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SYNTHESIS OF SOME NEW 3-ARYLOXYMETHYL-4-PHENYL-5-(N-SUBSTITUTED CARBOXAMIDOMETHYL THIO)-s-TRIAZOLES

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3-Aryloxymethyl-4-phenyl-5-mercapto-s-triazoles (1_{a-c}) have been synthesized and reacted with N-chloroacetyl derivatives of aromatic and/or heterocyclic amines to yield 5-(N-aryl/heterocyclyl)-carboxamidomethyl thio-s-triazole derivatives 2_{a-u} and 3_{a-i} respectively. Reaction of 1_{a-c} with ethyl chloroacetate gave the corresponding esters 4_{a-c} which were reacted with hydrazine hydrate to give hydrazides 5_{a-c} . Condensation of 5_{a-c} with aromatic aldehydes gave Schiff's bases 6_{a-u} with on cycloaddition reaction with thioglycolic acid yielded 4-thiazolidinones 7_{a-g} . Some of these compounds were screened in vitro for their antibacterial activities.

Key words: Synthesis; thio-s-triazoles; thiazoles; mercaptoesters; hydrazines; hydrazones and thiazolidinones

INTRODUCTION

The importance of triazoles, thiazolidinones and amides in medicinal chemistry is well known. Triazoles and simple amides have pronounced anticonvulsant properties.¹⁻³ Also, thiazolidinone derivatives are known for anticonvulsant and tuberclostatic effects.⁴⁻⁷ In view of these observations, we have synthesized the title compounds containing s-triazole and 4-thiazolidinone moieties in order to enhance the anticonvulsant and tuberclostatic properties.

RESULTS AND DISCUSSION

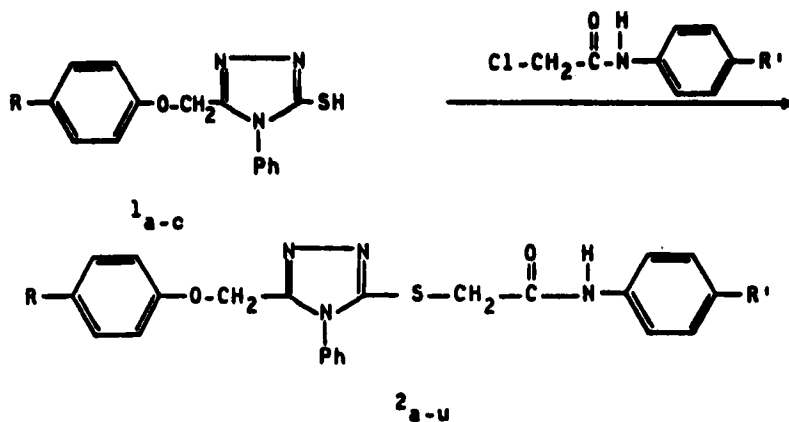
The present report deals with the synthesis of 3-aryloxymethyl-4-phenyl-5-(N-substituted)carboxamidomethyl thio-s-triazoles (2_{a-u} , 3_{a-i} , 5_{a-c} , 6_{a-u} and 7_{a-g}). Some of these compounds have been screened in vitro for antimicrobial activity.

The 3-aryloxymethyl-4-phenyl-5-mercapto-s-triazoles (1_{a-c}) were prepared according to Sen Gupta.⁸ Reaction of 1_{a-c} with N-chloroacetyl derivative of aromatic amines by refluxing in dry acetone containing anhydrous pot. carbonate gave the corresponding 5-(N-aryl)-carboxamidomethyl thio-s-triazole derivatives 2_{a-u} .

Similarly, triazoles 1_{a-c} reacted smoothly with N-chloroacetyl derivative of 2-aminothiazoles to give 5-[N-(4-arylthiazol-2-yl)] carboxamidomethyl thio-s-triazole derivatives 3_{a-i} in good yields.

