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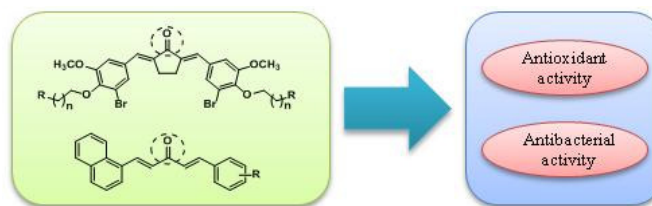
OCTOBER 2015

CONTENTS

Papers

1235 **Antibacterial and antioxidant activity evaluation of novel symmetrical and unsymmetrical C5-curcuminoids**

Different symmetrical and unsymmetrical C5-curcuminoids have been synthesized and assessed *in vitro* for their potency as antioxidant and antibacterial agents along with acceptable predicted *in silico* ADMET properties. (1E,4E)-1-(2,6-Dichlorophenyl)-5-(naphthalen-1-yl)penta-1,4-dien-3-one **25** shows remarkable antibacterial potency against *Staphylococcus aureus* and *Pseudomonas aeruginosa* together with good antioxidant activity and encouraging pharmacokinetic properties.

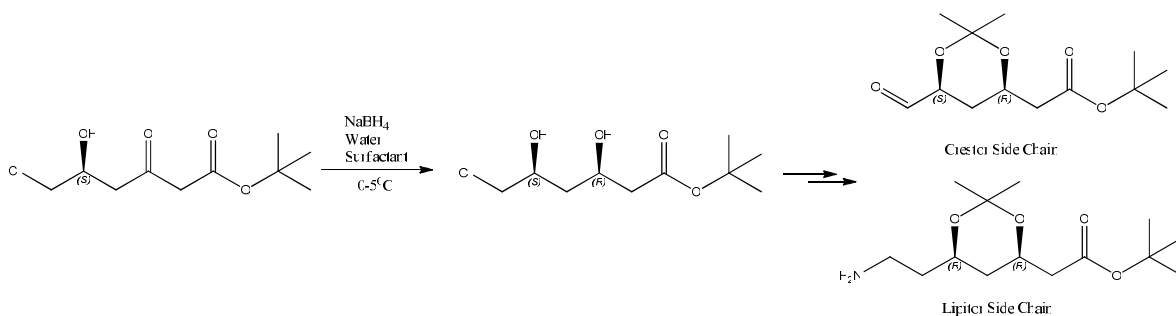


Sunny Manohar, Anuj Thakur, Rohit Bhatia, Suresh Walia, Prija Ponnann & Diwan S Rawat*

Department of Chemistry, University of Delhi, Delhi 110 007, India

1247 **Stereoselective reduction of δ -hydroxy β -ketoesters to syndiol in achiral micellar system**

A novel, efficient and stereo-selective process for synthesis of statin side chain, a key intermediate for statin type cholesterol lowering drugs such as Lipitor (atorvastatin) and Crestor (rosuvastatin) in achiral micellar media is reported.

