EFFICIENT METHOD FOR AZIRIDINATION OF OLEFINS

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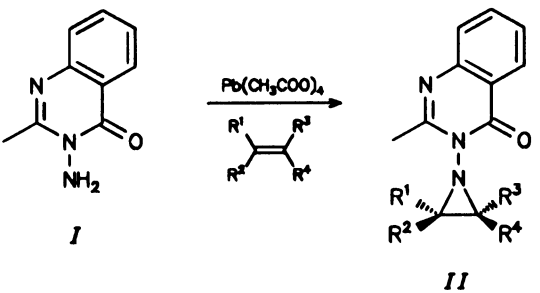
Aziridines possess useful biological activities such as antitumor[1], insect chemosterilant[2,3], immunomodulating[4,5], and immunostimulant tumor suppressant[6]. Most of the interest in the biological activities of aziridines has been focused in those that chemically modify DNA. Therefore, development of new method for aziridination of olefinic esters (see Scheme 1) is of some importance.



I *II*

SCHEME 1

EXPERIMENTAL

All melting points were determined in open capillary tubes and are uncorrected. IR spectra were recorded (in KBr pellets; wavenumbers in cm^{-1}) on Shimadzu IR-437 spectrophotometer and NMR spectra (in $CDCl_3$) on Perkin–Elmer R-32 spectrometer using TMS as an internal standard. Chemical shifts are given in ppm (δ-scale), the coupling constants *J* in Hz. Purity of the compounds was checked by TLC plates coated with silica gel G.

Preparation of Compounds *II*. General Procedure

Lead tetraacetate (0.001 mol) was added to the stirred mixture of 2-methyl-3-aminoquinazolin-4-one *I* (0.001 mol) and the respective α,β-unsaturated acid derivative (0.001 mol) in dry methylene dichloride at −20 °C during the indicated period. The reaction mixture was then allowed to warm up to 25 °C and filtered. The insoluble material was washed twice with methylene dichloride. The solvent was removed under vacuum and the residue was purified on silica gel column using ethyl acetate–hexane (30 : 70) as eluent to yield *II* in indicated amount. Analytical data are given in Table I.

TABLE I
Physical and analytical data of aziridines *IIa – IIz*

Compound	R^1	R^2	R^3	R^4	M. p., °C Yield, %	Formula (M. w.)	Calculated/Found		
							% C	% H	% N
IIa	CH_3	H	H	$COOC_2H_5$	125 66[a]	$C_{15}H_{17}O_3N_3$ (287)	62.72 62.60	5.92 5.80	14.63 14.55
IIb	H	H	CH_3	$COOCH_3$	115 70[a]	$C_{14}H_{15}O_3N_3$ (273)	61.54 61.40	5.49 5.35	15.38 15.30
IIc	CH_3	CH_3	H	$COOCH_3$	98 68[a]	$C_{15}H_{17}O_3N_3$ (287)	62.72 62.60	5.92 5.80	14.63 14.55
IId	CH_3	CH_3	H	$COOC_2H_5$	156 65[a]	$C_{16}H_{19}O_3N_3$ (301)	63.79 63.70	6.31 6.25	13.95 13.80
IIe	C_6H_5	H	H	$COOCH_3$	183 60[a]	$C_{19}H_{17}O_3N_3$ (335)	68.06 68.00	5.07 5.00	12.54 12.40
IIf	C_6H_5	H	H	$COOC_2H_5$	129 65[a]	$C_{20}H_{19}O_3N_3$ (349)	68.77 68.70	5.44 5.30	12.03 11.90
IIg	C_6H_5	H	H	$COOC_4H_9$	123 61[a]	$C_{22}H_{23}O_3N_3$ (377)	70.03 69.90	6.10 5.85	11.14 11.10
IIh	C_6H_5	H	CH_3	$COOCH_3$	137 72[a]	$C_{20}H_{19}O_3N_3$ (349)	68.77 68.70	5.44 5.35	12.03 11.95
IIi	C_6H_5	H	CH_3	$COOC_2H_5$	102 70[a]	$C_{21}H_{21}O_3N_3$ (363)	69.42 69.35	5.79 5.70	11.57 11.50
IIj	C_6H_5	C_2H_5	H	$COOCH_3$	130 63[a]	$C_{21}H_{21}O_3N_3$ (363)	69.42 69.30	5.79 5.70	11.57 11.50
IIk	C_6H_5	C_2H_5	H	$COOC_2H_5$	145 69[a]	$C_{22}H_{23}O_3N_3$ (377)	70.03 69.90	6.10 6.00	11.14 11.10
IIl	C_6H_5	CH_3	CH_3	$COOCH_3$	99 65[a]	$C_{21}H_{21}O_3N_3$ (363)	69.42 69.35	5.79 5.70	11.57 11.50
IIm	C_6H_5	CH_3	CH_3	$COOC_2H_5$	103 67[a]	$C_{22}H_{23}O_3N_3$ (377)	70.03 70.00	6.10 6.00	11.14 11.10
IIn	C_6H_5	CH_3	H	$COOCH_3$	127 73[a]	$C_{20}H_{19}O_3N_3$ (349)	68.77 68.70	5.44 5.40	12.03 11.95
IIo	C_6H_5	CH_3	H	$COOC_2H_5$	90 65[a]	$C_{21}H_{21}O_3N_3$ (363)	69.42 69.30	5.79 5.70	11.57 11.45

