Available online at www.derpharmachemica.com

Scholars Research Library

Der Pharma Chemica, 2012, 4 (2):629-632
(http://derpharmachemica.com/archive.html)

ISSN 0975-413X
CODEN (USA): PCHHAX

Synthesis of some new 3(4-hydroxyphenyl)prop-2-en-1-one 4-phenyl substituted schiff's bases and their antibacterial activity

Ujwala Sawarkar, Meghasham Narule* and Mahendra Chaudhary**

Sai Polytechnic, Chandrapur, India
***Bapurao Deshmukh College of Enggineering Wardha, India*

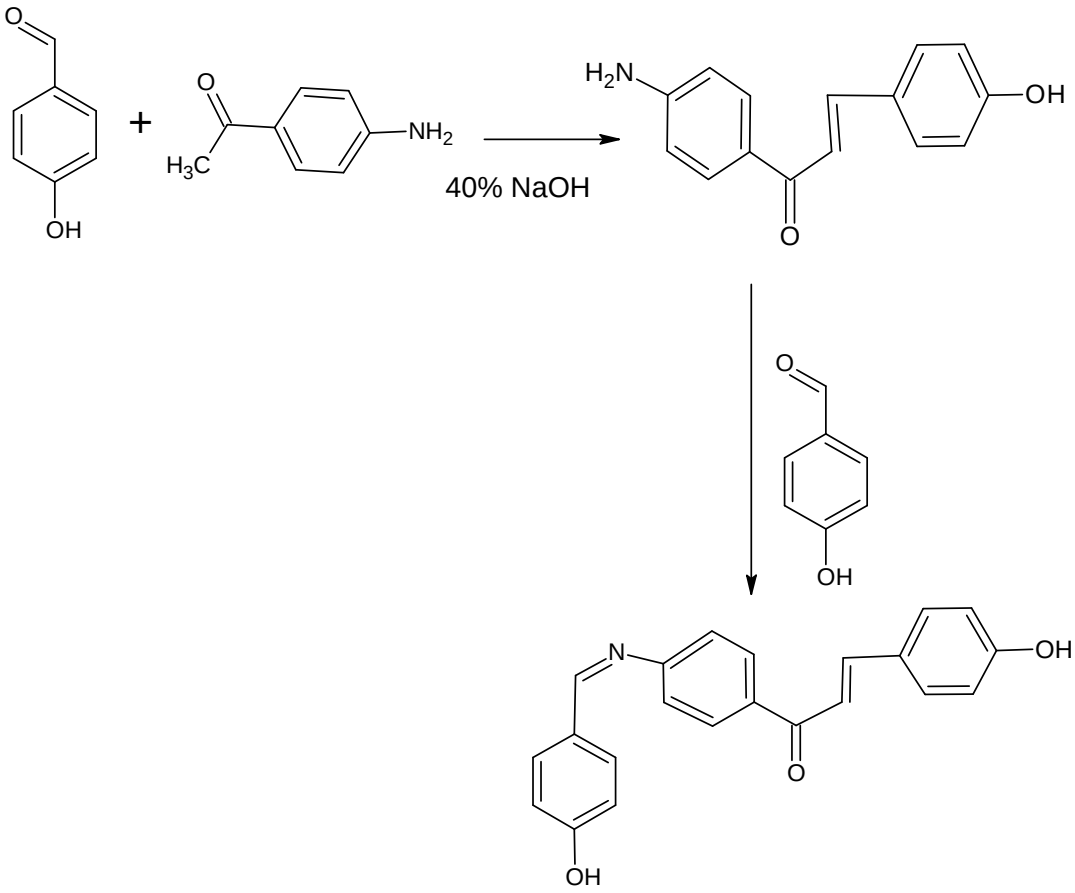
ABSTRACT

4-amino benzylidene acetophenone 1 was prepared by condensing 4-hydroxy benzaldehyde with 4-amino acetophenone. 1-(4-aminophenyl)-3-(4-hydroxyphenyl) prop-2-en-1-one react with 4-hydroxy aldehyde to give 3(4-hydroxy phenyl) prop-2-en-1-one-4-phenyl substituted Schiff's bases 2. The structural elucidations of these compounds were done on the basis of chemical and structural data. The synthesized compound were characterized by IR, H-NMR and mass spectra. The antibacterial activity of these compounds have also been screened and found to be effective against gram +ve and gram –ve bacteria. The compounds were evaluated for antibacterial and antifungal activity by cup plate method, disc diffusion method respectively. All the compounds (2b, 2d, 2f) at a concentration of 100, 10 and 1ug/L showed good antibacterial activity against staphylococcus aureus and bacillus subtilis and the compounds (2a, 2c, 2e, 2g) exhibited good antifungal activity against aspergillus niger.

