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Graphical Abstract

Heterocyclic Letters 3: iss.-4, (2013), 415-426

Studies on Synthesis of Amino Acid Derived Thiazoles. Preparation of Bis-Thiazoles as Key Fragments of Aerucyclamide Analogs.

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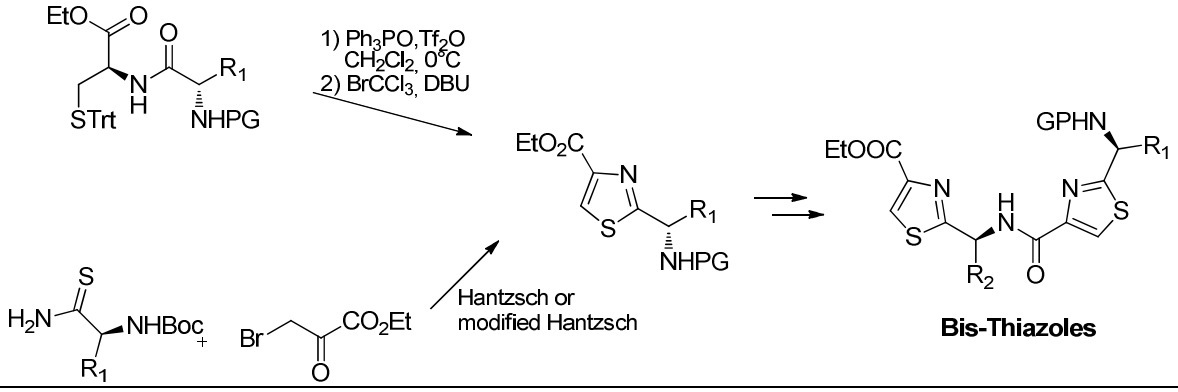
The scope and limitations of Hantzsch, modified Hantzsch and Kelly methodologies for the synthesis of amino acid derived thiazoles have been presented. In addition, bis-thiazoles as key fragments of natural products and analogs were obtained.



Heterocyclic Letters 3: iss.-4, (2013), 427-430

Synthesis of 2,3-disubstituted pyridine derivatives with microwave

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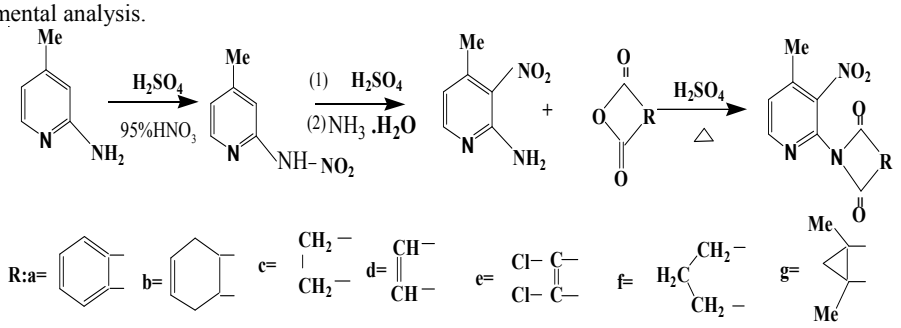
2-Amino-4-methyl-3-nitropyridine are important intermediates for synthesis of 2,3 -substituted pyridine derivatives. Seven novel 2-dicarbonylimideyl-3-nitro-4-methylpyridine **(e~g)** are synthesized with microwave in high yield and confirmed by spectral (^{1}HNMR, ^{13}C NMR) analysis and elemental analysis.



	Heterocyclic Letters 3: iss.-4, (2013), 431-435

Cadmium nitrate promoted synthesis of 1, 5-benzodiazepine derivatives.

