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Graphical Abstract

Heterocyclic Letters 2: iss.-3, (2012), 245-252

Evaluation of (*E*)-2-chlorovinylsulfones as novel class of cytotoxic agents and highly (*E*)-stereoselective addition of N-, S- and Se-nucleophiles to (*E*)-2-chlorovinylsulfones under phase transfer catalysis conditions

Julija Visnevska, Sergey Belyakov, Irina Shestakova, Anita Gulbe, Elina Jaschenko, Edgars Abele

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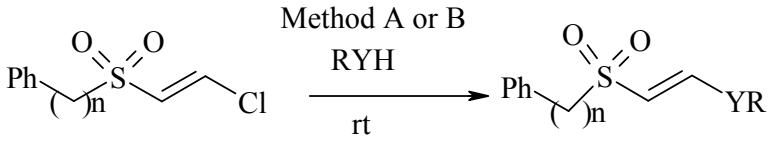
Novel phase transfer catalytic (PTC) method for the conjugate addition-elimination of N-, S- and Se-nucleophiles to (*E*)-2-chlorovinyl-sulfones has been developed. Products were isolated in yields up to 98%. (*E*)-2-Chlorovinylsulfones exhibit very high cytotoxicity against MG-22A and HT-1080 cancer cell lines.



n = 0,1; Y = NR', S, Se

Method A: Et_3N / PhMe

Method B: Cs_2CO_3 / 18-crown-6 / PhMe

Heterocyclic Letters 2: iss.-3, (2012), 253-261

Synthesis, Characterization and Antimicrobial Screening of new class of 1-substituted-*N*-(1,2,3,4-tetrahydronaphthalen-1-yl)-1*H*-benzo[*d*][1,2,3]triazole-5-caboxamide derivatives

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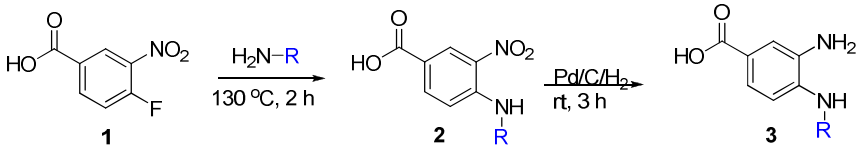
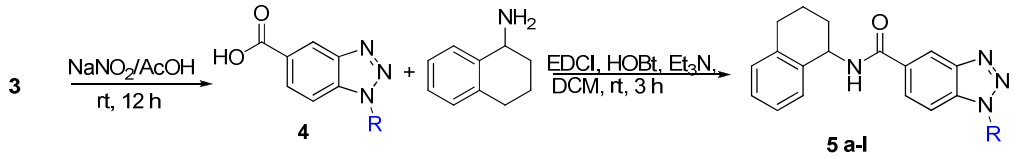
*E-mail: ababulreddy@gmail.com





	Heterocyclic Letters 2: iss.-3, (2012), 263-269

Regioselective reactions of 3-alkyl-1-phenyl-2-pyrazolin-5-ones with acyl halides in the presence of nanosized magnesium hydroxide as a highly effective heterogenous base catalyst

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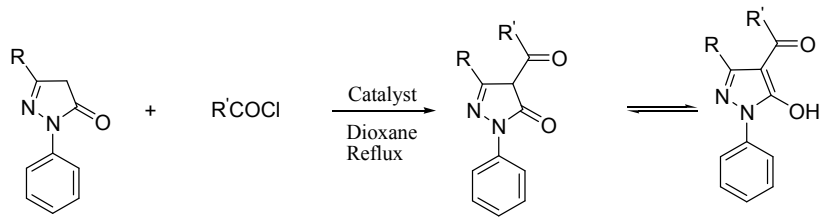
Corresponding author. Tel/fax: +98-341-322-2033 E-mail: hsheibani@mail.uk.ac.ir*

4-Acyl-3-alkyl-1-phenyl-2-pyrazolin-5-one derivatives were prepared by the regioselective acylation of 3-alkyl-1-phenyl-2-pyrazolin-5-ones in the presence of base catalysts such as calcium hydroxide [$Ca(OH)_2$], magnesium hydroxide [$Mg(OH)_2$] and nanosized magnesium hydroxide. In the presence of nanosized magnesium hydroxide, excellent yields of products were obtained and reaction times were significantly reduced.