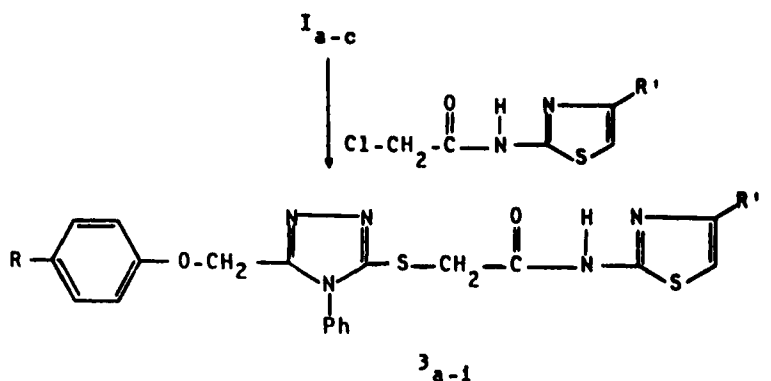
Interaction of triazoles 1_{a-c} with ethyl chloroacetate in alcoholic sodium hydroxide solution gave the corresponding esters 4_{a-c} which underwent further reaction with

[†] Author to whom correspondence should be addressed.



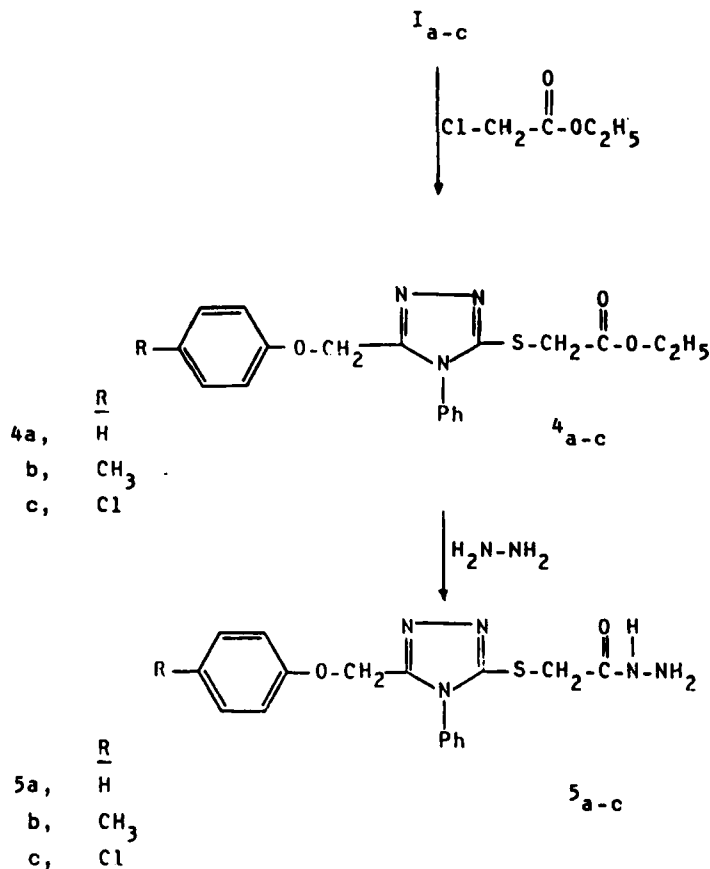
	<u>R</u>	<u>R'</u>
2a,	H	H
b,	H	CH ₃
c,	H	OCH ₃
d,	H	Cl
e,	H	NO ₂
f,	H	COCH ₃
g,	H	COOH
h,	CH ₃	H
i,	CH ₃	CH ₃
j,	CH ₃	OCH ₃
k,	CH ₃	Cl

	<u>R</u>	<u>R'</u>
2l,	CH ₃	NO ₂
m,	CH ₃	COCH ₃
n,	CH ₃	COOH
o,	Cl	H
p,	Cl	CH ₃
q,	Cl	OCH ₃
r,	Cl	Cl
s,	Cl	NO ₂
t,	Cl	COCH ₃
u,	Cl	COOH



	<u>R</u>	<u>R'</u>
3a,	H	C ₆ H ₅ -
b,	H	p-CH ₃ -C ₆ H ₄ -
c,	H	p-Cl-C ₆ H ₄ -
d,	CH ₃	C ₆ H ₅ -
e,	CH ₃	p-CH ₃ -C ₆ H ₄ -

	<u>R</u>	<u>R'</u>
f,	CH ₃ -	p-Cl-C ₆ H ₄ -
g,	Cl	C ₆ H ₅ -
h,	Cl	p-CH ₃ -C ₆ H ₄ -
i,	Cl	p-Cl-C ₆ H ₄ -



