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Graphical Abstract

Heterocyclic Letters 4: iss.-3 (2014), 321-330

Synthesis of secondary amines in one step from 7-methoxy-2-[4-(methoxy)phenyl)-1-benzofuran-5-carboxaldehyde by reductive amination

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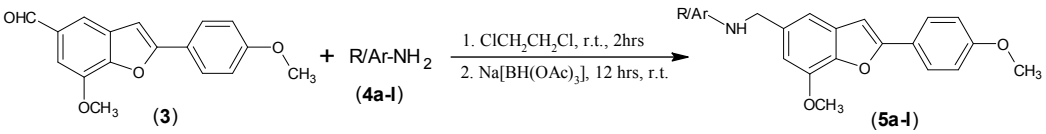
Abstract: Vanillin undergoes sequence of reaction forming phosphonium salt through dimethyaminomethyl derivative (Mannich reaction). The synthesis of phosphonium salt can be achieved by sequence of three steps. The 7-methoxy-2-[4-(methoxy)phenyl)-l-benzofuran-5-carboxaldehyde (3) was subjected to reductive amination with series of aliphatic and aromatic amines (4a-l) forming corresponding secondary amines or anilines (5a-l) which were purified by column chromatography and characterized by NMR and Mass spectroscopy.

Key Words: Benzofuran, Reductive amination, Amines, Mannich reaction, Vanillin.



Heterocyclic Letters 4: iss.-3 (2014), 331-334

Formal synthesis of quetiapine: an antipsychotic drug

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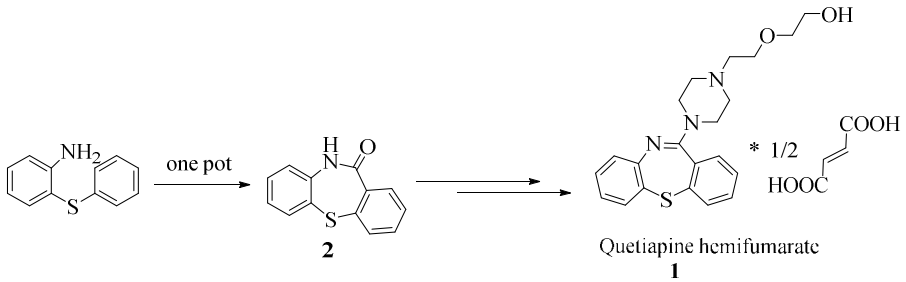
Simple one pot synthetic pathway is described for Dibenzo [b, f] [1, 4] thiazepin-11[10H]-one, an advanced intermediate in the synthesis of Quetiapine. The procedure starts from 2-(phenylthio) aniline and involves two simple insitu steps in one pot to give Dibenzo [b, f] [1, 4] thiazepin-11[10H]-one in 80% overall yield with >99% purity.



