Graphical Abstract

Synthesis of water soluble isoxazol-3-yl(isothiazol-3-yl) carboxamides and ureas containing amino acid residues – potential anticancer agents

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By reaction of accessible 5-(p-tolyl)isoxazole-, and 4,5-dichloroisothiazole-3-carbonyl azides with 4-aminobutanioc and 6-aminohexanoic acids the corresponding substituted (1,2-azolyl)-3-carboxamides with amino acid residues were synthesized and transformed in water soluble Na salt forms. For the synthesis of isothiazolyl(isoxazolyl)ureas with amino acid residues the 5-(p-tolyl)isoxazole-, 4,5-dichloroisothiazole- and 5-(benzylthio)-4-chloroisothiazole-3-carbonyl azides were converted into corresponding (1,2-azolyl)-3-carboxamides by action of phenol or 4-fluorophenol. Obtained carbamates were introduced in reaction with amino acids to form target substituted ureas, further transformed in water soluble salt forms. Some of the synthesized derivatives possess antitumor activity.

Isolation and Characterization of Thiolutin from Streptomyces sp. Kib0393

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Evaluation of highly active cytotoxic agents in the series of novel derivatives of N-hydroxy(and N-alkoxy)-ω-(benzeneselanyl or 2-benzozenazolysulfanyl)-alkaneamidines

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Synthesis of novel derivatives of N-hydroxy (and N-alkoxy)-ω-(benzeneselanyl)alkaneamidines and 2-benzozenazolysulfanyl)alkaneamidines as potential cytotoxic agents was carried out in two or three steps. 6-(Benzoselenazol-2-ylsulfanyl)-N-hydroxyhexanamide exhibit high activity in vitro on monolayer tumor cell lines: MG-22A (mouse hepatoma) and HT-1080 (human fibrosarcoma).

A green synthesis of thioxo pyrano[2,3-d]pyrimidine using iron ore pellet as natural and reusable catalyst

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Iron ore pellet is used as natural and reusable catalyst for a simple and efficient one-pot synthesis of thioxo pyrano[2,3-d]pyrimidine derivatives via initial Knoevenagel, subsequent Micheal and final heterocyclization reactions of aryl aldehyde, malononitrile and thiobarbituric acid in good to excellent yields.
Retro synthesis and biological activity studies of thiazole-5-carboxylic acid amide derivatives

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During the course of our investigation in the field of carboxylic acid antithrombotic agents, we have identified and synthesized 2-[4′-(6,7-dihydro-4H-thieno[3,2-c]pyridin-5-ylmethyl)-biphenyl-2-yl]-4-methyl-thiazole-5-carboxylic acid derivatives (9a-k), a carboxylic acid derivatives with good in vivo activity. These findings prompted us to prepare new 2-[4′-(6,7-dihydro-4H-thieno[3,2-c]pyridin-5-ylmethyl)-biphenyl-2-yl]-4-methyl-thiazole-5-carboxylic acid derivatives (9a-k), in the hope of increasing activity and better understanding the influence of ester and amides.

\[ \text{Br} + \text{HN} \xrightarrow{\text{K}_2\text{CO}_3} \text{CN} \xrightarrow{\text{Methanol}} 5 \xrightarrow{\text{NaSH_2O}} \text{MgCl}_2 \cdot 6\text{H}_2\text{O} \]

A convenient synthesis of 3-(2-aryl amino)-ethylamino-2H-chromen-2-ones And their antimicrobial activities

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A series of 3-(2-arylaminio)ethylamino-2H-chromen-2-ones 5(a-f) have been synthesized from salicyldehyde and spectrally characterized. In vitro antimicrobial activities of synthesized compounds were investigated against Grampositive \textit{S. Aureus} bacteria, Gram-negative \textit{E.Coli} bacteria and fungi \textit{C. Albicans} and \textit{A.Niger} in comparison with standard drugs. Some of the tested compounds showed significant antimicrobial activity.

\[ \text{O} \xrightarrow{\text{Methyl glycenate ester}} \text{HN} \xrightarrow{\text{TEA}} \text{NH}_2 \xrightarrow{\text{BrCH}_2\text{CH}_2\text{Br}} \text{amines} 5(a-f) \]
Synthesis, molecular docking and cytotoxic study of 7-methoxy-2-(3-methoxylphenyl)-1-benzofuran-5-carbaldehyde

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The 7-methoxy-2-(3-methoxylphenyl)-1-benzofuran-5-carbaldehyde was synthesized by known literature method (Wittig reaction approach) from vanillin. To deduce the anticancer and antibacterial activity of the 7-methoxy-2-(3-methoxylphenyl)-1-benzofuran-5-carbaldehyde, it is docked with different biomarkers of cancer cell and bacteria. Grid was generated for each oncoproteins by specifying the active site amino acids. The binding model of best scoring analogue with each protein was assessed from their G-scores and disclosed by docking analysis using the XP visualizer tool. An analysis of the receptor-ligand interaction studies revealed that 7-methoxy-2-(3-methoxylphenyl)-1-benzofuran-5-carbaldehyde is most active against 4FNY and 1VOM biomarkers and have the features to prove themselves as anticancer drugs. It shows strong cytotoxicity against human lung (A-459) and breast (MCF-07) cell lines.

