

SUMMARY

This work involves synthesis and reactions of (4-oxo-2-styryl-4*H*-quinazolin-3-yl)acetylthiocyanate (**1**). Thus, the reaction of quinazolinyl-acetylchloride with ammonium thiocyanate afforded **1**. The latter reacted with phenyl hydrazine, aniline and sodium azide to give 3-(2-phenyl-5-thioxo-2,5-dihydro-1*H*-[1,2,4]triazol-3-ylmethyl)-2-styryl-3*H*-quinazolin-4-one(**2**), 1-[2-(4-oxo-2-styryl-4*H*-quinazolin-3-yl)-acetyl]-3-phenyl-thiourea(**3**) 3-[2-oxo-2-(5-thioxo-4,5-dihydro-tetrazol-1-yl)ethyl]-2-styryl-3*H*-quinazolin-4-one (**4**) and 3-[2-oxo-2-(5-thioxo-[1,2,3,4]thiatriazol-4-yl)ethyl]-2-styryl-3*H*-quinazolin-4-one (**5**) respectively.

Also, isothiocyanate **1** reacted with *p*-aroylhydrazine and afforded *N*-[*N'*-(4-aryl)-hydrazinocarbothioyl]-2-(4-oxo-2-styryl-4*H*-quinazolin-3-yl)-acetamide (**6a-c**) which in turn were cyclized with acetic anhydride to 3-{2-[3-(4-aryl)-5-thioxo-1,5-dihydro[1,2,4]triazol-4-yl]-2-oxoethyl}-2-styryl-3*H*-quinazolin-4-one (**7a-c**).

On the other hand, isothiocyanate **1** reacted with anthranilic acid to give (4-oxo-2-styryl-4*H*-quinazolin-3-yl-acetyl)-*N* (*o*-carboxyphenyl)thiourea (**8**), cyclization of **8** using acetic anhydride gave 3-[2-oxo-2-(4-oxo-2-thioxo-1,4-dihydro-2*H*-quinazolin-3-yl)-ethyl]-2-styryl-3*H*-quinazolin-4-one (**9**).

Amident nucleophiles as *o*-aminophenol reacted with isothiocyanate to give 1-(2-hydroxy-phenyl)-3-[2-(4-oxo-2-styryl-4*H*-quinazolin-3-yl)acetyl]thiourea (**10**). The latter was cyclized by heating above its melting point to give *N*-benzooxazol-2-yl-2-(4-oxo-2-styryl-4*H*-quinazolin-3-yl)acetamide (**11**).

On the other hand, isothiocyanate **1** reacted with glycine to give N-(2-mercapto-5-oxo-oxazolidin-2-yl)-2-(4-oxo-2-styryl-4*H*-quinazolin-3-yl)acetamide

In the same way isothiocyanate **1** reacted with benzoylglycine to give {1-benzoyl-3-[2-(4-oxo-2-styryl-4*H*-quinazolin-3-yl)-acetyl]-thioureido}acetic acid (**13**) which on treatment with acetic anhydride gave 3-[2-(3-benzoyl-5-oxo-2-thioxo-imadazolidin-1-yl)-2-oxo-ethyl]-2-styryl-3-*H*-quinazolin-4-one (**14**).