Heterocyclic Letters 4: iss.-3 (2014), 335-339

Synthesis of 4-chloro-2-(3,5-dimethyl-1H-pyrazol-1-yl)-6-methylpyrimidine

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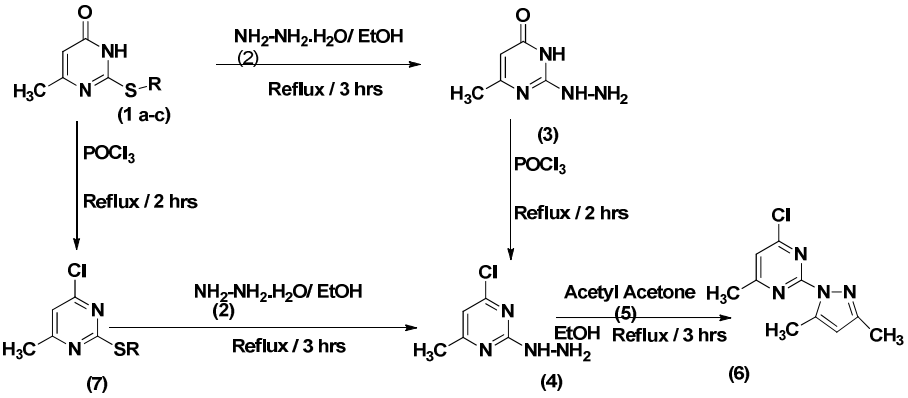
Reaction of each of alkylated thiouracil **(1)** with hydrazine hydrate (**2**) in ethanol under refluxing conditions for 3 hrs gave 2-hydrazino-6-methylpyrimidin-4one(**3**). **3** on treated with $POCl_3$ under refluxing conditions for 2 hrs gave 4-chloro-2-hydrazino-6-methylpyrimidine **(4).** Latter **4** on condensation with acetyl acetone (**5**) in ethanol under refluxing conditions for 3 hrs gave the corresponding pyrazole derivatives (**6**). Alternately, Reaction of S-alkylatedthiouracil (**1**) with $POCl_3$ under refluxing conditions for 2 hrs gave the corresponding chloro compound 4-chloro-6-methyl-2-(methylthio)pyrimidine (**7**).**7** on condensation with hydrazine hydrate (**2**) in ethanol under refluxing conditionsfor 3 hrs gave the corresponding hydrazinyl derivative **4.**



Heterocyclic Letters 4: iss.-3 (2014), 341-348

Four-component domino syntheses of 1*H*-Pyrazolo[1,2-*b*]phthalazine-5,10-diones & 2H-indazolo[2,1-b]phthalazine-1,6,11(13H)-triones in water

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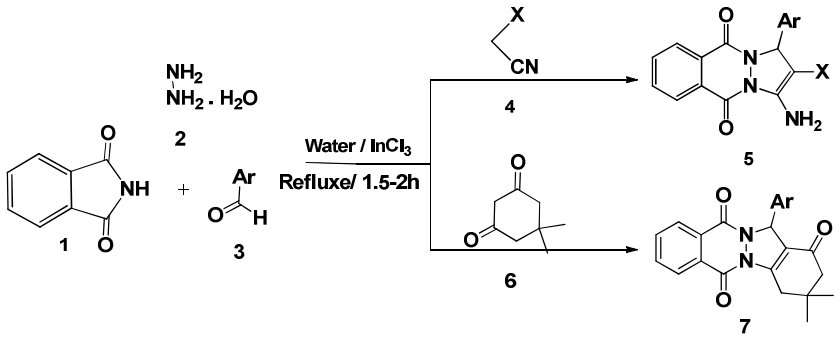
Four component domino syntheses of 1*H*-Pyrazolo[1,2-*b*]phthalazine-5,10-diones **(5)** & 2H-indazolo[2,1-b]phthalazine-1,6,11(13H)-triones **(7)** have been described from phthalimide **(1)** , hydrazine hydrate **(2)**, benzaldehydes **(3)** and malononitrile **(4a)**/ethyl cyanoacetate **(4b)** /dimedone **(6)** in refluxing water in the presence of $InCl_3$ as a catalyst.



Heterocyclic Letters 4: iss.-3 (2014), 349-354

BMIM]OH: Task-specific ionic liquid mediated synthesis of bisindolyloxindoles, bisazaindolyloxindoles & bispyrrolyloxindoles