$R = CH_3$, $R' = C_6H_5$
$R = CH_3$, $R' = C_6H_5CH_2$
$R = CH_3$, $R' = CH_3CH_2$
$R = CH_3$, $R' = (CH_3)_2CH$
$R = CH3CH_2CH_2$, $R' = C_6H_5$
$R = CH3CH_2CH_2$, $R' = C_6H_5CH_2$

	Heterocyclic Letters 2: iss.-3, (2012), 271-276

A Highly Efficient One Step Green Procedure for Baker-Venkataraman Rearrangement in Aqueous Medium

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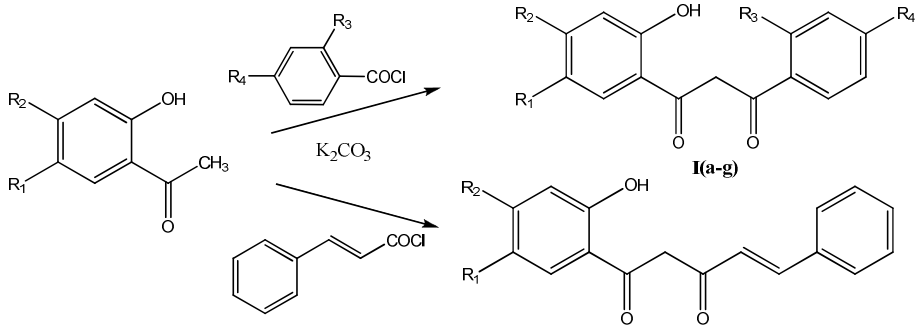
Synthesis of 2-hydroxydibenzoylmethanes/ 2-hydroxybenzoylcinnamoylmethanes has been reported by the reaction of 2-hydroxyacetophenones, aroyl chlorides/cinnamoyl chlorides and potassium carbonate with a few drops of water in aqueous medium. The synthesized compounds are confirmed by comparing the m.p. with literature value and by IR and NMR spectral characteristics.



Heterocyclic Letters 2: iss.-3, (2012), 277-282

Phosphorus pentoxide-methanesulfonic acid catalyzed efficient synthesis of 5-substituted 1*H*-tetrazole derivatives

Amulrao U. Borse*, Mahesh N. Patil*, Nilesh L. Patil, and Sandesh R. Tetgure

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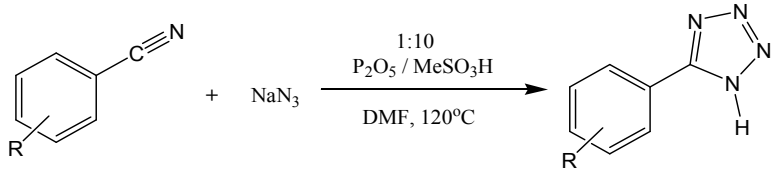
The mixture of phosphorus pentoxide-methanesulfonic acid (Eaton's reagent) is prove to be an efficient protocol for the [3+2] cycloaddition reaction between sodium azide and organic nitriles to give the corresponding 5-substituted 1*H*-tetrazole derivatives in good to excellent yields. The in situ formation of hydrazoic acid helps for the [3+2] cycloaddition reaction providing 5-substituted 1*H*-tetrazole with short reaction time.



1 **2**

Heterocyclic Letters 2: iss.-3, (2012), 283-289

Ceric Ammonium Nitrate Catalyzed One-Pot Synthesis Of Novel Isoxazolyl-Hexahydroquinindolinones

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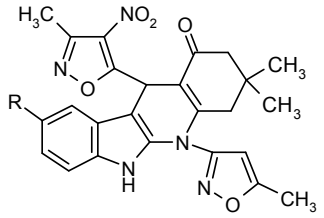
The ceric ammonium nitrate (CAN) catalyzed synthesis of novel isoxazolyl- hexahydroquinindolinones **4** were simply achieved upon the reaction of isoxazolyl-2-indolinone **1** with 3-amino-5-methyl-isoxazole **2** and dimedone **3** in ethanol with good yields from commercially available materials.



