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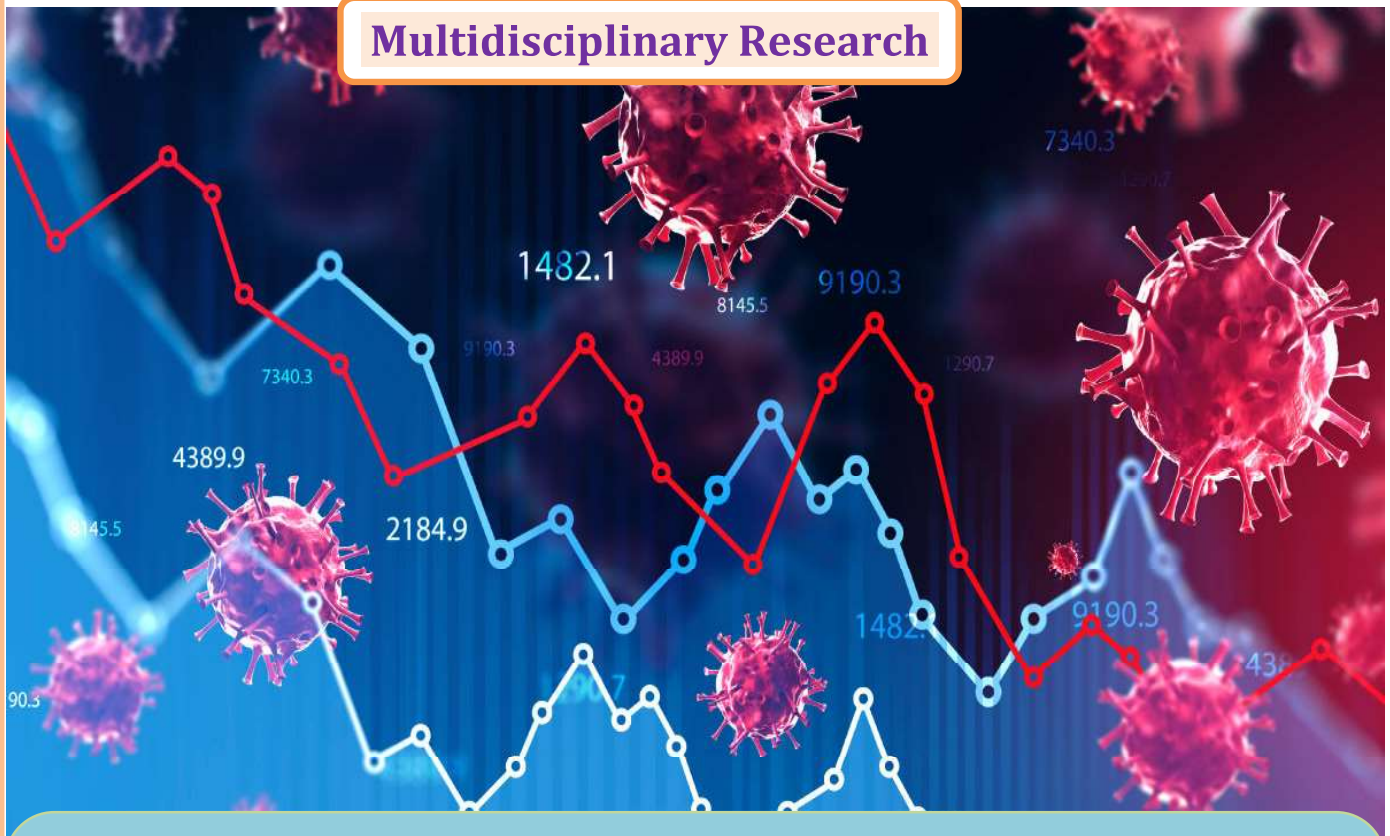
RESEARCH JOURNEY

International E-Research Journal

PEER REFREED & INDEXED JOURNAL

December 2020 Special Issue 256 (C)

Multidisciplinary Research



Guest Editor -
Prof. Dr. Rajani Shikhare,
 Principal,
 R. B. Attal College, Georai
 Dist. - Beed.

Executive Editors :
Dr. B. D. Rupnar,
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Mr. S.S. Nagare
Mr. Ranjeet Pagore,