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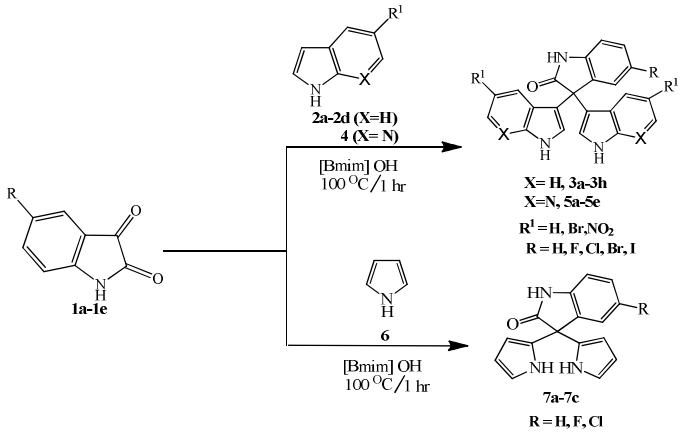
A simple, efficient and green method for the synthesis of bisindolyloxindoles & bisazaindolyloxindoles (**3, 5** & **7**) under task-specific ionic liquid ([Bmim]OH) mediated and catalyzed conditions is described involving reaction of isatin **1** with indole **2** / azaindole **4** / pyrrole **6** at 100 ^{O}C in about an hr.



Heterocyclic Letters 4: iss.-3 (2014), 355-362

Synthesis and study of some new chlorosubstituted 1,3-thiazines as growth promoting agents on some flowering plants

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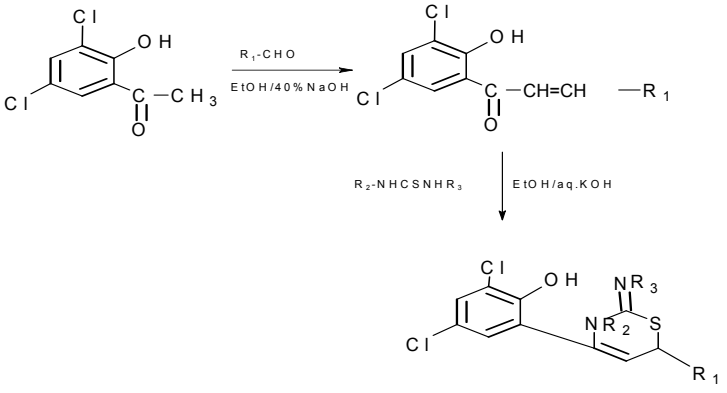
Synthesis of some new chlorosubstituted 1,3-thiazines as growth promoting agents on some flowering plants have been reported and characterised.

R_1 = -CH_2-CH_3; -$(CH_2)_3$-CH_3
R_2 = (i) = H; (ii) = H; (iii) = Ph
R_3 = (i) = H; (ii) = Ph; (iii) = Ph

SCHEME



Heterocyclic Letters 4: iss.-3 (2014), 363-370

Synthesis and anxiolytic activity of 2-methyl-3-amino-4-quinazolinone acetamide derivatives

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[2] Sir Dr. M. S. Gosavi College of Pharmaceutical Education and Research, Nasik, India.
[3]Qsafe Consultants (India), Mumbai.

Methyl Anthranilate was refluxed with acetic anhydride to form Methyl 2-Acetamidobenzoate (I). Compound (I) treated with hydrazine hydrate to form 2-methyl-3-amino-4-quinazolinone (II) which on treatment with ethyl chloroacetate in presence of K_2CO_3 using DMF as solvent form ethyl 2-(2-methyl-4-oxoquinazolin-3-ylamino) acetate (III). (III) on reaction with different aliphatic and aromatic amines produces 2-methyl-3-amino-4-quinazolinone acetamide derivatives. These compounds are evaluated for their anxiolytic activity and shown promising results. The structures of all these compounds have been confirmed by spectral characteristics

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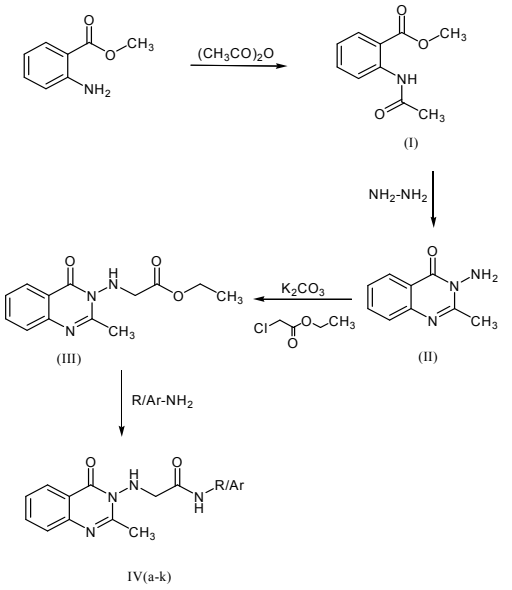

