known from literature [10—12], was 80.9 %, 59.4 %, and 84.0 %, respectively.

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Benzothiazole Compounds XLI. Synthesis of 3-Alkoxycarbonyloxymethyl-2-benzothiazolinones

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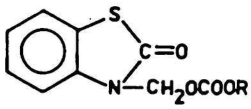
The reaction of 3-hydroxymethyl-2-benzothiazolinone with the esters of chloroformic acid afforded 3-alkoxycarbonyloxymethyl-2-benzothiazolinones. When tested on *Vicia sativa* L., *cv.* Solarka and *Triticum aestivum*, several derivatives showed growth-stimulating effects.

Some derivatives of 3-substituted 2-benzothiazolinones, prepared by alkylation of 2-hydroxybenzothiazole, have evidenced good growth-regulating activity [1, 2]. It was the aim of our work to synthesize 3-alkoxycarbonyloxymethyl-2-benzothiazolinones which we expected to have analogical effects. The starting compound, 3-hydroxymethyl-2-benzothiazolinone was prepared from 2-hydroxybenzothiazole and formaldehyde in ethanol [3]. 3-Hydroxymethyl-2-benzothiazolinone in acetone reacted with the esters of chloroformic acid in the presence of triethylamine affording 3-alkoxycarbonyloxymethyl-2-benzothiazolinones. These compounds dissolved in methanol showed low absorption in the UV spectra at $\lambda = 280$ and 286 nm ($\log \varepsilon \approx 2.4$ m^2 mol^{-1}) and much higher absorption at $\lambda = 216$ nm ($\log \varepsilon \approx 3.4$ m^2 mol^{-1}). The position and intensity of the absorption bands are very little influenced by changing the alkyl in ester group.

The growth-regulating activity of the synthesized compounds (Table 1) was studied on *Vicia sativa* L., *cv.* Solarka and on *Triticum aestivum*. It was found that compounds 3-methoxy- (*I*), 3-ethoxy- (*II*), 3-(2-chloroethoxy)- (*III*), and 3-propargyloxycarbonyloxymethyl-2-benzothiazolinone (*VI*) showed

Table 1. Characterization of the Synthesized Compounds



Compound	R	Formula	M_r	w_i(calc.)/% w_i(found)/% C	H	N	S	Yield/%	M.p./°C Solvent (φ_r)
I	CH_3	$C_{10}H_9NO_4S$	239.25	50.25 50.09	3.79 3.84	5.86 5.79	13.41 13.24	42	77—80 Ether—PE* (1 : 1)
II	C_2H_5	$C_{11}H_{11}NO_4S$	253.25	52.22 52.40	4.37 4.45	5.53 5.47	12.67 12.72	31	71—73 Ether—PE (1 : 1)
III	C_2H_4Cl	$C_{11}H_{10}ClNO_4S$	287.72	45.92 45.86	3.50 3.47	4.86 4.77	11.14 11.31	33	64—66 Ether—PE (1 : 1)
IV	C_3H_7	$C_{12}H_{13}NO_4S$	267.30	53.98 53.68	4.90 4.84	5.24 5.08	12.00 11.99	30	63—65 Ether
V	i-C_3H_7	$C_{12}H_{13}NO_4S$	267.30	53.98 53.60	4.90 4.86	5.24 5.13	12.00 12.15	36	69—72 Ether—PE (1 : 1)
VI	$CH_2C{\equiv}CH$	$C_{12}H_9NO_4S$	263.17	54.75 54.47	3.44 3.41	5.31 5.19	12.17 12.30	31	55—56 Ether—PE (1 : 1)
VII	i-C_4H_9	$C_{13}H_{15}NO_4S$	281.33	55.56 55.26	5.37 5.35	4.97 4.83	11.40 11.43	38	72—74 Ether
VIII	C_6H_{13}	$C_{15}H_{19}NO_4S$	309.38	58.24 58.02	6.16 6.28	4.52 4.64	10.36 10.61	37	Viscous liquid
IX	cyclo-C_5H_9	$C_{14}H_{15}NO_4S$	293.34	57.38 57.24	5.15 5.09	4.78 4.65	10.94 10.93	42	64—66 Ether—PE (1 : 1)
X	C_6H_5	$C_{15}H_{11}NO_4S$	301.32	59.85 59.74	3.68 3.95	4.65 4.97	10.65 10.92	46	38—42 Ether—PE (3 : 2)
XI	$CH_2C_6H_5$	$C_{16}H_{13}NO_4S$	315.35	60.94 61.08	4.15 4.22	4.44 4.21	10.16 10.40	42	43—46 Ether—PE (4 : 1)

*PE — petroleum ether.

good inhibitory activity, particularly on *Vicia sativa*, at a concentration of 10^{-3} mol dm^{-3}. On the other hand, compounds 3-propoxy- (*IV*), 3-isobutoxy- (*VII*), and 3-cyclopentyloxycarbonyloxymethyl-2-benzothiazolinone (*IX*) exhibited highly significant stimulating activity at a concentration of 10^{-7} mol dm^{-3} (Table 2). The level of significance determined for compounds *VII* and *IX* (Table 3) is complementary to the data obtained in the basic screening.

