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Synthesis, Structure Elucidation and Assessment of Microbial Activity of Schiff Bases derived from Condensation of 2-(2-oxo-2*H*-1-benzopyran-3-carbonyl) hydrazine-1-carboxamide with Aldehyde Derivatives

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Abstract: ***Some pharmacologically active novel Schiff Bases derived by condensation of 2-(2-oxo-2H-1-benzopyran-3-carbonyl)hydrazine-1-carboxamide with various aldehyde derivatives by using catalytically amount of glacial acetic acid. Such compounds were elucidated by different physico-chemical techniques like, melting point, IR, ^{13}CNMR, mass, elemental analysis. The novel Schiff bases bearing coumarin moiety have been screened for their anti-microbial activity by disc diffusion method. The Schiff base derivative of N-[(2-hydroxyphenyl) methylidene]-2-(2-oxo-2H-1-benzopyran-3-carbonyl)hydrazine-1-carboxamide (IIb) and N-[(4-nitrophenyl) methylidene]-2-(2-oxo-2H-1-benzopyran-3-carbonyl) hydrazine-1-carboxamide (IIc) show more potent anti-microbial activities compared with its other derivatives.***

Keywords: Condensation, Structure elucidation, Anti-microbial

1. Introduction

Schiff bases are condensation products of carbonyl (aldehyde and ketone) with primary amines. They were first reported by Schiff [1] in 1864 [1]. The general characteristics of the Schiff bases are because of RHC=N-R_1 moiety, known as azomethine moiety, where R and R_1 are alkyl, aryl, cyclo alkyl or heterocyclic groups that can be substituted in different ways [2]. These (C=N) moiety are also knows as anils, or imines. Literature studies shown that the presence of a lone pair of electrons in an SP^2 hybridized orbital of nitrogen atom of the azomethine moiety is of considerable chemical and biological significance[3-4].

Schiff bases are generally excellent chelating agents, particularly when functional group such as C=O, C=S, or OH is present near to the azomethine group so as to form a four, five or more then five member ring with the metal ion[5-6]. Due to of the relative easiness of preparation, and the remarkable properties of azomethine (C=N) moiety, it plays a especial role in medicinal chemistry.

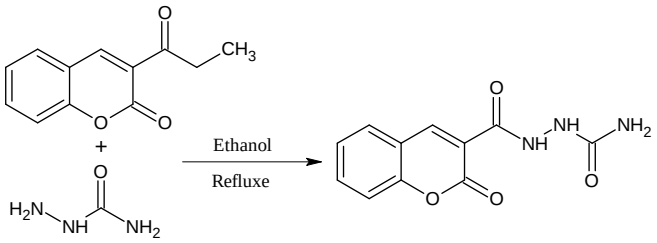
Schiff Base Moiety (>C=N-) shows numerous medicinal applications such as anti-cancer, anti-tuberculostatic, diuretic, anti-bacterial, anti-fungal and anti-inflammatory, apart from they play important role in dye and agrochemical industries[7-11]. In the present paper, the synthesis and characterization of Schiff bases are being reported.

2. Materials and Methods

All reagents were used as purchased without any further purification. Melting points were determined on electrical melting point apparatus in open capillary and are found uncorrected. Progress of the reaction was determined by thin layer chromatography (TLC). Infra Red (IR) spectra of the ligand in KBr pellets using Perkin-Elmer FT-IR spectrophotometer at SIRT, Bhopal M.P.(India), H-NMR and 13C-NMR spectra were recorded on bruker DRX-(300)-500MHz FTNMR at IISER Bhopal, M.P.(India). Mass spectra were recorded on on EI 70(eV) Agilent 7890A GC with 5975C spectrometer and elemental analysis were carried out using l -Vartio-model-III, Carlo Erba 1108 at IISER Bhopal M.P.(India). The anti-microbial activity of synthesized Schiff bases were performed in vitro by disc diffusion method at Rapture Bioteh, Trilanga Bhopal M.P. (India).

2.1 Synthesis of 2-(2-oxo-2*H*-1-benzopyran-3-carbonyl) hydrazine-1-carboxamide

3-(Carbethoxy)-2H-chromen-2-one (0.10 mol) was refluxed for 3 hrs with semicarbazide (0.10 mol) in absolute ethanol (25 ml) in a round bottom flask on water bath. The reaction mixture was cooled to room temperature. After cooling the solution, clusters of yellow crystalline compound was obtained, which was then again filtered and recrystallized from methanol to give pale yellow crystalline solid compound. The yield was 72% and melting point was 152 ^{0}C. This reaction shown in scheme 1.