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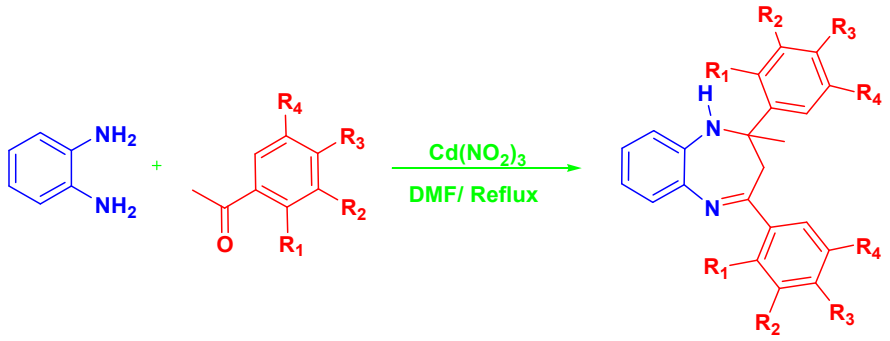
Cadmium nitrate catalyzed synthesis of derivatives of 1, 5-benzodiazepines by the cyclocondensation reaction of *o*-phenylenediamine and various substituted ketones has been reported. The synthesized compounds have been characterized by their spectral characteristics.



1 2 3a-j

	Heterocyclic Letters 3: iss.-4, (2013), 437-442

Synthesis of some new pulvinamides and their anti-inflammatory activity

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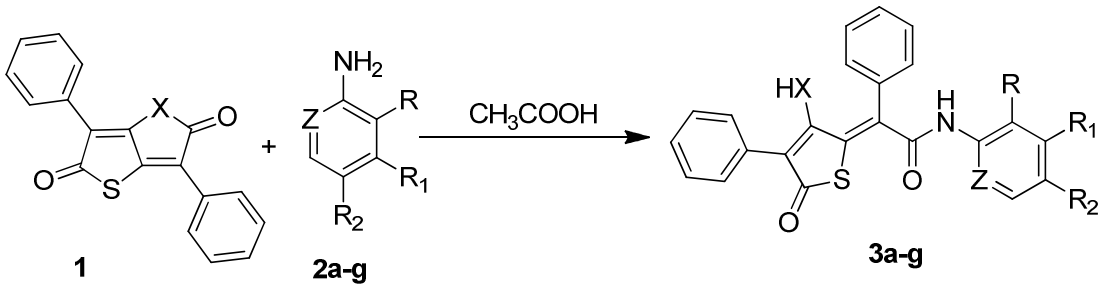
Reaction of 3,6-diphenylthieno[3,2-*b*]furan-2,5-dione **(1)** with substituted anilines **(2a-g)** in glacial acetic acid afforded 2-(3-hydroxy-5-oxo-4-phenylthiophen-2(5*H*)-ylidene)-*N*,2-diphenylacetamides **(3a-g).** Similarly reaction of 3,6-diphenylthieno[3,2-*b*]thiophene-2,5-dione **(4)** with substituted anilines **(5a-e)** in acidic medium afforded 2-(3-mercapto-5-oxo-4-phenylthiophen-2(5*H*)-ylidene)-*N*,2-diphenylacetamides **(6a-e)**. All the compounds have screened *in vitro* for their anti-inflammatory activity against the carrageenan induced rat paw oedema in albino rats. In the primary screening, some of the compounds **3b**, **3c**, **3e**, **3g** and **6e** exhibited significant activity.



1 2a-g 3a-g

X=O,S Z=C,N

Heterocyclic Letters 3: iss.-4, (2013), 443-459

Synthesis, Antimicrobial and Antioxidant Activities of 3-substitutedmethyleneamino-2-Benzoylbenzofurans and Indole Derivatives