TABLE I
(*Continued*)

Compound	R^1	R^2	R^3	R^4	M. p., °C Yield, %	Formula (M. w.)	Calculated/Found		
							% C	% H	% N
IIp	$COOCH_3$	H	H	$COOCH_3$	89 63[a]	$C_{15}H_{15}O_5N_3$ (317)	56.78 56.70	4.73 4.65	13.25 13.20
IIq	$COOC_2H_5$	H	H	$COOC_2H_5$	82 68[b]	$C_{17}H_{19}O_5N_3$ (345)	59.13 59.00	5.51 5.45	12.17 12.10
IIr	*m*-$NO_2C_6H_4$	H	H	$COOCH_3$	155 72[c]	$C_{19}H_{16}O_5N_4$ (382)	59.69 59.60	4.19 4.16	14.66 14.60
IIs	*m*-$NO_2C_6H_4$	H	H	$COOC_2H_5$	142 69[c]	$C_{20}H_{18}O_5N_4$ (396)	60.61 60.50	4.55 4.50	14.14 14.10
IIt	*p*-HOC_6H_4	H	CH_3	$COOCH_3$	163 59[d]	$C_{20}H_{19}O_4N_3$ (365)	65.75 65.50	5.75 5.65	11.51 11.45
IIu	*p*-HOC_6H_4	H	CH_3	$COOC_2H_5$	133 61[e]	$C_{21}H_{21}O_4N_3$ (379)	66.49 66.40	5.54 5.50	11.08 11.00
IIv	*p*-HOC_6H_4	H	H	$COOC_2H_5$	108 68[f]	$C_{20}H_{19}O_4N_3$ (365)	65.75 65.70	5.75 5.65	11.51 11.40
IIw	*o*-ClC_6H_4	H	H	$COOCH_3$	138 67[c]	$C_{19}H_{16}O_3N_4Cl$ (383)	59.53 59.45	4.18 4.10	14.62 14.50
IIx	*m*-HOC_6H_4	CH_3	H	$COOC_2H_5$	87 65[g]	$C_{21}H_{21}O_4N_3$ (379)	66.49 66.40	5.54 5.54	11.08 10.85
IIy	3,4-$Cl_2C_6H_3$	H	H	$COOCH_3$	113 64[c]	$C_{19}H_{15}O_3N_3Cl_2$ (403)	56.58 56.50	3.72 3.65	10.42 10.35
IIz	H	H	H	$COOCH_3$	65 75[a]	$C_{13}H_{13}O_3N_3$ (259)	60.23 60.10	5.02 4.90	16.22 16.15

Reaction time: [a] 30 min, [b] 29 min, [c] 25 min, [d] 31 min, [e] 61 min, [f] 68 min, [g] 65 min.

