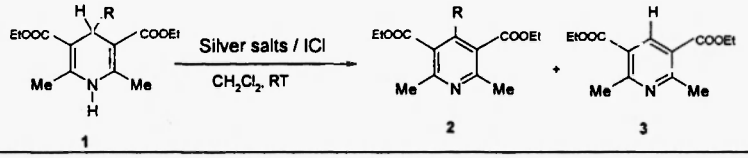
Silver salts such as silver nitrate and silver oxide have been found to promote oxidative aromatization of various 1, 4-dihydropyridines to their corresponding pyridine derivatives by iodine monochloride under heterogeneous conditions in dichloromethane at room temperature. Silver oxide in comparison to silver nitrate promoted the oxidation to completion without any by-products in shorter reaction times and cleaner conditions. The products were separated by simple filtration of reaction mixture and evaporating of solvent and identified by physical and spectral data.



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Reactions of 5-(4,6-dimethyl-2-pyrimidinyl)-1,3,4-oxadiazole-2(3*h*)-thione with *n*-nucleophiles

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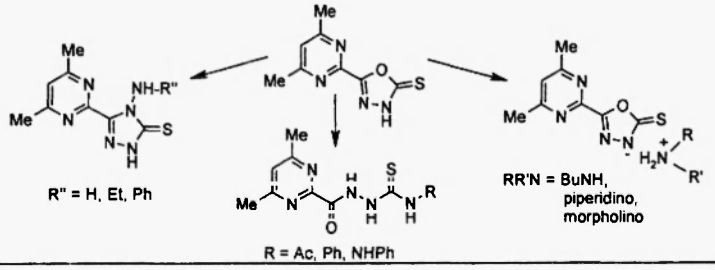
Reactions of 5-(4,6-dimethyl-2-pyrimidinyl)-1,3,4-oxadiazole-2(3*H*)-thione (**1**) with *N*-nucleophiles – butylamine, aniline, piperidine, hydrazine hydrate, ethyl-, phenyl- and acetylhydrazine was studied. Oxadiazolethione **1** formed salts **2a-c** with butylamine, piperidine and morpholine in ethanol at reflux. In chlorobenzene at reflux **2a** underwent recyclization reaction to give triazolethione **3a**. Treatment of **1** with aniline, phenyl- or acetylhydrazine gave rise to the ring opening to form phenylthiosemicarbazide **4** or thiocarbohydrazides **6a,b**, respectively. Heating **4** or **6a** in 10-20% potassium hydroxide afforded 5-(4,6-dimethyl-2-pyrimidinyl)-4-phenyl(or aminophenyl)-2,4-dihydro-1,2,4-triazole-3-thiones (**3b, 5c**). Interaction of oxadiazolethione **1** with hydrazine hydrate or ethylhydrazine led to the recyclisation reaction to form triazolethiones **5a,b**.



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Heterocyclic benzothaizolines and their germanium compounds

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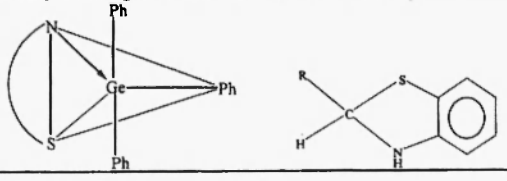
Three heterocyclic benzothiazolines have been prepared by the condensation of 2-furaldehyde, 2-thiophenecarbaldehyde and 2-pyridinecarbaldehyde with 2-mercaptoaniline. These benzothiazolines were reacted with triphenylgermanium chloride and produced compounds of the type $Ph_3Ge(Bzt)$ (where BztH is the benzothiazoline molecule). The heterocyclic benzothiazolines and their germanium compounds were characterized on the basis of elemental analyses, conductance measurements, molecular weight determinations and infrared, proton nuclear magnetic resonance, ultraviolet and 13-carbon nuclear magnetic resonance spectral studies. A trigonal bipyramidal geometry has been proposed for the resulting heterocyclic compounds. To find some practical utility of the synthesized compounds all the benzothiazolines and their compounds have been tested for their fungicidal, bactericidal and antiandrogen activities. The results are in favour of the better activity of the germanium compounds as compared to their parent benzothiazolines.



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$ZnCl_2$ - promoted synthesis of benzimidazoles under microwave irradiation

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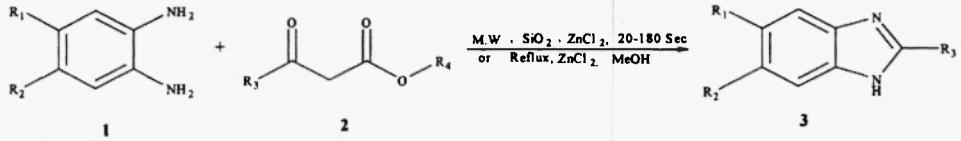
Benzimidazoles 3a-f have been prepared in a few seconds from the reaction of *o*-phenylenediamine 1 and *β*-ketoesters 2 on the surface of silica gel and $ZnCl_2$ under microwave irradiation in excellent yield. The reaction has also gone very well in MeOH and in the presence of $ZnCl_2$ under reflux condition.