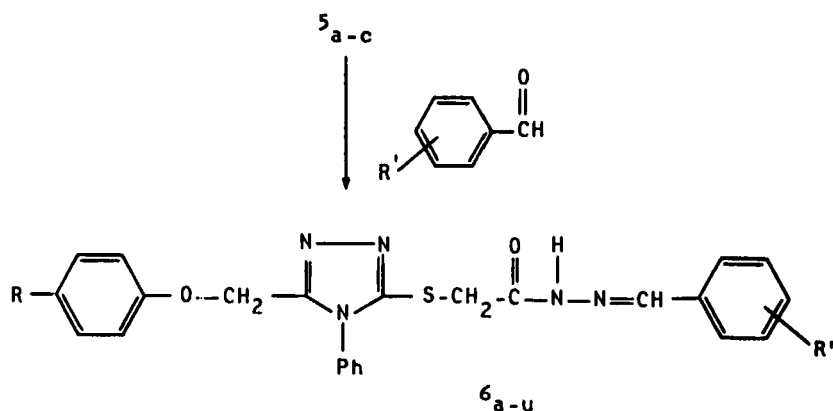
hydrazine hydrate in refluxing ethanol to afford (3-aryloxymethyl-4-phenyl-s-triazol-5-yl)thio acetylhydrazides (5_{a-c}).

Condensation of 5_{a-c} with aromatic aldehydes gave hydrazones 6_{a-u}. Cycloaddition of (3-*p*-tolylloxymethyl-4-phenyl-s-triazol-5-yl)thio acetyl hydrazones 6_{a-u} with thio-glycolic acid by refluxing in dry benzene gave thiazolidinone derivatives 7_{a-g}.

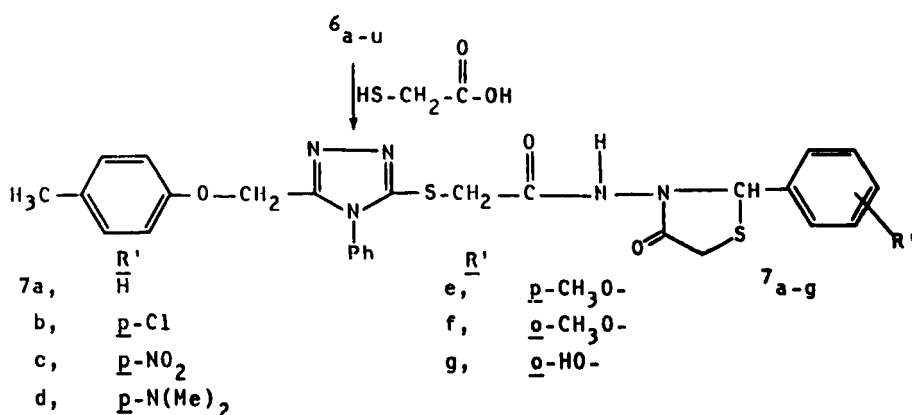
The structure of all synthesized compounds was confirmed on the basis of their elemental analysis (Table I). IR spectra (Table II) and ¹H NMR spectra (Table III).

The antibacterial activities of some selective compounds were studied by screening in vitro against a variety of Gram-positive and Gram-negative bacteria namely: *Bacillus cereus*, *Micrococcus luteus*, *Micrococcus roseus*, *Staphylococcus citreus*, *Escherichia coli*, *Serratia rhodnii* and *Pseudomonas aeruginosa* (Table IV).

It was found that all of these compounds possess weak to strong activities (inhibition zones ranged from 10–80 mm) against *E. coli* but inactive against *M. luteus*. Most of the tested compounds showed strong effects (inhibition zones ranged from 30–80 mm) against *M. roseus*, *S. citreus* and *P. aeruginosa*. Only few compounds showed a mild activities (inhibition zones ranged from 5–30 mm) against *B. cereus* and *S. rhodnii*.



