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Notes

Synthesis and pesticidal activities of some substituted pyridine derivatives

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Several pyridinylthiazolylazetidinones **4a-d**, pyridinylthiazolylthiazolidinones **5a-d** and pyridinylthiazolylformazans **6a-d** have been prepared from pyridinylthiazolylarylidines **3a-d**. These compounds have been evaluated for insecticidal, anti-fungal and anti-bacterial activities. Compound 2-[2'-(3"-chloro-2"-oxo-4"-*o*-hydroxyphenyl-1"-azetidinyl)-1',3'-thiazol-4'-yl) aminopyridine **4c** has been found to exhibit potential insecticidal and anti-fungal properties.The structure of these compounds has been elucidated by elemental (C, H, N) and spectral (IR, ^{1}H NMR and mass) analyses. All the compounds except **3a-d** and **6c**, **6d** show antibacterial activity.

Keywords: Arylidinylthiazolylpyridines, azetidinylthiazolylpyridines, thiazolylpyridines, formazylthiazolylpyridines, insecticidal activity, antimicrobial activity

IPC: **Int**.**Cl**.[8] **C07D**

Pyridine, a heterocyclic nucleus, played a pivotal role in the development of different medicinal agents and in the field of agrochemicals. In the recent past, after considering the success of novel insecticides belonging to the group, neonicotinoids[1,2] like imidacloprid and nicotine, novel derivatives of pyridine have been developed and used as insecticidal agents. It is seen from the current literature that pyridine congeners are associated with different biological properties like pesticidal[3,4], insecticidal[5] and fungicidal[6] activity. Furthermore, substituted derivatives of thiazole[7-9], azetidinone[10-12] and thiazolidinone[13-15] exhibit potential pesticidal, insecticidal and antimicrobial activity. In view of these findings, it was contemplated to design and synthesize some new pyridine derivatives bearing thiazole, thiazolidinone and azetidinone moieties at its 2-position and evaluate their biopotential.

The synthetic pathway of the title compounds is shown in **Scheme I**. Compound **1** *i.e.* 2-(chloroacetyl) aminopyridine was prepared by reacting 2-aminopyridine with chloroacetylchloride. Compound **1** was reacted with thiourea to yield 2-(2'-amino-1',3'-thiazol-4'yl) aminopyridine **2**. Furthermore, compound **2** treated with various aromatic aldehydes separately, resulted in the formation of 2-(2'-substituted arylideneimino-1'-3'-thiazol-4'-yl)amino-pyridines **3a-d**. Compounds **3a-d** on cyclization with triethylamine/ chloroacetylchloride and thioglycolic acid gave 2-[2'-(3"-chloro-2"-oxo-4"-substitutedaryl-1"-azetidinyl)-1',3'-thiazol-4'-yl) aminopyridines **4a-d** and 2-[2'-(2"-sub stitutedaryl-4"-thiazolidinon-3"-yl)-1'-3'-thiazol-4'-yl)aminopyridines **5a-d**, respectively. Moreover, compounds **3a-d** were treated with aniline, and sodium nitrite in the presence of conc. HCl to yield formazans **6a-d**. The structures of these compounds were confirmed by spectral (IR, ^{1}H NMR, MS) and elemental (C, H, N) analyses.

Results and Discussion

Insecticidal activity

The insecticidal activity was determined by the method of Joshi and Tholia[16], on cockroaches (*Periplaneta americana*) of either sex. These insects were divided into groups having five cockroaches each. Insects receiving 0.02 mL of acetone, served as control. Test compounds and the standard parathion were dissolved in acetone and were injected between the fourth and fifth abdominal segment on the ventral side of the insect, with the help of microliter syringe. The treated insects were kept under observation to record the time taken by the insects to reach a moribund state. The statistical significance of the difference between the data of standard and test compounds was calculated by employing Student's 't' test.