1 2 3

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Synthesis of a novel heterocyclic ring system: 4-substituted-1-thioxo[1,2,4,5]tetraazino[1,2-b]phtalazine-6,11-dione

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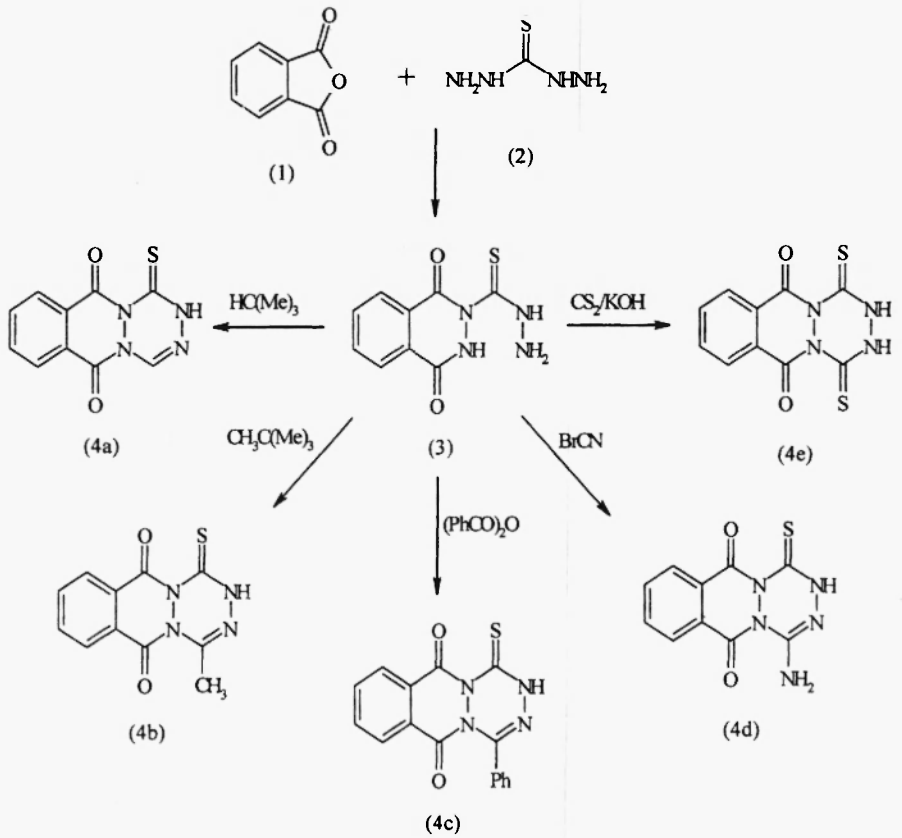
Mohammad Bakherad*, Faculty of Chemistry, Shahrood University of Technology, Shahrood, Iran.

1,4-dioxo-3,4-dihydro-2(1H)phtalazinecarbothiohydrazide **3** was initially synthesized by reaction of phtalic anhydride with thiocarbohydrazide. Compounds **4a-e** with the novel heterocyclic ring system of 4-substituted-1-thioxo-1,2-dihydro[1,2,4,5]tetraazino[1,2-b]phtalazine-6,11-dione were subsequently synthesized by cyclocondensation of **3** with trimethyl orthoformate, trimethyl orthoacetate, benzoic anhydride, cyanogen bromide and carbon disulfide, respectively.



(Schem 1)

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Synthesis of new oxazolidinonyl/oxazolidinyl carbazole derivatives for ß-blocking activity

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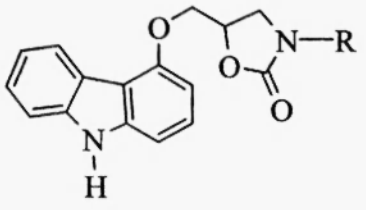
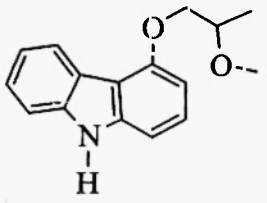
J. N. T. University, Kukatpally, Hyderabad-500 072, India

Preparation of some new carbazolyloxy propanolamine derivatives and their cyclization into corresponding oxazolidinonyl/oxazolidinyl carbazole derivatives were described.



4a-l



5a-u

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A convenient approah to the synthesis of new substituted isoxazolo[5,4-d]pyrimidin-4(5H)-ones

A. Davoodnia, M. Bakavoli,* N. Pooryaghoobi and M.Roshani
Department of Chemistry, Azad University, Mashhad, Iran

Base catalyzed cyclocondensation of 5-amino-3-methyl-4-isoxazole carboxylate with isothiocyanates gave the corresponding isoxazolo[5,4-d]pyrimidin-4(5H)-ones.