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Synthesis and Biological Evaluation of Some novel Schiff's bases of Veratraldehyde

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Abstract

The need of anthelmintic drugs in the market is increasing day to day. The present study was designed to synthesize various veratraldehyde derivatives. The synthesized compounds were evaluated for anthelmintic activity. Streptomycin was used as standard drug for evaluation. The several veratraldehyde derivatives were synthesized on basis of two classes. Class-I derivatives were synthesized by reacting free aldehyde group of veratraldehyde with hydrazines and their halides. Class-II derivatives were synthesized by reacting free aldehyde group of nitro veratraldehyde with various hydrazines. Class-II compounds showed better inhibitory zone when compared with other classes of compounds.

Keywords: Semi-synthetic, Schiff's bases, Veratraldehyde, nitration, antimicrobial.

Contents

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1. Introduction

Infectious diseases are caused by several pathogens. These pathogens are capable of modifying the normal physiological conditions. So, treating these infectious diseases will be a peculiar task. Infections in olden days were treated by using various herbs[1] and some minerals. Later on with the advances in sciences were discovered several antibiotics were discovered followed by the introduction of synthetic antimicrobial agents.

These advances made treating infectious diseases easy but lead to development of resistance for available antimicrobial agents. So the present scenario of treating infectious diseases became very typical, which lead to focuses in developing newer antimicrobial agents. As a part of our research work, we had synthesized various veratraldehyde derivatives. Veratraldehyde was obtained semi synthetically from vanillin[2] and is having various pharmacological activities like antimicrobial, antifungal and anti-stress activities. In our present work we are modifying several groups of veratraldehyde. This results in the formation of Schiff's bases (-C=N-) which are potent anti-microbial agents[3].

2. Materials and methods

All materials used in this research are from S.D Fine chempvt.Ltd.

Preparation of 6-nitro veratraldehyde:

A conical flask was taken taken and fitted in the bath is filled with water at about 15° to cover at least half the height of the flask. 35 ml. of nitric acid at 20° is poured into it. Veratraldehyde 7 gis crushed at least as fine as rice grains and is slowly added in small portions to the acid. The internal temperature is checked from time to time and should be held between 18° and 22°. The mixture is stirred for 10 minutes after the addition of the last of the aldehyde.The mixture is then poured into 400 ml of vigorously agitated cold water. The stirring is continued for a few minutes; then the precipitate is filtered. The precipitate is recrystallized in boiling ethanol[4].

Preparation of Hydrazone derivatives:

Several hydrazones were synthesized by dissolving 0.5 gm of hydrazine derivative (Hydrazine hydro chloride, Phenyl Hydrazine hydro chloride, 2,4 DNP, Bromo 2,4 DNP) and 0.8 gm of sodium acetate in 5 ml water. To the above mixture a solution of 2-5 gm of aldehyde (veratraldehyde, nitro veratraldehyde in ethanol free from aldehydes and ketenes were added. The reaction mixture was shaken until it becomes clear (add little more ethanol if necessary).warm on a water bath for 10-15 minutes and cool until the crystals appear. Filter off the crystals at pump and recrystallized from dilute ethanol[5].

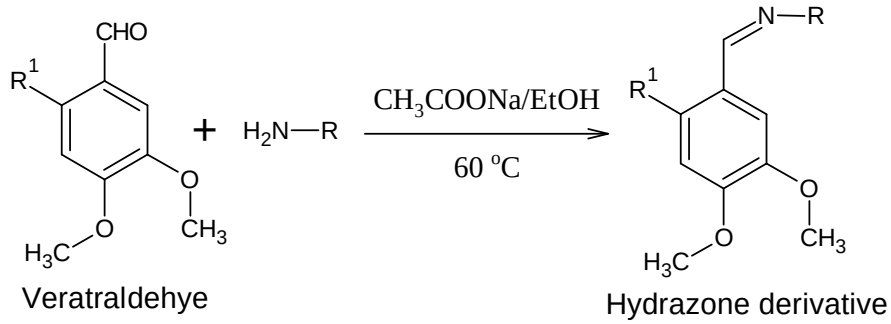

Figure 1. General Scheme

Table.1 Compounds

S.No	Compound Name	R	R1
1.	VH-I	—NH_2	—H
2.	VH-II	—NH—	—H
3.	VH-III	O_2N, —NH—, NO_2	—H
4.	VH-VI	—NH—, Br	—H
5.	VN-I	—NH_2	—NO_2
6.	VN-II	—NH—	—NO_2
7.	VN-III	O_2N, —NH—, NO_2	—NO_2
8.	VN-VI	—NH—, Br	—NO_2

Anthelmenthic activity:

The synthesized compounds were screened for anthelmintic activity by using earth worms.Six Indian adult earth worms (Pheretimapostuma) of nearly equal size 5-8 cm. in width were placed in standard drug solution and test compound solutions at room temperature. Normal saline was used as control. The standard drug and test compounds were dissolved in minimum quantity of dimethyl formamide (DMF) and adjusted the volume up to 15ml.with normal saline solution to get the concentration of 0.1 % w/v, 0.2 %w/v and 0.5% w/v. Albendazole was used as standard drug. The compounds were evaluated for the time taken for complete paralysis and death of earth worms.The lethal time for each test compound was recorded and compared with standard drug. The time taken by worms to become motion less was noted as paralysis time[6]. To ascertain the death of motionless worms, they are frequently applied with external stimuli, which stimulate and induce movement in the worms, if alive. The mean lethal time and paralysis time of the earth worms for different test compounds and standard drug were tabulated in table-2.

