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SYNTHESIS OF SUBSTITUTED 1-(2-ARYLVINYL)-2-AZOLYL-1-PYRIDYLETHANOLS-1

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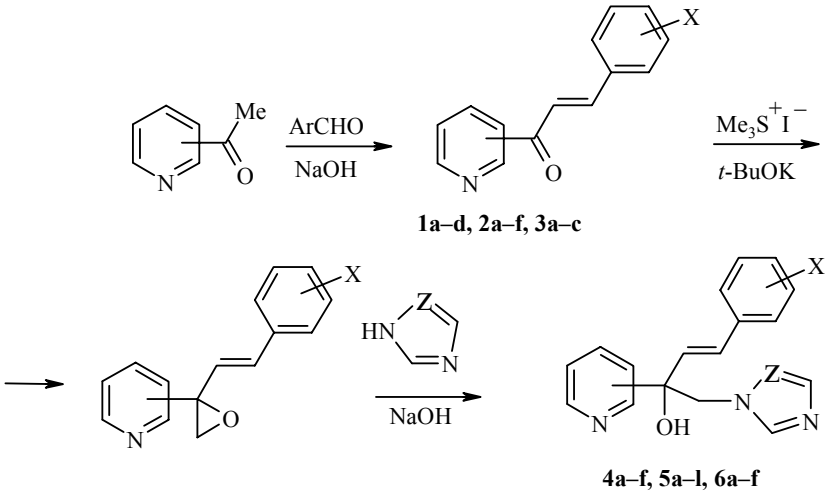
A series of new 1-(2-arylvinyl)-2-azolyl-1-pyridylethanols-1 has been obtained with various positions of the nitrogen atom in the pyridine fragment and with substituents in the benzene ring, by the reaction of (2-arylvinyloxiran-2-yl)pyridines with triazole and imidazole, for agrochemical screening. The compounds mentioned displayed high fungicidal activity.

Keywords: 2-azolylethanols, 2-arylvinyl-1-pyridylethanols, Corey–Chaykovsky reaction.

Azole preparations constitute a large class of todays existing antimycotics and for more than 20 of them are well known as commercial medicinal preparations. About 40 compounds of this class are used in agriculture for protection from pathogenic fungi [1]. All the azole fungicides act by inhibiting fungal C-14 demethylase, which leads to the accumulation in the cell of C-14-methyl-containing steroids, an increase in the permeability of the membrane and as a result to disturbance in the operation of membrane proteins. Overall these processes lead to destruction of the fungal cell [2].



1a–d, 2a–f, 3a–c

4a–f, 5a–l, 6a–f

1, 4 2-Py, **2, 5** 3-Py, **3, 6** 4-Py; X = F, Cl, Br , CF_3, H; Z = CH, N

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TABLE 1. Yields and Melting Points of 3-Aryl-1-pyridylprop-2-en-1-ones **1-3**

Compound	X	R_f*	mp, °C	Yield, %
1a	H	0.78	70-72 (71 [4])	52
1b	4-Cl	0.83	90-92 (91-92 [4])	30
1c	4-Br	0.83	97-99 (97-100 [4])	52
1d	3-CF_3	0.88	Oil	13
2a	H	0.62	83-85 (84-85 [4])	60
2b	4-Cl	0.84	132-134 (134-135 [4])	63
2c	4-Br	0.66	142-144 (144-145 [4])	67
2d	4-F	0.20	120-122 (122 [4])	74
2e	2,4-Cl_2	0.60	118-120	26
2f	3-CF_3	0.51	Oil	48
3a	H	0.64	85-87 (87-88 [4])	58
3b	4-Cl	0.82	138-140 (139-141 [4])	46
3c	4-Br	0.65	148-150 (147-148 [4])	30

* Chloroform–ethanol, 10:1.

The introduction of a pyridine fragment increases the basicity of compounds and their solubility in water, which may lead to a change of their systemic properties and spectrum of fungicidal activity.