Scheme (1): Synthesis of 2-(2-oxo-2*H*-1-benzopyran-3-carbonyl)hydrazine-1-carboxamide

2.2 Synthesis of 2-(2-oxo-2*H*-1-benzopyran-3-carbonyl)-*N*-[(*Z*)-phenylmethylidene]hydrazine-1-carboxamide

Equimolar2-(2-oxo-2*H*-1-benzopyran-3-carbonyl)hydrazine-1-carboxamide (0.05 mole) and Benzaldehyde (0.05 mole) were taken in round bottom flask and then 15 ml of 1,4 dioxane was added as solvent followed by some drops of glacial acetic acid. Reflux the reaction mixture on water bath for 3-5 hrs and then cool at room temperature. Filter the black crystalline product and then recrystallize it with ethanol. This reaction is shown in scheme 2.

2.3 *In vitro* anti-microbial activity against *Staphylococcus aureus*, *Pseudomonas aeruginosa and Escherichia coli.*

Antimicrobial activity was performed in vitro by the disc diffusion method (Bauer et al., 1966) [12]. Sterilized Whatman no. 1 filter disc of 6 mm diameter was impregnated with different concentration (25%, 50%, 75% and After incubation, plates were observed to record the sensitivity of tested extract against *Staphylococcus aureus, Pseudomonas aeruginosa* and *Escherichia coli* microorganism in the form of zone of inhibition (ZOI). The zone of inhibition was measured by using transparent plastic ruler scale. Standard antibiotic (Amoxicillin (10µg/disc) is used as reference or positive control. The values are reported tabulated in table 01.

3. Result and Discussion

The analytical data of Schiff bases are tabulated in table no 1. On the basis of these characterization it has been found that all investigated compounds are solid, colored and stable at room temperature and insoluble in water but soluble in DMSO.

3.1 Spectral Studies of ligand and Its metal Complex.

3.1.1. IR Stretching

The characteristic vibrations of the Synthesized Schiff Bases provide detailed information on the bearing functional groups and the structure. IR spectra data provide valuable information on the nature of the functional groups such as mesomeric and inductive effects. The infrared spectra of the synthesized novel Schiff base showed a band at 1647 cm^{-1} which was attributed to C=N band of azomethine moiety and 1770-1707 cm^{-1} is assigned C=O band. The frequencies that appeared at 2982 cm^{-1} regions assigned (C-H) aromatic ring. and few other stretching are tabulated in table [02].

Table 2: IR Spectral data of synthesized Schiff bases

SN.		01	02	03	04	05
Com. Code		IIa	IIb	IIc	IId	IIe
01	Fun. group	C-H	O-H	NO_2	NH_2	C-Cl
02	υ (Cm^{-1})	2982	3440	1652	3122	738

3.1.2. ^{13}CNMR spectra

The ^{13}C-NMR spectrum of the IIa (Figure 01) Schiff base was measured using $CDCl_3$ as solvent. Spectral analysis of Schiff base show multiple peaks at δ 134-108 ppm attributed to the lactone and phenyl ring. The single peaks at δ =152 ppm appears due to the C=N moiety and δ 192, 183 and 161 ppm is assigned C=O moieties of the Schiff bases.

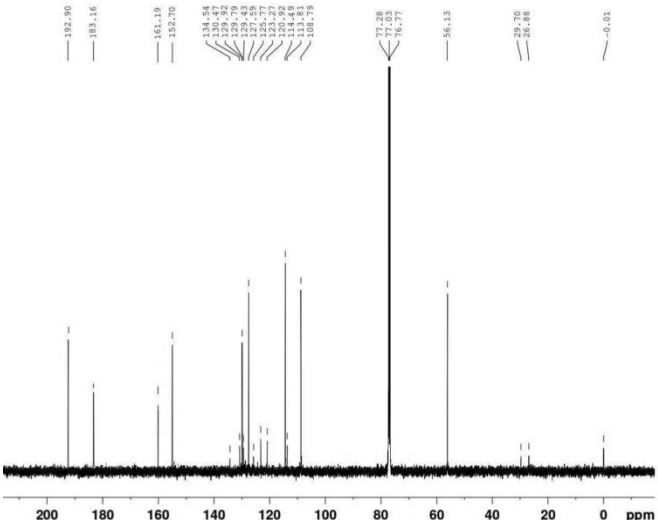


Figure 01: ^{13}CNMR spectra of Schiff base IIa.

