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Synthesis, characterization and anti-bacterial activity of schiff bases of sulphanilamide derivatives

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Abstract

A Schiff base (azomethine) is named after its inventor, Hugo Schiff and it is a functional group that contains a carbon-nitrogen double bond with the nitrogen atom connected to an aryl or alkyl group but not hydrogen. Schiff bases have the general formula of $R_1R_2C=NR_3$, where R_3 is an aryl or alkyl group that makes the Schiff base a stable imine. Schiff bases can be synthesized from a reaction of an aromatic amine and a carbonyl compound by a nucleophilic addition forming a hemiaminal, followed by dehydration to generate an imine. The scheme includes Sulphanilamide react with various aromatic aldehydes to give Schiff base derivatives. (SFB-1 to SFB-5). All the synthesized compounds were purified by appropriate solvents, identified and characterized by TLC, Melting Point, IR spectroscopy. In the present study all synthesized compounds tested for anti bacterial activity and have shown significant activity when compared with standard drug Streptomycin. But as the biological and pharmacological screening conducted were preliminary.

Keywords: Sulphanilamides, Characterization, Streptomycin, Anti-bacterial activity.

Introduction

A Schiff base [1-3] (azomethine) is named after its inventor, Hugo Schiff and it is a functional group that contains a carbon-nitrogen double bond with the nitrogen atom connected to an aryl or alkyl group but not hydrogen. Schiff bases have the general formula of R1R2C=NR3, where R3 is an aryl or alkyl group that makes the Schiff base a stable imine. Schiff bases can be synthesized from a reaction of an aromatic amine and a carbonyl compound by a nucleophilic addition forming a hemiaminal, followed by dehydration to generate an imine.

Schiff bases of aliphatic aldehydes are relatively unstable and are readily polymerizable4-7, while those aromatic aldehydes having an effective conjugation system are more stable. The formation of a Schiff base from aldehydes or ketones is a reversible reaction and generally takes place under acid or base catalysis8-11, or upon heating. The formation is generally driven to the completion by separation of the product or removal of water, or both. Many Schiff bases can be hydrolyzed back to their aldehydes or ketones and amines by aqueous acid or base [12-15]**.** The mechanism of Schiff base formation is another variation on the theme of neucleophilic addition to the carbonyl group. In this case, the neucleophile is the amine. In the first part of the mechanism, the amine reacts with the aldehyde or ketone to give an unstable addition compound called carbinolamine. The carbinolamine loses water either by acid or base catalyzed pathways. Since the carbinolamine is an alcohol, it undergoes acid catalyzed dehydration. Typically the dehydration of carbinolamine is the rate-determining step of Schiff base formation and that is why the reaction is catalyzed by acids. The acid concentration cannot be too high because amines are basic compounds, so the amine is protonated and becomes non-nucleophilic. The equilibrium is pulled to the left and

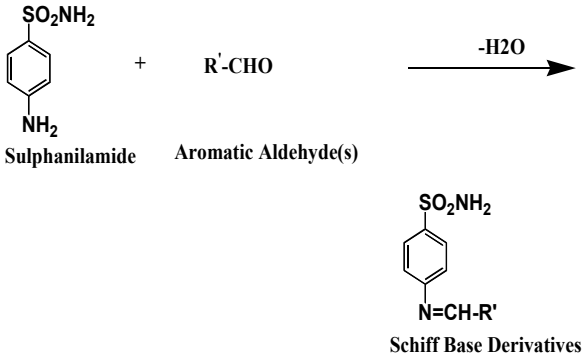
carbinolamine formation cannot occur. Therefore, Schiff base synthesis is best carried out at mild acidic p^H.

Materials and Methods

Melting points of the synthesized compounds were determined in open capillary tubes and were uncorrected.IR spectra were recorded on BRUKER FT-IR spectrometer using ATR [1]. HNMR spectra of the compounds in deuteriated dimethyl sulfoxide and $CDCl_3$ was recorded on BRUKER Av 400 spectrometer. Mass spectra were recorded on LCMS QP 5000 Schimadzu.Thin layer Chromatography was performed using pre-coated aluminium plates, coated with silica gel GF_{254}[E.Merck]. Ethyl acetate:Methanol in the ratio of 3:2 was used as the eluent. The spots were visualized in the UV/Iodine chamber.