Previously we synthesized derivatives of 1-aryl-2-azolyl-1-pyridylethanols and 2-azolyl-1-cyclohexyl-1-pyridylethanols [3]. The obtained compounds proved to be biologically active. In the present work we have obtained their vinylogues, 2-azolyl-1-(2-arylvinyl)-1-pyridylethanols.

For their synthesis 2-, 3-, or 4-acetylpyridine was condensed with substituted benzaldehydes in the presence of base [4]. The obtained 3-aryl-1-pyridylpropen-1-ones were reacted with trimethylsulfonium iodide according to the Corey–Chaykovsky reaction [5], the oxiranes formed were treated with imidazole or 1,2,4-triazole with catalysis by solid NaOH in DMF on heating [6].

A fact worthy of special attention is that the obtained oxiranes proved to be unstable compounds and during several hours at room temperature underwent rearrangement into compounds containing no oxirane ring or olefinic bond (data of ^{1}H NMR spectroscopy). Presumably the formation of 2-aryl-4-pyridyl-2,5-dihydrofurans occurs here. An analogous rearrangement is observed on epoxidation of 6-furfurylidene-2,2-dimethylcyclohexanols [7]. For this reason the oxiranes were not purified but were used in the reaction with triazole or imidazole in ether solution.

The obtained compounds were tested *in vitro* for fungicidal activity against five phytopathogenic fungi *viz*. *Venturia inaequalis* Wint, *Fusarium moniliforme* Sheldon, *Fusarium oxysporum* Schlecht, *Helminthosporium sativum* Pammel, King et Bakke, and *Sclerotinia sclerotiorum* (Lib.) de Bery [8]. The highest activity was detected for derivatives of 2-substituted pyridine, and some of them (for example **4c** and **4b**) exceeded the activity of the standard, the commercial azole fungicide *triadimefon* (Table 4).

EXPERIMENTAL

The ^{1}H NMR spectra were obtained on a Bruker AC-400 (400 MHz) instrument in DMSO-d_6, internal standard was TMS.

TABLE 2. Characteristics of 2-Azolyl-1-pyridyl-1-styrylethanols **4-6**

Compound*	Ethanol	X	Empirical formula	Found, % / Calculated, %			mp, °C	Yield, %
				C	H	N		
1	2	3	4	5	6	7	8	9
4a	2-(1-Imidazolyl)-1-(2-pyridyl)-1-styryl-	H	$C_{18}H_{17}N_3O$	—	5.62 / 5.88	14.32 / 14.42	145-147	16
4b	1-(4-Chlorostyryl)-2-(1-imidazolyl)-1-(2-pyridyl)-	4-Cl	$C_{18}H_{16}ClN_3O$	—	—	—	178-180	14
4c	1-(4-Bromostyryl)-2-(1-imidazolyl)-1-(2-pyridyl)-	4-Br	$C_{18}H_{16}BrN_3O$	58.31 / 58.39	4.40 / 4.36	11.23 / 11.35	180-182	37
4d	2-(1-Imidazolyl)-(2-pyridyl)-1-(3-trifluoromethylstyryl)-	3-CF_3	$C_{19}H_{16}F_3N_3O$	63.50 / 63.51	4.53 / 4.49	11.61 / 11.69	Oil	3
4e	1-(2-Pyridyl)-1-styryl-2-(1,2,4-triazol-1-yl)-	H	$C_{17}H_{16}N_4O$	69.67 / 69.85	5.57 / 5.52	19.72 / 19.70	128-130	16
4f	1-(4-Bromostyryl)-1-(2-pyridyl)-2-(1,2,4-triazol-1-yl)-	4-Br	$C_{17}H_{15}BrN_4O$	54.93 / 55.00	4.10 / 4.07	15.01 / 15.09	Oil	1
5a	2-(1-Imidazolyl)-1-(3-pyridyl)-1-styryl-	H	$C_{18}H_{17}N_3O$	74.23 / 74.20	5.78 / 5.88	14.36 / 14.42	115-117	57
5b	1-(4-Chlorostyryl)-1-(3-pyridyl)-2-(1-imidazolyl)-	4-Cl	$C_{18}H_{16}ClN_3O$	66.31 / 66.36	5.01 / 4.95	12.86 / 12.90	118-120	41
5c	1-(4-Bromostyryl)-2-(1-imidazolyl)-1-(3-pyridyl)-	4-Br	$C_{18}H_{16}BrN_3O$	58.38 / 58.39	4.41 / 4.36	11.30 / 11.35	120-122	49
5d	1-(4-Fluorostyryl)-2-(1-imidazolyl)-1-(3-pyridyl)-	4-F	$C_{18}H_{16}FN_3O$	—	—	—	110-112	47