Keywords: benzylidene acetophenone, schiff's bases, antibacterial and antifungal activity.

INTRODUCTION

The chemistry of benzylidene acetophenone has generated intensive scientific interest due to their biological applications. Benzylidene acetophenones and their derivatives possess some interesting biological properties such as antibacterial[1] antifungal[2], insecticidal[3], anaesthetic, anti-inflammatory, analgesic, ulcerogenic[4-5] etc. Benzylidene acetophenones play an ecological role in nature, in relation to plant color. These brightly yellow colored compounds are found in many plant organs, but most conspicuously in flowers. Benzylidene acetophenones contain reactive keto ethylenic (enone) group. The presence of enone fraction in the benzylidene acetophenones molecule confers antibiotic activity (bacteriostatics /bactericidal) upon it. This property is enhanced when substitution is made at the α-(nitro and bromo) and β-(bromo and hydroxylic) position. Some substituted benzylidene acetophenones and their derivatives, including some of their heterocyclic analogues, have been reported to possess some interesting biological properties, [6-9] which are detrimental to the growth of microbes, tubercle bacilli, malarial parasites, acrus, *Schistosoma,* and intestinal worms, Some of the compounds are claimed to be toxic to animals and insects and are also reported to exhibit inhibitory action on several enzymes, fungi, and herbaceous plants. Schiff's bases derivatives possess wide range of pharmacological activities like antioxidant[10], antiinvasive[11-13], antivitral, antipyretic, anti-inflammatory, antidepressant, and blood pressure lowering[14.]



MATERILAS AND METHODS

Melting points were determine and are uncorrected. Purity of the compounds was checked on TLC using iodine vapor as visualizing agent. The IR spectra were run in KBr on a Perkins - Elmer infrared spectrophotometer. ^{1}H NMR spectra on Bucker AC – 300F (300 Hz) NMR spectrometer using DMSO as a solvent using tetramethyl silence as internal standard.

1-(4-aminophenyl)-3-(4-hydroxyphenyl) prop-2-en-1-one 1:

4-amino acetophenone (0.01mol) and 4-hydroxy benzaldehyde (0.01mol) was dissolved in 100ml ethanol. To this solution, NaOH (40%, 10ml) was added drop wise with constant stirring at room temp. till a dark yellow mass was obtained. The reaction mixture was kept 7-8 hr and acidified with dil. HCl. The solid obtained was washed with cold water. It was filtered and dried. It was crystallized from ethanol. Yield 85% M.P 153^0

Synthesis of Schiff's bases (2a-g)

A mixture of equimolar quantities of compound 1 (0.01 mol) and appropriate aryl aldehyde (benzaldehyde, 2,4-dimethoxybenzaldehyde, anisaldehyde, 4-nitrobenzaldehyde, 4-chlorobenzaldehyde, 4-hydroxybenzaldehyde and 3, 4-dichlorobenzaldehyde) (0.01 mol) were dissolved in ethanol (95%). The contents were refluxed for a period of 3 h on a steam bath. The solid obtained was separated out and recrystallized from ethanol. The yield and melting point were reported in Table 3. The IR spectra of compounds 2a-g showed strong absorption bands for carbonyl group (1657 cm-1), aromatic C-H Stretching (3100 cm-1) and aromatic C=C Stretching (1600 and 1500 cm-1). Compound 3d showed absorption bands for nitro group (1315 & 1515 cm-1). Compound 2f showed absorption bands for hydroxyl group (3280 - 3450 cm-1). H^1 NMR spectrum of compounds 2a-g showed a quartet for methine protons at d 7.8 (1H, N=CH-R), multiplets at 6.9-8.1 (Ar-H). Compounds 2b and 2c showed a singlet at d 3.82 due to the signals of methoxyl protons. Compound 3f showed a singlet for hydroxyl protons at d5.Compounds 2a-g gave molecular ion peak at m/z 327, 389,358,373, 362, 344, 398 (M+) respectively for their corresponding molecular formulae (Table 1 and 2).