Heterocyclic Letters 4: iss.-3 (2014), 371-376

Synthesis of substituted 5-acetyl-3-benzoylindolizine-1-carboxylate from substituted 2-acetyl pyridinium bromides.

C. Sandeep[a], [a]Basavaraj Padmashali[*], [b]Rashmi S. Kulkarni, [a]Mallikarjuna S. M. [a]Siddesh M. B. [a]Nagesh H. K, [a]Thriveni K. S.

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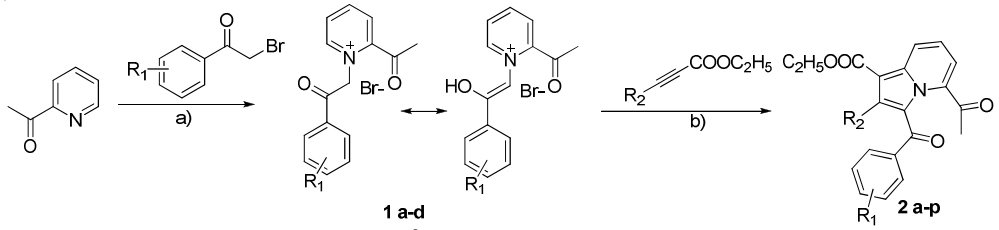
A series of substituted 5-acetyl-3-benzoylindolizine-1-carboxylates (**2a-p**) from subtitled 2-acetyl pyridinium bromides using 1,3-dipolar cycloaddition methods using TEA in THF with electron withdrawing Alkynes. The structures of newly synthesized compounds were characterized by analytical spectral data. The pyridinium bromides(**1a-d**) were synthesized neat at 120^{0}C using 2-acetyl pyridine and substituted phenacyl bromides.



Scheme 1. a) Neat@120^{0}C 30 mins; b) TEA, THF, rt, 60-90 mins**.**

Heterocyclic Letters 4: iss.-3 (2014), 377-380

Microwave Assisted simple Synthetic route for the Synthesis of Medicinally Important Pyrazole scaffolds.

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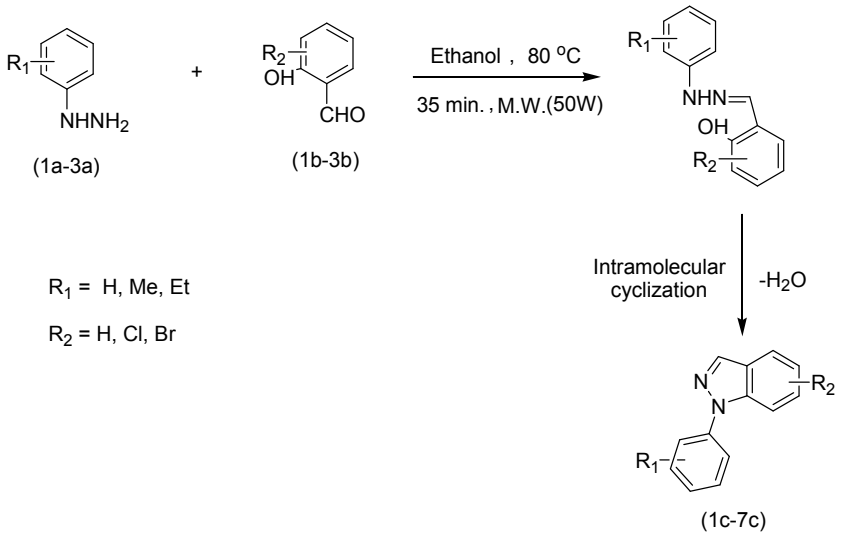
[b]*Department of Chemistry, Indian institute of Technology, New Delhi, India.*

We have developed an efficient and economical procedure that can bring about the synthesis of pyrazole derivatives in milder reaction conditions using MW. Use of MW has enabled in bringing down the reaction time from several hours to a few minutes promoting a much cleaner reaction and limiting the formation of other side products. The synthesized compounds have been characterized by their spectral analysis.