3. Results and Discussion

Melting points were recorded in open capillary in silicon oilbath and are uncorrected. IR spectra were recorded on aShimadzu IR Spectrophotometer in KBr pellets.Details are as follows

VH-I: *(3,4-dimethoxybenzylidene)hydrazine*, Molecular Formula: $C_9H_{12}N_2O_2$, Formula Weight: 180.20, M.P: 90^0C, I.R. (KBr) *v* cm^{-1}:1245(C-O-C), 1592 & 1425(C=C aromatic), 1732(C=N), 3326 & 3329 (N-H).

VH-II: *1-(3,4-dimethoxybenzylidene)-2-phenylhydrazine*, Molecular Formula: $C_{15}H_{16}N_2O_2$, Formula Weight: 256.29,M.P: 220^0C, I.R. (KBr) *v* cm^{-1}:1261(C-O-C), 1598 &1451(C=C aromatic), 1728(C=N), 1321(N-H aromatic).

VH-III: *1-(3,4-dimethoxybenzylidene)-2-(2,4-dinitrophenyl)hydrazine*, Molecular Formula: $C_{15}H_{14}N_4O_6$, Formula Weight: 346.29,M.P: 98^0C,I.R. (KBr) *v* cm^{-1}: 1251(C-O-C), 1589 & 1486 (C=C aromatic), 1225(C-H aromatic), 1730(C=N), 1375(N-H aromatic), 1556 & 1362(NO_2 aromatic).

VH-IV: *1-(4-bromophenyl)-2-(3,4-dimethoxybenzylidene)hydrazine*, Molecular Formula: $C_{15}H_{15}BrN_2O_2$, Formula Weight: 335.19,M.P: 153^0C,I.R. (KBr) *v* cm^{-1}: 1247(C-O-C), 1598 & 1459 (C=C aromatic), 1013(C-H aromatic), 1738(C=N), 1295(N-H aromatic), 682(C-Br).

VN-I: *(4,5-dimethoxy-2-nitrobenzylidene)hydrazine*, Molecular Formula: $C_9H_{11}N_3O_4$Formula Weight: 225.20,M.P: 280^0C,I.R. (KBr) *v* cm^{-1}:1263(C-O-C), 1596 & 1429(C-C aromatic), 1057 (C-H aromatic), 1748 (C=N), 3387 & 3341 (N-H), 1552 & 1341 (NO_2 aromatic).

VN-II: *1-(4,5-dimethoxy-2-nitrobenzylidene)-2-phenylhydrazine*, Molecular Formula: $C_{15}H_{15}N_3O_4$, Formula Weight: 301.29,M.P: 180^0C,I.R. (KBr) *v* cm^{-1}: 1239(C-O-C), 1589 & 1437 (C-C aromatic), 1125(C-H aromatic), 1748(C=N), 1296(N-H aromatic), 1353 (NO_2 aromatic).

VN-III: *1-(4,5-dimethoxy-2-nitrobenzylidene)-2-(2,4-dinitrophenyl)hydrazine*, Molecular Formula: $C_{15}H_{13}N_5O_8$, Formula Weight: 391.29,M.P: 183^0C,I.R. (KBr) *v* cm^{-1}: 1236(C-O-C), 1422 & 1593(C=C aromatic), 1283 (C-H aromatic), 1729(C=N), 1327(N-H aromatic), 1547 & 1369(NO_2 aromatic).

VN-IV: *1-(4-bromophenyl)-2-(4,5-dimethoxy-2-nitrobenzylidene)hydrazine*, Molecular Formula: $C_{15}H_{14}BrN_3O_4$, Formula Weight: 380.19,M.P: 257^0C,I.R. (KBr) *v* cm^{-1}:1262(C-O-C), 1595 & 1451(C=C aromatic), 1124(C-H aromatic), 1742(C=N), 1349(N-H aromatic), 652(C-Br), 1556 & 1365(NO_2 aromatic).

Table2. Anthelmenthicactivity of novelSchiff's derivatives

S. No	Nameofcompound	D.E.C	500µg/ml	250µg/ml	100µg/ml	50 µg/ml	10 µg/ml
1.	VH-I	++++	+++	++	-	-	-
2.	VH-II	++++	+++	++	+	-	-
3.	VH-III	++++	++++	+++	++	-	-
4.	VH-VI	++++	++++	+++	++	-	-
5.	VN-I	++++	++++	+++	-	-	-
6.	VN-II	++++	++++	+++	-	-	-
7.	VN-III	++++	+++	+++	++	-	-
8.	VN-VI	++++	+++++	++++	+++	+++	++

++++indicates10to15miniutes,+++15to25miniutes,++25to30miniutes,+more than 30 miniutes and -no activity.

Derivatives that are confirmed are subjected to anti helminthic activity and differential results were found. VN-VI hd found active even at lower concentrations but at highet concentrations compounds VH-III, VH-VI, VN- I and VN-II had produced moderate activity. The anti helmintic activity results were shon in table no: 2

4. Conclusion

The need for a newer anti helminthic agent in the market is increasing from day to day. Veratraldehyde was selected for our research which was a vanillin derivative obtained by methylation. We had synthesized 8 derivatives and out of all VN-VI was identifies as a potent derivative.

5. Reference

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