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Synthesis of Some Novel Chalcone Derivative Via Microwave Method & It's Antimicrobial Activity

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Abstract

Growing public awareness about the state of the environment, chemical product safety and new chemical regulatory policies is driving demand for leaders who are able to understand the science underlying environmental challenges and develop innovative solutions. Chalcones belong to the flavonoid family and display several pharmacological activities which are very important. They can be used as an initial compound for synthesis of a lot of compounds. In this research chalcone derivative are made via green chemistry route and analysed their physical and antimicrobial activity.

Keywords: Chalcone derivates; Microwave synthesis; Antimicrobial agents.

Introduction

Chalcones (1,3-diaryl-2-propen-1-ones) are flavonoids found in fruits and vegetables, that attracted attention because of their pharmacological activities
such as antiinflammatory (1-7), antibacterial (8-12), antifungal (13-17), antiviral (18-22), antioxidant (23-32).antineoplastic (33-41).Near about 200 years ago the pace of technological change in western society began to quicken. Wind, water, and animal power, with their limitations of place and capacity, were supplemented and then replaced by the steam engine, which went on to power the factories of the industrial revolution. The railroad made it possible to move things and people quickly over great distances. The telegraph and, later, the telephone carried communications across the countryside. Electric lighting supplanted the dim glow of candles, kerosene, and gas lights. By the beginning of the twentieth century, the notion of progress was closely linked with technological development, and that linkage intensified in the following decades. The automobile and the airplane changed not only travel but the nature of our cities and towns. Radio and then television brought more of the outside world into everyone's homes. Knowledge about the causes of diseases brought new treatments and preventive measures. Computers appeared, and soon the transistor made them smaller, more powerful, more accessible, and cheaper.

Today, the system by which research and development leads to new products is fundamentally different than it was in the nineteenth century. To the role of the individual inventor has been added the power of organized scientific research and technological innovation. Organized research and development, which are increasingly international in character, have greatly increased the production of new knowledge. Deeper understanding of living organisms is leading toward cures of diseases once thought Untreatable. Basic insights in materials science enable the development of structures that are lighter, stronger, and more durable than anything available before. The computer and novel modes of communication, such as optical fibers, bring new, interactive modes of work and more capable machinery. These new devices and new ways of working, in turn, speed the growth and dissemination of new knowledge.

Environmental Protection

Over the past two decades, the United States has recognized and has made substantial progress in curbing the degradation of the environment. Nevertheless, difficult problems remain. Environmental degradation continues to accompany many aspects of economic growth. Emissions and effluents of contaminated substances continue, garbage disposal plagues urban areas, forests continue to be devastated,

and biodiversity losses are growing. At the same time, science and technology have exposed new issues of great complexity and uncertain consequences, such as global warming, acid precipitation, the destruction of the stratospheric ozone layer, and the contamination of

water supplies. Many industrial and agricultural practices and products used today in energy and food production, transportation, and manufacturing will need to be restructured to prevent pollution if sustainable economic growth is to be achieved.

So by the use of green chemistry to produce a drug via green synthesis is very important for our society. A relatively new chemical philosophy, green chemistry is focused on the design and implementation of chemical technologies, processes, and services that are safe, energy efficient, and environmentally sustainable. Adopting these innovations gives industry sustainable product and process alternatives that will continue to meet market demands while also enhancing sustainability, improving human health, and driving the economy, thereby advancing the human condition.

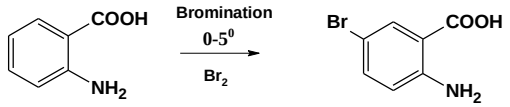
Twelve principals of green principals are as under given by Paul Anastas and John Warner.