TABLE 2. (continued)

1	2	3	4	5	6	7	8	9
5e	1-(2,4-Dichlorostyryl)-2-(1-imidazolyl)-1-(3-pyridyl)-	2,4-Cl_2	$C_{18}H_{15}Cl_2N_3O$	59.94 / 60.01	4.25 / 4.20	11.60 / 11.66	105-107	27
5f	2-(1-Imidazolyl)-1-(3-pyridyl)-1-(3-trifluoromethylstyryl)-	3-CF_3	$C_{19}H_{16}F_3N_3O$	63.54 / 63.51	—	—	108-110	37
5g	1-(3-Pyridyl)-1-styryl-2-(1,2,4-triazol-1-yl)-	H	$C_{17}H_{16}N_4O$	69.84 / 69.85	5.54 / 5.52	19.11 / 19.17	88-90	19
5h	1-(4-Chlorostyryl)-1-(3-pyridyl)-2-(1,2,4-triazol-1-yl)-	4-Cl	$C_{17}H_{15}ClN_4O$	62.45 / 62.48	4.68 / 4.63	17.08 / 17.15	98-100	38
5i	1-(4-Bromostyryl)-1-(3-pyridyl)-2-(1,2,4-triazol-1-yl)-	4-Br	$C_{17}H_{15}BrN_4O$	54.91 / 55.00	4.10 / 4.07	15.00 / 15.09	95-97	43
5k	1-(4-Fluorostyryl)-1-(3-pyridyl)-2-(1,2,4-triazol-1-yl)-	4-F	$C_{17}H_{15}FN_4O$	—	—	—	24	89-91
5l	1-(3-Pyridyl)-2-(1,2,4-triazol-1-yl)-1-(3-trifluoromethyl-styryl)-	3-CF_3	$C_{18}H_{15}F_3N_4O$	—	—	—	Oil	4
6a	2-(1-Imidazolyl)-1-(4-pyridyl)-1-styryl-	H	$C_{18}H_{17}N_3O$	—	5.86 / 5.88	14.43 / 14.42	100-102	26
6b	1-(4-Chlorostyryl)-2-(1-imidazolyl)-1-(4-pyridyl)-	4-Cl	$C_{18}H_{16}ClN_3O$	66.34 / 66.36	5.01 / 4.95	12.82 / 12.90	Oil	3
6c	1-(4-Bromostyryl)-2-(1-imidazolyl)-1-(4-pyridyl)-	4-Br	$C_{18}H_{16}BrN_3O$	58.37 / 58.39	4.39 / 4.36	11.30 / 11.35	133-135	12
6d	1-(4-Pyridyl)-1-styryl-2-(1,2,4-triazol-1-yl)-	H	$C_{17}H_{16}N_4O$	69.85 / 69.85	5.55 / 5.52	19.72 / 19.70	191-193	5
6e	1-(4-Chlorostyryl)-1-(4-pyridyl)-2-(1,2,4-triazol-1-yl)-	4-Cl	$C_{17}H_{15}ClN_4O$	62.45 / 62.48	4.66 / 4.63	17.09 / 17.15	215-217	42
6f	1-(4-Bromostyryl)-1-(4-pyridyl)-2-(1,2,4-triazol-1-yl)-	4-Br	$C_{17}H_{15}BrN_4O$	54.98 / 55.00	4.12 / 4.07	15.00 / 15.09	216-218	22

* **4a-d**, **5a-f**, **6a-c** Z = CH, **4e**,**f**, **5g-l**, **6d-f** Z = N.