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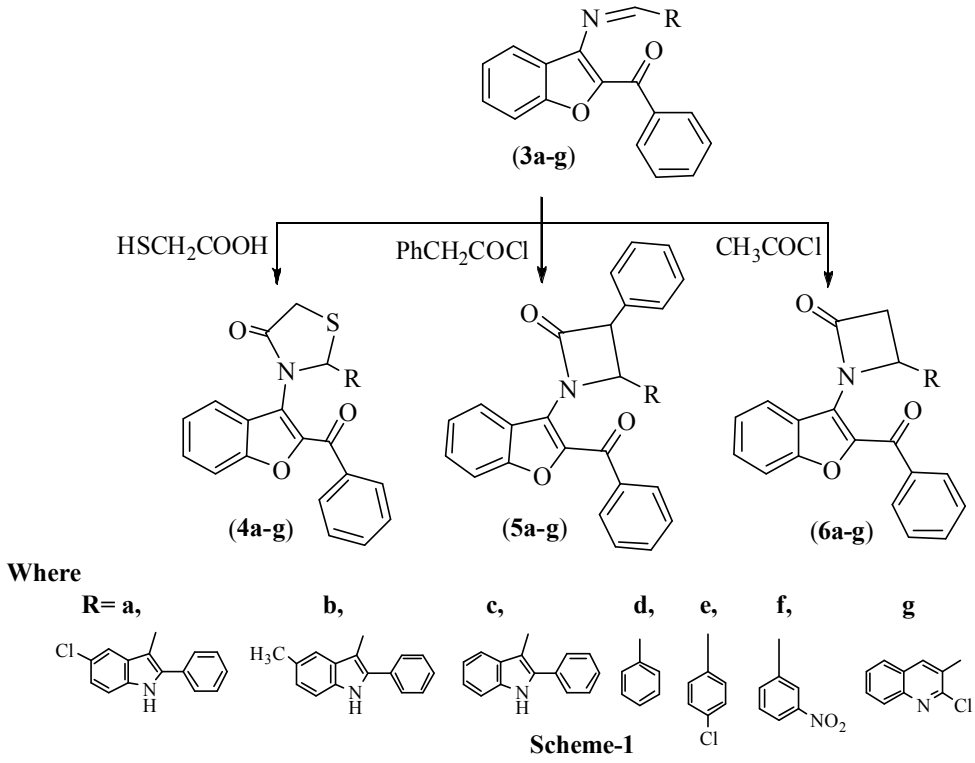
Several new benzofuran derivatives **3a-g**, **4a-g, 5a-g** and **6a-g** were prepared and screened for their antimicrobial and antioxidant activities. The structures of the all newly synthesized compounds were confirmed by their spectral studies and elemental analyses.



Scheme-1

Heterocyclic Letters 3: iss.-4, (2013), 461-479

Synthesis and computational stydy of 7-methoxy-2-[4-methoxyphenyl]-1-benzofuran-5-Carboxaldehyde and synthesis of its schiff bases

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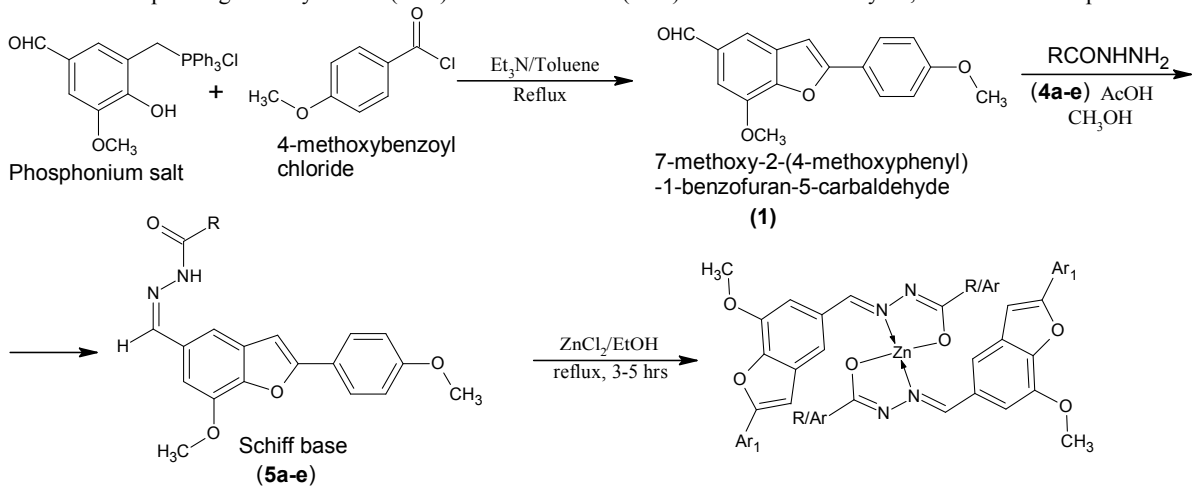
Vanillin undergoes sequence of reaction forming phosphonium salt through dimethyaminomethyl derivative (Mannich reaction). The synthesis of phosphonium salt can be achieved by sequence of three steps which was condense with 4-methoxybenzoyl chlorides by refluxing in toluene in presence of triethylamine forming 7-Methoxy-2-[4-methoxyphenyl]-l-benzofuran-5-carboxaldehyde (**1**). Computational study of (**1**) such as Binding energy, density of state, HOMO, LUMO, charge density and reactivity is done by using density functional theory. The aldehyde (**1**) is condensed with series of hydrazides (**4a-e**) forming schiff bases (**5a-e**). The acid hydrazide was synthesized from corresponding carboxylic acid (**2a-e**). The schiff bases (**5a-e**) are characterized by IR, NMR and mass spectra.