Antifungal activity

All the compounds were evaluated *in vitro* for antifungal activity by using standard agar disc diffusion method[17] against different strains of fungi like *Aspergillus fumigatus*, *Candida albicans* ATCC 10231, *Candida albicans* ATCC 2091, *Candida*

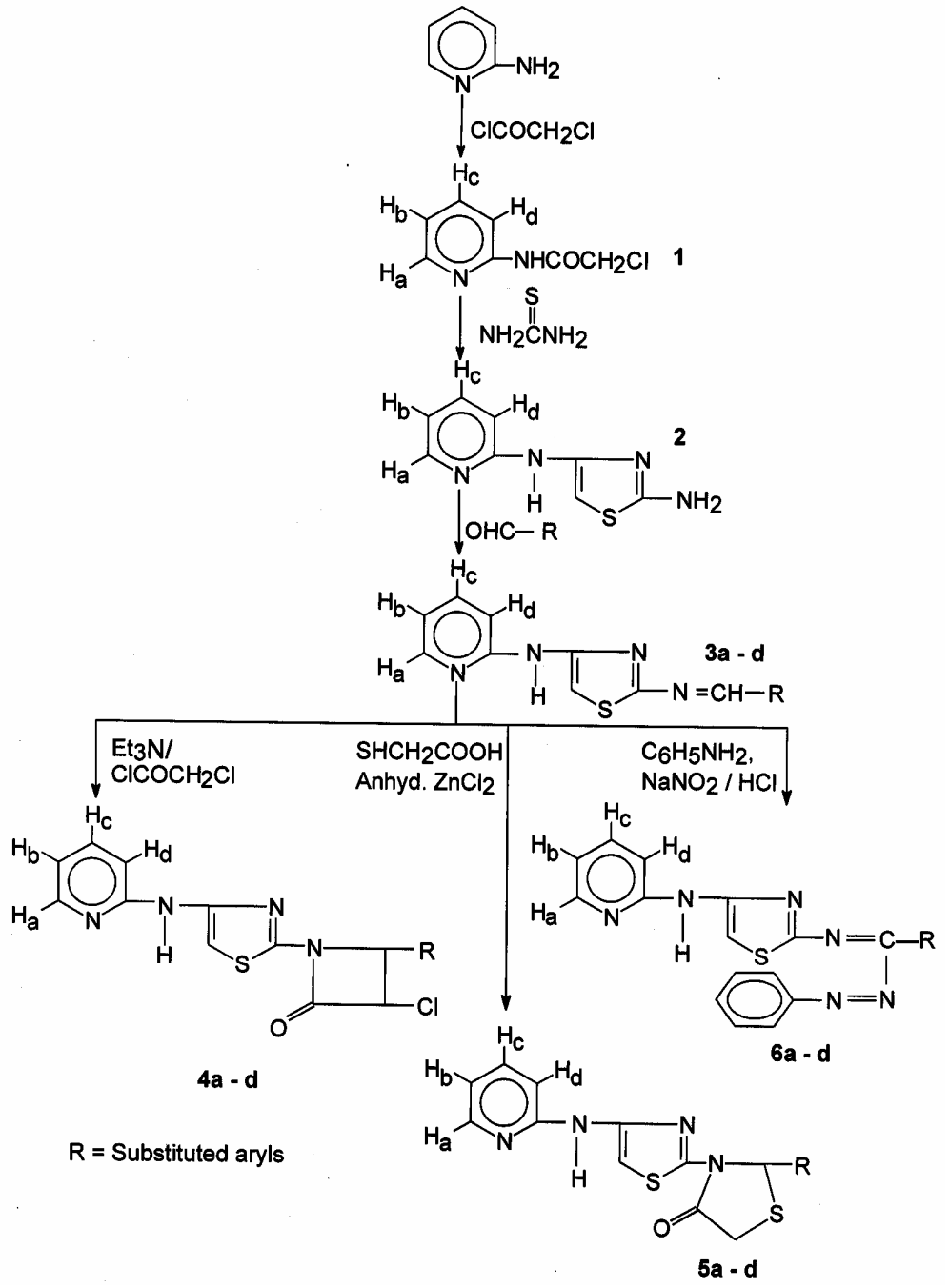
glabrata H05 and *Candida krusei* G03. All the compounds along with standard fluconazole were used at a concentration of 250 µg/mL. 10% DMSO in methanol was used as solvent control and sabouraud dextrose agar was used as culture medium.

Antibacterial activity

This study was assayed by employing the filter paper disc diffusion method[18] by measuring inhibition zones in mm. All the tested compounds along with standard chloramphenicol were screened *in vitro* for antibacterial activity against bacterial strains S*taphylococcus aureus* 209p and *Eschericia coli* ESS 2231 at a concentration of 250 µg/mL. Nutrient agar was used as culture medium.