TABLE 3. ^{1}H NMR Spectra of 2-Azolyl-1-pyridyl-1-styrylethanols **4-6**

Com-pound	Chemical shifts, δ, ppm (*J*, Hz)
1	2
4a	4.50 (2H, AB system, *J* = 15.4, CH_2); 6.38 (1H, s, OH); 6.62, 6.75 (2H, AB system, *J* = 16.4, CH-methine); 6.69, 6.88 (2H, 2s, H imidazole); 7.22 (1H, m, H_{Py}); 7.30-7.38 (6H, m, C_6H_5, 1H imidazole); 7.57 (1H, d, *J* = 6.3, H_{Py}); 7.78 (1H, t, J_1 = 8.6, J_2 = 6.3, H_{Py}); 8.60 (1H, s, H_{Py})
4b	4.50 (2H, AB system, *J* = 14, CH_2); 6.20 (1H, s, OH); 6.60, 6.79 (2H, AB system, *J* = 15.6, CH-methine); 6.67, 6.87 (2H, 2s, H-2 imidazole); 7.29 (1H, m, H_{Py}); 7.35 (1H, s, H imidazole); 7.38, 7.43 (4H, A_2B_2 system, *J* = 8, H_{Ph}); 7.56 (1H, d, *J* = 6.3, H_{Py}); 7.78 (1H, t, J_1 = 8.6, J_2 = 6.3, H_{Py}); 8.60 (1H, d, *J* = 6.3, H_{Py})
4c	4.50 (2H, AB system, *J* = 1.2, CH_2); 6.21 (1H, s, OH); 6.58, 6.80 (2H, AB system, *J* = 17.3, CH-methine); 6.70, 6.87 (2H, 2s, H imidazole); 7.29 (1H, m, H_{Py}); 7.40 (1H, s, H imidazole); 7.35, 7.49 (4H, A_2B_2 system, *J* = 8.6, H_{Ph}); 7.56 (1H, d, *J* = 8.4, H_{Py}); 7.77 (1H, t, J_1 = 8.4, J_2 = 6.3, H_{Py}); 8.60 (1H, d, *J* = 6.3, H_{Py})
4d	4.75 (2H, AB system, *J* = 16, CH_2); 6.20 (1H, s, OH); 6.60 (1H, s, H imidazole); 6.67, 6.88 (2H, AB system, *J* = 15.3, CH-methine); 6.82 (1H, s, H imidazole); 7.30 (1H, m, H_{Py}); 7.38 (1H, s, H imidazole); 7.55-7.74 (5H, m, $4H_{Ph}$, $1H_{Py}$); 7.82 (1H, m, H_{Py}); 8.56 (1H, m, H_{Py})
4e	4.55, 4.80 (2H, AB system, *J* = 14.4, CH_2); 6.22 (1H, s, OH); 6.58, 6.74 (2H, AB system, *J* = 16.0, CH-methine); 7.22 (1H, t, J_1 = 8.5, J_2 = 5.2, H_{Py}); 7.30-7.36 (5H, m, H_{Ph}); 7.60 (1H, d, *J* = 5.2, H_{Py}); 7.77 (1H, s, CH triazole); 7.80 (1H, d, *J* = 5.2, H_{Py}); 8.32 (1H, s, H triazole); 8.58 (1H, d, *J* = 2.6, H_{Py})
4f	4.60 (2H, AB system, *J* = 12.3, CH_2); 6.35 (1H, s, OH); 6.45, 6.82 (2H, AB system, *J* = 15.4, CH-methine); 7.32 (1H, m, H_{Py}); 7.36, 7.50 (4H, A_2B_2 system, *J* = 8.7, H_{Ph}); 7.58 (1H, d, *J* = 7.6, H_{Py}); 7.81 (1H, t, J_1 = 7.6, J_2 = 5.2, H_{Py}); 8.21 (2H, s, H triazole); 8.61 (1H, d, *J* = 5.2, H_{Py})
5a	4.40, 4.46 (2H, AB system, *J* = 12.5, CH_2); 6.16 (1H, s, OH); 6.60, 6.79 (2H, AB system, *J* = 16.3, CH-methine); 6.70, 6.92 (2H, 2s, H imidazole); 7.24 (1H, t, J_1 = 6.3, J_2 = 4.2, H_{Py}); 7.31-7.43 (5H, m, H_{Ph}); 7.38 (1H, s, H imidazole); 7.98, 8.42 (2H, 2d, *J* = 6.3, *J* = 4.2, H_{Py}); 8.72 (1H, s, H_{Py})