Heterocyclic Letters 3: iss.-4, (2013), 481-491

Synthesis, Spectral, Thermal and Antibacterial Investigation of Ni(II) mixed ligand Complexes with Clioquinol and Coumarin derivative

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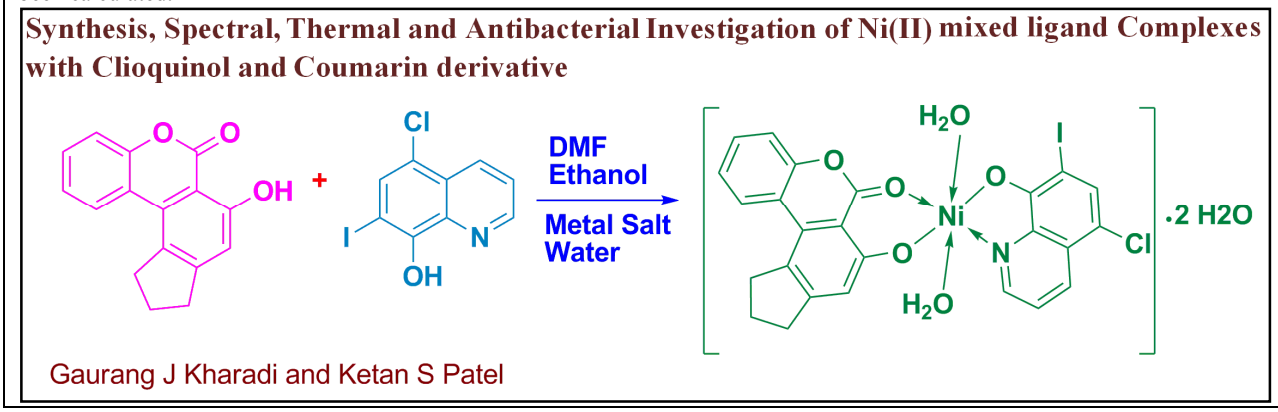
The antibiotic agent clioquinol is well known for its drug design and coordinating ability towards metal ions. Ni(II) complexes of clioquinol with various monobasic bidentate ligands have been prepared. All the complexes have been synthesized, characterized and screened for their *in vitro* antibacterial activity against a range of Gram-positive and Gram-negative bacteria. Structural and spectroscopic properties have been studied on the basis of elemental analysis, infrared spectra, NMR spectra, electronic spectra, magnetic measurements, FAB mass spectrum and thermo gravimetric analysis. The kinetic parameters such as order of reaction (n = 0.97 to1.51) and the energy of activation (E_a = 3.76 to 88.40 kJmol^{-1}) have been reported using Freeman-Carroll method. The pre-exponential factor (A), the activation entropy (S^* = -175 to -283 JK^{-1}mol^{-1}), the activation enthalpy (H^* = 0.856 to 80.97 kJmol^{-1}) and the free energy of activation (G^* = 97.6 to 251 kJmol^{-1}) have been calculated.