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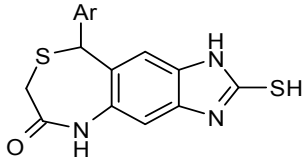
Heterocyclic Letters 2: iss.-3, (2012), 291-296

A Mild And Efficient Three Component One- Pot Synthesis Of Novel 9-Aryl-2-Sulfanyl-5,9-Dihydro-1*h*-Imidazo[4,5-*H*][4,1]Benzothiazepin-6[7*h*]-Ones

Anisetti Ravinder nath[1*] and Malladi Srinivas reddy [2]

1. University college of Technology, Osmania University, Hyderabad, A.P 500007, India.
2. St.Peter's Institute of Pharmaceutical Sciences, Vidhyanagar, Hanamkonda, Warangal, A.P 506001, India.

A three component one-pot protocol for the synthesis on novel 9-aryl-2-sulfanyl-5,9-dihydro-1*H*-imidazo [4,5-*h*][4,1]benzothiazepin-6[7*H*]-ones from commercially available materials.



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Heterocyclic Letters 2: iss.-3, (2012), 297-300

An economical and ecofriendly regioselective bromination of acetanilides using potassium bromide and ceric ammonium nitrate in polyethylene glycol

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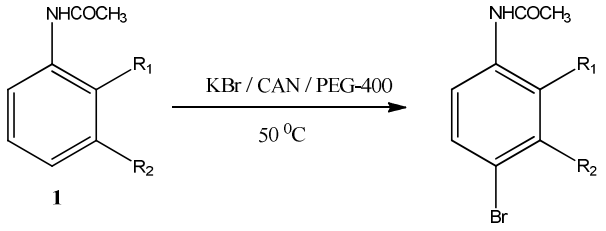
A fast, economically, eco-friendly and highly chemo- and regioselective method for the bromination of electron rich acetanilide molecules has been developed by electrophilic substitution of Br^+, which is generated in *situ* from KBr using ceric ammonium nitrate as the lewis acid catalyst in PEG-400 and the products are produced in highly excellent isolated yields and crude products do not require any further purification. Free aromatic amines remained unaffected under the reaction conditions.



1 **2(a-c)**

Heterocyclic Letters 2: iss.-3, (2012), 301-310

Synthesis Of Novel 3-Methyl-6,7-Diaryl-5,6,7,8-Tetrahydro-4*H*-Isoxazolo[4,5-*d*][1,3]Diazepines

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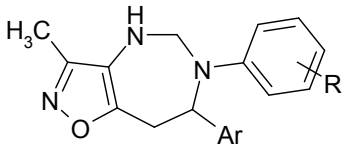
The synthesis of novel 3-methyl-6,7-diaryl-5,6,7,8-tetrahydro-4*H*-isoxazolo[4,5-*d*] [1,3]diazepine (**6a-j**) is described. A three component reaction of 3,5-dimethyl-4-nitroisoxazole **1**, aromatic aldehyde **2** and substituted anilines **3** in ethanol using ceric ammonium nitrate (CAN) as Lewis acid catalyst yielded *N*-(2-(3-methyl-4-nitroisoxazol-5-yl)-1-phenylethyl)aniline (**4a-j)** by Mannich type reaction *via* a variety of aldimines generated *in situ* by reaction of aromatic aldehydes with aromatic amines. Compound **4** on reduction with $SnCl_2$ in ethanol afforded 3-methyl-5-(2-phenyl-2-(phenylamino)ethyl)isoxazol-4-amines (**5a-j**). Cyclocondensation of **5** with formaline furnished novel 3-methyl-6,7-diaryl-5,6,7,8-tetrahydro-4*H*-isoxazolo[4,5-*d*] [1,3]diazepine (**6a-j**)**.**