5b	4.37, 4.48 (2H, AB system, *J* = 4.0, CH_2); 6.18 (1H, s, OH); 6.58, 6.84 (2H, AB system, *J* = 16.0, CH-methine); 6.70, 6.92 (2H, 2s, H imidazole); 7.35 (1H, m, CH_{Py}); 7.35, 7.47 (1H, s, H imidazole); 7.45 (4H, A_2B_2 system, *J* = 8.6, H_{Ph}); 7.87, 8.45 (2H, 2d, J_1 = 6.3, J_2 = 4.2, H_{Py}); 8.72 (1H, s, H_{Py})
5c	4.43 (2H, AB system, *J* = 6.0, CH_2); 6.18 (1H, s, OH); 6.57, 6.85 (2H, AB system, *J* = 14.6, CH-methine); 6.70, 6.92 (2H, 2s, H imidazole); 7.35 (1H, d. d, J_1 = 7.3, J_2 = 4.2, H_{Py}); 7.38 (1H, s, H imidazole); 7.40, 7.52 (4H, A_2B_2 system, *J* = 7.4, H_{Ph}); 7.87, 8.45 (2H, 2d, J_1 = 6.3, J_2 = 4.2, H_{Py}); 8.72 (1H, s, H_{Py})
5d	4.45 (2H, AB system, *J* = 14.2, CH_2); 6.16 (1H, s, OH); 6.59, 6.76 (2H, AB system, *J* = 16.7, CH-methine); 6.71, 6.92 (2H, 2s, H imidazole); 7.16, 7.48 (4H, AA'BB'X system, J_1 = 10.6, J_2 = 7.1, H_{Ph}); 7.34 (1H, t, *J* = 8.4, H_{Py}); 7.38 (1H, s, H imidazole); 7.87, 8.43 (2H, 2d, *J* = 8.4, *J* = 5.2, H_{Py}); 8.71 (1H, s, H_{Py})
5e	4.45 (2H, AB system, *J* = 6.0, CH_2); 6.31 (1H, s, OH); 6.72 (1H, s, H imidazole); 6.86, 6.94 (2H, AB system, *J* = 16.3, CH-methine); 6.95 (1H, s, H imidazole); 7.36 (1H, dd, J_1 = 8.4, J_2 = 5.2, H_{Py}); 7.42 (2H, m, H_{Ph}); 7.59 (1H, m, H imidazole); 7.76 (1H, m, H_{Ph}); 7.90, 8.46 (2H, 2d, *J* = 8.4, *J* = 5.2, H_{Py}); 8.74 (1H, s, H_{Py})
5f	4.45 (2H, AB system, *J* = 5.0, CH_2); 6.23 (1H, s, OH); 6.70, 7.02 (2H, AB system, *J* = 16.5, CH-methine); 6.73, 6.95 (2H, 2s, H imidazole); 7.36 (1H, m, H_{Py}); 7.44 (1H, s, H imidazole); 7.59-7.82 (4H, m, H_{Ph}); 7.90, 8.46 (2H, 2d, J_1 = 8.4, J_2 = 5.2, H_{Py}); 8.75 (1H, s, H_{Py})
5g	4.66, 4.73 (2H, AB system, *J* = 16, CH_2); 6.24 (1H, s, OH); 6.62, 6.83 (2H, AB system, *J* = 15.4, CH-methine); 7.25 (1H, t, *J* = 7.6, H_{Py}); 7.30-7.46 (5H, m, H_{Ph}); 7.78 (1H, s, H triazole); 7.88 (1H, d, *J* = 7.6, H_{Py}); 8.34 (1H, s, H triazole); 8.45 (1H, d, *J* = 5.2, H_{Py}); 8.71 (1H, s, H_{Py})
5h	4.68 (2H, AB system, *J* = 15.0, CH_2); 6.27 (1H, s, OH); 6.50, 6.84 (2H, AB system, *J* = 15.7, CH-methine); 7.34 (1H, dd, J_1 = 7.6, J_2 = 4.25, H_{Py}); 7.38, 7.47 (4H, A_2B_2 system, *J* = 8.7, H_{Ph}); 7.77 (1H, s, H triazole); 7.87 (1H, d, *J* = 7.6, H_{Py}); 8.33 (1H, s, H triazole); 8.45 (1H, d, *J* = 4.2, H_{Py}); 8.70 (1H, s, H_{Py})