Heterocyclic Letters 3: iss.-4, (2013), 493-504

Biological activity of newly synthesized M(II) heterochelates of coumarin derivative and Enrofloxacin.

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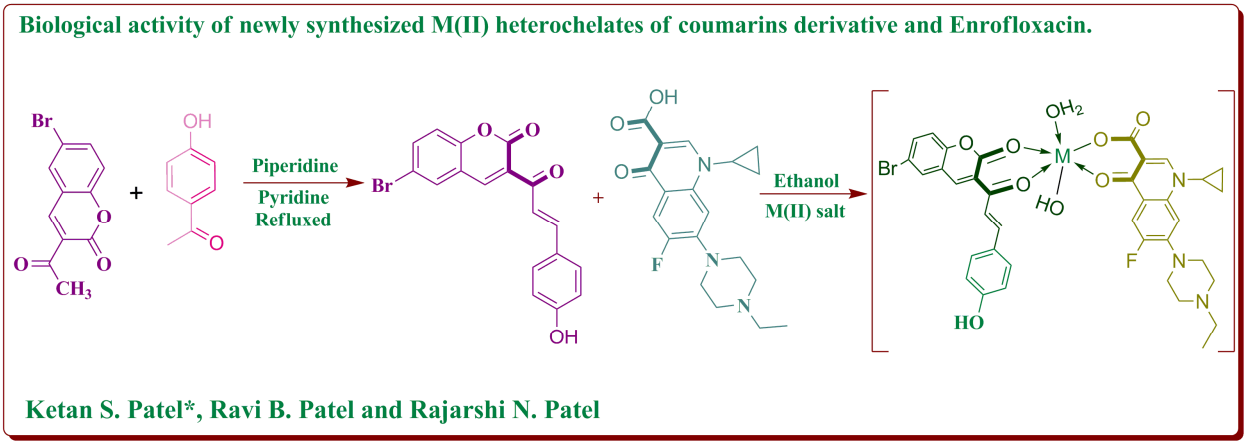
Some new heterochelates synthesized by reflux of 6-bromo-3-(3-(4-chlorophenyl)acryloyl)-2H-chromen-2-one, enrofloxacin and various transition metal. ^{1}H, ^{13}C, IR and ESI Mass confirm the formation of ligand. The heterochelates were characterized on the basis of different spectroscopic techniques like IR studies and elemental analysis while the geometry of complexes was octahedral which is confirmed by electronic spectra and thermogravimetric analysis. The compounds were subjected to antimicrobial, antioxidant and anti-tubercular activity viewing using serial broth dilution method and Minimum Inhibitory Concentration (MIC) is determined. Mn(II) complex has shown significant antifungal activity with an MIC of 6.25µg/mL while Cu(II) complex is perceptible for antibacterial activity at the same concentration. Anti-TB activity of the ligand has superior on complexation with Ni(II) and Co(II) ions. While Ni(II) complex shows finer antioxidant activity than other complexes.



Heterocyclic Letters 3: iss.-4, (2013), 505-512

2-Methoxyethanol an efficient reaction medium for synthesis of some novel 2*H*-pyrazolines and *N*-phenyl pyrazolines