6a-j

Heterocyclic Letters 2: iss.-3, (2012), 311-325

Synthesis and antimicrobial activity of novel 5-((1*H*-indol-3-yl) methylene)-2-((4-(3a, 4, 5, 6, 7, 7a-hexahydro-4, 7-methanebenzo[d] isooxazol-3-yl) phenyl) imino)-3-methylthiazolidin-4-one derivatives

S. P. Vartale*, Y. D. Pawar & N. K. Halikar

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A series of novel 5-((1*H*-indol-3-yl) methylene)-2-((4-(3a, 4, 5, 6, 7, 7a-hexahydro-4, 7-methanebenzo[d] isooxazol-3-yl) phenyl) imino)-3-methylthiazolidin-4-one derivatives were synthesized and evaluated for their antibacterial and antifungal activity. The structures of the synthesised compounds were determined by IR, NMR, mass spectroscopy and elemental analysis. They were screened for activities against bacterial and fungal strains. Amongst the synthesised compounds **9b**, **9e**, **9i**, **10g**, **10h** & **10i** were found to be active.

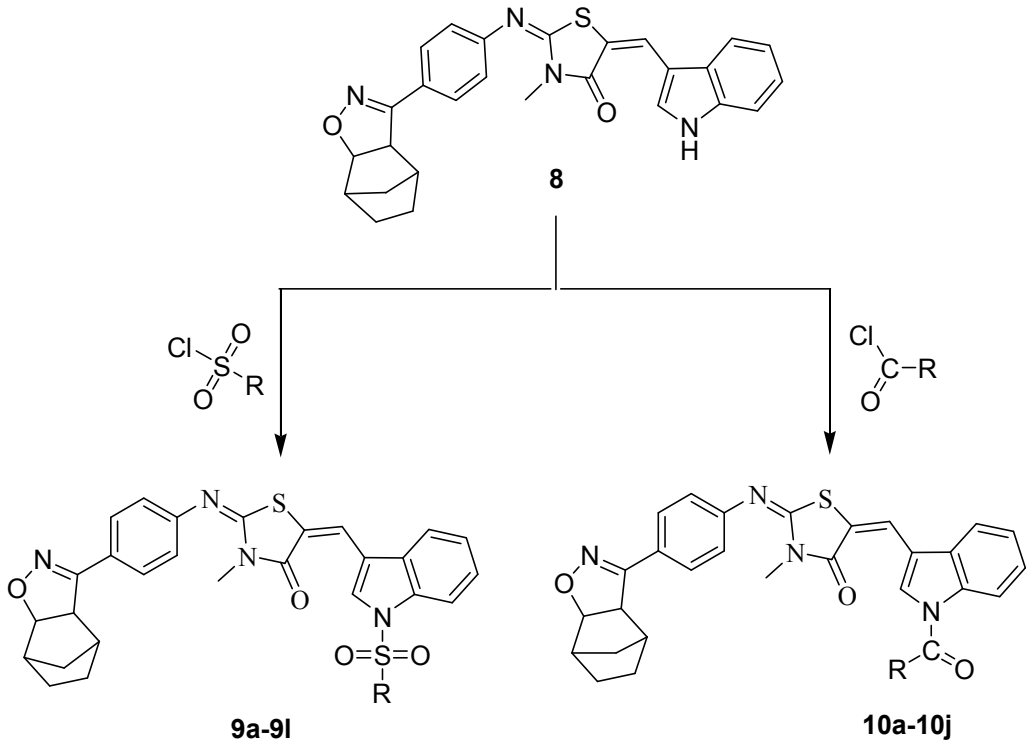

Figure 1: Synthesis of 9a-9l & 10a-10j

Heterocyclic Letters 2: iss.-3, (2012), 327-332

Study And Synthesis Of Some Organic Spiro Derivatives Using Schiffbase Reaction

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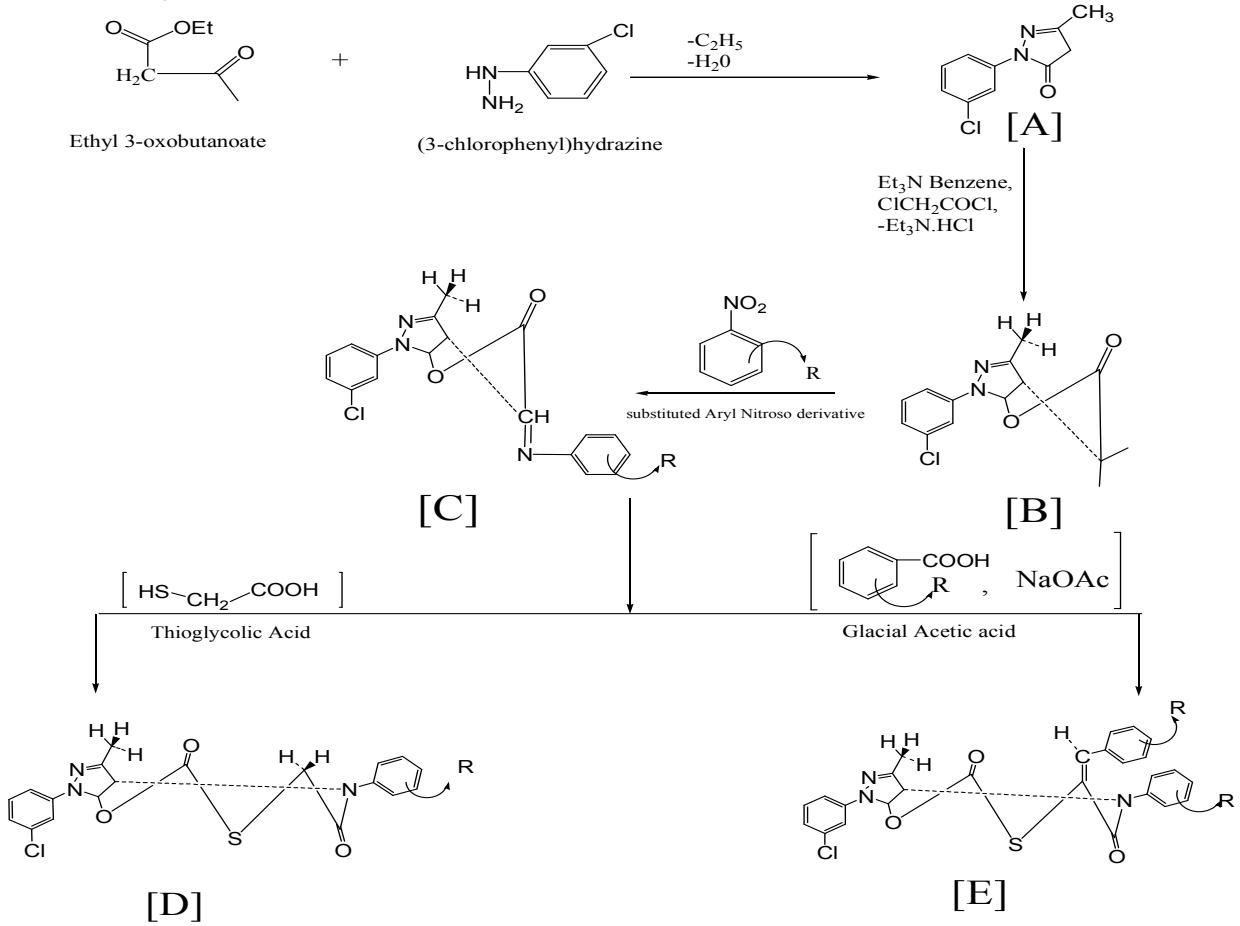
[1] Research Scholar of JJT University, Jhunjhunu , Rajasthan – 333001.
[2.] Department of Chemistry, South Gujarat University, Surat – 395007
*Corresponding author: Phone: +91-9033231942, E-mail: Dadaji.raja@gmail.com

Pyrazole and pyrazolone derivatives are known to possess some important biological activities such as antiarthritic, antihypertensive, antibalterial and antifungal, artihistaminic hypoglycemic etc. The organic spiro comp. posses good biological activities, anticancer as well as antitumor activity.