TABLE 3. (continued)

1	2
5i	4.65 (2H, AB system, J = 15.3, CH_2); 6.27 (1H, s, OH); 6.59, 6.88 (2H, AB system, J = 15.2, CH-methine); 7.38 (1H, dd, J_1 = 8.4, J_2 = 4.2, H_{Py}); 7.40, 7.52 (4H, A_2B_2 system, J = 6.9, H_{Ph}); 7.77 (1H, s, H triazole); 7.86 (1H, d, J = 8.4, H_{Py}); 8.33 (1H, s, H triazole); 8.44 (1H, d, J = 4.2, H_{Py}); 8.70 (1H, s, H_{Py})
5k	4.65 (2H, AB system, J = 11.8, CH_2); 6.24 (1H, s, OH); 6.61, 6.78 (2H, AB system, J = 15.5, CH-methine); 7.16, 7.48 (4H, AA'BB'X system, J_1 = 8.8, J_2 = 5.9, H_{Ph}); 7.33 (1H, t, J_1 = 6.3, J_2 = 4.2, H_{Py}); 7.78 (1H, s, H triazole); 7.86 (1H, d, J = 6.3, H_{Py}); 8.32 (1H, s, H triazole); 8.44 (1H, d, J = 4.2, H_{Py}); 8.71 (1H, s, H_{Py})
5l	4.70 (2H, AB system, J = 12.3, CH_2); 6.44 (1H, s, OH); 6.52, 7.04 (2H, AB system, J = 15.4, CH-methine); 7.34 (1H, d. d, J_1 = 7.6, J_2 = 5.2, H_{Py}); 7.58 (2H, m, H_{Ph}); 7.73 (1H, m, H_{Ph}); 7.78 (1H, s, H triazole); 7.82 (1H, s, H_{Ph}); 7.90 (1H, d, J = 7.6, H_{Py}); 8.35 (1H, s, H triazole); 8.45 (1H, d, J = 5.2, H_{Py}); 8.73 (1H, s, H_{Py})
6a	4.40 (2H, AB system, J = 12, CH_2); 6.22 (1H, s, OH); 6.60, 6.75 (2H, AB system, J = 16.9, CH-methine); 6.70, 6.93 (2H, 2s, H imidazole); 7.20-7.47 (6H, m, $5H_{Ph}$, 1H imidazole); 7.52, 8.51 (4H, 2d, J = 3.4, H_{Py})
6b	4.40 (2H, s, CH_2); 6.26 (1H, s, OH); 6.58, 6.79 (2H, AB system, J = 15.3, CH-methine); 6.69, 6.92 (both 1H, both s, H imidazole); 7.37-7.45 (5H, m, 1H imidazole, $4H_{Ph}$); 7.52, 8.50 (both 2H, both d, J = 3.4, H_{Py})
6c	4.40 (2H, s, CH_2); 6.26 (1H, s, OH); 6.57, 6.82 (2H, AB system, J = 16.6, CH-methine); 6.70 (1H, s, H imidazole); 6.94 (1H, s, H imidazole); 7.38-7.52 (7H, m, $4H_{Ph}$, H_{Py}-2, 1H imidazole); 8.52 (2H, d, J = 3.4, H_{Py})