Antimicrobial evaluation of novel Schiff’s bases

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Schiff base is an important nitrogen containing compound. Many derivatives are prepared from its, which shows of various biologically as well as pharmaceutical applications. Schiff bases are an important class, which constitute the building blocks of wide range of pharmacologically active compounds. A series of novel Schiff’s bases derivatives were synthesised and characterized by spectral studies in present article. The novel synthesized compounds showed significant antimicrobial activity against various bacteria.
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CsOH/γ-Al₂O₃ catalyzed mild and efficient method for the synthesis of novel multifunctionalized 4H-pyran derivatives via one-pot three-component protocol.

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The 4H-pyran derivatives were synthesized by a one-pot three-component reaction of an aromatic aldehyde, malononitrile, and ethyl acetooacetate or isopropyl acetooacetate at room temperature in alcohol using recyclable CsOH/γ-Al₂O₃ as heterogeneous basic catalyst. The characterization of CsOH/γ-Al₂O₃ catalyst was performed by using FT-IR, XRD, and TG-DTA techniques. The synthesized compounds were characterized by UV, IR, NMR, and Elemental analysis.

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Synthesis and antimicrobial evaluation of novel n-(4-(pyrrolidin-1-yl)benzylidene)-arylamine and diethyl (arylamino)(4-(pyrrolidin-1-yl)phenyl)methyl phosphonate

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In this work we have synthesis Schiff bases and α-amino phosphonates by conventional and non-conventional methods. The one pot synthesis of α-amino phosphonates were also carried out by both the methods.
Synthesis of N-Substituted-2-Styrylquinazolin-4(3H)-ones under solvent free condition

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N-Substituted-2-Styrylquinazolin-4(3H)-ones (5a-i) were synthesised from 2-methyl quinazolin-4(3H)-ones (2) with benzaldehydes followed by alkylation with DMS, DES, PhCH₂Cl (i.e. R= CH₃, CH₂CH₂, CH₃Ph), whole of the reactions are carried out in physical grinding under solvent free conditions.

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Synthesis and biological activity studies of quinoxaline derivatives

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Various quinoxalines were synthesized by the 1,2-diamines was the key intermediate for the synthesis of the new quinoxaline analogues, as it was appropriately substituted with various amines using tetra hydro furan as base in dimethylsulfoxide afforded a series of novel quinoxaline derivatives in good yields. The structures of all the newly synthesized molecules were assigned by spectral data. The synthesized compounds were screened for their antibacterial activities strains using Cup-Plate method.
An efficient, one-pot, three-component (1-(4-substituted benz[4,5]imidazo[2,1-c][1,2,4]triazin-3-yl) ethanones 4, benzaldehyde and hydrazino derivative), more sustainable and catalyst-free reaction has been developed for the synthesis of 3-(1-substituted-5-phenyl-4,5-dihydro-1H-pyrazol-3-yl)-4-substituted benz[4,5]imidazo[2,1-c][1,2,4]triazines 5-7 in glycerol.
Zinc acetate catalyzed an efficient synthesis of 2, 4, 5-triphenyl-1H-imidazole derivatives under solvent-free condition

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Synthesis and characterization of some novel 4–{(substituted benzothiazol-2-yl) hydrazono}–3–methyl–5–(substituted Phenyl imino)–4, 5–dihydroisoxazole

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Synthesis of 2- [(4-fluorobenzothiazol-2-yl) hydrazono] butyric acid ethyl ester 3 from 2-Aminosubstituted benzothiazole and ethyl acetoacetic ester in presence of HNO3 and ethanol has been described. 4-[(substituted benzothiazol-2-yl)-hydrazono]-3-methyl-4H-isoxazol-5-ones were prepared by the condensation of 2-[(substituted-benzothiazol-2-yl)-hydrazono]-3-oxobutyric acid ethyl ester in ethanol with hydroxyl amine hydrochloride in the presence of sodium acetate.
A simple one-flask highly selective method for the Pd-catalyzed preparation of sterically hindered 2-(2-bromophenyl)pyrimidines was elaborated. 2-(2-Bromophenyl)pyrimidines were isolated in 22-64% yields. Similarly was prepared 2-(2-bromophenyl)aminopyrazine.