	\underline{R}	\underline{R}'		\underline{R}	\underline{R}'
6a,	H	H	k,	CH ₃	$\underline{p}\text{-N(Me)}_2$
b,	H	$\underline{p}\text{-Cl}$	l,	CH ₃	$\underline{p}\text{-CH}_3\text{O}$
c,	H	$\underline{p}\text{-NO}_2$	m,	CH ₃	$\underline{o}\text{-CH}_3\text{O}$
d,	H	$\underline{p}\text{-N(Me)}_2$	n,	CH ₃	$\underline{o}\text{-HO}$
e,	H	$\underline{p}\text{-CH}_3\text{O}$	o,	Cl	H
f,	H	$\underline{o}\text{-CH}_3\text{O}$	p,	Cl	$\underline{p}\text{-Cl}$
g,	H	$\underline{o}\text{-HO}$	q,	Cl	$\underline{p}\text{-NO}_2$
h,	CH ₃	H	r,	Cl	$\underline{p}\text{-N(Me)}_2$
i,	CH ₃	$\underline{p}\text{-Cl}$	s,	Cl	$\underline{p}\text{-CH}_3\text{O}$
j,	CH ₃	$\underline{p}\text{-NO}_2$	t,	Cl	$\underline{o}\text{-CH}_3\text{O}$
			u,	Cl	$\underline{o}\text{-HO}$



EXPERIMENTAL

All melting points are uncorrected. The IR spectra were recorded on PYE UNICAM SP 3-100 Spectrophotometer using KBr disc technique. The $^1\text{H-NMR}$ spectra were recorded on Varian EM-390 90 MHz NMR spectrometer using CDCl_3 or DMSO-d_6 as solvents and TMS as internal standard. Elemental analysis was carried out by elemental analyzer 240 c.

Physical and analytical data of the new synthesized compounds are given in Table I.