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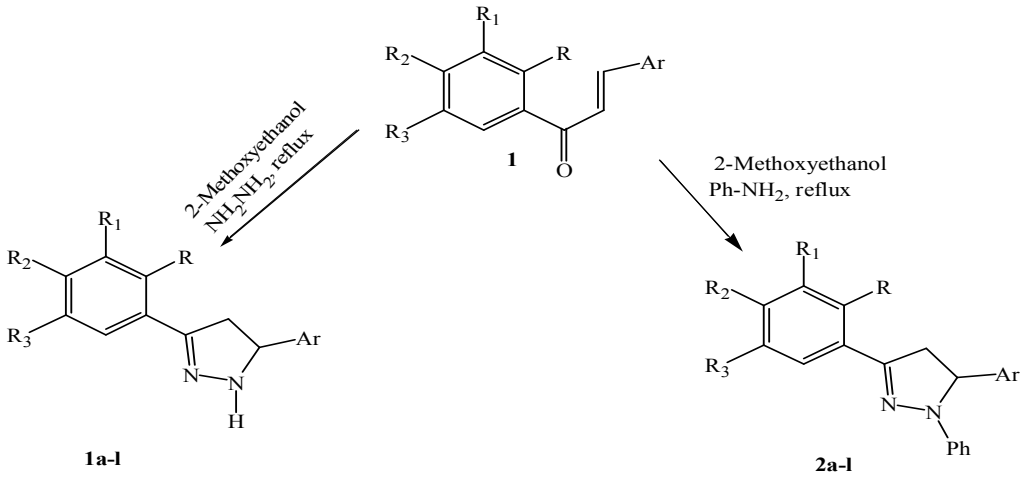
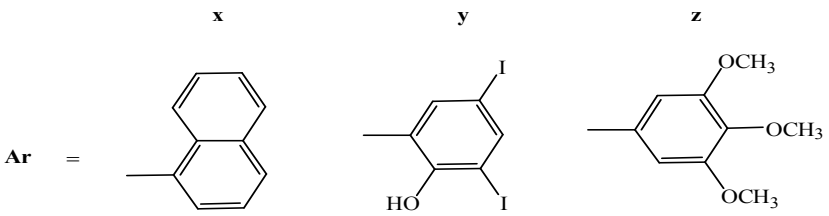
An efficient and convenient thermal assisted condensation of substituted hydroxychalcones with hydrazine hydrate and phenyl hydrazine using 2-methoxyethanol in presence of mild basic condition to yield novel substituted 2-pyrazolines derivative. The method has several advantages in terms of clean reaction conditions, short reaction time giving excellent yields of product. Newly synthesized compounds were established on the basis of spectral technique.





Heterocyclic Letters 3: iss.-4, (2013), 513-518

A Novel and Industrial approach for the synthesis of valsartan

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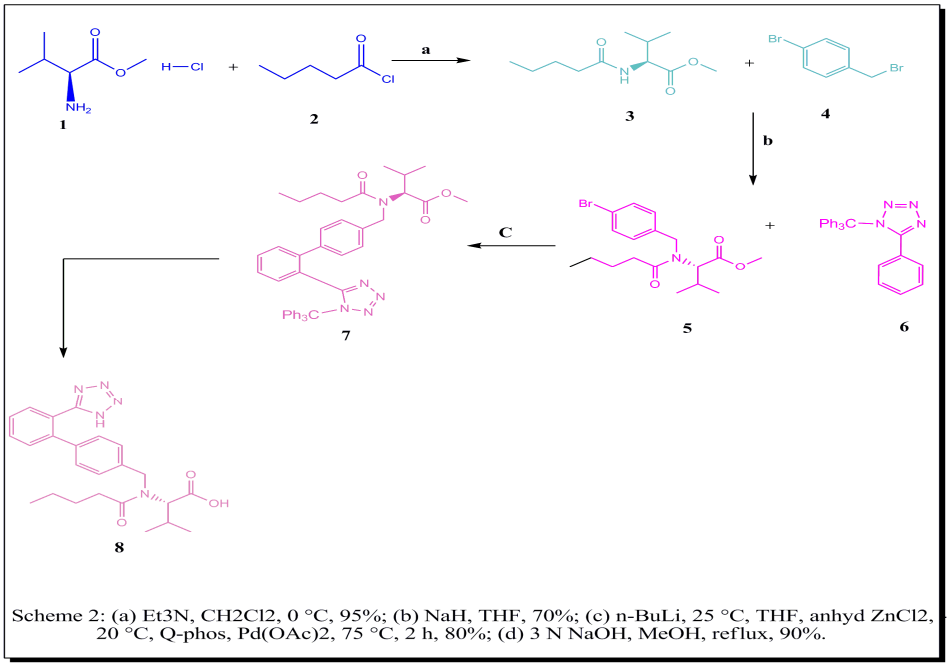
An economical synthesis of the angiotensin-II substance valsartan (Diovan®) is bestowed. Directed ortho-metalation of 5-phenyl-1-trityl-1H-tetrazole (6) and its Negishi coupling with aryl bromide five square measure the key steps of the synthesis. This methodology overcomes several of the drawbacks related to antecedently rumored syntheses.