Spectral Data of Compounds *IIa – IIz*

IIa. IR spectrum: 1 740 (C=O); 1 680 (cyclic C=O); 1 625 (C=N); 1 605 (C=C); 1 070 (C–O–C). ^{1}H NMR spectrum: 1.1 t, 3 H (ester CH_3, J = 8); 1.6 d, 3 H (aziridine $-CH_3$ *trans* to quinazolone, J = 5); 1.65 d, 3 H (aziridine $-CH_3$ *cis* to quinazolone, J = 5); 2.18 s, 3 H (quinazolone C–CH_3); 3.11, 3 H (aziridine –CH–$COOC_2H_5$, J = 5); 3.61 dq (aziridine –CH–CH_3, J = 5, J = 2.5); 4.15 q, 2 H ($-OCH_2-$, J = 8); 7.7 m, 4 H (aromatic protons).

IIb. IR spectrum: 1 735 (C=O); 1 675 (C=O); 1 620 (C=N); 1 600 (C=C); 1 060 (C–O–C). ^{1}H NMR spectrum: 1.5 s, 3 H (aziridine $-CH_3$); 2.2 s, 2 H (quinazolone $-CH_3$), 3.02 d, 1 H (aziridine –CH *trans* to quinazolone, J = 3); 3.11 d (aziridine –CH *cis* to quinazolone, J = 3); 3.73 s, 3 H (ester $-OCH_3$ *trans* to quinazolone); 3.83 s, 3 H (ester $-OCH_3$ *cis* to quinazolone), 7.1 – 7.5 m, 4 H (aromatic protons).

IIc. IR spectrum: 1 735 (C=O); 1 675 (C=O); 1 620 (C=N); 1 600 (C=C); 1 070 (C–O–C). ^{1}H NMR spectrum: 1.5 d, 3 H (aziridine $-CH_3$ *trans* to quinazolone); 1.6 d, 3 H (aziridine $-CH_3$ *cis* to quinazolone); 2.18 s, 3 H (quinazolone $-CH_3$); 3.05 s, 1 H (aziridine $-CH_3$ *trans* to quinazolone); 3.13 s, 1 H (aziridine $-CH_3$ *cis* to quinazolone); 3.75 s, 3 H (ester $-OCH_3$ *trans* to quinazolone); 3.83 s, 3 H (ester $-OCH_3$ *cis* to quinazolone), 7.15 – 7.55 m, 4 H (aromatic protons).

IId. IR spectrum: 1 740 (C=O); 1 675 (C=O); 1 620 (C=N); 1 600 (C=C); 1 065 (C–O–C). ^{1}H NMR spectrum: 1.1 t, 3 H (ester $-CH_3$, J = 8); 1.5 s, 3 H (aziridine $-CH_3$ *trans* to quinazolone); 1.65 s, 3 H (aziridine $-CH_3$ *cis* to quinazolone); 2.18 s, 3 H (quinazolone $-CH_3$), 3.02 s, 1 H (aziridine –CH *cis* to quinazolone); 3.15 s, 1 H (aziridine –CH *trans* to quinazolone); 4.18 q (ester $-OCH_2-$, J = 8); 7.1 – 7.6 m, 4 H (aromatic protons).

IIe. IR spectrum: 1 735 (C=O); 1 680 (C=O); 1 632 (C=N); 1 605 (C=C); 1 070 (C–O–C). ^{1}H NMR spectrum: 2.18 s, 3 H (quinazolone $-CH_3$); 3.15 d, 1 H (aziridine –CH *trans* to quinazolone), 3.23 d, 1 H (aziridine –CH *cis* to quinazolone); 3.73 s, 3 H (ester $-OCH_3$ *trans* to quinazolone); 3.83 s, 3 H (ester $-OCH_3$ *cis* to quinazolone); 7.1 – 7.8 m, 9 H (aromatic protons).

