Microwave-Mediated Chiral Synthesis of O-Glycosides of β-Lactams

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Microwave-mediated optically active O-glycosides of anticancer β-lactams is synthesized by cycloaddition reaction of an activated carbohydrate acid with an imine. The stereochemistry differences of the products under microwave-induced reaction and classical method is not significant in contrast to other known available methods.

Keywords: β-Lactams, Anticancer compounds, Chirality, Carbohydrate, Ketene.
Microwave-Induced Synthesis of Bis-β-Lactams from Hydrobenzamide

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Microwave-induced synthesis of bis-β-lactams is performed by Staudinger cycloaddition reaction of acid chloride and hydrobenzamide.

Keywords: Cycloaddition, Hydrobenzamide, Bis-β-Lactams, Diastereospecific.
Aldose Reductase Inhibitory Activity Studies of Substituted 3-Sulfenylindoles

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Sulfenylindoles obtained by direct sulphenylation of indoles using diphenyl disulphide in the presence of catalytic amount of iodine in DMSO have been studied for aldose reductase inhibitory activity. As expected, different 3-sulfenylindoles derivatives that are synthesized exhibit good-to-excellent aldose reductase inhibitory activity.

Keywords: 3-Sulfenylindoles, Diphenyl disulphide, Aldose reductase inhibitory activity, Enzyme.
Collective Synthesis of Basic Carbazole Alkaloids

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Starting from N-boc-protected 3-formylindole, practical synthesis of carazole alkaloids clausine E, mukonine, koenoline, murrayafoline A and murrayanine has been accomplished in overall seven steps. The application of dimethyl maleate to construct the suitably substituted aromatic ring and selective transformation of mukonine to murraya-foline A are the important features.

Keywords: 3-Formylindole, Wittig reaction, Intramolecular cyclization, Selective reductions, Carazole alkaloids.
Synthesis of N-Benzylidene-4-(5-methyl-1H-tetrazol-1-yl)benzenamines as Potent Antibacterial and Antifungal Agents

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In search of new antibacterial and antifungal agents with improved and broad spectrum potency, we designed and synthesized a series of novel N-benzylidene-4-(5-methyl-1H-tetrazol-1-yl)benzenamines (6a-j). All the synthesized compounds were evaluated for their in vitro antibacterial against Gram-positive and Gram-negative bacteria. The antifungal activities of the synthesized compounds were also evaluated. Some of the compounds (6e, 6i, 6j) exhibited potent activities towards bacterial pathogens. Among the synthesized compounds, compound 6f exhibited potent antibacterial activity against Gram-negative Salmonella abony, Salmonella typhi, Escherichia coli and Gram-positive Bacillus subtilis bacteria. Compound 6f also shows potent antifungal activities against all the fungal pathogens.

Keywords: Benzenamines, Microbial activities, Schiff base, Tetrazole.
Synthesis and Antimicrobial Evaluation of Novel Benzene Sulfonamide Pyrazole Linked [1,2,4]Triazolo[3,4-b][1,3,4]thiadiazole Derivatives

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A novel series of benzene sulfonamide pyrazole linked [1,2,4]-triazolo[3,4-b][1,3,4]thiadiazole derivatives have been synthesized by reaction of 4-(3-(4-amino-5-mercapto-4H-1,2,4-triazol-3-yl)-5-(3-fluoro-4-methoxyphenyl)-1H-pyrazol-1-yl)benzenesulfonamide with different substituted benzoic/pyridinyl/indolyl acids in POCl₃, characterized by IR, ¹H NMR, ¹³C NMR, MS analytical data and evaluated for their antibacterial as well as antifungal activity. Antibacterial activity of compounds 6c, 6i and 6k were found good against E. coli, P. aeruginosa, S. aureus and S. pyogenes compared to standard ampicillin. Compounds 6b and 6e is having promising antifungal activity against C. albicans as compare to standard griseofulvin.

