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NOTE

Synthesis and Antifungal Activities of 2-Amino-3-cyano-4-(2-aryl)-8-(2-arylidine)cyclohexyl pyridines Derivatives

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The compounds 2-amino-3-cyano-4-(2-aryl)-8-2-(arylidine)-cyclohexyl pyridines were synthesized. The newly synthesized compounds shows antifungal activity against *Aspergillus niger* and *Asperigillus flavus*.

Key Words: Synthesis, Antifungal activities, Cyclohexyl pyridines derivatives.

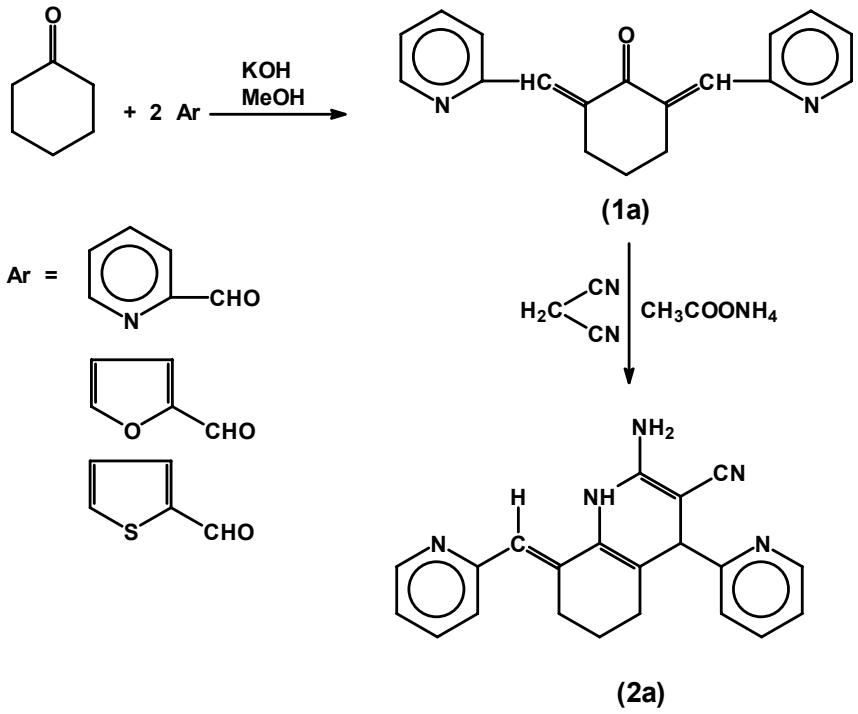
Pyridine derivatives have been reported to have fungicidal[1,2], insecticidal[3], herbicidal[4] and bactericidal properties[5]. An intermediate 2,6-*bis*-(4-pyridyl methylene)cyclohexanone was prepared from cyclohexanone. This intermediate on reaction with dicyanomethane and ammonium acetate gives 2-amino-3-cyano-4-(2-pyridyl)-8-(2-pyridylidine)cyclohexyl pyridines.

Melting points were determined in open capillaries and are uncorrected. IR (KBr) spectra were recorded on a Perkin-Elmer 1800 (FTIR) spectrometer and ^{1}H NMR spectra (DMSO) were recorded on a DRX-300 (300 MHz) spectrometer using TMS as internal standard.

Synthesis of 2, 6-*bis*-(4-pyridyl methylene)cyclohexanone (1a): A mixture of cyclohexanone (0.1 M, 9.8 mL), 2 mole of pyridylaldehyde (0.1 M, 16.4 mL) and 2 mole of KOH (11.2 g) in methanol solution is refluxed for 4 h. The solid compound was filtered, washed with water, dried and crystallized from aq. ethanol, m.p. 130 ºC, yield (71 %). Other intermediate **1b-c** were prepared by similar method.

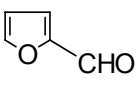
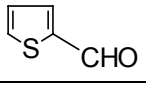
Synthesis of 2-amino-3-cyano-4-(2-pyridyl)-8-(2-pyridylidine)-cyclohexyl pyridines (2a): A requisite 2,6-*bis*-(4-pyridyl methylene)-cyclohexanone (0.01 M, 2.54 g), dicyanomethane (0.01 M, 0.66 mL) and 8 mol of CH_3COONH_4 (0.01 M, 6.16 mL) were refluxed for 4 h. The solid product obtained was poured into water after evaporation of methanol. The compound thus obtained was washed, filtered and dried, m.p. 120 ºC, yield (52 %), (**Scheme-I**). IR (KBr, ν_{max}, cm^{-1}): 3400, 3250 (NH_2), 2950 (C-H), 2200 (C≡N), 1560, 1500, 1450 (aromatic and conjugated C=C). ^{1}H NMR (DMSO-d_6) δ: 1.60 (m, 2H, >C=C-CH-CH_2-), 1.92 (t, 4H, >C=C-CH_2-), 2.3 (s, 1H, C=C-CH), 6.0-7.8 (m, 5H, aromatic and conjugated olefinic proton)

Anal. (%) Calcd. for $C_{21}H_{19}N_5$: C, 73.90; H, 5.57; N, 20.52; Found C, 73.79, H, 5.51; N, 20.47. Similarly compounds **2b-c** were also synthesized.



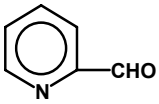
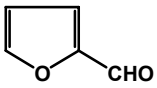
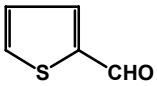
Scheme-I

TABLE-1
PHYSICAL AND ELEMENTAL ANALYSIS DATA OF COMPOUNDS **2b-c**

Compd./ (m.f.)	Ar/R	m.p. (ºC) / Yield (%)	Elemental analysis (%): Found (Calcd.)		
			C	H	N
2b $C_{19}H_{17}O_2N_3$		115 (58)	71.35 (71.47)	5.30 (5.32)	13.12 (13.16)
2c $C_{19}H_{17}S_2N_3$		118 (57)	64.92 (64.95)	4.80 (4.84)	11.90 (11.96)

Antifungal activity: All the newly synthesized compound **2a-c** were screened for their antifungal activity against *Aspergillus niger* and *Aspergillus flavus*. The results were showed in Table-2.

TABLE-2
ANTIFUNGAL ACTIVITY OF COMPOUNDS **2a-c**

Compd.	Ar/R	Average percentage inhibition (ppm) after 96 h					
		A. niger			*A. flavus*		
		Concentration					
		1000	100	10	1000	100	10
2a		67	54	42	68	60	50
2b		65	55	44	66	56	46
2c		68	58	47	69	60	51
	Bavistin	96	91	90	92	93	91

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