IIf. IR spectrum: 1 740 (C=O); 1 675 (C=O); 1 620 (C=N); 1 605 (C=C); 1 070 (C–O–C). ^{1}H NMR spectrum: 1.1 t (ester $-CH_3$, J = 8); 2.18 s, 3 H (quinazolone $-CH_3$); 3.18 d, 1 H (aziridine –CH *trans* to quinazolone); 3.25 d, 1 H (aziridine –CH *cis* to quinazolone); 4.2 d, 2 H (ester $-OCH_2-$, J = 8); 7.0 – 7.8 m, 9 H (aromatic protons).

IIg. IR spectrum: 1 730 (C=O); 1 680 (C=O); 1 625 (C=N); 1 600 (C=C); 1 065 (C–O–C). ^{1}H NMR spectrum: 1.1 t, 3 H (aziridine butyl CH_3); 1.1 – 1.2 m, 4 H (aziridine butyl 2 × CH_2); 2.15 s, 3 H (quinazolone $-CH_3$); 2.5 t, 2 H (aziridine butyl $-CH_2CO-$); 3.15 s, 1 H (aziridine –CH *trans* to quinazolone); 3.27 d, 1 H (aziridine –CH *cis* to quinazolone); 7.0 – 7.8 m, 9 H (aromatic protons).

IIh. IR spectrum: 1 735 (C=O); 1 675 (C=O); 1 620 (C=N); 1 605 (C=C); 1 065 (C–O–C). ^{1}H NMR spectrum: 1.55 s, 3 H (aziridine $-CH_3$ *cis* to quinazolone); 3.3 s, 1 H (aziridine –CH *trans* to quinazolone); 3.5 s, 1 H (aziridine –CH *cis* to quinazolone); 3.73 s, 3 H (ester $-OCH_3$ *trans* to quinazolone); 3.83 s, 3 H (ester $-OCH_3$ *cis* to quinazolone); 7.0 – 7.8 m, 9 H (aromatic protons).

IIi. IR spectrum: 1 740 (C=O); 1 680 (C=O); 1 625 (C=N); 1 595 (C=C); 1 060 (C–O–C). ^{1}H NMR spectrum: 1.1 t, 3 H (ester $-CH_3$, J = 8); 1.55 s, 3 H (aziridine $-CH_3$ *trans* to quinazolone); 1.65 s, 3 H (aziridine $-CH_3$ *cis* to quinazolone); 3.5 s, 1 H (aziridine –CH *cis* to quinazolone); 3.63 s, 1 H (aziridine –CH *trans* to quinazolone); 4.2 q, 2 H (ester $-OCH_2$); 7.0 – 7.8 m, 9 H (aromatic protons).

IIj. IR spectrum: 1 730 (C=O); 1 675 (C=O); 1 620 (C=N); 1 600 (C=C); 1 065 (C–O–C). ^{1}H NMR spectrum: 1.0 t, 3 H (aziridine $-CH_2CH_3$, J = 8); 1.55 q, 2 H (aziridine $-CH_2CH_3$, J = 8); 2.18 s, 3 H (quinazolone $-CH_3$); 3.05 s, 1 H (aziridine –CH *trans* to quinazolone); 3.15 s, 1 H (aziridine $-CHCOOCH_3$ *cis* to quinazolone); 3.78 s, 3 H (ester $-OCH_3$ *trans* to quinazolone); 3.85 s, 3 H (ester $-OCH_3$ *cis* to quinazolone); 7.1 – 7.8 m, 9 H (aromatic protons).

IIk. IR spectrum: 1 735 (C=O); 1 680 (C=O); 1 620 (C=N); 1 605 (C=C); 1 070 (C–O–C). ^{1}H NMR spectrum: 1.0 t, 3 H (aziridine $-CH_2CH_3$, J = 7); 1.1 t (ester $-CH_3$, J = 8); 1.5 q, 2 H (aziridine $-CH_2CH_3$,

J = 8); 2.2 s, 3 H (quinazolone $-CH_3$); 3.1 s, 1 H (CH_3 aziridine $-CH$ *trans* to quinazolone); 3.18 s, 1 H (aziridine $-CH$ *cis* to quinazolone); 4.2 q, 2 H (ester $-OCH_2-$, J = 8); 7.1 – 7.7 m, 9 H (aromatic protons).