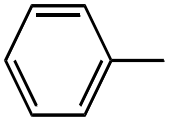
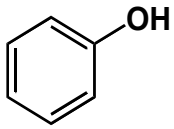
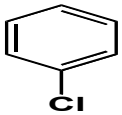
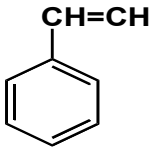
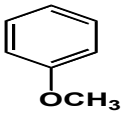
Experimental Work

Scheme



General Procedure

This scheme involves the synthesis of Schiff base using aldehydes and sulphanilamide as precursor products. Firstly weighed equimolar concentration of aromatic aldehydes and the sulphanilamide are taken into a round bottomed flask. Later added 20ml of ethanol and stirred well, till aldehydes are dissolved in it while heating small porcelein chips were added to prevent the bumping and are kept for condensation for 1 ½ hour. After 1 ½ hour the reacted mixture was poured into crushed ice in a beaker. After separation of the solid product filtered and dried the product. The product is purified by using recrystallization technique.

S.NO	CODE (SFB)	R^1
1.	**Benzaldehyde**	
2.	**Salicylaldehyde**	
3.	**p-Chloro Benzaldehyde**	
4.	**Cinnamaldehyde**	
5.	**Anisaldehyde**	

Results and Discussion

A total of **5** compounds were synthesized from one scheme and they were recrystallized by appropriate solvents.They were identified and characterized by various spectral methods. All the compounds were tabulated and characterization data was tabulated in **Tab.1.**

Tab.1: Synthesized Schiff base compounds

Compound Code	Mole. Formula	Mole. Weight. (g/mole)	Melting Point (^{0}C)	R_f	% Yield
SFB - 1	$C_{13}H_{12}N_2O_2S$	260.31	190-194	0.66	62.25
SFB - 2	$C_{13}H_{12}N_2O_3S$	276.31	178-182	0.82	63.28
SFB - 3	$C_{13}H_{11}ClN_2O_2S$	294.76	148-152	0.71	68.05
SFB - 4	$C_{15}H_{14}N_2O_2S$	286.35	186-190	0.88	58.15
SFB - 5	$C_{14}H_{14}N_2O_3S$	290.34	144-150	0.60	53.12

*mobile phase = Ethyl acetate: n-Hexane.

Tab.2: Characterization data of synthesized compounds

Code	Mole. Formula	Spectral Data
SFB - 1	$C_{13}H_{12}N_2O_2S$	**IR (KBr): Cm^{-1}:** 3292.18 (Ar-CH; str.), 1616.06 (ArC=C; Str.), 1656(C=O; str.), 1038.63(C-N; str.), 729.83(Ar-CH, Bend).
SFB - 2	$C_{13}H_{12}N_2O_3S$	**IR (KBr): Cm^{-1} :** (Ar-CH; str.), 1455.72 (Ar C=C; Str.), 718.83(Ar-CH, Bend), 1616 (C=O; str.), 1372.63(C-N; str.).
SFB - 3	$C_{13}H_{11}ClN_2O_2S$	**IR (KBr): Cm^{-1}:** 2919.61(Ar-CH; str.), 1416.78 (ArC=C; Str.), 1609 (C=O; str.), 1239.63(C-N; str.), 681.86(Ar-CH, Bend).
SFB - 4	$C_{15}H_{14}N_2O_2S$	**IR (KBr): Cm^{-1}:** 3019.8(Ar-CH; str.), 1547.03 (ArC=N; Str.), 1759.09(C=O; str.), 1178.6(C-O; str.).
SFB - 5	$C_{14}H_{14}N_2O_3S$	**IR (KBr): Cm^{-1}:** 3123.5(Ar-CH; str.), 1458.6 (Ar C=N; Str.),1189.04(C-O;str.), 1269.2(C-N;str.), 681.86(Ar-C=C,Bend).