1. **Prevention**
   It is better to prevent waste than to treat or clean up waste after it has been created.
2. **Atom Economy**
   Synthetic methods should be designed to maximize the incorporation of all materials used in the process into the final product.
3. **Less Hazardous Chemical Syntheses**
   wherever practicable, synthetic methods should be designed to use and generate substances that possess little or no toxicity to human health and the environment.
4. **Designing Safer Chemicals**
   Chemical products should be designed to affect their desired function while minimizing their toxicity.
5. **Safer Solvents and Auxiliaries**
   The use of auxiliary substances (e.g., solvents, separation agents, etc.) should be made unnecessary wherever possible and innocuous when used.
6. **Design for Energy Efficiency**
   Energy requirements of chemical processes should be recognized for their environmental and economic impacts and should be minimized. If possible, synthetic methods should be conducted at ambient temperature and pressure.
7. **Use of Renewable Feedstocks**
   A raw material or feedstock should be renewable rather than depleting whenever technically and economically practicable.
8. **Reduce Derivatives**
   Unnecessary derivatization (use of blocking groups, protection/ deprotection, temporary modification of physical/chemical processes) should be minimized or avoided if possible, because such steps require additional reagents and can generate waste.
9. **Catalysis**
   Catalytic reagents (as selective as possible) are superior to stoichiometric reagents.
10. **Design for Degradation**
    Chemical products should be designed so that at the end of their function they break down into innocuous degradation products and do not persist in the environment.
11. **Real-time analysis for Pollution Prevention**
    Analytical methodologies need to be further developed to allow for real-time, in-process monitoring and control prior to the formation of hazardous substances.

12. **Inherently Safer Chemistry for Accident Prevention**
    Substances and the form of a substance used in a chemical process should be chosen to minimize the potential for chemical accidents, including releases, explosions, and fires.

Reactions

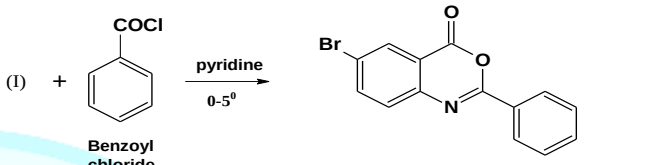
Step 1:



2-aminobenzoic acid — 2-amino-5-bromobenzoic acid

(I)

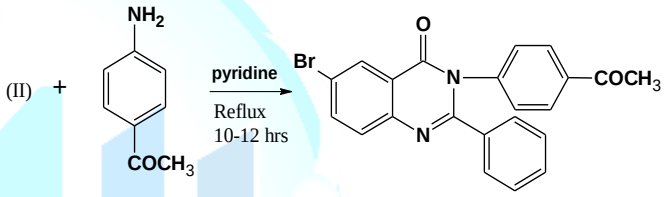
Step 2:



Benzoyl chloride — 6-bromo-2-phenyl-4*H*-3,1-benzoxazin-4-one

(II)

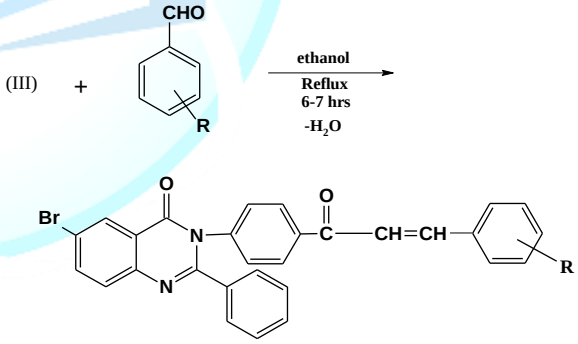
Step 3:



P-amino actophenone — 3-(4-acetylphenyl)-6-bromo-2-phenylquinazolin-4(3*H*)-one

(III)

Step 4:



(IV)

6-Bromo-2-phenyl-3-[4-(3-substitutedphenyl-acryloyl)-phenyl]-3H-quinazolin-4-one.

Where R = 3-OCH_3-4-OH, 4-CH_3, , 4-Cl, 2-Cl, 2:4-Cl_2, 2-OCH_3, 4-OCH_3, 4-H,, 4-N $(CH_3)_2$, 2-OH

Experimental

→ Preparation of 2-Amino-5-bromo benzoic acid

In a 250 ml R.B.F Anthranilic acid (**1.37 g**, **0.01 mole**) was dissolve in glacial acetic acid (10 ml **, 0.01 mole**)and cooled below 16^0C. Bromine (0.52 ml**, 0.01 mole**) was added at 0-5 ºC drop wise to the reaction

mixture. Reaction mixture consisting of the mono- and di-bromo anthranilic acids was stirred for further 2-3 hours and then boiled up with water (50 ml) containing concentrated hydrochloric acid (10 ml) and filter when hot with suction. The insoluble residue was extracted twice more with boiling water. The filtrate upon cooling yielded abundant precipitate of the 5-bromo anthranilic acid and insoluble residue consisted of the 3,5-dibromo anthranilic acid.