Scheme 2: (a) Et3N, CH2Cl2, 0 °C, 95%; (b) NaH, THF, 70%; (c) n-BuLi, 25 °C, THF, anhyd ZnCl2, 20 °C, Q-phos, Pd(OAc)2, 75 °C, 2 h, 80%; (d) 3 N NaOH, MeOH, reflux, 90%.

Heterocyclic Letters 3: iss.-4, (2013), 519-523

Synthesis and biological evaluation of novel pyrazoles and oxadiazoles derivatives

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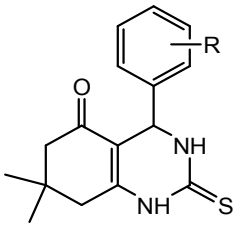
The Thiocarbonic acid S-(7,7-dimethyl-5-oxo-4-substitured phenyl-1,2,3,4,5,6,7,8-octahydroquinazolin-2-yl)ester-O-ethyl ester (**2a-c**) was synthesized by reacting compounds (**1a-c**) with ethyl chloroformate in dry acetone at refluxed temperature. Compounds (**2a-c**) on reaction with hydrazine hydrate in refluxing ethanol afforded respective carbohydrazide (**3a-c).**
The hydrazide (**3a-c**) was subjected to cyclocondensation with acetyl acetone in dry methanol containing catalytic amount of conc. hydrochloric acid to yield 3-Methyl-5-oxo-4,5-dihydro-pyrazole-1-carbothioic acid S-(7,7-dimethyl-5-oxo-4-substituted phenyl-1,2,3,4,5,6,7,8-octahydro-quinazolin-2yl) ester (**4a-c)**. The compound (**3a-c**) on reaction with carbon disulphide and potassium hydroxide in dry methanol under reflux conditions afforded 7,7-dimethyl-4-substituted phenyl-2-(5-thioxo-4,5-dihydro-[1,3,4]oxadiazol-2-ylsulfanyl)-2,3,4,6,7,8-hexahydro-1H-quinazolin-5-one.(**5a-c).** The structures of the compounds was elucidated on the basis of their spectral techniques and also their antimicrobial activity was evaluates against gram positive and gram negative bacteria.



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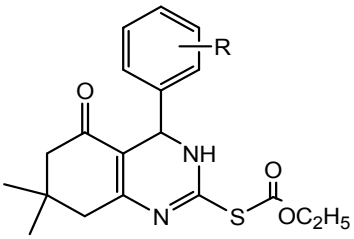

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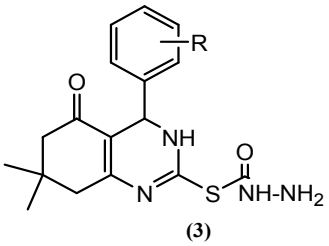

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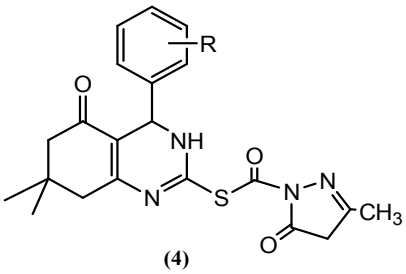

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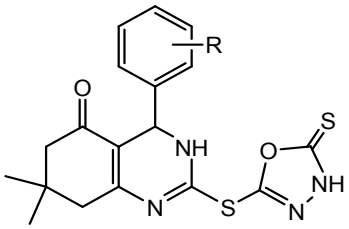

(5)

Heterocyclic Letters 3: iss.-4, (2013), 525-531

Chemistry of novel biphnyl cyclic 3, 5 disubstituted 1, 2, 4 -oxadiazoles derivatives- their synthesis and microbial evaluation