Table 2. Growth-Regulating Activity of the Synthesized Compounds Tested on *Vicia sativa* L., *cv.* Solarka

Compound	Stimulation c mol dm^{-3}	Δl mm	Δl %	Inhibition c mol dm^{-3}	$-\Delta l$ mm	$-\Delta l$ %
I	—	—	—	10^{-3}	22.18	68.46
II	10^{-11}	1.38	4.27	10^{-3}	18.40	56.91
III	10^{-13}	2.42	7.95	10^{-3}	18.62	61.21
IV	10^{-7}	3.17	10.07	10^{-3}	12.18	38.70
V	10^{-5}	2.26	7.74	10^{-3}	1.27	4.35
VI	10^{-7}	2.87	8.80	10^{-3}	28.20	86.50
VII	10^{-7}	2.01	9.14	10^{-3}	2.14	10.90
IX	10^{-7}	4.00	14.66	10^{-3}	5.66	16.95
IAA	10^{-12}	3.10	12.99	10^{-6}	18.55	77.78
2,4-D	10^{-9}	4.95	20.00	10^{-5}	23.30	94.15
CCC	—	—	—	10^{-3}	3.85	11.23

The results of the tests on *Triticum aestivum* are different. The compounds showed markedly lower inhibitory activity. No stimulating activity at concentrations 10^{-3}—10^{-11} mol dm^{-3} was found for 3-isobutoxy- (*VII*) as well as for 3-isopropoxycarbonyloxymethyl-2-benzothiazolinone (*V*). Compounds 3-hexyloxy- (*VIII*) and 3-benzyloxycarbonyloxymethyl-2-benzothiazolinone (*XI*) showed highly significant stimulating activity and no inhibitory effects (Table 4). However, they were not tested on *Vicia sativa* L.

Table 3. Levels of Significance in the Tests of Compounds *VII* and *IX* on *Vicia sativa* L., *cv.* Solarka

Compound	c mol dm^{-3}	l/mm $\bar{x}$ $s(\bar{x})$	t C	t 10^{-11}	t 10^{-7}
VII	C	27.89 ± 0.72			
	10^{-11}	29.73 ± 0.91	1.586		
	10^{-7}	30.44 ± 0.83	2.321	0.576	
	10^{-3}	27.64 ± 0.55	0.276	1.965	3.808^{++}
IX	10^{-11}	30.90 ± 0.99	2.459		
	10^{-7}	31.98 ± 1.14	3.033^{+}	0.715	
	10^{-3}	23.44 ± 1.21	3.031^{+}	4.487^{++}	5.137^{++}

l – growth of roots for 24 h; $\bar{x}$ – arithmetical mean; $s(\bar{x})$ – standard error of arithmetical mean; t – significance; C – control; P = 0.05 – level of significance^{+} (2.78); P = 0.01 – level of high significance^{++} (3.75).

Table 4. Growth-Regulating Activity of the Synthesized Compounds Tested on *Triticum aestivum*

Compound	Stimulation			Inhibition		
	c / mol dm^{-3}	Δl / mm	Δl / %	c / mol dm^{-3}	$-\Delta l$ / mm	$-\Delta l$ / %
I	10^{-9}	0.22	4.68	10^{-3}	1.22	28.90
II	10^{-7}	0.24	5.10	10^{-3}	0.89	18.94
III	10^{-5}	0.46	10.24	10^{-3}	0.56	12.48
IV	10^{-13}	0.26	5.53	10^{-3}	1.12	23.83
V	–	–	–	10^{-3}	0.76	16.18
VI	10^{-5}	0.36	8.01	10^{-3}	1.14	25.39
VII	–	–	–	10^{-3}	0.62	13.81
VIII	10^{-3}	0.77	14.86	–	–	–
IX	10^{-5}	0.08	1.78	10^{-3}	0.28	6.24
X	10^{-5}	0.34	7.76	10^{-3}	0.25	5.71
XI	10^{-5}	0.78	15.05	–	–	–
IAA	10^{-5}	5.93	100.33	10^{-3}	2.77	46.72
2,4-D	10^{-5}	2.56	51.09	10^{-3}	2.01	40.12
CCC				10^{-3}	1.75	32.35

EXPERIMENTAL

Melting points of the prepared compounds were determined on a Kofler block and are, together with elemental analysis data, presented in Table 1. UV spectra were measured on an instrument 8452 A (Hewlett—Packard) in methanol ($c = 5 \times 10^{-5}$ mol dm^{-3}). The esters of chloroformic acid were synthesized from phosgene and alcohols [4].

Biological effects of the synthesized compounds were compared with growth-regulating activity of well known phytohormones (plant-growth regulators), β-indolylacetic acid (IAA) and 2,4-dichlorophenoxyacetic acid (2,4-D). The growth-regulating activity was assessed using a method [5] of stimulation and inhibition of root growth in *Vicia sativa* L., *cv.* Solarka and a coleoptile test for *Triticum aestivum* [6]. The inhibitory effects were compared with 2-chloroethyltrimethylammonium chloride (CCC). The tests were accomplished in five concentrations in the range of 10^{-3}—10^{-13} mol dm^{-3}.

3-Alkoxycarbonyloxymethyl-2-benzothiazolinones *I*—*XI*

To the solution of 3-hydroxymethyl-2-benzothiazolinone (18.1 g; 0.1 mol) in absolute acetone or THF (80 cm^3) triethylamine (10.1 g; 0.1 mol) was added. Then alkyl chloroformate (9.4 g; 0.1 mol) was added dropwise with stirring. The reaction mixture was refluxed for 2 h and two thirds of the solvent were distilled off. The remainder was poured into ice water and the synthesized compound was extracted with ether. The ethereal solution was dried, concentrated to the volume of 60 cm^3 and the same amount of petroleum ether was added. The products crystallized on cooling.

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