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INDEX

No.	Title of the Paper	Author's Name	Page No.
1	Relastic Approach in R. K. Narayan's Novel 'The Guide'	Dr.V. S. Bandal	04
2	Cultural Studies : An Introduction	Mr. Arun Jadhav	11
3	Sanitation and Social Change	Mr. R. B. Kale	13
4	Rotating Fluid of Magneto Hydrodynamics Flow Past an Impulsively Started Infinite Vertical Plate	Vinod Kulkarni, Vijay Sangale	16
5	An Efficient Synthesis of 5-Substituted 1H-Tetrazole Using Eton's Reagent in Water	Rupnar B.D, Shirsat A.J. Jadhav S. B. Bhagat S.S.	22
6	Crop Insurance in India	B.S.Jogdand	27
7	Outline of Modern Research	Dr. Laxmikant Jirewad	31
8	Second ARCs Views on Right to Information Act	Hanmant Helambe	35
9	An Introduction to Smart Libraries	R.B. Pagore, Dr. B. V. Chalukya	38
10	Impact of Cassine Albens Gum on Incidence of Seed Mycoflora in Different Crop Seeds	K.V. Badar, P.P. Pangrikar	47
11	Synthesis, Characterization and Antimicrobial Analysis of Some New Substituted Pyrazoles From Chromones	Amol Shirsat, Balaji Rupnar, Sunil Bhagat	52
12	Synthesis and Characterization of Ni (II) and Mn (II) Metal Complexes of Novel Schiff's Base Ligand	Vrushali Gavhane, Anjali Rajbhoj, Suresh Gaikwad	57
13	Image Classification Using Fuzzy Logic	Pradeep Gaikwad	61
14	Resistivity of Food Preservative Potassium Meta -Bisulphate Using (TDR) Technique	S. G Badhe, S. N. Helambe, T. A.Prajapati	65
15	Studies on Effects of Gamma Radiation on Iron Oxide in the Energy Range 122-1330 Kev	Pradip S. Dahinde	68
16	Effect of N-Fertilizers on Silage Fermentation	Smita Basole , Sunita Bhosle and Prashant Pangrikar	74
17	Investment Awareness Program (IAP): Need in Uncertain Market Conditions	Dr. Sandip Vanjari	79
18	Impact of Covid19 on Health and Hidden Cost of Covid	Dr. Vivek Waykar	83
19	Studies on Physico-Chemical Parameters of Bore Well Water in Satara Parisar, Aurangabad, India	Jagannath Godse, Sanjay Ubale	86
20	Synthesis and Antimicrobial Screening of Novel Pyrazole Substituted Chlorochromones	S. S. Bhagat, B. D. Rupnar, A. J.Shirsat	89
21	Women's Human Rights & Women Empowerment	Dr. S.N. Satale	92
22	Biodiversity of Butterflies Around Georai Region	A. M. Budrukhar	96
23	चूडिया की खनखनाहट और पायलों से फुटते विद्रोह का बिगुल : 'बेघर सपने'	संतोष नागरे	99
24	लोकनाट्य आणि समाजशास्त्र	डॉ. संदीप बनसोडे	105
25	मराठी भाषा आणि साहित्यासाठी एकविसाव्या शतकाची सुरुवात	डॉ. समाधान इंगळे	107
26	दलित स्त्री जीवन के शोषण का जिवंत दस्तावेज : 'जीवन हमारा'	प्रो. रजनी शिखरे, राजाराम जाधव	110

Synthesis and Antimicrobial Screening of Novel Pyrazole Substituted Chlorochromones

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Abstract: -

The title compounds Chlorochromones were prepared by the reaction of Chalcones with Cuprous chloride by oxidative cyclization under reflux condition. The synthesized compounds were characterized by Spectral analysis like IR, ¹H NMR and Mass Spectra. Antibacterial and antifungal screening of newly prepared compounds was carried out.

Keywords: -Chlorochromones, chromones

Introduction: -

Heterocyclic compounds are widely distributed in natural products and comprise a huge number of biologically active compounds. A wide range of medical applications such as anti-inflammatory, antiviral, anti-HIV, antibacterial, anticancer, antimalarial, antidepressants, antipsychotics, anaesthetics, and steroids have shown by fluorinated compounds [1, 2]. Introducing fluorine atoms into drugs can also alter the rate and route of drug metabolism [1], and stereoelectronic factors associated with the fluorine atom can lead to changes in the biological action of molecules in comparison to its hydroxyl or hydrogen analogues [3]. The substitution of fluorine for hydrogen can lead to changes in the mechanism of the drug as well as enzyme inhibition [3]. The small size of the fluorine atom, the enhanced lipophilicity it imparts to the molecules, and the electronegativity of the atom often result in improved therapeutic drugs [2]. As part of an ongoing study on fluorinated pharmaceutical compounds, we have chosen to explore the antibacterial and antifungal effects of fluorinated chlorochromones.

Some of the chromones, especially those having heterocyclic substituents at C-2 and C-3 positions have good pharmacological activities *viz.* coronary spasmolytic and bronchodilatory activities useful in the treatment of asthma [4-8]. The synthesis of 3-substituted chromones appears worthy of study because they are important natural products like isoflavones and in medicines such as ipriflavone, an antiosteoporosis drug [9]. Gill *et al.* [10] have reported the synthesis and antimicrobial screening of chlorochromones bearing pyrazoles.