	Heterocyclic Letters 2: iss.-3, (2012), 333-348

Synthesis, antimicrobial and antioxidant activities of some new 1'-(10-substituted 5*H*, 6*H*, 7*H*-indolo[2,3-c]isoquinolin-5 ylthio)-formyl-3',5'-disubstituted pyrazoles, -3'-methylpyrazole-5'-one and -1',3',4'-oxidiazol-2'-thiones

Saundane Anand R[a]*, Vaijinath A Verma[b] and Katkar Vijaykumar[a].

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[b]Shri Prabhu Arts, Science & J M. Bohra Commerce College, Shorapur-585 224.
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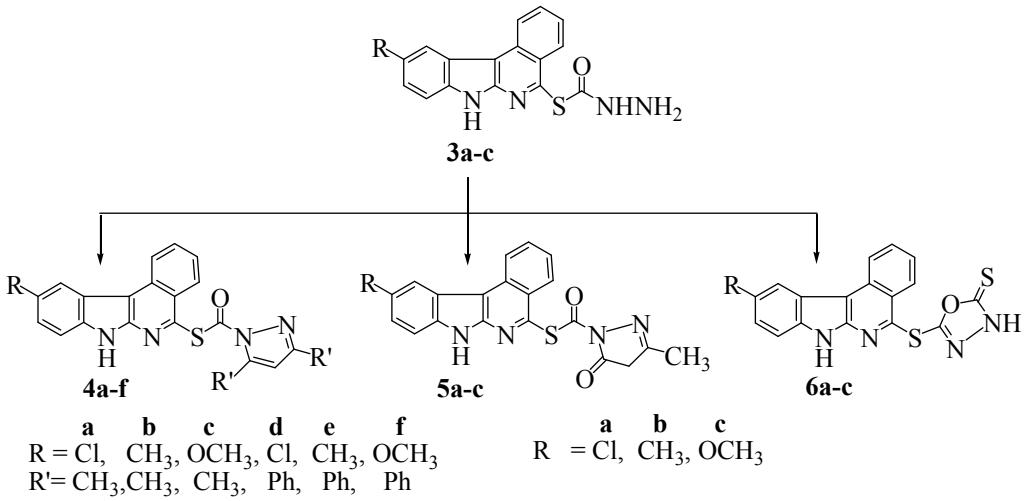
Several analogues of indolo[2,3-c]isoquinoline containing 3',5'-dimethyl/phenylpyrozoles (**4a-f**), -3'-methylpyrozol-5'-ones (**5a-c**) and -1',3',4'-oxidiazol-2-thiones (**6a-c**) linked to its position-5 were synthesized. The structures of these newly synthesized compounds were confirmed by their elemental analyses and spectral studies. These compounds have been screened for their antimicrobial and antioxidant activities.



Scheme -1

REVIEWS

	Heterocyclic Letters 2: iss.-3, (2012), 349-359

Pyrazole: Biologically Active Substantial Component

V. Sareen*, V. Khatri and S. Sareen

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E-mail: sareen_s297@yahoo.com

Pyrazoles are well established in literature as important biologically active heterocyclic compounds. These derivatives are the subject of many research studies due to their wide spread potential biological activities. Literature survey revealed that pyrazole derivatives possess diverse pharmacological activities.

	Heterocyclic Letters 2: iss.-3, (2012), 361-377

Benzothiazoles: Biologically Active Substantial Component

S.Sareen, D.Shinde, V.Khatri and V.Sareen

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E-mail : sareenparmod@yahoo.com

The review highlights the recent reports of antimicrobial, anticancer, ACE inhibitory, antiviral as well as anti-inflammatory activities of heterocycles containing nitrogen and sulphur viz benzothiazole**.** The purpose of the review is to assemble literature work reported by researchers on heterocycles for their varied activities in industry and also report recent efforts made on these moieties.