Experimental Section

The melting points were determined in open capillaries with an electrothermal melting point apparatus and are uncorrected. Homogeneity of the



Scheme I

newly synthesized compounds was checked by thin layer chromatography. The IR spectra were recorded on Brucker-IFS-66 FTIR instrument. ^{1}H NMR spectra were recorded in $CDCl_3$ or DMSO-d_6 on Jeol GSX-400 FT NMR instrument. Chemical shifts (δ) are in ppm and tetramethylsilane (TMS) was used as an internal reference. The elemental analysis (C, H, N) of these newly synthesized compounds was carried out on Carloerba-1108 elemental analyzer. The purity of the compounds was checked by thin layer chromatography on silica gel-G plates of 0.5 mm thickness and spots were visualised in iodine vapour.

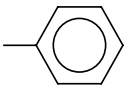
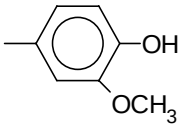
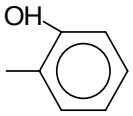
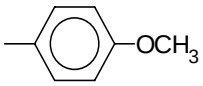
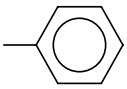
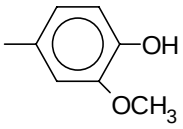
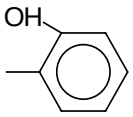
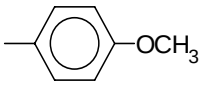
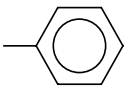
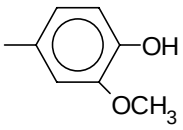
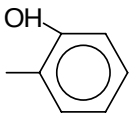
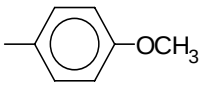
2-(Chloroacetyl)aminopyridine 1. Chloroacetyl-chloride (0.04 mole) was added to a solution of 2-aminopyridine (0.02 mole) in dry benzene (80 mL) at 0-5ºC). The reaction mixture was stirred for 4 hr and refluxed for 6 hr on a water-bath. Excess of benzene was distilled out. The solid thus obtained was washed with petroleum ether (40-60ºC) and kept in refrigerator overnights and the solid obtained was purified by recrystallization from benzene to yield compound **1**. m.p. 203ºC; yield 72%. Found: C, 48.69; H, 4.38; N, 16.26. Calcd for $C_7H_7N_2ClO$: C, 49.27; H, 4.11; N, 16.42%. IR (KBr): 3372.324; (N-H), 3010.431 (C-H aromatic), 2930.362 (C-H aliphatic), 1678.018 (C=O), 1580.465 (C=C of aromatic ring), 1141.336 (C-N), 690.020 cm^{-1} (C-Cl); ^{1}H NMR ($CDCl_3$): δ 8.40 (brs, 1H, NHCO exchangeable with D_2O), 8.28 (d, J = 2.0 Hz, 1H H_a of pyridine), 7.62 (dd, J = 8.2/2.2 Hz, 1H, H_b of pyridine), 7.41 (d, J = 8.0 Hz, 1H, H_d of pyridine), 7.30 (dd, J = 8.4/2.2 Hz, 1H, H_c of pyridine), 4.42 (s, 2H, CH_2).

2-(2'-Amino-1',3'-thiazol-4'-yl)aminopyridine 2. A mixture of 2-(chloroacetyl) aminopyridine (**1**, 0.02 mole) and thiourea (0.01 mole) in absolute acetone (90 mL) was refluxed for 12 hr. The excess of solvent was distilled off and the solid obtained was poured into ice-cold water, then purified by recrystallization from methanol. Now, the solid was washed with 2% sodium carbonate and then with water to liberate the base completely, dried and purified by recrystallization from ethanol/water to furnish compound **2**. m.p. 130ºC; yield 65%. Found: C, 49.85; H, 4.30; N, 28.90. Calcd for $C_8H_8N_4S$: C, 50.00; H, 4.17; N, 29.17%. IR (KBr): 3382.432 (N-H), 3018.785 (C-H aromatic), 1611.736 (C=N), 1574.678 (C=C of aromatic ring), 1153.015 (C-N), 656.463 cm^{-1} (C-S-C); ^{1}H NMR ($CDCl_3$): δ 8.30 (d, J = 2.1 Hz, 1H, H_a of pyridine), 7.60 (dd, J = 8.2/2.1 Hz, 1H, H_b, of pyridine), 7.42 (d, J = 8.1 Hz, 1H, H_d of pyridine), 7.28 (dd, J = 8.4/2.2 Hz, 1H, H_c of pyridine), 7.15 (s, 1H, CH of thiazole ring), 5.90 (s, 1H, NH exchangeable with D_2O), 6.25 (s, 2H, NH_2 exchangeable with D_2O).