Heterocyclic Letters 4: iss.-3 (2014), 381-389

Synthesis of isoxazolyl quinazolines and isoxazolyl thiazolidin-4-ones as possible biodynamic agents

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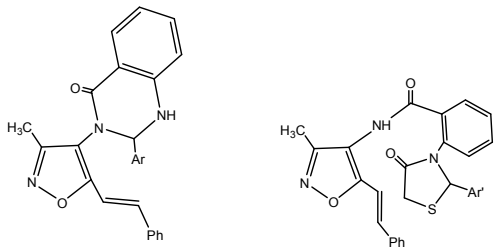
The synthesis of new isoxazolyl quinazolines **4** has been achieved upon the reaction of isoxazolyl 2-aminobenzamide **3** with aromatic aldehydes in the presence of PTSA. Isoxazolyl
4-thiazolidinones **6** have been obtained by condensation of isoxazolyl 2-aminobenzamide **3** with aromatic aldehydes in ethanol solvent, followed by cyclocondensation with mercapto acetic acid. Compounds **3-6** were characterized by IR, ^{1}H NMR and Mass spectral data.



Heterocyclic Letters 4: iss.-3 (2014), 391-397

A simple and highly efficient synthesis of quinoline tertiary amines catalyzed by Hunig's base

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E-mail ID: rs.bhupathi@gmail.com.

Substituted 2-methyl-4-chloroquinoline **4(a-d)** were treated with secondary amines in DMF at RT for 3hrs in the presence of Hunig's base to obtain quinoline tertiary amines **5(a-p).** The reactions went smoothly without forming any quaternary ammonium salt as side products.

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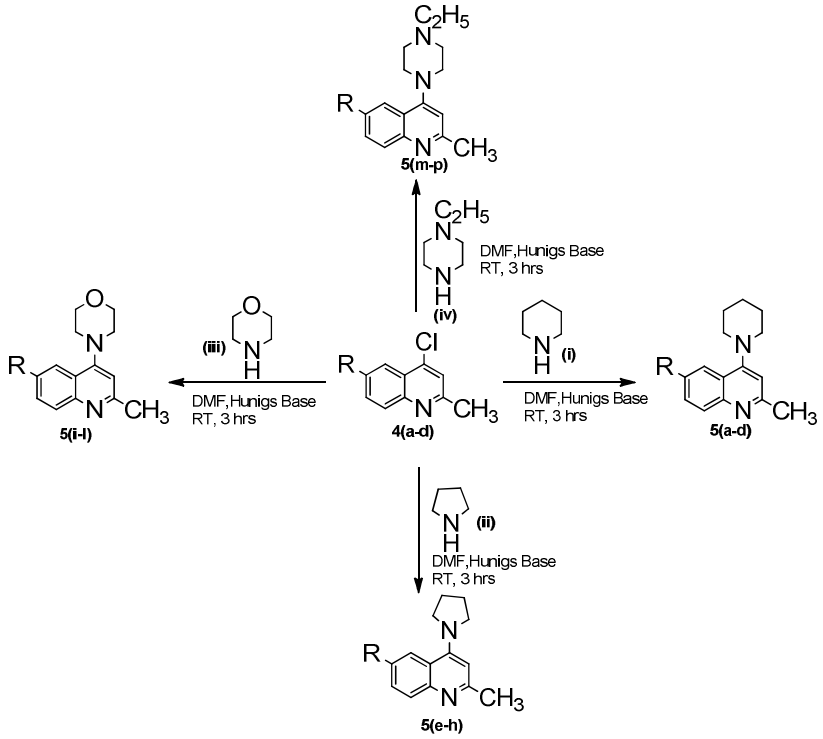

