

Synthesis of thiazole incorporated 4-oxo-thiazolidine derivatives endowed with broad spectrum antimicrobial potency

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The increasing clinical importance of drug-resistant microbial pathogens has lent additional urgency in microbiological research. In continuation to this, the present report deals with the synthesis and in vitro antimicrobial screening of a novel series of N-(5-(2-(5-(arylidene)-4-oxo-3-phenylthiazolidin-2-ylidene)hydrazinecarbonyl)-4-methylthiazol-2-yl)-4-fluorobenzamides, synthesized by Knoevenagel reaction of 4-fluoro-N-(4-methyl-5-(2-(4-oxo-3-phenylthiazolidin-2-ylidene)hydrazinecarbonyl)thiazol-2-yl)benzamide. Newly synthesized scaffolds were elucidated with the aid of IR, ¹H NMR, ¹³C NMR and mass spectral data. Their biological activity against various bacteria and fungi species was investigated. Antimicrobial activity was measured against *Escherichia coli* (MTCC 443), *Pseudomonas aeruginosa* (MTCC 1688), *Staphylococcus aureus* (MTCC 96), *Streptococcus pyogenes* (MTCC 442), *Candida albicans* (MTCC 227), *Aspergillus niger* (MTCC 282) and *Aspergillus clavatus* (MTCC 1323) by serial broth dilution. The antimicrobial screening data revealed that selected screened compounds showed significant microbial growth inhibition almost greater than that of the standard drugs.

Evaluation of target-specific novel folate conjugated mPEG-PLGA-PLL triblock copolymeric nanoparticles for anticancer gene delivery

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The multipolymeric Nanoparticles based novel therapeutic gene delivery carriers for anticancer therapeutics has great potentials to transform the future of cancer therapy. The biodegradable folate conjugated monomethoxypoly (ethylene glycol)-poly(lactic-co-glycolic acid)-poly-L-lysine (mPEG-PLGA-PLL) triblock copolymeric nanoparticles were synthesized by solvent evaporation method and evaluated for target specific anticancer activity. The physicochemical properties of mPEG-PLGA-PLL NPs, including morphology, size, surface charge, gene encapsulation efficiency were characterized by scanning electron microscopy, particle size and zeta potential analysis. In vitro studies were performed for a cellular uptake, gene expression in human cervical cancer carcinoma Hela cells. Fluorescein sodium and poly lysine condensed DNA was encapsulated in nanoparticles and the cellular uptake was evaluated by spectrofluorimetric measurements. Results from In vitro study proved that the enhanced cellular uptake, high transfection efficiency and gene expression in Hela cells of (mPEG-PLGA-PLL) triblock copolymers based Nanoparticles encapsulated DNA. As a result, the current study proved that the mPEG-PLGA-PLL triblock copolymers based nanoparticles can be potentially used as novel targeted anticancer carrier for improving gene delivery.

Synthesis characterization and Cytotoxicity study of compounds derived from Anti Melanoma Drugs

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Cancer is a term used for diseases in which abnormal cells divide without control and are able to invade other tissues. The anti-apoptotic P53 family proteins are important from the point of view of targeted drug development as they are over expressed in most cancers. The anti-apoptotic P53 family protein structure was extensively studied by molecular modeling techniques.

Using drugs for Melanoma as core molecules the derivatives were designed using ChemSketch software. Structure based drug design and virtual screening technique were employed to design new inhibitors targeting P53. After docking and ADME properties results the best 5 compounds were synthesized and characterized by NMR and IR. The cytotoxicity of these 5 complexes was evaluated using MTT assay in ME 180 cells.