2-(2'-*o*-Hydroxyarylideneimino-1',3'-thiazol-4'-yl)aminopyridine 3c. To a solution of compound **2** (0.01 mole) in ethanol (60 mL), salicyldehyde (0.01 mole) and a few drops of glacial acetic acid were added and the mixture refluxed for 10 h. It was then cooled, concentrated and poured into crushed ice and filtered. The product thus obtained was purified by recrystallization from methanol to get compound **3c**. m.p. 82ºC; yield 55%. Found: C, 61.10; H, 4.33; N, 18.75. Calcd for $C_{15}H_{12}N_4OS$: C, 60.81; H, 4.05; N, 18.s92%. IR (KBr): 3538.895 (O-H), 3387.525 (N-H), 3065.632 (C-H aromatic), 2921.882 (C-H aliphatic), 1601.443 (C=N), 1538.518 (C=C of aromatic ring), 1153.678 (C-N), 749.279 cm^{-1} (C-S-C); ^{1}H NMR ($CDCl_3$+DMSO-d_6): δ 8.29 (d, J =2.2 Hz, 1H, H_a of pyridine), 7.62 (dd, J = 8.2/2.1 Hz, 1H, H_b of pyridine), 7.44 (d, J = 8.1 Hz, 1H, H_d of pyridine), 7.30 (dd, J = 8.6/2.2 Hz, 1H, H_c of pyridine), 7.16 (s, 1H, CH of thiazole ring), 6.80-7.05 (m, 4H, Ar-H), 8.40 (s, 1H CH-Ar), 11.25 (ss, 1H, OH, exchangeable with D_2O), 5.92 (s, 1H, NH exchangeable with D_2O). A number of 2-(2'-substituted arylideneimino-1',3'-thiazol-4'-yl)aminopyridines (**3a, 3b** and **3d**) have been prepared by following similar methods and their physical and analytical data of these compounds are depicted in **Table I**.

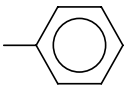
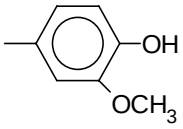
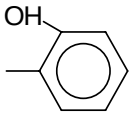
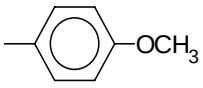
2-[2'-(3"-Chloro-2"-oxo-4"-*o*-hydroxyphenyl-1"-azetidinyl)-1',3'-thiazol-4'-yl]aminopyridine 4c. To a solution of compound **3c** (0.01 mole) in benzene (50 mL), choloroacetylchloride (0.02 mole) and triethylamine (0.02 mole) were added drop wise with constant stirring. The reaction mixture was then refluxed for 6 hr and excess of benzene was distilled off. Resulting mixture was poured into crushed ice, filtered and the solid obtained was purified by recrystallization from ethanol to afford compound **4c**. m.p. 116ºC; yield 48%. Found: C, 54.58; H, 3.22; N, 15.21. Calcd for $C_{17}H_{13}N_4O_2SCl$: C, 54.77; H, 3.49; N, 15.03%. IR (KBr): 544.639 (O-H), 3359.799 (N-H), 3033.587 (C-H aromatic), 1624.717 (C=N), 1739.964 (C=O), 1573.608 (C=C of aromatic ring), 1172.130 (C-N), 710.800 (C-Cl), 683.778 cm^{-1} (C-S-C); ^{1}H NMR ($CDCl_3$): δ 6.82-7.06 (m, 4H, Ar-H), 5.85 (s, 1H, NH exchangeable with D_2O), 8.32 (d, J = 2.2 Hz, 1H, H_a of pyridine) 7.63 (dd, J = 8.1/2.1 Hz, 1H, H_b of pyridine), 7.40 (d, J = 8.3 Hz, 1H, H_d of pyridine), 7.32 (dd, J = 8.6/2.2 Hz, 1H, H_c of

Table I — Characterization data and insecticidal activity of compounds **3a-d, 4a-d**, **5a-d** and **6a-d**