3.1.3 Mass Spectra.

The mass spectrum of IIa (Figure 02) shows possible fragments with their M/z^+ value as (M^+) peak at m/z 335 with high. while the m/z 258 fragment with less intensity is found due to ($C_{12}H_8N_3O_4$) fragments. Other m/z 245 and 145 also appeared with less intensity which may be due to ($C_{11}H_7N_3O_4$) and ($C_9H_5O_3$) fragments.

3.1.5. Antimicrobial activity.

For antibacterial activity the synthesized Schiff bases were screened against *Staphylococcus aureus, Pseudomonas aerugenosa* and *Escherichia coli* and the findings results are tabulated in table 3. Nitro (IIc) and hydroxyl (IIb) derivative of Schiff bases are showed more potential activity against *Staphylococcus aureus, Pseudomonas aeruginosa* at each concentration. Investigated compounds (IIa) and (IId) were fonud inactive against *Escherichia coli*.

Table 4: Antimicrobial activity of compound code II[a-d] against *Staphylococcus aureus*, *Pseudomonas aerugenosa* and *Escherichia coli*.

Com Code	Bacterial Pathogens	Bacterial culture-zone of inhibition concentration in % (mm)			
		25	50	75	100
IIa	*S.aureus*	-	-	+	+
	P. aerugenosa	+	+	+	+
	E. coli	-	-	-	-
IIb	*S.aureus*	+	+	+	+
	P. aerugenosa	+	+	+	+
	E. coli	-	-	+	+
IIc	*S.aureus*	+	+	+	+
	P. aerugenosa	+	+	+	+
	E. coli	-	-	+	+
IId	*S.aureus*	-	-	-	+
	P. aerugenosa	-	+	+	+
	E. coli	-	-	-	-

Conclusion

The present investigation revealed that Schiff bases could simply be synthesized by condensation of aldehyde and primary amines. IR spectra, ^{13}CNMR and elemental analysis provide satisfactory results in newly synthesized Schiff bases being conformed. Furthermore, the mass spectra support the above data in order to elucidate the compound. The newly synthesized Schiff bases (IIb) and (IIc) showed good potential against *Staphylococcus aureus* and *Pseudomonas aeruginosa*. The newly data of the synthesized compounds might be help in future development of more potential drugs with some more compounds.

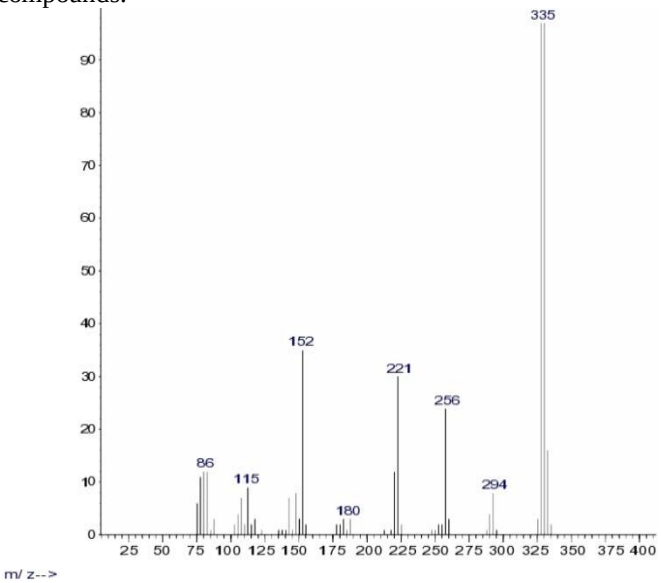
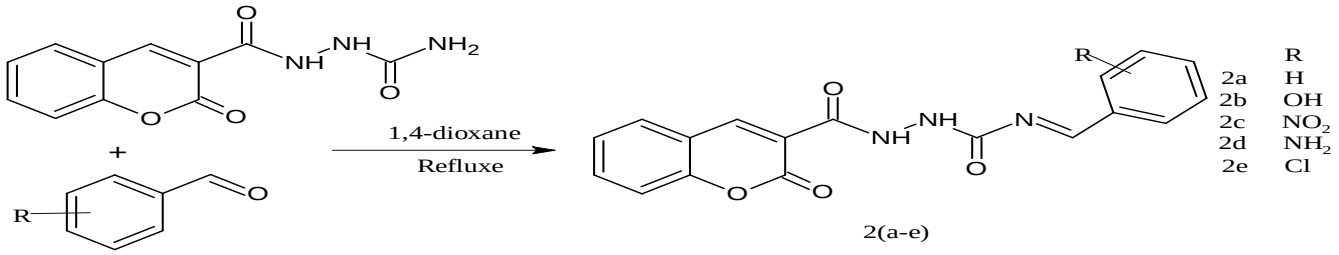


Figure 2: Mass spectra of synthesized Schiff base IIa.