TABLE I
Physical and analytical data of the prepared compounds 2_{a-u}–7_{a-g}

Compound No.	M.P. (°C)	Yield %	Formula	Calcd. %					Found %				
				C	H	N	S	Cl	C	H	N	S	Cl
2a	134	79	C ₂₃ H ₂₀ N ₄ O ₂ S	66.33	4.84	13.45	7.70	—	66.40	4.79	13.62	7.88	—
b.	148	86	C ₃₄ H ₃₂ N ₄ O ₂ S	66.96	5.15	13.01	7.45	—	67.09	5.11	13.13	7.50	—
c.	189	84	C ₂₄ H ₂₂ N ₄ O ₃ S	64.56	4.97	12.55	7.18	—	64.08	5.00	12.80	7.32	—
d.	164	88	C ₃₃ H ₁₉ N ₄ O ₂ SCl	61.26	4.25	12.42	7.11	7.86	61.32	4.10	12.65	7.09	7.60
e.	159	90	C ₂₃ H ₁₉ N ₄ O ₄ S	59.86	4.41	15.18	6.95	—	59.90	4.60	15.00	7.15	—
f.	166	83	C ₃₈ H ₃₂ N ₄ O ₃ S	65.49	4.84	12.22	6.99	—	65.76	4.80	12.06	7.12	—
g.	231	80	C ₂₄ H ₂₀ N ₄ O ₄ S	62.60	4.38	12.17	6.96	—	62.57	4.27	12.02	7.21	—
h.	152	82	C ₃₄ H ₃₂ N ₄ O ₂ S	66.96	5.15	13.01	7.45	—	77.00	5.13	13.19	7.57	—
i.	154	80	C ₂₅ H ₂₄ N ₄ O ₂ S	67.55	5.44	12.60	7.21	—	67.35	5.26	12.14	7.38	—
j.	182	83	C ₃₅ H ₃₄ N ₄ O ₃ S	65.20	5.25	12.17	6.96	—	65.25	5.47	12.00	6.81	—
k.	159	86	C ₂₄ H ₂₁ N ₄ O ₂ SCl	62.00	4.55	12.05	6.90	7.62	61.89	4.24	12.11	6.98	7.50
l.	201	90	C ₃₄ H ₃₁ N ₄ O ₄ S	60.62	4.45	14.73	6.74	—	60.60	4.50	14.83	6.92	—
m.	173	85	C ₂₆ H ₂₄ N ₄ O ₃ S	66.09	5.12	11.86	6.78	—	66.00	5.24	11.95	6.87	—
n.	250	90	C ₃₈ H ₃₂ N ₄ O ₄ S	63.28	4.67	11.81	6.76	—	63.71	4.62	11.86	6.72	—
o.	190	80	C ₂₃ H ₁₉ N ₄ O ₂ SCl	61.26	4.25	12.42	7.11	7.86	61.34	4.37	12.80	7.01	7.69
p.	166	82	C ₃₄ H ₃₁ N ₄ O ₂ SCl	62.00	4.55	12.05	6.90	7.62	62.50	4.51	12.06	7.16	7.95
q.	164	87	C ₂₄ H ₂₁ N ₄ O ₃ SCl	59.93	4.40	11.65	6.67	7.37	59.73	4.43	11.25	6.31	7.42
r.	180	80	C ₃₃ H ₁₈ N ₄ O ₂ SCl ₂	56.91	3.74	11.54	6.61	14.61	57.03	3.80	11.63	6.70	14.86
s	160	90	C ₂₃ H ₁₈ N ₄ O ₄ SCl ₂	55.17	3.66	14.12	6.46	7.15	55.49	3.76	14.00	6.36	7.16
t.	274	85	C ₂₅ H ₂₁ N ₄ O ₃ SCl	60.91	4.29	11.36	6.50	7.19	61.32	4.25	11.40	6.55	7.20
u.	268	84	C ₂₄ H ₁₉ N ₄ O ₄ SCl	58.24	3.87	11.32	6.48	7.16	58.28	3.90	11.52	6.69	7.00
3a	246	76	C ₂₆ H ₂₁ N ₄ O ₂ S ₂	62.51	4.24	14.02	12.83	—	62.73	4.45	14.00	12.69	—
b	230	74	C ₂₇ H ₂₃ N ₄ O ₂ S ₂	63.14	4.51	13.64	12.48	—	63.28	4.49	13.70	12.62	—
c	243	76	C ₂₆ H ₂₀ N ₄ O ₂ S ₂ Cl	58.48	3.77	13.11	12.01	6.64	58.32	3.90	13.17	12.27	6.57
d	264	74	C ₂₇ H ₂₃ N ₄ O ₂ S ₂	63.14	4.51	13.64	12.48	—	63.11	4.50	13.77	12.72	—
e	226	75	C ₂₈ H ₂₅ N ₄ O ₂ S ₂	63.74	4.78	13.27	12.15	—	63.96	4.77	13.15	12.13	—
f	265	78	C ₂₇ H ₂₂ N ₄ O ₂ S ₂ Cl	59.17	4.05	12.78	11.70	6.47	59.12	4.11	12.90	11.59	6.40
g	240	75	C ₂₆ H ₂₀ N ₄ O ₂ S ₂ Cl	58.48	3.77	13.11	12.01	6.64	58.32	3.88	13.06	12.24	6.47
h	265	77	C ₂₇ H ₂₂ N ₄ O ₂ S ₂ Cl	59.17	4.05	12.78	11.70	6.47	59.37	4.17	12.85	11.74	6.65
i	270	80	C ₂₆ H ₁₉ N ₄ O ₂ S ₂ Cl ₂	54.93	3.37	12.32	11.28	12.47	55.05	3.42	12.50	11.57	12.56
4a	80	88	C ₁₉ H ₁₉ N ₃ O ₃ S	61.77	5.18	11.37	8.68	—	61.37	5.12	11.50	8.60	—
b.	99	86	C ₂₀ H ₂₁ N ₃ O ₃ S	62.65	5.52	10.96	8.36	—	62.48	5.62	10.72	8.51	—
c.	95	84	C ₁₉ H ₁₈ N ₃ O ₃ SCl	56.51	4.49	10.40	7.94	8.78	56.75	4.59	10.48	7.85	8.93

TABLE I (Continued)