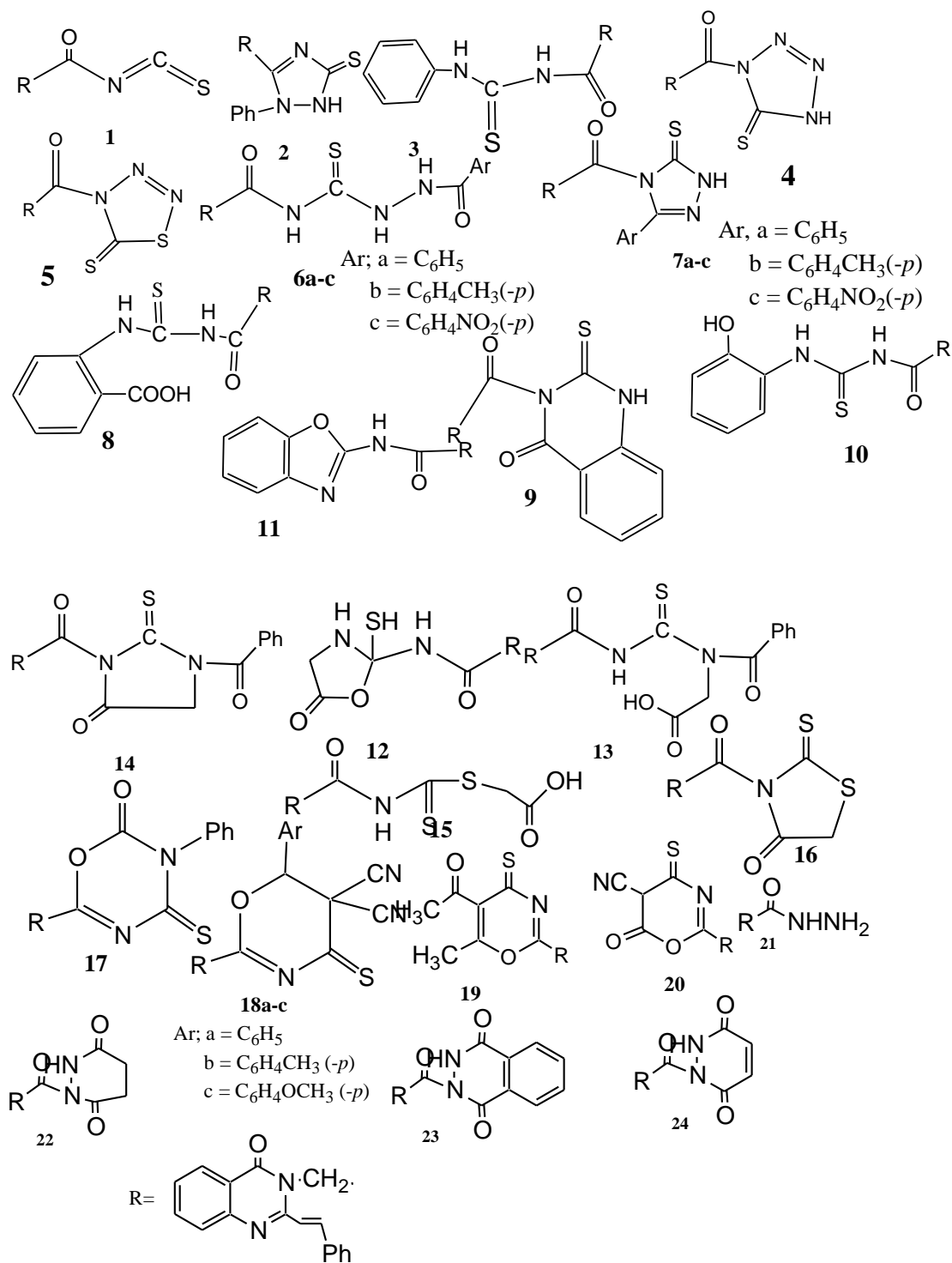
Also, thioglycollic acid reacted with isothiocyanate **1** to give [2-(4-oxo-2-styryl-4*H*-quinazolin-3-yl)acetylthiocarbamoyl sulfanyl]-acetic acid (**15**) which up on treatment with acetic anhydride gave 3-[2-oxo-2-(4-oxo-2-thioxothiazolidin-3-yl)-ethyl]-2-styryl-3-*H*-quinazolin-4-one (**16**).

Isothiocyanate **1** was reacted with phenylisocyanate and aryidenmalononitriles to give 3-(6-oxo-5-phenyl-4-thioxo-5, 6-dihydro-4*H*-[1, 3, 5] oxadiazin-2-yl methyl)-2-styryl-3-*H*-quinazolin-4-one (**17**) and 2-(4-oxo-2-styryl-4*H*-quinazolin-3-yl)methyl)-6-allyl-4-thioxo-4-*H*-[1,3]oxazine-5,5-dicarbonitriles (**18a-c**) respectively.

On the other hand, acetylacetone and / or ethylcyanoacetate reacted with isothiocyanate **1** to give 3-(5-acetyl-6-methyl-4-thioxo-4*H*-[1,3]oxazine-2-yl)-2-styryl-3-*H*-quinazolin-4-one (**19**) and 6-oxo-2-4(4-oxo-2-styryl-4*H*-quinazolin-3-yl)methyl)-4-thioxo-5,6-dihydro-4*H*-[1,3]oxazine-5-carbonitrile (**20**) respectively.

The reaction of quinazolinylacetylchloride with hydrazine hydrate afforded (4-oxo-2-styryl-4*H*-quinazolin-3-yl)-acetic acid hydrazide (**21**) which reacted with a variety of acid anhydrides such as succinic anhydride, phthalic anhydride and maleic anhydride to give 1-[2-(4-oxo-

2-styryl-4*H*-quinazolin-3-yl)acetyl]tetrahydropyridazine-3,6-dione (**22**), 2-[2-(4-oxo-2-styryl-4*H*-quinazolin-3-yl)acetyl]-2,3-dihydrophthalazinedione (**23**) and 1-[2-(4-oxo-2-styryl-4*H*-quinazolin-3-yl)acetyl]-1,2-dihydropyridazine-3,6-dione (**24**) respectively.



The structure of all synthesized derivatives were established by:

1- Elemental analysis

2- I.R spectra

3- ^1H NMR spectra

4- Mass spectra

Biological activities of some synthesized compounds have been investigated in comparison with drug from the marked sulfadiazine and it was found that some of them have observed biological effect against tested micro-organisms.