Keywords: Acid hydrazide, Benzene sulfonamide pyrazole, 4-amino-1,2,4-triazole-3-thiol, triazolo-thiadiazole, Antibacterial activity, Antifungal activity.
Highly Efficient Stereoselective Glycosylation of β-Citronellol

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Stereoselective synthesis of terpene alcohol, β-citronellol is achieved in excellent yield by molecular iodine- and indium salts-catalyzed reactions with protected glycal and protected bromo sugar derivatives.

Keywords: Glycosylation, Alcohol, Terpene, Catalysis
Novel Synthesis of Bis-β-Lactams with Unusual 2,7-Phenanthrene and 9,10-Dihydrophenanthrene Derivatives

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Unusual and new bis-β-lactams substituted at the 2,7-position of the phenanthrene and 9,10-dihydrophenanthrene ring are prepared via Staudinger ketene-imine [2+2] cycloaddition reaction. This methodology is recognized as one of the most important and direct accesses route to β-lactams. The diastereoselectivity of cycloaddition processes is controlled by the structures of ketene and imine. The bulky group in the ketene and imine have a great influence on the stereochemical outcome of the β-lactam ring.

Keywords: Bis β-lactams, Cycloaddition, Ketene, Unusual phenanthrene, Imine.
Anticancer Activity of Active Constituents Isolated from n-Butanolic Extracts of *Flacourtia jangomas* (Salicaceae)

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The present study explores the active bioconstituents of *n*-butanolic extracts of *Flacourtia jangomas* fruits and evaluate its anticancer potentiality based on the evidences from the ethnomedicinal practice of the plant. In this work, in *vivo* model was used to evaluate the anticancer activity. Hematological profiles were found to be nearly normal level in extract treated mice compared with tumor bearing control mice.

**Keywords:** *Flacourtia jangomas*, Dalton cell line, Flavonoids.
Green and Efficient Synthesis of Xanthene Derivatives using 1-Butyl-3-methylimidazolium Bromide under Solvent Free Condition

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A multicomponent condensation of aromatic aldehydes, β-naphthols and dimidone in 1-butyl-3-methylimidazolium bromide as a green catalyst produces xanthene derivatives in good to excellent yield. Using 1-butyl-3-methylimidazolium bromide as a very efficient, convenient, economical, recyclable, green catalyst for the synthesis under solvent free condition has been developed. This method is environmental benign and advantageous compared to conventional methods because reusability of the ionic liquids, simple work-up and high yields of products.

Keywords: β-Naphthols, Xanthene, 1-Butyl-3-methylimidazolium bromide, Ionic liquid, Solvent-free condition.
Syntheses of 1,5-Benzothiazepines: Part 52: Syntheses of 8-Substituted 2,5-Dihydro-4-(4-bromophenyl)-2-(2-furyl/2,4-dichlorophenyl)-1,5-benzothiazepines

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Two enolizable ketones, 1-(4-bromophenyl)-3-(2,4-dichlorophenyl)-2-propenone and 1-(4-bromophenyl)-3-(2-furyl)-2-propenone were reacted with six 5-substituted-2-amino benzenethiols, in dry ethanol containing trifluoroacetic acid to obtain 12 new compounds, 8-substituted-2,5-dihydro-4-(4-bromophenyl)-2-(2,4-dichlorophenyl/2-furyl)-1,5-benzothiazepines in 59-73% yields. The products were characterized on the basis of microanalytical data and spectral analysis comprising IR, ¹H NMR, and mass studies. All the synthesized compounds have been screened for their antimicrobial activity against the Gram-positive bacteria, Staphylococcus aureus and Gram-negative bacteria, Escherichia coli, Enterobacter cloacae and the fungus, Candida albicans with respective reference compounds. 8-Ethoxy-4-(4-bromo-phenyl)-2-(2,4-dichlorophenyl)-2,5-dihydro-1,5-benzothiazepine and 8-bromo-4-(4-bromophenyl)-2-(2-furyl)-2,5-dihydro-1,5-benzothiazepine compounds displayed notable antibacterial activity against Staphylococcus aureus, which was higher than that of the reference standard vancomycin at the concentration of 200 µg/disc. Six of the newly synthesized compounds were found to show significant antifungal activity against Candida albicans.

