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Synthesis, in vitro Anticancer and Antimicrobial Evaluation of Novel Substituted Dihydropyrimidines

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Abstract:

Anticancer drug discovery and development is one of the most essential and rapidly changing avenues for medicinal chemist. The requirement for new chemotherapeutics in cancer is evident due to the limited capacity of drugs to cure or significantly prolong the survival of patients with disseminated tumours or certain leukemias. Despite large number of antibiotics and chemotherapy available for medicinal use, the treatment of infectious diseases still remains an important and challenging problem.Pyrimidines are found to possess as biomimetic and reactive pharmacophores due to their diverse medicinal properties such as anti-viral, anticancer, antibacterial, antihypertensiveand calcium channel blockers.

Objective: To synthesize new dihydropyrimidine derivatives and check their anticancer, antibacterial and antifungal activities.

Methods: A series of 1,4-dihydropyrimidine derivatives were prepared from Biginelli reactions by using ethyl acetoacetate, substituted benzaldehyde and thiourea in the presence of piperidine and ethanol. The compounds were reacted with dimethylsulphate, diethylsulphate, butyl bromide and benzyl chloride to give the new series of compounds. The structures of the newly synthesized compounds were established by IR, 1H NMR, Mass spectra and elemental analysis. The synthesized compounds were evaluated for theirin-vitro anticancer activity by using SRB assay method against the growth of four humans cancer cell lines, antibacterial activity against Staphylococcus aureus, Bacillus subtilis, Pseudomonas aeruginosa, Escherichia coli and for antifungal activity against Candida albicans and Aspergillus niger.

Results: The compounds exhibited good anticancer activity and moderate antibacterial and antifungal activities.Some of these dihydropyrimidine derivates showed significant anticancer activity when compared with the doxorubicin as a standard reference drug.

Conclusion: The results of anticancer activity evaluation demonstrated that the in vitro anticancer effect of some of the synthesized compounds are significant, however still there is a need for further exploration of these for other synthetic & biological possibilities so that this skeleton can be used as a novel anticancer scaffold for further modification and design of novel potent compounds.

Biography

Kulbhushan Rana has expertise in synthesis of anti cancerous drug. He has joined in Chemistry Department of S. D. College Barnala, Punjab in 2005. He is working as an Associate Professor from 2015 in this college. When he knew cancer is the second most common cause of death after heart attack and it is more curable when detected in early. He has decided cancer research is one more area in which chemistry can enhance life and remove misery. Then he has decided to research on anti cancerous drug. His Ph.D. topic was “New addition to synthetic transformations and biologically active compounds”. He has synthesized different anti Cancer, anti Microbial, anti-ulcerative and anti-epileptic drugs. He has also work on synthesis and anti-hypertensive activity, Anti-Convulsant Activities of dihydropyrimidines

Publication of speakers

1. Kulbhushan Rana et al ; Congenital cranial dysinnervation disorders, 2017 Dec
2. Kulbhushan Rana et al ; Insomnia: Risk Factor for Neurodegenerative Diseases, 2019 Oct
3. Kulbhushan Rana et al ; The Association between Hypertensive Disorders of Pregnancy and Peripartum Cardiomyopathy, 2019 Oct
4. Kulbhushan Rana et al ;Association of Migraine and Ischemic Heart Disease: A Review, 2019 Sep
5. Kulbhushan Rana et al ; Arbuscular mycorrhiza: a viable strategy for soil nutrient loss reduction, 2019 Aug

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