M.P- 219-20^{0}C Yield - 80 %

→ Preparation of 6-bromo-2-phenyl-4*H*-3, 1-benzoxazin-4-one.

2-Amino-5-bromo Benzoic acid (**0.01mole**) was dissolve in pyridine (30ml). The solution was cooled and benzoyl chloride (**0.02 mole**) was added drop wise with constant stirring. After the addition was complete, the mixture was further stirred for 30 min. at room temperature. It was then treated with sodium bicarbonate solution (5%) to remove any unreacted acid. When the effervescences ceased, it was filtered and washed repeatedly with water in order to remove excess of pyridine. It was crystallized from dilute ethanol.

M.P- 205-207^{0}C Yield - 77 %

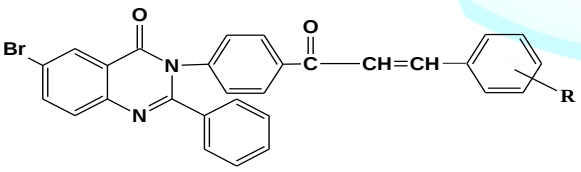
→ Preparation of 3-(4-acetylphenyl)-6-bromo-2-phenylquinazolin-4(3*H*)-one.

In a 250 ml R.B.F. mixture of 6-bromo-2-phenyl-4H-3, 1-benzoxazin-4-one (**0.01 mole**) and p-amino acetophenone (**0.01 mole**) in dry pyridine (**25ml**) were refluxed for 10-12 hours under anhydrous condition, and excess of pyridine was removed under reduced pressure. The concentrated mass was cooled and poured into ice cold hydrochloric acid to give a solid product which was filtered and washed with water till neutral.

→ Preparation of 6-Bromo-2-phenyl-3-[4-(3-substitutedphenyl-acryloyl)-phenyl]-3H-quinazolin-4-one.
(VIA Conventional Method) (NP-1 to NP-10)

In a 250 ml R.B.F., 3-(4-acetylphenyl)-6-bromo-2-phenylquinazolin-4(3*H*)-one **(0.01 mole)** in methanol **(20 mL)** and diff. type of substituted aldehyde **(0.01 mole)** were taken and to it **(5-6 mL)** of 5% NaOH solution was added. The reaction mixture was refluxed for 5-8 hours and then poured into ice water. The solid product was filtered and washed with water, dried and recrystallised from methanol.

M.P- 180-89^{0}C Yield - 60 %



→ Preparation of 6-Bromo-2-phenyl-3-[4-(3-substitutedphenyl-acryloyl)-phenyl]-3H-quinazolin-4-one. (VIA Microwave Method) (NP-1' to NP-10')

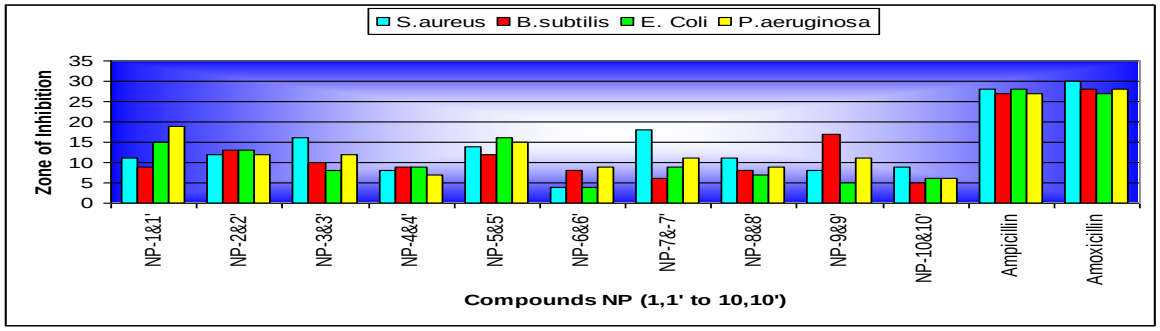
In a 250 ml R.B.F., 3-(4-acetylphenyl)-6-bromo-2-phenylquinazolin-4(3*H*)-one **(0.01 mole)** and diff. type of substituted aldehyde **(0.01 mole)** were taken and to it **(5-6 mL)** of 5% NaOH solution was added. The reaction mixture was refluxed for 3-6 Min and then poured into ice water. The solid product was filtered and washed with water, dried and recrystallised from methanol.