Compound No.	M.P. (°C)	Yield %	Formula	Calcd. %					Found %				
				C	H	N	S	Cl	C	H	N	S	Cl
5a	50	92	C ₁₇ H ₁₇ N ₅ O ₂ S	57.45	4.82	19.71	9.02	—	57.63	4.80	19.91	9.00	—
b.	56	95	C ₁₈ H ₁₉ N ₅ O ₂ S	58.52	5.18	18.96	8.68	—	58.33	5.38	18.80	8.88	—
c.	68	96	C ₁₇ H ₁₆ N ₅ O ₂ SCl	52.38	4.14	17.96	8.22	9.09	52.51	4.16	17.78	8.37	9.00
6a	138	80	C ₂₄ H ₂₁ N ₅ O ₂ S	65.00	4.77	15.79	7.23	—	65.41	4.90	15.29	7.40	—
b.	184	85	C ₂₄ H ₂₀ N ₅ O ₂ SCl	60.31	4.22	14.65	6.71	7.42	60.21	4.61	14.85	7.00	7.22
c.	195	90	C ₂₄ H ₂₀ N ₆ O ₄ S	59.01	4.13	17.20	6.56	—	59.31	4.16	17.69	6.36	—
d.	118–9	81	C ₂₆ H ₂₆ N ₆ O ₂ S	64.18	5.39	17.27	6.59	—	64.68	5.70	17.11	6.72	—
e.	205	87	C ₂₅ H ₂₃ N ₅ O ₃ S	63.41	4.90	14.80	6.77	—	63.53	4.66	14.90	7.01	—
f.	175	84	C ₂₅ H ₂₃ N ₅ O ₃ S	63.41	4.90	14.80	6.77	—	63.90	4.82	14.71	6.52	—
g.	180	82	C ₂₄ H ₂₁ N ₅ O ₃ S	62.73	4.61	15.24	6.98	—	62.69	4.58	15.60	6.91	—
h.	135	85	C ₂₅ H ₂₃ N ₅ O ₃ S	65.58	5.06	15.30	7.00	—	65.77	5.07	15.36	6.89	—
i.	176	92	C ₂₅ H ₂₃ N ₅ O ₂ Cl	60.99	4.50	14.23	6.51	7.20	60.68	4.68	14.39	6.36	7.33
j.	204	92	C ₂₅ H ₂₃ N ₆ O ₄ S	59.72	4.41	16.71	6.38	—	59.45	4.59	16.82	6.67	—
k.	165	81	C ₂₇ H ₂₆ N ₆ O ₂ S	64.78	5.64	16.79	6.40	—	64.56	5.61	16.90	6.52	—
l.	231	92	C ₂₆ H ₂₅ N ₅ O ₃ S	62.72	5.16	14.35	6.57	—	62.81	5.19	14.61	6.22	—
m.	171	85	C ₂₆ H ₂₅ N ₅ O ₃ S	62.72	5.16	14.35	6.57	—	62.72	5.14	14.24	6.58	—
n.	184	83	C ₂₅ H ₂₃ N ₅ O ₃ S	63.41	4.90	14.79	6.77	—	63.12	4.86	14.70	6.92	—
o.	173	86	C ₂₄ H ₂₀ N ₅ O ₂ SCl	60.31	4.22	14.65	6.71	7.42	60.18	4.27	14.82	6.55	7.39
p.	175	90	C ₂₄ H ₁₉ N ₅ O ₂ SCl ₂	56.27	3.74	13.67	6.26	13.84	56.18	3.77	13.80	6.12	13.75
q.	207	93	C ₂₄ H ₁₉ N ₆ O ₂ SCl	55.12	3.66	16.07	6.13	6.78	55.00	3.60	6.13	6.00	6.29
r.	190	86	C ₂₆ H ₂₅ N ₆ O ₂ SCl	59.94	4.84	16.13	6.15	6.80	59.64	4.81	16.29	6.29	6.98
s.	224	90	C ₂₅ H ₂₂ N ₅ O ₃ SCl	59.11	4.37	13.79	6.31	6.98	59.33	4.45	13.85	6.13	7.40
t.	180	84	C ₂₅ H ₂₂ N ₅ O ₃ SCl	59.11	4.37	13.79	6.31	6.98	59.00	4.51	13.87	6.22	6.83
u.	196	92	C ₂₄ H ₂₀ N ₅ O ₃ SCl	58.36	4.08	14.18	6.49	7.18	58.70	4.09	14.51	6.52	7.23
7a	147	79	C ₂₇ H ₂₅ N ₅ O ₃ S ₂	61.00	4.74	13.17	12.06	—	61.11	4.73	13.50	12.14	—
b.	186	82	C ₂₇ H ₂₄ N ₅ O ₃ S ₂ Cl	57.28	4.27	12.37	11.33	6.26	57.50	4.31	12.19	11.50	6.28
c.	135	75	C ₂₇ H ₂₄ N ₆ O ₄ S	56.24	4.20	14.57	11.12	—	56.29	4.16	14.77	11.00	—
d.	233	85	C ₂₉ H ₃₀ N ₆ O ₃ S ₂	60.61	5.26	14.62	11.16	—	60.70	5.25	14.72	11.05	—
e.	170	80	C ₂₈ H ₂₇ N ₅ O ₄ S	59.88	4.85	12.47	11.42	—	59.80	4.86	12.40	11.30	—
f.	180	83	C ₂₈ H ₂₇ N ₅ O ₄ S ₂	59.88	4.85	12.47	11.42	—	60.00	4.76	12.32	11.21	—
g.	209	86	C ₂₇ H ₂₅ N ₅ O ₄ S ₂	59.22	4.60	12.79	11.71	—	59.39	4.71	12.96	11.50	—