Scheme (2): Synthesis of 2-(2-oxo-2*H*-1-benzopyran-3-carbonyl)-*N*-[(*Z*)-phenylmethylidene]hydrazine-1-carboxamide.

Table 1: Analytical data of Synthesized Schiff bases Com. Code II(a-e)

SN.	Com. code	Molecular Formula	Elemental analysis (%):Calcd. (Found)			M. W.	M.P. (^{o}C)	Color	Yield (%)
			C	N	H				
01	IIa	$C_{18}H_{13}N_3O_4$	64.47 (64.28)	12.53 (12.42)	3.91 (3.73)	351.31	202	Dark Black	20.43
02	IIb	$C_{18}H_{13}N_3O_5$	61.54	11.96	3.53	335.31	198	Black	15.30
03	IIc	$C_{18}H_{12}N_4O_7$	54.55	14.14	3.05	396.31	208	Muddy	33.24
04	IIe	$C_{18}H_{14}N_4O_4$	61.71	15.99	4.03	350.32	198	Yellow	15.41
05	IId	$C_{18}H_{12}N_3O_4Cl$	58.47	11.36	3.27	369.75	196	Yellow	25.44

References

[1] A. Kajal, S. Bala, S. Kamboj, N. Sharma and V. Saini "Schiff Bases: A Versatile Pharmacophore" Journal of Catalysts. ID 893512. pp 1-14. 2013.

[2] K. Brodowska, E. Lodyga and Chruscinska. "Schiff bases – interesting range of applications in various fields of science" CHEMIK.. 68(2), pp 129-134. 2014.

[3] K. M. Abuamer, A. Ali Maihub, M. M. Ajaily, A. M. Etorki and M. Mohamed.. "The Role of Aromatic Schiff Bases in the Dyes Techniques" International Journal of Organic Chemistry. 4, pp 7-15 68(2). 2014.

[4] M. A. Ashraf, K. Mahmood and A. Wajid. "Synthesis, Characterization and Biological Activity of Schiff Bases" International Conference on Chemistry and Chemical Process. 10, pp 1-7. 2011.

[5] G. G. Mohamed, M. M. Omar, A. M. Hindy. "Metal Complexes of Schiff Bases: Preparation, Characterization, and Biological Activity" Turk J Chem. 30, pp 361-382. 2006.

[6] B. H. Zaidi, M. M. Hasson and A. M. Ismail. "New complexes of chelating Schiff base: Synthesis, spectral investigation, antimicrobial, and thermal behavior studies" Journal of Applied Pharmaceutical Science. 9(04), pp 045-057. 2019.

[7] G. Murtaza , A. Mumtaz , F. Ahmed Khan and S. Ahmad. "Recent Pharmacological Advancements in Schiff bases: a review". Acta Poloniae Pharmaceutica and Drug Research. 71(4). pp. 531-535. 2014.
[8] M.S.N.A Prasad , G. Neeraja, B. Anil Kumar, K. Mohana Rao, B. K. Babu and R. B. Birudu. "Synthesis, Spectroscopic Studies and Pharmacological Activity of Schiff Base Ligand". Journal of Chemical and Pharmaceutical Sciences. 10(03), pp 1403-1406. 2017.
[9] A. Prakash and D. Adhikari. "Application of Schiff bases and their metal complexes-A Review". International Journal of ChemTech Research. 3, (4), pp 1891-1896. 2011.
[10] K. A. Maher and S. R. Mohammed. "Metal Complexes of Schiff base Derived from Salicylaldehyde-a review". IJCRR, 7(2). Pp 6-16. 2015.
[11] M. M. Y. Kuddushi, M. A. H. Malek., V. L. Patidar and M. S. Patel, "Synthesis and Characterization of Schiff base aniline with 5-bromo -2-hydroxyl benzaldehyde and their Metal Complexes". International Journal of Recent Scientific Research. 9(4), pp. 26026-26030. 2018.
[12] Jan Hudzicki. "Kirby-Bauer Disk Diffusion Susceptibility Test Protocol" American Society for microbiology. 1(1). pp. 1-16. 2019.

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