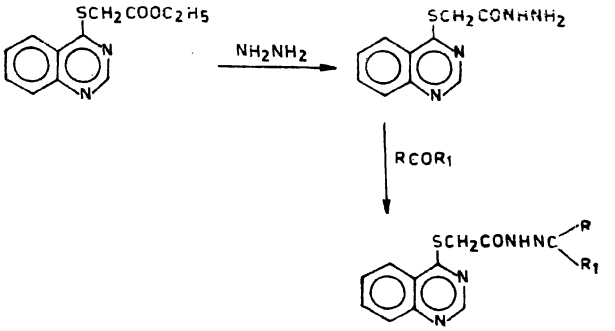

Scheme 1

Synthesis and Biological Activities of Quinazoline-4-thioglycolic Acid Hydrazones

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HYDRAZONES exhibit various biological activities[1]. Present paper reports the synthesis of hydrazones from quinazoline 4-thioglycollic acid hydrazide and aldehydes or ketones (Scheme 1). All the compounds have been screened for their antimicrobial activities.

Experimental

The compounds were characterised by elemental analysis and ir spectral data (Table 1). M ps. were taken in open capillary tubes and are uncorrected.

TABLE 1—PHYSICAL DATA OF THE HYDRAZONES*

Sl. no.	R	R_1	M.p. °C	Yield %	Colour
1.	CH_3	CH_3	235	30	Yellow
2.	CH_3	CH_3COCH_3	204	80	Yellow
3.	CH_3	$CH_2C_6H_5$	196	50	Pale yellow
4.	H	$CH=CHC_6H_5$	174	75	Yellow
5.	C_6H_5	C_6H_5	186	40	Yellow
6.	C_2H_5	C_6H_5	159	50	Yellow
7.	H	*o*-$NO_2C_6H_5$	205	70	Yellow
8.	H	*m*-$NO_2C_6H_5$	185-88	65	Orange
9.	H	*p*-$NO_2C_6H_5$	243	80	Orange
10.	H	*o*-ClC_6H_5	204	45	Pale yellow
11.	H	*o*-OHC_6H_5	208-09md	50	Pale yellow
12.	H	*p*-OHC_6H_5	251	80	Pale yellow
13.	CH_3	2-OHC_6H_5	246	60	Orange
14.	C_6H_5	COC_6H_5	226	80	Yellow
15.	C_6H_5	CH_2COCH_3	186	50	Light orange
16.	$R=R_1$=Isatin		296md	50	Orange
17.	$R=R_1$=Acenapthene-quinone		300	35	Deep brown
18.	$R=R_1$=5-Chloroisatin		300	60	Orange
19.	$R=R_1$=5-Bromoisatin		300	50	Orange
20.	$R=R_1$=5,7-Dinitro		300	70	Orange

* All compounds gave satisfactory C, H and N analyses.

Quinazoline 4-ethylthioglycolate: A solution of ethyl thioglycolate (3.2 g, 0.026 mol) in dry benzene (70 ml) was added dropwise during 5 min a benzene solution of 4-chloroquinazoline[2] (50 g, 0.302 mol) and the mixture was refluxed for 4 h. The resulting orange coloured solid was washed with benzene and then with 10% sodium hydrogen carbonate solution and recrystallised from methanol as yellow rectangular crystals (60%), m.p. 80–82°.

Quinazoline 4-thioglycollic acid hydrazide: Quinazolin 4-thioglycolate in 95% alcohol (20 ml) was added an alcoholic solution (1 ml) of hydrazine hydrate with stirring and the mixture was refluxed for 4 h. Pale yellow precipitate that separated after cooling was recrystallised from 95% alcohol, (70%), m.p. 177–78°.

The hydrazones: A mixture of quinazoline 4-thioglycollic acid hydrazide (0.01 mol) in ethanol (25 ml) and ethanolic solution of the

appropriate aldehydes and ketones (0.01 mol) was refluxed for about 5 h. The solid that separated on cooling was recrystallised from ethanol.

Results and Discussion

The hydrazones of quinazoline 4-thioglycollic acid are crystalline in nature and stable under dry condition.

The strong intensity ir band present in the compounds at 3 400—3 100 cm^{-1} can be attributed to the NH stretching frequency. A moderately strong band at 1 700—1 600 cm^{-1} in the spectra of all the hydrazones is attributed to the stretching frequency of C=O group. Almost all the compounds exhibit C=N stretching frequency at 1600 – 1 580 cm^{-1}.

All the compounds were screened against *S. aureus*, *S. albus*, *Proteus*, *Pyocyanea*, *E. coli* and *Klebsiella* bacteria using agar plate disk diffusion technique[3]. Compounds of sl. nos. 4, 5 and 16 were found to possess highest inhibitory action 8—12 mm) against the growth of pathogenic bacteria, and compound of sl. no. 5 showing highest action (>12 mm) against *Pyocyanea*. Decreasing sensitivity was found in case of hydrazones from propiophenone (sl. no. 6), 2-hydroxyacetophenone (sl. no. 13) as well as aliphatic ketone (sl. no. 1), which are moderately active against *Pyocyanea*.

Compounds of sl. no. 10 and 17 from *o*-chlorobenzaldehyde and acenaphthenequinone exhibit moderate activities (6—10 mm) against *Proteus*, *Pyocyanes* and *E. coli*.

Compounds no. of sl. no. 4, 9 and 18 were found moderately active (6 – 8 mm) against *Klebsiella*.

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