IIl. IR spectrum: 1 740 (C=O); 1 680 (C=O); 1 625 (C=N); 1 600 (C=C); 1 070 (C–O–C). ^{1}H NMR spectrum: 1.5 s, 3 H (aziridine $-CH_3$ *trans* to quinazolone); 1.68 s, 3 H (aziridine $-CH_3$ *cis* to quinazolone); 2.15 s, 3 H (quinazolone $-CH_3$); 3.78 s, 3 H (CH_2, ester $-OCH_3$ *trans* to quinazolone); 3.85 s, 3 H (ester $-OCH_3$ *cis* to quinazolone); 7.1 – 7.7 m, 9 H (aromatic protons).

IIm. IR spectrum: 1 735 (C=O); 1 680 (C=O); 1 620 (C=N); 1 605 (C=C); 1 060 (C–O–C). ^{1}H NMR spectrum: 1.1 t, 3 H (CH_3 ester, J = 8); 1.55 s, 3 H (aziridine $-CH_3$ *trans* to quinazolone); 1.63 s, 3 H (aziridine $-CH_3$ *cis* to quinazolone); 2.18 s, 3 H (*cis* to quinazolone $-CH_3$), 4.2 q, 2 H (ester $-OCH_2$, J = 8); 7.0 – 7.8 m, 9 H (aromatic protons).

IIn. IR spectrum: 1 745 (C=O); 1 685 (C=O); 1 625 (C=N); 1 600 (C=C); 1 070 (C–O–C). ^{1}H NMR spectrum: 1.5 s, 3 H (aziridine $-CH_3$ *trans* to quinazolone); 1.63 s, 3 H (aziridine $-CH_3$ *cis* to quinazolone); 2.18 s, 3 H (quinazolone $-CH_3$); 3.05 s, 1 H (aziridine $-CH$ *trans* to quinazolone); 3.15 s, 1 H (aziridine $-CH$ *cis* to quinazolone); 3.79 s, 1 H (ester $-OCH_3$ *trans* to quinazolone); 3.83 s, 3 H (ester $-OCH_3$ *cis* to quinazolone); 7.0 – 7.8 m, 9 H (aromatic protons).

IIo. IR spectrum: 1 740 (C=O); 1 680 (C=O); 1 620 (C=N); 1 605 (C=C); 1 060 (C–O–C). ^{1}H NMR spectrum: 1.1 t, 3 H (ester $-CH_3$, J = 8); 1.35 s, 3 H (aziridine $-CH_3$ *trans* to quinazolone); 1.65 s, 3 H (aziridine $-CH_3$ *cis* to quinazolone); 2.2 s, 3 H (quinazolone $-CH_3$); 3.02 s, 1 H (aziridine $-$**CH** *trans* to quinazolone); 3.18 s, 1 H (aziridine $-$CH$-COOC_2H_5$ *cis* to quinazolone); 3.8 s, 3 H (ester $-OCH_3$ *trans* to quinazolone); 3.87 s, 3 H (ester $-OCH_3$ *cis* to quinazolone); 7.0 – 7.9 m, 9 H (aromatic protons).

IIp. IR spectrum: 1 730 (C=O); 1 680 (C=O); 1 625 (C=N); 1 600 (C=C); 1 070 (C–O–C). ^{1}H NMR spectrum: 3.5 d, 1 H (aziridine $-$**CH** *trans* to quinazolone, J = 5); 3.2 d, 1 H (aziridine $-$CH *cis* to quinazolone, J = 5); 3.8 s, 3 H (ester $-OCH_3$ *trans* to quinazolone); 3.89 s, 3 H (ester $-OCH_3$ *cis* to quinazolone); 7.0 – 7.8 m, 9 H (aromatic protons).