Anti-bacterial activity of synthesized compounds

Synthesized Schiff base derivatives were evaluated for Anti-bacterial activity with cup plate method at concentrations of 250µg/ml and 500µg/ml .Standard was taken as streptomycin Control was taken as ethanol. The results were tabulated in **Tab.3.** Compounds show remarkable activity when compared with standard. SFB -3 is effective against Gram $^{+ve}$ and Gram $^{-ve}$, SFB-1 and SFB-2 were found to have moderate activity against both Gram $^{+ve}$ and Gram $^{-ve}$ where as SFB-4 and SFB-5 are having insignificant activity when compared to standard Streptomycin.

Tab.3: Anti-bacterial activity of synthesized compounds

S. No	Compound Code	Gm $^{+Ve}$				Gm $^{-Ve}$	
		S.aureus		*B. Pimilis*		*E.Coli*	
		250 µg/ml	500 µg/ml	250 µg/ml	500 µg/ml	250 µg/ml	500 µg/ml
1.	SFB - 1	10	11	_	13	11	12
2.	SFB - 2	14	16	13	15	13	16
3.	SFB - 3	13	14	12	13	14	17
4.	SFB - 4	_	11	10	11	_	_
5.	SFB - 5	_	_	_	_	10	12
Control	Ethanol	_		_		_	
Standard	Streptomycin (500 µg/ml)	18		20		20	

(*) significant zone of inhibition Bore size: 8 mm.

Conclusion

We have described simple and efficient protocol for the synthesis of novel Schiff base containing sulphanilamides with good yields. The scheme includes Sulphanilamide react with various aromatic aldehydes to give Schiff base derivatives. (SFB-1 to SFB-5). In the present study all synthesized compounds tested for anti bacterial activity and have shown significant activity when compared with standard drug Streptomycin. All the synthesized compounds have been investigated for their anti-bacterial activity by cup-plate method. Among the synthesized compounds some of the compounds possess moderate to promising activity when compared with standard. All the compounds show dose dependent activity.

References

1. R.C. Elderfield "Heterocyclic Compounds" Volume 8, New York, 1961, pp 8-11
2. Basil T. Fedoroff & Oliver E. Sheffield "Encyclopedia of explosives and related items" Volume 9, T111-T112.
3. http://en.wikipedia.org/wiki/Pyrimidine.

4. B. Das, C. R. Reddy, D. N. Kumar, M. Krishnaiah, R. Narender, *Synlett*, 2010, 391-394.
5. J. Roh, T. V. Artamonova, K. Vávrová, G. I. Koldobskii, A. Hrabálek, *Synthesis*, 2009, 2175-2178.
6. W.-K. Su, Z. Hong, W.-G. Shan, X.-X. Zhang, *Eur. J. Org. Chem.*, 2006, 2723-2726.
7. J.-J. Shie, J.-M. Fang, *J. Org. Chem.*, 2007, *72*, 3141-3144.
8. A. R. Katritzky, C. Cai, N. K. Meher, *Synthesis*, 2007, 1204-1208.
9. Y.-H. Joo, J. M. Shreeve, *Org. Lett.*, 2008, *10*, 4665-4667.
10. J. K. Laha, G. D. Cuny, *Synthesis*, 2008, 4002-4006
11. Basil T. Fedoroff & Oliver E. Sheffield "Encyclopedia of explosives and related items" Volume 1, A258-A259.
12. A.L. Lehlinger, 'Biocemistry',wort publisher, Ed,2nd ,1975,p.84,85,220,563.
13. C. Munir, S. M. Yousaf and N. Ahmed, J. Chem. Soc. Pak., 1985, 7, 301.
14. M.D.Deshmuk and A.G.Doshi, Orient.J.Chem., 1995,11,85.
15. McNaught AD, Wilkinson A. IUPAC, Compendium of Chemical Terminology. 1st ed. Oxford: Blackwell Scientific Publications; 1997.