No.	R	Molecular formula (M. wt.)	Yield (%) (per./hrs.)	Yield (%) (per./Min)	M.P. ^{0}C.
NP-1	3-OCH_3,4-OH	$C_{30}H_{21}BrN_2O_4$ (553.40)	66 (8hrs)	89 (4 min)	192-95
NP-2	4-CH_3	$C_{30}H_{21}BrN_2O_2$ (521.4)	68 (8hrs)	80 (4 min)	196-99
NP-3	2-Cl	$C_{29}H_{18}N_2O_2BrCl$ (541.8)	65 (7hrs)	65 (3 min)	176-77
NP-4	4-Cl	$C_{29}H_{18}N_2O_2BrCl$ (541.8)	69 (6hrs)	69 (6 min)	183-85
NP-5	2,4-$(Cl)_2$	$C_{29}H_{17}N_2O_2BrCl_2$ (576.26)	68 (5hrs)	68 (5 min)	203-05
NP-6	2-OCH_3	$C_{30}H_{21}N_2O_3Br$ (537.40)	66 (5hrs)	66 (4 min)	181-84
NP-7	4-OCH_3	$C_{30}H_{21}N_2O_3Br$ (537.40)	72 (6hrs)	72 (6 min)	210-12
NP-8	4-H	$C_{29}H_{19}N_2O_2Br$ (507.3)	73 (7hrs)	73 (5 min)	184-88
NP-9	4-$N(CH_3)_2$	$C_{31}H_{24}N_3O_2Br$ (550.44)	71 (7hrs)	71 (6 min)	201-03
NP-10	2-OH	$C_{29}H_{19}N_2O_3Br$ (523.37)	70 (8hrs)	70 (6 min)	198-00

Table 1: Physical and Characterization data of compound.

No.	R	Density g/cm^3	Parachor cm^3	Surface Tension dyne/cm	Polarizability cm^3	Molar Refractivity cm^3
NP-1	3-OCH_3, 4-OH	1.39	1064.7	51.3	58.03 X 10^{-24}	149.96±0.5 cm^3
NP-2	4-CH_3	1.32	1039.9	48.4	57.14 X 10^{-24}	147.72 ± 0.5 cm^3
NP-3	2-Cl	1.39	1037.6	51.0	57.21 X 10^{-24}	147.89 ± 0.5 cm^3
NP-4	4-Cl	1.39	1037.6	51.0	57.21 X 10^{-24}	147.89 ± 0.5 cm^3
NP-5	2,4-(Cl)2	1.44	1066.5	51.8	59.03 X 10^{-24}	152.49 ± 0.5 cm^3
NP-6	2-OCH3	1.35	1059.0	48.8	57.69 X 10^{-24}	149.11 ± 0.5 cm^3
NP-7	4-OCH_3	1.34	1059.0	48.8	57.69 X 10^{-24}	145.53 ± 0.5 cm^3
NP-8	4-H	1.33	1008.8	50.1	55.39 X 10^{-24}	139.72 ± 0.5 cm^3
NP-9	4-$N(CH_3)_2$	1.31	1105.1	47.8	60.46 X 10^{-24}	152.53 ± 0.5 cm^3
NP-10	2-OH	1.39	1014.5	52.8	55.72 X 10^{-24}	140.57 ± 0.5 cm^3

Tables 2: Antimicrobial activity of NP-1 to 10.



Code No.	NP-1 & 1'	NP-2 & 2'	NP-3 & 3'	NP-4 & 4'	NP-5 & 5'	NP-6 & 6'	NP-7 & 7'	NP-8 & 8'	NP-9 & 9'	NP-10 & 10'	Ampicillin	Amoxicillin
S. aureus	11	12	16	8	14	4	18	11	8	9	28	30
B. substilis	9	13	10	9	12	8	6	8	17	5	27	28
E. coli	15	13	8	9	16	4	9	7	5	6	28	27
P.aeruginosa	19	12	12	7	15	9	11	9	11	6	27	28

Table 3: Zone of Inhibition of compounds.

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