Compd	R	m.p.	Yield	Crystallizing	Mol.	Found (Calcd.) %			Conc	Insecticidal activity
		ºC	(%)	Solvent	Formula	C	H	N	(%)	Mean killing time (min) ±S.E.
3a		150	62	Acetone	$C_{15}H_{12}N_4S$				0.5	163.4±4.72***
3b		138	52	Ethanol	$C_{16}H_{14}N_4O_2S$	58.55 (58.90	4.06 4.29	17.32 17.18)	0.5	202±11.38**
3c		82	55	Methanol	$C_{15}H_{12}N_4OS$	61.10 (60.81	4.33 4.05	18.75 18.92)	-do-	142±7.61***
3d		146	50	Acetic acid	$C_{16}H_{14}N_4OS$	61.69 (61.94	4.70 4.52	18.29 18.06)	-do-	156.2±4.07***
4a		142	40	DMF	$C_{17}H_{13}N_4OSCl$	57.02 (57.22	3.87 3.65	15.55 15.71)	-do-	117±10.32***
4b		122	42	Methanol	$C_{18}H_{15}N_4O_3SCl$	53.28 (53.66	3.94 3.73	13.66 13.91)	-do-	139.2±5.62***
4c		116	48	Ethanol	$C_{17}H_{13}N_4O_2SCl$	54.58 (54.77	3.22 3.49	15.21 15.03)	0.5 1.0 2.0	105±5.72*** 80±14.57*** 43±4.03***
4d		120	40	Ethanol	$C_{18}H_{15}N_4O_2SCl$	56.10 (55.89	3.61 3.88	14.23 14.49)	0.5	112±4.63***
5a		126	49	Ethanol	$C_{17}H_{14}N_4OS_2$	57.85 (57.63	3.73 3.95	15.62 15.82)	.05	198.6±10.73**
5b		102	45	Ethanol	$C_{18}H_{16}N_4O_3S_2$	53.88 (54.00	4.24 4.00	14.16 14.00)	-do-	212±8.31**
5c		135	52	Acetone	$C_{17}H_{14}N_4O_2S_2$	55.29 (55.14	3.95 3.78	14.32 15.14)	-do-	194±4.30***
5d		109	42	Methanol	$C_{18}H_{16}N_4O_2S_2$	56.38 (56.25	4.27 4.17	14.91 14.58)	-do-	230±9.63*

—Contd

Table I — Characterization data and insecticidal activity of compounds **3a-d, 4a-d**, **5a-d** and **6a-d** — *Contd*

Compd	R	m.p. ºC	Yield (%)	Crystallizing Solvent	Mol. Formula	Found (Calcd.) % C	H	N	Conc (%)	Insecticidal activity Mean killing time (min) ±S.E.
6a		158	40	Ethanol	$C_{21}H_{16}N_6S$	65.38 (65.63	3.98 4.17	21.66 21.88)	-do-	250±7.65**
6b		165	45	DMF	$C_{22}H_{18}N_6O_2S$	61.62 (61.40	4.31 4.19	19.67 19.53)	-do-	268±6.81
6c		102	46	Ethanol	$C_{21}H_{16}N_6OS$	62.83 (63.00	4.27 4.00	21.16 21.00)	-do-	212±7.65**
6d		142	38	Acetic acid	$C_{22}H_{18}N_6OS$	64.05 (63.77	4.58 4.35	20.43 20.29)	-do-	222.8±12.14*
Control									0.02 mL	720±10.29
Parathion									0.5	280±11.74$^{\Delta\Delta\Delta}$
									1.0	247±9.29$^{\Delta\Delta\Delta}$
									2.0	231±13.75$^{\Delta\Delta\Delta}$

n = 5 in each group; $^{\Delta}P < 0.05$, $^{\Delta\Delta}P < 0.01$, $^{\Delta\Delta\Delta}P < 0.001$ in comparison to control; *P < 0.05, **P < 0.01, ***P < 0.001 in comparison to standard; @acetone.

Pyridine), 7.18 (s, 1H, CH of thiazole ring), 4.83 (d, *J* = 5.6 Hz, 1H, CH-Ar), 5.05 (d, *J* = 6.7 Hz, 1H CH-Cl), 11.22 (s, 1H, OH exchangeable with D_2O). Several 2-[2'-(3"-chloro-2"-oxo-4"-substituted aryl-1"-azetidinyl) -1',3'-thiazol-4'-yl)aminopyridines (**4a**, **4b**, and **4d**) have been synthesized as method given above and their physical and analytical data are given in **Table I**.