Keywords: Enolisable ketones, Trifluoroacetic acid, Antibacterial, Antifungal activity.
Montmorillonite K-10 Supported Rapid Synthesis of 4-N-Pyrazolylpyrrolopyrimidines

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4-Hydrazinylpyrrolo[2,3-d]pyrimidines (1) have been reacted with different condensing reagents such as ethyl-2-methoxy acrylate and ethyl acetoacetate with and without the support of Montmorillonite K-10 to form respective 4-pyrazolylpyrrolopyrimidines (3 and 5) of synthetic and biological interests, where Montmorillonite K-10 supported synthesis of compounds 3 and 5 was found to be cleaner and faster.

Keywords: 4-Hydrazinylpyrrolopyrimidine, 4-N-Pyrazolylpyrrolopyrimidines, Ethyl acetoacetate, 2-Cyanoethylmethoxy acrylate, Montmorillonite K-10.
Synthetic Methodologies and Pharmacological Significance of 2-Aminobenzophenones as Versatile Building Block

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2-Aminobenzophenones are imperative chemical compounds in medicinal chemistry because of their application as valuable synthon for the synthesis of wide varieties heterocyclic compounds having versatile biological activities. Thus, over the past decades, medicinal chemists are increasing attracted towards exploring various synthetic routes and methodologies for the synthesis of 2-aminobenzophenone and its derivatives. This mini-review covers some of the finest methods for the synthesis of 2-aminobenzophenone as well as biological activities of its novel derivatives. The review also discusses the various bioactive compounds in which 2-aminobenzophenones were used as a precursor.

Keywords: 2-Aminobenzophenone, 2-Aminobenzonitrile, 2-Benzoylbenzoic acid, Anthranilic acid.
A Rapid One-Pot Synthesis and Biological Evaluation of Novel 1,2,4-Triazolo[1,5-\(a\)]pyrimidines

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The synthesis of 10 novel 1,2,4-triazolo[1,5-\(a\)]pyrimidine derivatives have been undertaken by involving Biginelli type three components reaction of 1-phenyl-3-aryl-1\(H\)-pyrazole-4-carbaldehydes, 3-amino-1,2,4-triazole and ethyl acetoacetate in DMF. The structure of all the compounds have been established by IR, FT-IR, \(^1H\) NMR, \(^13\)C NMR, mass spectra and elemental analyses. The antimicrobial activity against \(S.\) aureus MTCC-96 (Gram positive), \(E.\) coli MTCC-443 (Gram negative) and antifungal activity against \(A.\) niger MTCC-282 and \(C.\) albicans MTCC-227 at different concentrations using micro-dilution broth method according to NCCLS standards. The antimicrobial activity was compared with ampicillin, chloramphenicol, ciprofloxacin, norfloxacin, nystatin and greseofulvin as standard drugs at same different concentration. The compounds such as \(A-2, A-4, A-5, A-6, A-8, A-9, A-10\) showed moderate antibacterial activity against \(Staphylococcus aureus\) (Gram positive) at the concentration of 250, 100, 250, 200, 250, 250, 250 \(\mu\)g/mL while compounds \(A-3\) and \(A-6\) showed remarkable antibacterial activity against \(Streptococcus pyogenes\) (Gram positive) at the concentration of 100 \(\mu\)g/mL. Moreover, the compounds \(A-3\) and \(A-9\), found to be potent against \(Escherichia coli\) (Gram negative) at the concentration of 62.5, 62.5 (\(\mu\)g/mL) and against \(Pseudomonas aeruginosa\) (Gram negative) with the concentration of 100 \(\mu\)g/mL.

Keywords: 1,2,4-Triazolo[1,5-\(a\)]pyrimidines, 3-amino-1,2,4-triazole, Biological screening, Biginelli reaction.
Peracid Oxidation of Dihydroisoquinoline Iminium

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The peracid oxidation of iminium 4 with \( m \)-chloroperbenzoic acid (\( m \)-CPBA) does not lead to the oxaziridinium salt but mainly yielded to a mixture of lactame 6 and nitro compound 7, as two minor products, and enamine 5 as the major product.

**Keywords:** Peracid oxidation, Iminium, Enamine.