Heterocyclic Letters 4: iss.-3 (2014), 399-407

Synthesis, characterization, and electrochemical study of schiff base as a corrosion inhibitor for mild steel in H_2SO_4 medium

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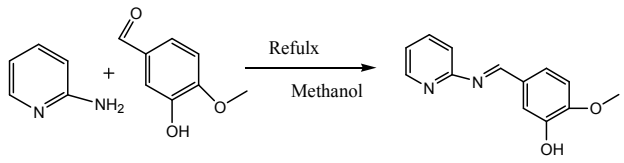
[b]Hindu College, University of Delhi, Delhi- 110007
**E-mail: gurmeet123@yahoo.com*

2-Methoxy-5-(pyridine-2-yliminomethyl)-phenol (MPP) was synthesized and its inhibiting action on the corrosion of mild steel in 0.5 M H_2SO_4 was examined by different corrosion methods, such as potentiodynamic polarization, electrochemical impedance spectroscopy (EIS) and linear polarization studies (LPR). The experimental results show that 2-Methoxy-5-(pyridine-2-yliminomethyl)-phenol (MPP) is an efficient corrosion inhibitor and the inhibition efficiency increases with increase in the inhibitor concentration.The inhibitor molecules were first adsorbed on the mild steel surface thereby blocking active sites available for acid attack



Heterocyclic Letters 4: iss.-3 (2014), 409-415

Chemistry of novel biphenyl imidazole-their synthesis & microbial evalution

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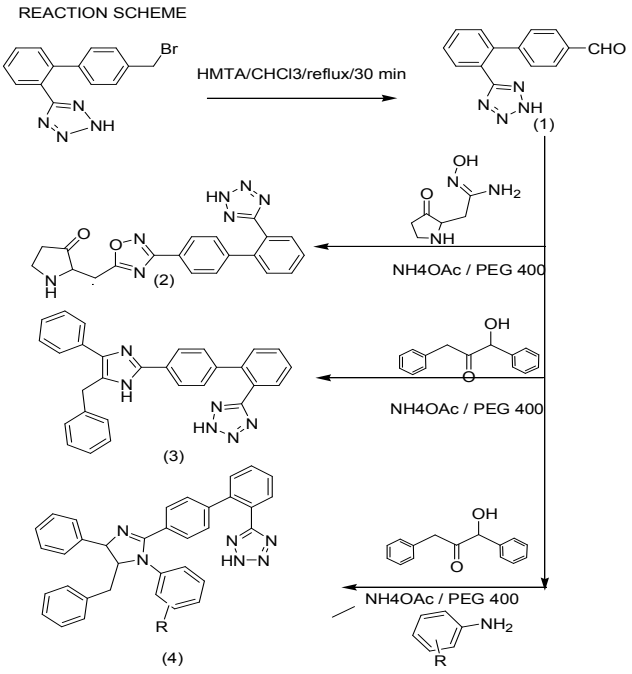
5-(4'-Bromomethyl-biphenyl -2 yl)-2H-tetrazole (TTBB) was treated with the hexaminium salts to give aldehyde (1) which on further treatment with benzoin product & hydroxyl pyralidione to yields the respective biphenyl Imidazole, Oxadiazole



Heterocyclic Letters 4: iss.-3 (2014), 417-419

Synthesis of Novel C-4 Disubstitutedβ-Lactams Through Staudinger Cycloaddition Reaction