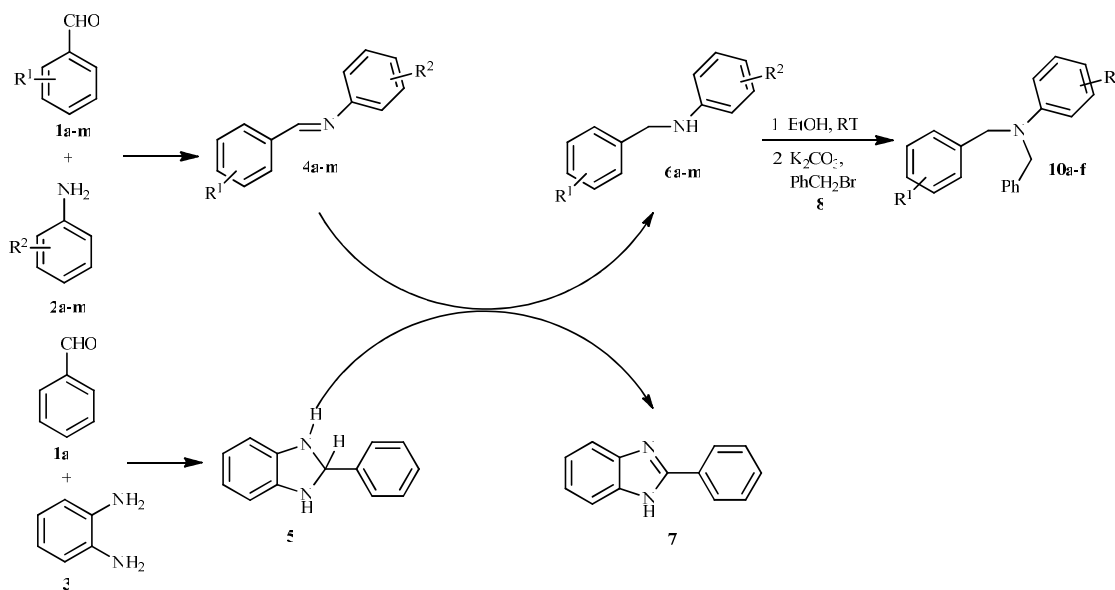


Bhairab Nath Roy*, Girij Pal Singh, Piyush Suresh Lathi, Manoj K Agrawal & Rangan Mitra

Process Research Department, Lupin Research Park, Lupin Ltd, Survey No 46/A & 47/A, Nande Village, Mulshi Taluka, Pune 411 042, India

1252 Metal-free reductive amination of aldehydes for the synthesis of secondary and tertiary amines

Reductive amination of aldehydes to produce secondary amines at room-temperature by *in situ* generated benzimidazoline is discussed. The bonus of the reaction is the formation of pharmaceutically important benzimidazole as a by-product in good yield, which can be recovered from the reaction mixture by simple filtration. The product, secondary amine, is transformed to tertiary amine in the same pot.

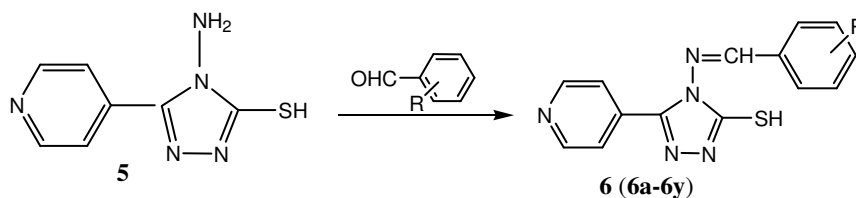


Ambica, Rajni Khajuria, Yeshwinder Saini & Kamal K Kapoor*

Department of Chemistry, University of Jammu, Jammu 180 006, India

1260 Synthesis of Schiff bases of 4-amino-3-mercapto-5-pyridin-4yl-4H-1,2,4-triazole and their evaluation as SAR inducers

A series of twenty five Schiff bases of 4-amino-3-mercapto-5-pyridin-4yl-4H-1,2,4-triazole **6** (**6a-y**) have been synthesized and evaluated as SAR inducers against sheath blight of rice. A few of these exhibit excellent resistance inducing activity.

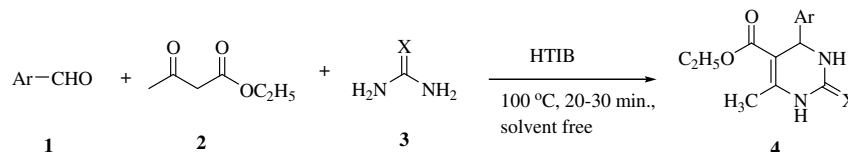


Sujan Majumder, Bishnu Maya Bashyal & R L Gupta*

Division of Agricultural Chemicals, Indian Agricultural Research Institute, New Delhi 110 012, India

Notes

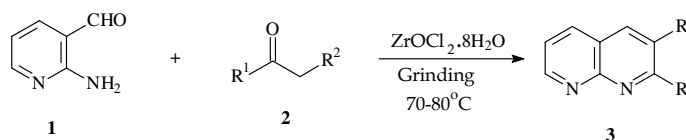
- 1275 Hypervalent iodine(III) reagent in the solid-state synthesis of 3,4-dihydropyrimidin-2(1*H*)-ones** The hypervalent iodine reagent, [hydroxy(tosyloxy)iodo]benzene has been employed in the solvent-free synthesis of 3,4-dihydropyrimidin-2(1*H*)-ones. The procedural development allows the preparation of a wide variety of substituted dihydropyrimidinones/thiones in good yields without using any solvent.