6d	4.70 (2H, br. s, CH_2); 6.36 (1H, s, OH); 6.62, 6.78 (2H, AB system, J = 13.5, CH-methine); 7.20-7.60 (5H, m, H_{Ph}-5); 7.77 (1H, s, H triazole); 8.23 (2H, m, H_{Py}); 8.33 (1H, s, H triazole); 8.47 (2H, s, H_{Py})
6e	4.70 (2H, AB system, J = 12.8, CH_2); 6.35 (1H, s, OH); 6.60, 6.82 (2H, AB system, J = 15.4, CH-methine); 7.38, 7.45 (4H, A_2B_2 system, J = 9.1, H_{Ph}); 7.50 (2H, d, J = 3.1, H_{Py}); 7.78 (1H, s, H triazole); 8.32 (1H, s, H triazole) 8.50 (1H, d, J = 3.1, H_{Py})
6f	4.65 (2H, AB system, J = 12.8, CH_2); 6.33 (1H, s, OH); 6.58, 6.84 (2H, AB system, J = 14.5, CH-methine); 7.38, 7.55 (4H, A_2B_2 system, J = 8.1, H_{Ph}); 7.53 (2H, d, J = 3.1, H_{Py}); 7.78 (1H, s, H triazole); 8.32 (1H, s, H triazole); 8.50 (2H, d, J = 3.1, H_{Py})

TABLE 4. Suppression of Radial Growth of Mycelia of Fungi *in vitro* by Compounds **4-6** at 30 mg/liter in Comparison with Untreated Control

Com-pound	Suppression of pathogen growth, %				
	Venturia inaequalis	*Fusarium moniliforme*	*Fusarium oxysporum*	*Helmintho-sporium sativum*	*Sclerotinia sclerotiorum*
4a	70-89	70-89	50-69	99-100	0-49
4b	99-100	90-98	90-98	99-100	99-100
4c	99-100	99-100	99-100	99-100	99-100
4e	50-69	70-89	0-49	99-100	0-49
5b	50-69	0-49	0-49	0-49	0-49
5c	70-89	50-69	0-49	90-98	0-49
5d	0-49	0-49	0-49	0-49	0-49
5e	50-69	0-49	0-49	0-49	0-49
5g	0-49	0-49	0-49	0-49	0-49
6c	0-49	50-69	0-49	70-89	0-49
6f	0-49	50-69	0-49	50-69	0-49
Standard*	50-69	90-98	70-89	50-69	50-69

* Standard was the commercial fungicide triadimefon (1-(4-chloro-phenoxy)-3,3-dimethyl-1-(1H-1,2,4-triazol-1-yl)butan-2-one).