IIq. IR spectrum: 1 735 (C=O); 1 680 (C=O); 1 625 (C=N); 1 605 (C=C); 2 070 (C–O–C). ^{1}H NMR spectrum: 1.1 t, 3 H (ester $-CH_3$, J = 8); 2.18 s, 3 H (quinazolone $-CH_3$); 3.15 d (aziridine $-$**CH** *cis* to quinazolone, J = 5); 4.2 q, 2 H (ester $-OCH_2-$, J = 8); 7.0 – 7.8 m, 9 H (aromatic protons).

IIr. IR spectrum: 1 740 (C=O); 1 685 (C=O); 1 620 (C=N); 1 600 (C=C); 1 060 (C–O–C). ^{1}H NMR spectrum: 2.15 s, 3 H (quinazolone $-CH_3$); 3.15 d, 1 H (aziridine $-$CH$-COOC_2H_5$ *cis* to quinazolone, J = 5); 3.8 s, 3 H (ester $-OCH_3$ *trans* to quinazolone); 3.87 s, 3 H (ester $-OCH_3$ *cis* to quinazolone); 7.0 – 8.4 m, 8 H (aromatic protons).

IIs. IR spectrum: 1 745 (C=O); 1 685 (C=O); 1 620 (C=N); 1 600 (C=C); 1 060 (C–O–C). ^{1}H NMR spectrum: 1.1 t, 3 H (ester $-CH_3$, J = 8); 2.2 s, 3 H (quinazolone); 3.15 d, 1 H (aziridine $-$**CH**$-$ *trans* to quinazolone, J = 5); 3.2 d, 1 H (aziridine $-$CH$-COOC_2H_5$, J = 5); 4.2 q, 2 H (ester $-OCH_2-$, J = 8); 7.0 – 8.6 m, 4 H (aromatic protons).

IIt. IR spectrum: 3 500 – 3 400 (–OH); 1 745 (C=O); 1 680 (C=O); 1 625 (C=N); 1 600 (C=C); 1 060 (C–O–C). ^{1}H NMR spectrum: 1.5 s, 3 H (aziridine $-CH_3$ *trans* to quinazolone); 1.63 s, 3 H (aziridine $-CH_3$ *cis* to quinazolone); 2.15 s, 3 H (quinazolone $-CH_3$); 3.1 d (aziridine $-$**CH**Ar *trans* to quinazolone, J = 4.5); 3.2 d, 1 H (aziridine $-$CH$-COOCH_3$ *cis* to quinazolone, J = 4.5); 3.8 s, 3 H (ester $-OCH_3$ *trans* to quinazolone); 3.87 s, 3 H (ester $-OCH_3$); 7.1 – 7.8 m, 8 H (aromatic protons); 14.5 s, 1 H (exchangable with D_2O, phenolic –OH).

IIu. IR spectrum: 3 500 – 3 400 (–OH); 1 740 (C=O); 1 680 (C=O); 1 620 (C=N); 1 600 (C=C); 1 070 (C–O–C). ^{1}H NMR spectrum: 1.1 t (ester $-CH_3$, J = 8); 1.55 s, 3 H (aziridine *trans* to quinazolone); 1.65 s, 3 H (aziridine $-CH_3$ *cis* to quinazolone); 3.05 s, 1 H (aziridine $-$**CH**$-$ *trans* to quinazolone); 3.15, 1 H (aziridine $-$CH$-COOC_2H_5$); 4.2 q (ester $-OCH_2-$, J = 8); 7.0 – 7.9 m, 8 H (aromatic protons); 14.5 s, 1 H (exchangable with D_2O, phenolic $-$**OH**).