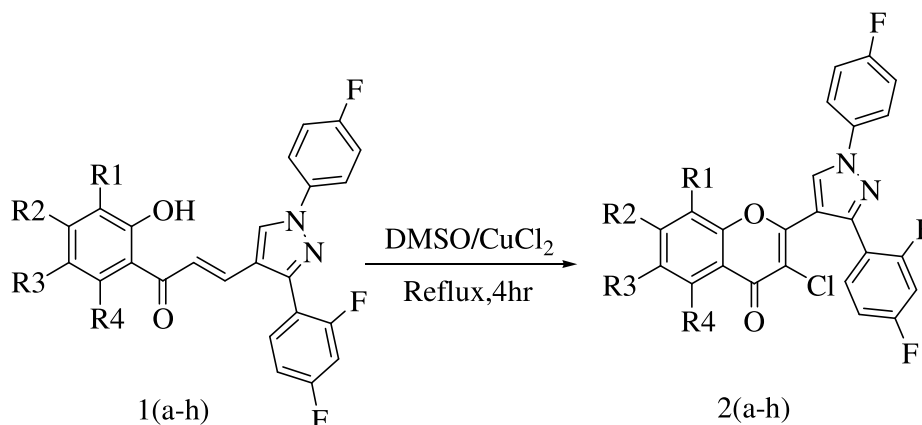
Experimental:

General Procedure for the synthesis of 3, 6-dichloro-2-(3-(2, 4-difluorophenyl)-1-(4-fluorophenyl)-1H-pyrazol-4-yl)-4H-chromen-4-one(2c): (0.25 gm, 0.0007 mmole) of chalcone **1c** was dissolved in 15 mL of DMSO. To this reaction mixture catalytic amount of cuprous chloride (CuCl₂) was added. The reaction mixture was heated in an oil bath for 4 hr at 120°C. After completion of reaction (monitored by TLC) reaction mass was left overnight. 10 mL cold water was slowly added to the flask and the separated product was filtered, washed with water followed by dil. HCl for several times. It was again washed with water, dried under vacuum and crystallized from ethanol to afford **2c**. The physical data of the compounds **2(a-h)** is recorded in **Table 1**. Their structures have been confirmed by ¹H NMR, Mass and IR spectra.

IR (2c) (cm⁻¹): 715(C-Cl), 1217(C-F), 1597, 1612(C=C), 1653(C=O).

¹H NMR (2c) (CDCl₃)δ ppm: 6.944-6.954(d, 1H, Ar-H, J=3.6Hz), 7.001-7.013(d, 1H, Ar-H, J=3.6Hz), 7.251-7.270(d, 1H, Ar-H, J=7.6Hz), 7.383-7.420(m, 1H, Ar-H), 7.506-7.545(m, 1H, Ar-H), 7.618-7.624(d, 1H, Ar-H, J=2.4Hz), 7.650-7.657(d, 1H, Ar-H, J=2.8Hz), 7.768-7.785(m, 2H, Ar-H), 8.256-8.262(d, 1H, Ar-H, J=2.4Hz), 8.581(s, 1H, Pyrazole-H).

ES-MS (2c) (m/z): 487(M+1), 489(M+3), 491(M+5).



Scheme1

Scheme1- Synthesis of various substituted 3-chloro-2-(3-(2, 4-difluorophenyl)-1-(4-fluorophenyl)-1H-pyrazol-4-yl)-4H-chromen-4-one

Table 1: Physical data of compounds 2(a-h)

Comp.	R ₁	R ₂	R ₃	M.P. (°C)	Yield (%)
2a	H	H	H	140-142	44
2b	H	H	CH ₃	170-172	74
2c	H	H	Cl	166-168	66
2d	Cl	H	Cl	120-122	72
2e	H	H	F	240-242	56
2f	H	CH ₃	Cl	146-148	72
2g	H	H	Br	254-256	74
2h	CH ₃	H	CH ₃	188-190	68

Results and Discussion

Antimicrobial activity:

Compounds 2(a-g) were screened for their in vitro antimicrobial activity against *Escherichia coli* (ATCC 25922), *Pseudomonas aeruginosa* (ATCC 27853), *Staphylococcus aureus* (ATCC 25923) using Ciprofloxacin as a reference standard drug by paper disc diffusion method. Antifungal activity was evaluated against *Candida sp.* using fluconazole as standard drug. All the tests were evaluated at 100 µg/ml concentration. The culture media was Muller Hinton agar. The zone of inhibition was measured in mm after 24 hr of incubation at 37°C. Microbial data for corresponding compounds is summarized in Table 2.

Table 2: Antimicrobial Analysis Data

Sr. No.	Compound No.	Inhibition Zone Diameter (mm)					
		Candida sp.	S. aureus	S.albus	Klebsiella	Candida sp.	S. aureus
1.	2a	5	-	7	-	6	-
2.	2b	-	10	-	-	8	-

3.	2c	7	10	-	-	12	-
4.	2d	-	-	-	13	8	-
5.	2e	-	10	9	11	11	-
6.	2f	-	9	8	13	11	-
7.	2g	11	14	-	14	10	-
8.	2h	12	13	-	14	10	-
9.	Control	8	3	3	6	8	10
10.	Ciprofloxacin	---	20	22	22	21	23
11.	Fluconazole	---	20	22	22	21	23

Conclusion:

The novel synthesized compounds were tested against Gram positive and Gram negative bacterial strains. As well as they were tested against Candida species. From the results it is concluded that, compounds 2g-2h exhibited moderate anti-microbial activity. The other compounds have shown good activity compared to standard drug.

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