2-Pyridyl Styryl Ketone (1a). A 10% solution of NaOH (7.5 ml) was added dropwise to a mixture of 2-acetylpyridine (3 g, 25 mmol) and benzaldehyde (2.85 g, 27 mmol) in water (150 ml) with stirring, and stirring was continued for 8 h at room temperature. The precipitated solid was filtered off, washed several times with water, dried, and recrystallized from ethanol. Compound **1a** (3.17 g, 60%) was obtained with R_f 0.78 ($CHCl_3$–EtOH, 10:1), mp 70-72°C (lit. mp 71°C [4]).

Other azachalcones were obtained analogously (Table 1). When using a solid aldehyde, benzene (10-15 ml) was added before adding the catalyst and the reaction mixture was stirred until complete solution of the solid substance.

2-(3-Pyridyl)-2-styryloxirane. A solution of potassium *tert*-butylate (0.7 g, 6.27 mmol) in DMSO (3 ml) was added dropwise in an inert atmosphere during 30 min to a solution of compound **2a** (1.045 g, 5 mmol) and trimethylsulfonium iodide (1.43 g, 7 mmol) in DMSO (3.5 ml), cooling with an ice-salt mixture. The reaction mixture was then stirred for 15 min, and water (30 ml) was added dropwise. The mixture was extracted with ether (4×50 ml), the extract was washed with cold saturated sodium chloride solution, and dried over magnesium sulfate. The solvent was distilled off in vacuum with cooling with ice water and 2-(3-pyridyl)-2-styryloxirane (0.95 g, 85%) was obtained. ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 3.23 (2H, AB system, J = 5.9, CH_2); 6.50, 6.69 (2H, AB system, J = 15.2, CH_2); 7.25-7.52 (6H, m, $5H_{Ph}$, $1H_{Py}$); 7.86. 8.58, 8.68 (all 1H, m, $3H_{Py}$).

The obtained compound was unstable and rearranged at room temperature into **2-phenyl-4-(3-pyridyl)-2,5-dihydrofuran.** ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 2.65 (2H, AB system, J = 1.7, CH_2); 3.35 (1H, d, J = 4.7, H-benzylic); 7.22 (1H, dd, J_1 = 4.7, J_2 = 1.7, CH-methine); 7.30 (5H, m, H_{Ph}); 7.58 (1H, dd, J_1 = 8.4, J_2 = 4.7, H_{Py}-5); 8.38 (1H, d, J = 8.4, H_{Py}-4); 8.82 (1H, d, J = 4.1, H_{Py}-6); 9.20 (1H, s, H_{Py}-2). Found, %: C 80.63; H 5.91; N 6.24. $C_{15}H_{13}NO$. Calculated, %: C 80.69; H 5.87; N 6.27.

2-(1-Imidazolyl)-1-(2-pyridyl)-1-styrylethanol-1 (4a). A solution of potassium *tert*-butylate (0.7 g, 6.27 mmol) in DMSO (3 ml) was added dropwise in an inert atmosphere during 30 min to a solution of 2-pyridyl styryl ketone (1.045 g, 5 mmol) and trimethylsulfonium iodide (1.43 g, 7 mmol) in DMSO (3.5 ml) with cooling in an ice–salt mixture. The mixture was stirred for 15 min and water (30 ml) was added dropwise. The mixture was extracted with ether (4×50 ml), the extract was washed with saturated sodium chloride solution, and dried over magnesium sulfate. Imidazole (0.245 g, 3.5 mmol) and sodium hydroxide (0.04 g, 1 mmol) were added to the ether solution of oxirane. The ether was evaporated in vacuum without heating, the residue was dissolved in DMF (2 ml), water (1 drop) was added, the mixture was heated at 100°C for 2 h, cooled, poured into water (25 ml), and left for several hours. The precipitated crystals were filtered off, and recrystallized from ethanol. Compound **4a** (0.205 g (16%) was obtained.

The other 2-azolyl-1-pyridyl-1-styrylethanols **4-6** were obtained analogously (Tables 2, 3).

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