Table 1. Spectral data of newly synthesized compounds

Compound	IR (, cm-1)	H^1NMR in DMSO	Mass spectra m/z value
3a	1690 C=O 3454 N-H 3080, 1600,790 Aromatic N=CH	1.8 (d, 1H, N-CH-C) 6.6-7.1 (m, 13H, Ar-H) 10.1 (s, 1H, N=CH)	327.23
3b	1690 cm-1 C=O 3454 N-H 3080, 1600,790 Aromatic 1360 C-N 1569 N=CH-	1.8 (d, 1H, N-CH-C) 3.82 (s, 6H, OCH3) 6.9- (m, 11H, Ar-H) 10.1 (s, 1H, N=CH)	389.345
3c	1690 cm-1 C=O 3454 N-H 3080, 1600,790 Aromatic 1360 C-N 1569 N=CH-	1.8 (d, 1H, N-CH-C) 3.82 (s, 3H, OCH3) 6.9-8.1(m,12H,Ar-H) 10.1 (s, 1H, N=CH)	358.56
3d	1315,1515 NO2 1690cm-1 C=O 3454 N-H 3080, 1600,790 Aromatic 1569 N=CH- 1360 C-N	1.8 (d, 1H, N-CH-C) 6.9-8.1 (m, 12H, Ar-H) 10.1 (s, 1H, N=CH)	373.453
3e	1690 cm-1 C=O 3454 N-H 3080, 1600,790 Aromatic 1569 N=CH- 1360 C-N	1.8 (d, 1H, N-CH-C) 6.9-7.4 (m, 8H, Ar-H) 7.7 – 7.8 (m, 2H +2H, Ar-H) P chloro phenyl ring 10.1 (s, 1H, N=CH)	362.432
3f	3280 - 3450 OH 1690cm-1 C=O 3454 N-H 3080, 1600,790 Aromatic 1569 N=CH- 1360 C-N	1.8 (d, 1H, N-CH-C) 5 (s, 1H, OH) 6.9-8.5 (m, 12H, Ar-H) 10.1 (s, 1H, N=CH)	344.37
3g	1690 cm C=O 3454 N-H 3080, 1600,790 Aromatic 1569 s N=CH-1360 C-N	1.8 (d, 1H, N-CH-C) 6.9-8.4 (m, 11H, Ar-H) 10.1 (s, 1H, N=CH)	398.987

Table 2-Characterization data of Newly synthesized compounds (2a-g)

Comp	R	Mol Formula	M.P. (°C)	Yield (%)	Analysis formula (calcd)% (obs)			
					C	H	N	O
4a	-H	$C_{22}H_{16}NO_2$	216	71	80.733 (80.7)	4.89 (4.73)	4.281 (4.23)	9.785 (9.84)
4b	2- OCH_3, 4-OCH_3	$C_{24}H_{22}NO_4$	207	67	74.035 (74.74)	5.65 (5.0)	3.598 (16.2)	16.452 (16.4)
4c	4-OCH_3	$C_{23}H_{19}NO_3$	121	65	77.094 (77.089)	5.307 (5.30)	3.91 (3.92)	13.407 (13.1)
4d	4-NO_2	$C_{22}H_{16}N_2O_4$	210	58	70.77 (70.74)	4.289 (4.20)	7.50 (7.5)	17.15 (17.1)
4e	4-Cl	$C_{22}H_{16}NO_2Cl$	194	78	72.82 (72.6)	4.41 (4.4)	3.862 (3.82)	8.827 (8.4)
4f	4-OH	$C_{22}H_{17}NO_3$	215	68	76.744 (76.74)	4.94 (4.96)	4.069 (4.06)	13.95 (13.94)
4g	3-Cl, 4-Cl	$C_{22}H_{16}NO_2Cl_2$	199	64	66.33 (66.6)	4.02 (4.02)	3.51 (3.51)	8.04 (8.04)

RESULTS AND DISCUSSION

In view of the above mention pharmacological activities of benzylidene acetophenone and a number of the 3(4-hydroxy phenyl) prop-2-en-1-one-4-phenyl substituted schiff's bases been synthesized which containing above moieties

The reaction sequence leading to the formation of desired heterocyclic compounds are outlined in Scheme-I. The starting material 1-(4-aminophenyl)-3-(4-hydroxyphenyl) prop-2-en-1-one **1** was prepared by the reaction of 4-amino acetophenone with 4-hydroxy benzaldehyde in presence of 40 % NaOH which on treatment with 4-hydroxy aldehyde reacts to give 3(4-hydroxy phenyl)prop-2-en-1-one-4-phenyl substituted schiff's bases been synthesized[15].