Robert Rodriguez, Anjali Nambiar, Ram N. Yadav, and Bimal K. Banik*

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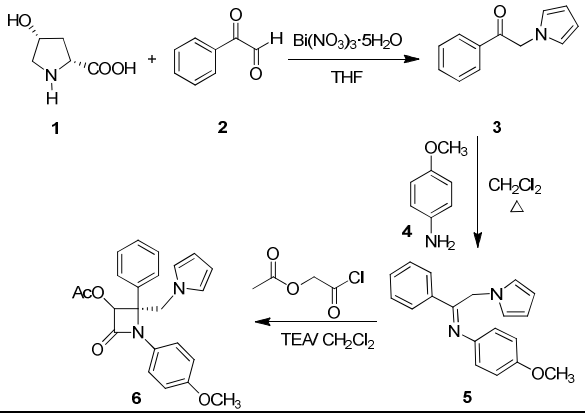
bimalbanik10@gmail.com



Heterocyclic Letters 4: iss.-3 (2014), 421-431

2,4-Diamino-5,10-dioxo-1,5,10,10a-tetrahydrobenzo[g]quinoline-3-carbonitrile for the synthesis of new azoles and azines compounds

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2-*Chemistry Department, Faculty of Science, Aswan University, Aswan, Egypt.*
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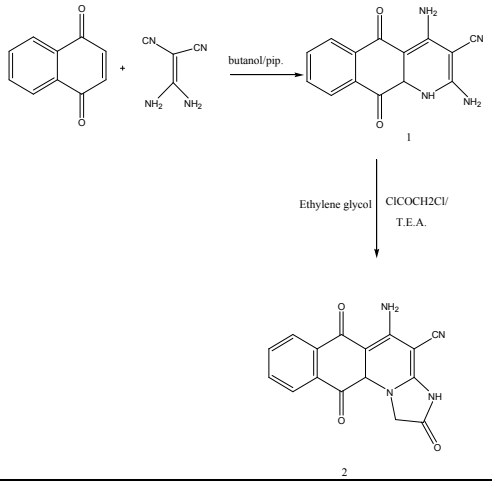
Tel.: +966.04.6242271; fax: +966.04.6247183. E-mail address: nadiaelkanzi88@yahoo.com.

A series of new fused pyrazoles (**4a-c,5a-c**), isoxazoles (**6a-c**), pyrimidines (**7a-c**), pyrimidinethiones (**8a-c**) have been synthesized from 2,4-diamino-5,10-dioxo-1,5,10,10a-tetrahydrobenzo[*g*]quinoline-3-carbonitrile (**1**), these compounds expected to have biological activity .



Heterocyclic Letters 4: iss.-3 (2014), 433-440

Synthesis of Symmetrical/Unsymmetrical 1-Alkyl-2-(((1-(1-Alkyl-1H-Benzimidazol-2-Yl)Ethyl)Thio)Methyl)-1H-Benzimidazole of Potential Pharmacological Interest

S. Srinivas Rao*, Ch. Venkata Ramana Reddy & P .K. Dubey

Department of Chemistry, Jawaharlal Nehru Technological University Hyderabad
College of Engineering, Kukatpally, Hyderabad (A.P.), India – 500 085.
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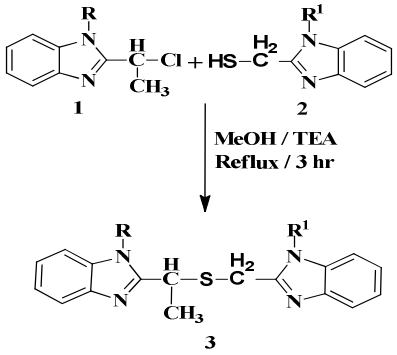
A mild and simple method for the synthesis of a variety of symmetrical/unsymmetrical substituted bisbenzimidazole sulphides which are having biologically active compounds.



	Heterocyclic Letters 4: iss.-3 (2014), 441-470

1. **MY SILVER JUBILEE WITH BETA LACTAMS**
2. **MY TWENTY YEARS WITH POLYAROMATIC COMPOUNDS**
3. **MICROWAVE-INDUCED ORGANIC REACTIONS: MY JOURNEY FOR THE PAST TWENTY FIVE YEARS**
4. **MY LOVE WITH IODINE**