2-[2'-(2"-*o*-Hydroxyphenyl-4"-thiazolidinon-3"-yl)-1',3'-thiazol-4'-yl]amino- pyridine 5c. A solution of compound **3c** (0.01 mole), thioglycolic acid (0.01 mole) and anhydrous zinc chloride (2 g) in absolute ethanol (60 mL) was refluxed for 8 hr, concentrated, cooled and poured into crushed ice, and then filtered. The product obtained was purified by recrystallization from acetone to get compound **5c**, and the homogeneity of the product was checked by TLC. Compound **5c**. m.p. 135ºC; yield 52%. Found: C, 55.29; H, 3.95; N, 14.92. Calcd for $C_{17}H_{14}N_4O_2S_2$: C, 55.14; H, 3.78; N, 15.14%. IR (KBr): 3515.100 (O-H), 3345.632 (N-H), 3025.500 (C-H aromatic), 1596.376 (C=N), 1570.141 (C⎓C of aromatic ring), 1172.532 (C-N), 680.440 (C-S-C), 1695.831 cm^{-1} (C=O); ^1H NMR ($CDCl_3$): δ 6.85-7.10 (m, 14H, Ar-H), 5.88 (s, 1H, NH exchangeable with D_2O), 5.02 (s, 1H, CH-Ar), 11.18 (s, 1H, OH exchangeable with D_2O), 8.31 (d, *J* = 2.2 Hz, 1H, H_a of pyridine), 7.66 (dd, *J* = 8.1/2.1 Hz, 1H, H_b of pyridine), 7.45 (d, *J* = 8.3 Hz, 1H, H_d of pyridine), 7.32 (dd, *J* = 8.6/ 2.2 Hz, 1H, H_c of pyridine), 7.16 (s, 1H, CH of thiazole ring), 4.18 (s, 2H, CH_2 of thiazolidinone ring). Several 2-[2'-(2"-substituted aryl-4"-thiazolidinon-3"-yl)-1',3'-thiazol-4'-yl)aminopyridines (**5a**, **5b** and **5d**) have been prepared by employing the aforementioned method and their physical and analytical data are shown in **Table I**.

2-[2'-(1"-Phenyl-3"-o-hydroxyphenyl-formazan-4"-yl)-1',3'-thiazol-4'-yl] aminopyridine 6c. To a solution of aniline (0.01 mole) in glacial acetic acid (10 mL), concentrated HCl (3 mL) was added at 0-5ºC. Then, a solution of sodium nitrite (1g in 5 mL of water) was mixed to the above solution. The diazonium salt solution thus prepared was added drop by drop to a solution of compound **3c** (0.01 mole) in methanol (40

mL) with constant stirring at 0ºC temperature. The reaction mixture was kept at RT for one day and then poured into crushed ice. The resulting solid was washed with water and purified by recrystallization to afford compound **6c**. m.p. 102ºC; yield 46%. Found: C, 62.83; H, 4.27; N, 21.16. Calcd for $C_{21}H_{16}N_6OS$: C, 63.00, H, 4.00; N, 21.00%. IR (KBr): 3512.100 (O-H), 3340.527 (N-H), 3018.510 (C-H aromatic), 1601.543 (C=N), 1180.087 (C-N), 680.440 cm^{-1} (C-S-C); ^1H NMR ($CDCl_3$): δ 6.86-7.19 (m, 9H, Ar-H), 5.85 (s, 1H, NH exchangeable with D_2O), 11.21 (s, 1H, OH exchangeable with D_2O), 8.33 (d, J = 2.2 Hz, 1H, H_a of pyridine), 7.65 (dd, J = 8.1/2.1 Hz, 1H, H_b of pyridine), 7.43 (d, J = 8.3 Hz, 1H, H_d of pyridine), 7.33 (dd, J = 8.6/2.2 Hz, 1H, H_c of pyridine), 7.22 (s, 1H, CH of thiazole ring). Various 2-[2'-(1"-phenyl-3"-substituted aryl-formazan-4"-yl)-1',3'-thiazol-4'-yl]aminopyridines (**6a**, **6b** and **6d**) have been synthesized by following the above mentioned method. Their physical and analytical data are shown in **Table I**.

Insecticidal activity against *Periplaneta americana*

Sixteen substituted pyridine derivatives (**3a-d, 4a-d, 5a-d, 6a-d**) along with standard parathion have been screened at a concentration of 0.5% **(Table I)**. All the compounds exhibited statistically significant insecticidal activity except compound **6b**. Compound **4c** was found to possess potent insecticidal activity, therefore, this compound along with parathion were further evaluated at two different concentrations *i.e.* 1.0% and 2.0%. Interestingly compound **4c** was found to possess better insecticidal property than parathion at all the tested concentrations.