Vijay V Dabholkar*, Navnath Shinde, Abhishek karekar, Prem naik

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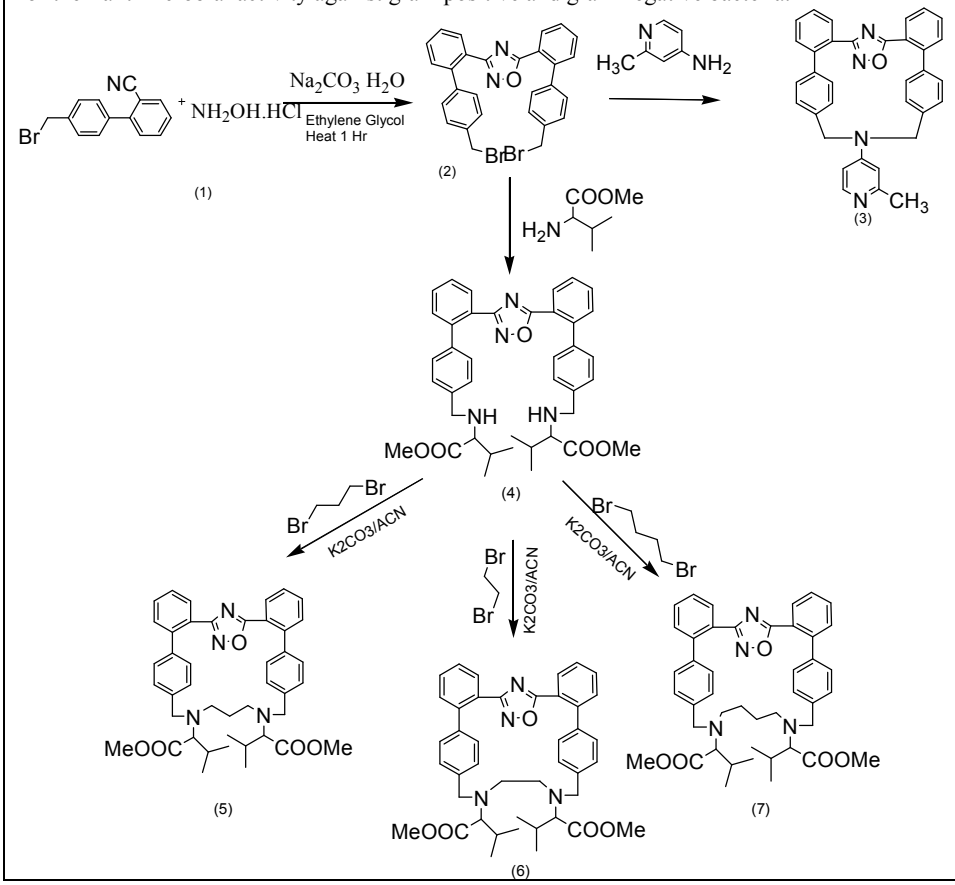
2′-cyano-4-bromomethyl biphenyl **(1)** was reacted with hydroxylamine hydrochloride in the presences of sodium carbonate to obtain **(2)** which on further treatment with 2 methyl, 4 amino pyridine yielded **(3),** compound **(2)** was on condensation with L- valine methyl ester gave **(4)** which was then further cyclised with aliphatic bromo alkane to yield the respective cyclic 3,5 disubsutited 1,2,4 oxadiazoles. The structures of the synthesized compounds were confirmed by physico-chemical test and spectral techniques, representative samples evaluated for their antimicrobial activity against gram positive and gram negative bacteria.



REVIEWS

Heterocyclic Letters 3: iss.-4, (2013), 533-547

Synthesis, reactions and biological activity of derivatives of oximes of four-membered heterocycles

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Literature data on the synthesis and structure of oximes of four-membered heterocycles with one heteroatom were reviewed. Synthesis of novel heterocycles from oximes of four-membered heterocycles was described. Biological activity of these oximes was also reviewed.