IIv. IR spectrum: 3 550 – 3 450 (–OH); 1 735 (C=O); 1 675 (C=O); 1 625 (C=N); 1 605 (C=C); 1 060 (C–O–C). ^{1}H NMR spectrum: 1.1 t (ester $-CH_3$, J = 8); 2.18 s, 3 H (quinazolone $-CH_3$); 3.05 d, 1 H

(aziridine -CH *trans* to quinazolone, J = 5); 3.2 d, 1 H (aziridine -CHCOOC$_2$H$_5$, J = 5); 4.2 q, 2 H (ester -OCH$_2$, J = 8); 7.0 – 7.8 m, 8 H (aromatic protons); 15.0 s, 1 H (exchangable with D$_2$O, phenolic -OH).

IIw. IR spectrum: 1 730 (C=O); 1 680 (C=O); 1 620 (C=N); 1 600 (C=C); 1 060 (C-O-C); 760 (C-Cl). ^{1}H NMR spectrum: 2.18 s, 3 H (quinazolone -CH$_3$), 3.15 d, 6 H (aziridine -CH *trans* to quinazolone, J = 5); 3.22 d, 1 H (aziridine -CH-COOCH$_3$ *cis* to quinazolone, J = 8); 7.0 – 7.8 m, 8 H (aromatic protons).

IIx. IR spectrum: 3 600 – 3 450 (-OH); 1 740 (C=O); 1 680 (C=O); 1 620 (C=N); 1 605 (C=C); 1 070 (C-O-C). ^{1}H NMR spectrum: 1.65 s, 3 H (aziridine -CH$_3$ *trans* to quinazolone); 3.2 s, 1 H (aziridine, -CHCOOC$_2$H$_5$); 3.8 s, 3 H (ester -OCH$_3$ *trans* to quinazolone); 3.89 s, 3 H (ester -OCH$_3$ *trans* to quinazolone); 7.0 – 7.8 m, 8 H (aromatic protons); 14.5 s, 1 H (exchangable with D$_2$O, phenolic -OH).

IIy. IR spectrum: 1 740 (C=O); 1 680 (C=O); 1 625 (C=N); 1 605 (C=C); 1 060 (C-O-C); 760 (C-Cl). ^{1}H NMR spectrum: 2.18 s, 3 H (quinazolone -CH$_3$); 3.15 d, 1 H (aziridine -CHAr *trans* to quinazolone, J = 5); 3.2 d, 1 H (aziridine -CH-COOCH$_3$, J = 5); 3.8 s, 3 H (ether -OCH$_3$ *trans* to quinazolone); 3.87 s, 3 H (ester -OCH$_3$ *cis* to quinazolone); 7.0 – 8.2 m, 7 H (aromatic protons).

IIz. IR spectrum: 1 740 (C=O); 1 680 (C=O); 1 620 (C=N); 1 600 (C=C); 1 070 (C-O-C). ^{1}H NMR spectrum: 2.18 s, 3 H (quinazolone -CH$_3$); 2.28 d, 1 H (aziridine -CH, J = 5); 3.05 dd (aziridine -CH- *trans* to quinazolone, J = 5, J = 3); 3.1 dd (aziridine -CH *trans* to quinazolone -CH-COOCH$_3$, J = 5, J = 3); 3.78 s, 5 H (ester -OCH$_3$ *trans* to quinazolone); 3.85 s, 5 H (ester -OCH$_3$ *cis* to quinazolone); 7.0 – 7.7 m, 9 H (aromatic protons).

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REFERENCES

1. Akhtar M. H., Begieiter A., Johnson D., Lown J. W., McLaughlin L., Sim S. K.: Can. J. Chem. *53*, 2891 (1975).
2. Hynes J. W., Mattix E., Mitlin N., Borkovec A. B., Lindia O. H.: U. S. Agric. Res. Ser., South Reg. (Rep.) *1976*, 30.
3. Borkovec A. B., Woods C. W., jr.: J. Entomol. *11*, 53 (1977).
4. Van-Ardenno M., Reitnauer P. G.: Arzneim.-Forsch. *27*, 1701 (1977).
5. Beicker U.: Fortschr. Med. *96*, 661 (1976).
6. Boehringer Mannheim G.m.b.H.: Belg. 843803 (1976); Chem. Abstr. *87*, 194233 (1977).