Antifungal activity

Compound **3a-d, 4a-d, 5a-d** and **6a-d** were assayed for anti-fungal activity. All compounds except **3b**, **6a** and **6d** exhibited antifungal activity (**Table II).** None of the compounds was found to possess better activity than Fluconazole.

Table II – Antifungal and antibacterial activities of compounds **3a-d**, **4a-d**, **5a-d** and **6a-d** by agar diffusion and filter paper disc methods, respectively

Compd	Antifungal activity[#] [Diameter of the inhibition zone (mm)]					Antibacterial activity[#] [Diameter of the inhibition zone (mm)]	
	Aspergillus fumigatus	*Candida albicans ATCC 2091*	*Candida albicans ATCC 10231*	*Candida krusei G03*	*Candida glabrata H05*	*Staphyloc-occus aureus 209 p*	*Eschericia coli ESS 2231*
[@]Control	0	0	0	0	0	0	0
Fluconazole	0	29	25	19	15	—	—
Chloramp-henicol	—	—	—	—	—	20	20
3a	09	10	10	0	11	0	0
3b	0	0	0	0	0	0	0
3c	13	10	12	0	13	0	0
3d	0	10	10	09	0	0	0
4a	10	15	11	10	0	15	11
4b	11	17	14	0	11	10	13
4c	15	23	20	16	14	19	22
4d	0	14	10	0	10	13	13
5a	08	13	10	0	0	11	10
5b	10	14	12	0	08	08	10
5c	12	18	15	0	09	12	15
5d	10	13	10	0	10	10	0
6a	0	0	0	0	0	08	0
6b	10	08	10	0	0	10	0
6c	0	12	11	0	09	0	0
6d	0	0	0	0	0	0	0

[#]Concentration was 250mg/mL.; @ 10% DMSO in methanol; "— ": No activity done; "0": No inhibition zone.

Antibacterial activity

The newly synthesized compounds have also been screened for *in vitro* antibacterial activity. The data indicated that compounds **3a-d**, **6c** and **6d** have shown no inhibition against both the strains of bacteria, while the rest of the compounds exhibited antibacterial activity but not higher than the standard (**Table II**). Compound **4c** showed activity comparable to Chloramphenicol.

Structure activity relationship

The biological results (**Tables I** and **II**) for compounds **3a-d**, **4a-d**, **5a-d** and **6a-d** showed that the substitution pattern on 2-position of 2-aminopyridinylthiazole moiety appears to be vital for broad-spectrum activity.

Substituted benzylidene congeners **3a-d** exhibited promising insecticidal activity. Compounds **3a**, **3c** and **3d** possessed inhibitory zones against all strains of fungi. Interestingly, it was observed that compounds **3a** and **3c** inhibited the growth of *A. fumigatus*, while the standard drug, Fluconazole, was found to be inactive against the same fungus.

Cyclization of compounds **3a-d** into their corresponding azetidinones **4a-d** enhanced the insecticidal and antifungal activity and introduced antibacterial property. Besides this, the conversion of compounds **3a-d** into the respective thiazolidinones **5a-d** has no remarkable change on their insecticidal activity. However, enhancement of the antimicrobial activities of compounds **5a-d** is noteworthy. It is clear from the results that azetidinones possessed better biological activities than thiazolidinones.

It is important to note from the biological data that compounds **3c**, **4c**, **5c** and **6c** having *o*-hydroxyphenyl group as a substituent showed maximal insecticidal and antifungal activity, whereas substitution with *p*-methoxyphenyl group as in compounds **3d**, **4d**, **5d** and **6d** exhibited remarkable biological activity. Other substitution *i.e. p*-hydroxy, *m*-methoxyphenyl in compounds **3b**, **4b**, **5b** and **6b** displayed lower but nevertheless significant activity.

Out of four azetidinone derivatives, 2-[2′-(3′′-chloro-2′′-oxo-4′′-*o*-hydroxyphenyl-1′′-azetidinyl)-1′,3′-thiazol-4′-yl]aminopyridine **4c** was found to be the most potent compound of the series. This compound exhibited maximal insecticidal activity as compared to the standard, parathion at all the three test concentrations. Furthermore, this compound also possessed antifungal activity comparable to Fluconazole.

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