TABLE II
IR bands of the prepared compounds in cm^{-1}

Assignment				
Compd. No.	$\nu\text{C}=\text{O}$	νNH	$\nu\text{C}-\text{S}-\text{C}$	$\nu\text{C}=\text{N}$
2 _{u-u}	1 700-1 660	3 300-3 320		1 600
3 _{u-u}	1 700-1 660	3 200-3 120		1 600
4 _{u-c}	1 730		1 400	1 600
5 _{u-c}	1 670	3 180 3 280 (NH_2)	1 410	1 600
6 _{u-u}	1 690-1 665	3 240-3 100		1 600 1 610
7 _{u-g}	1 690-1 665($\text{C}=\text{O}$) 1 720-1 710($\text{C}=\text{O}$ of thiazolidinone ring).	3 250-3 110		1 600

Synthesis of 3-Aryloxymethyl-4-phenyl-5-mercapto-s-triazoles (1_{u-c}). These compounds were prepared according to the literature method.⁸

Synthesis of 3-Aryloxymethyl-4-phenyl-5-(N-aryl)carboxamidomethyl thio-s-triazoles (2_{u-u}). A suspension of 1_{u-c} (0.01 mole), N-chloroacetyl derivative of aromatic amines (0.01 mole) and anhydrous pot. carbonate (2 g) in 50 ml of dry acetone was heated under reflux with stirring for 3-5 hrs. The hot solution was filtered, evaporated to dryness and the solid residue was recrystallized from ethanol to give compounds 2_{u-u}.

Synthesis of 3-Aryloxymethyl-4-phenyl-5-[N-(4'-aryl-thiazol-2'-yl)]carboxamidomethyl thio-s-triazoles (3_{u-c}). These compounds were synthesized analog the method above by reaction of 1_{u-c} with N-chloroacetyl derivative of 4-substituted-2-aminothiazoles. The products were recrystallized from dioxane to give white crystalline compounds 2_{u-c}.

Synthesis of Ethyl(3-aryloxymethyl-4-phenyl-s-triazol-5-yl)-thio acetates (4_{u-c}). To a solution of 1_{u-c} (0.01 mole) in alcoholic sodium hydroxide (4%, 30 ml), 0.01 mole of ethyl chloroacetate was added. The reaction mixture was refluxed on a water bath for 10 min. The crude products were isolated after cooling with ice and recrystallized from benzene/pet. ether (60-80) mixture to give compounds 4_{u-c}.

Synthesis of (3-Aryloxymethyl-4-phenyl-s-triazol-5-yl)thio acethydrazides (5_{u-c}). A mixture of 4_{u-c} (0.01 mole) and hydrazine (0.01 mole) in 20 ml absolute ethanol were refluxed for 4 hrs. The reaction mixture was cooled in an ice bath and diluted with 50 ml water. The crystalline product was filtered off and recrystallized from dil. alcohol as colourless plates.

Synthesis of 3-Aryloxymethyl-4-phenyl-s-triazol-5-ylthio-(N'-benzylidene)acethydrazides (6_{u-u}). To a solution of 5_{u-c} (0.01 mole) in absolute ethanol (30 ml), an ethanolic solution of appropriate aldehyde (0.01 mole) was added. The resulting mixture was refluxed for about 4 hrs. The product separated on cooling; it was filtered and recrystallized from the proper solvent (Ethanol and/or Dioxane).

Synthesis of 3-p-Tolyloxymethyl-4-phenyl-5[N(2-aryl)-thiazolidin-4-on-3-yl]carboxamidomethyl thio-s-triazoles (7_{u-g}). A mixture of Schiff's base 6_{u-m} (0.01 mole) and thioglycolic acid (0.12 mole) in dry benzene (100 ml) was refluxed for 30 hrs using Dean and Stark water-separator. The solvent was removed by distillation under reduced pressure. The solid residue was treated with sod. bicarbonate sol., filtered and crystallized from ethanol to give colourless needles of compounds 7_{u-g}.