Antimicrobial activity

The compounds **2a-g** were screened for their antibacterial activity against *Bacillus subtilis*, *staphylococcus aureus* and *Escherichia coli* and antifungal activity against *Candida albicans* and *Aspergillus nigar* by filter paper disc techniquc. Standard antibacterial Streptomycin and antifungal Griscofulvin were also tested under similar conditions for comparison. Results are presented in **Table 3**

Table 3-Antibacterial and antifungal activities of compouns 2a-g

	Antibacterial activity			Antifungal activity	
Compd	*S.aureus*	*B. substillis*	*E. coli*	*C. albicans*	*A. niger*
2a	++	++	+	++	+++
2b	++	++	+++	+	++
2c	+++	++	+++	-	++
2d	++	+++	++	++	+ ++
2e	+	++	+	+	+
2f	+++	+	+++	+++	-
2g	+++	++	+++	+++	++
SM	+++	+++	++++		
GF				++++	+++

SM (Streptomycin) and GF (Griesofulvin). The inhibition diameter in ***Mm: (-)<6, (+)7-9, (++)10-15,(+++)16-22, (++++)23-28.***

CONCLUSION

During our synthesis, we have used classical methodology for the synthesis of 3(4-hydroxy phenyl)prop-2-en-1-one-4-phenyl substituted schiff's bases 2. Compound (2b, 2d, 2f) was effective against *E.Coli*, *S.aureus* *B. substillis* *C. albicans* *A. niger*, compounds (2a, 2c, 2e, 2g) effective against *C. albicans* *A. niger.*

Acknowledgement

The author extends his heartful thanks to principal, BDCOE, Wardha for providing the basic facilities for the present investigation and providing data and facilities of microwave oven without which the present experimental work could not be completed.

REFERENCES

[1] S. Ishida, A. Matsuda and A. Kawamura, *Chemotherapy*, 8, 146(**1960**); *Chem. Abstr*., 54, 22844c(1`960.
[2] K. J. Mehta, V. S. Patel and A. R. Parikh, *J. Indian Chem. Soc*., 50, 241(**1978**).
[3] V. Mudaliar and V. Joshi, *Indian J. Chem.*, *34B*, 456(**1995**).
[4] G. Hosni and S. P. Saad, *Acta Chim. Acad. Sci. Hung*., 86, 263(**1995**);*Chem. Abustr*., 84, 30959w(**1976**).
[5] O. H. Hishmat, H. I. EI-Diwani and F. R. Melek, *Indian J. Chem*, 35B, 30(**1996**).
[6] T. M. A. Bocan et. al., *Biochim Biophys Acta*, 1123, **1992,** 133.
[7] A, B. K. Jespers, M. A. De waard, pest, *Biochem. Physiol*, 49, **1994,** 53.
[8] V. Leclercq-Meger et. al., *Biochem. Pharmacol*. 42, **1991,** 1634.
[9] H. J. McQuay et. al., *Clin. Pharmacol. Ther*. 39, **1986,** 89.
[10] Buu-Hoi et. al., *J. Med. Pharm. Chem.* 1, **1959,** 23.
[11] Janssen et. al., *J. Am. Chem. Soc*, 73, **1951,** 4040.
[12] J. W. Corcoran, F. E. Hahn, *Hahn in Antibiotics* vol. 3, Eds. (Springer-verlag, New York, **1975**, 79-100.
[13] Harris et. al., *J. Med. Che*, 8, **1965,** 478.
[14] A. Rajasekaran,M.Periyasamy and S.Venkatraman *J. Of developmental Biology &tissue Engg.Vol.2(1),pp 5-13* ***June2010***.
[15] L. Găină, T. Lovasz, C. Cristea, I.A. Silberg, S. Udrea, *Heterocyclic Communications*, **2001**, 7(6), 549-554.