Rashmi Pundeer* & Sushma

Department of Chemistry, Kurukshetra University, Kurukshetra 136 119, India

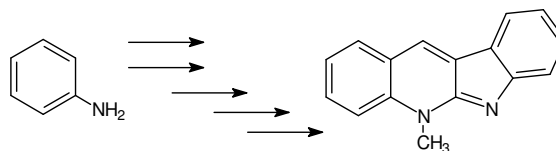
- 1280 ZrOCl₂·8H₂O catalyzed solvent-free Friedlander synthesis of 1,8-naphthyridines** ZrOCl₂·8H₂O catalyzed Friedlander condensation of 2-aminonicotinaldehyde **1** with carbonyl compounds containing α -methylene group **2** has been achieved in solvent-free grinding conditions to give 1,8-naphthyridines **3**. The yields are very good and purity is excellent. The method is preparatively convenient and useful.



K Mogiliah*, A Nageswara Rao & P Koteswara Rao

Department of Chemistry, Kakatiya University, Warangal 506 009, India

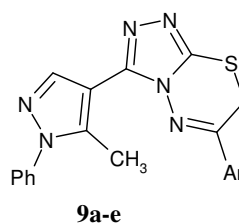
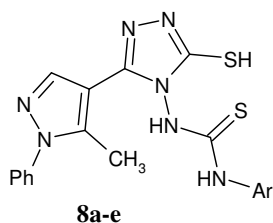
- 1283 An elegant synthesis of indoloquinoline alkaloid cryptotackieine via Vilsmeier-Haack approach** An exclusive approach towards the synthesis of indoloquinoline alkaloid cryptotackieine has been illustrated. Primary starting materials with an established procedure like Vilsmeier-Haack cyclization are used followed by nucleophilic azidation, intramolecular cyclization and a selective methylation to achieve the target.



P Pitchai*, M Sathiyaseelan, A Nepolraj & R M Gengan

P.G. and Research Department of Chemistry, Government Arts College (Autonomous), Kumbakonam 612 001, India

- 1290** **Synthesis and antibacterial activity of *N*-substituted-[1,2,4]triazoles and 1,2,4-triazole [3,4-*b*][1,3,4]thiadiazines**
- New series of *N*-[3-(5-methyl-1-phenyl-1*H*-4-pyrazolyl)-5-sulfanyl-4*H*-1,2,4-triazol-4-yl]-*N'*-arylthiourea derivatives **8a-e** and 3-(5-methyl-1-phenyl-1*H*-4-pyrazolyl)-6-aryl-7*H*-[1, 2, 4]triazolo-[3,4-*b*][1,3,4]thiadiazines **9a-e** have been prepared and screened for their antibacterial activity against four human pathogenic bacteria, *Escherichia coli*, *Klebsiella pneumoniae*, *Shigella dysenteriae* and *Shigella flexnei*. Among the screened compounds, **8b**, **8c** and **8e**, in which phenyl ring of isothiocyanate moiety bear 3-fluoro, 4-fluoro and 4-bromo substituents respectively, show high activity against all the micro-organisms employed. The compound **9c** is highly active against all the test organisms employed. The zone of inhibition is more than the standard drug neomycin, and almost equal to the standard drug streptomycin.



Ch Sanjeeva Reddy*, L Sanjeeva Rao, B Sunitha & A Nagaraj

Department of Chemistry, University College, Kakatiya University, Warangal 506 009, India

Authors for correspondence are indicated by (*)