Biological Screening. The bacteriostatic activity of six selected compounds was screened in Vitro against a number of bacteria, namely *Bacillus cereus*, *Micrococcus luteus*, *Micrococcus roseus*, *citreus*, *Escherichia coli*, *Serratia rhodnii* and *Pseudomonas aeruginosa*.

The biological activity was tested by the usual cup plate agar diffusion technique.^{9,10} 10^{-5} M solutions of these compounds in dimethyl formamide were prepared. The dishes were left to stand in a refrigerator at 4-8°C for 0.5 hr to allow diffusion of the solutions and were then incubated at 28°C for 36 hrs. The inhibition zones were measured with the callipers.

TABLE III
¹H-NMR spectra of representative examples of the prepared compounds (chemical shifts in δppm)

Compound No.	Solvent	Aromatic protons (m)	—O—CH ₂ — (s)	—S—CH ₂ — (s)	
2 _a	CDCl ₃	6.55–7.55(15H)	4.95	3.80	
2 _i	CDCl ₃	6.55–8.20(13H)	4.95	4.20	2.20(s, 3H of CH ₃ group)
2 _i	DMSO-d ₆	6.50–7.80(13H)	4.85	3.85	2.40(s, 3H of —CH ₃ group)
3 _d	DMSO-d ₆	6.50–7.80(14H)	4.85	4.10	2.05(s, 3H of —CH ₃ group)
4 _a	CDCl ₃	6.65–7.50(10H)	5.00	4.00	1.15–1.40(t, 3H of CH ₃ group of ester)
5 _a	DMSO-d ₆	6.70–7.50(10H)	5.00	3.90	4.10–4.30(q, 2H of CO—O—CH ₂ group). 9.30(s, 1H of —CONH— and exchangeable with D ₂ O) 4.30(d, 2H of —NH ₃ group and exchangeable with D ₂ O)
6 _i	CDCl ₃	6.60–7.60(13H)	4.95	4.85	2.20(s, 3H of —CH ₃ group)
7 _b	CDCl ₃	6.60–7.50(13H)	4.85	4.00	2.20(s, 3H of —CH ₃ group) 3.30–3.50(t, 2H of CH ₂ —thiazolidinone) 5.70(s, 1H of —CH at C—7) 9.40(s, 1H of —NH and exchangeable with D ₂ O).

TABLE IV
 Antibacterial activity of some prepared compounds (inhibition zones in mm)

Compound No.	Molecular formula	Bacillus cereus	Micro. luteus	Micro. roseus	Staph. citreus	Escher. coli	Serratia rhodnii	Pesud. aeruginosa
2a	C ₂₃ H ₃₀ N ₄ O ₂ S	—ve	—ve	—ve	5	5	—ve	10
d	C ₂₃ H ₁₆ N ₄ O ₂ SCl	—ve	—ve	—ve	10	20	—ve	15
i	C ₂₅ H ₂₄ N ₄ O ₂ S	—ve	—ve	—ve	5	10	—ve	—ve
3a	C ₂₆ H ₂₁ N ₅ O ₂ S ₂	10	—ve	15	20	30	5	5
c	C ₂₆ H ₃₀ N ₅ O ₂ S ₂ Cl	—ve	—ve	5	40	25	5	40
i	C ₂₆ H ₁₆ N ₅ O ₂ S ₂ Cl ₂	10	—ve	—ve	25	30	—ve	—ve
5c	C ₁₇ H ₁₆ N ₅ O ₂ SCl	30	—ve	80	20	30	—ve	40
6i	C ₂₅ H ₂₃ N ₅ O ₂ Cl	—ve	5	50	10	40	5	50
q	C ₂₄ H ₁₉ N ₆ O ₂ SCl	10	—ve	30	—ve	25	—ve	20
7b	C ₂₇ H ₂₄ N ₅ O ₂ S ₂ Cl	—ve	—ve	20	—ve	20	—ve	15
d	C ₂₉ H ₃₀ N ₆ O ₃ S ₂	—ve	—ve	—ve	—ve	10	—ve	5
e	C ₂₈ H ₂₇ N ₅ O ₄ S	—ve	—ve	5	20	10	—ve	10
f	C ₂₈ H ₂₇ N ₅ O ₄ S ₂	10	—ve	70	25	50	—ve	80

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