A large number of quinazoline and quinazolone derivatives synthesized are potential biologically useful compounds. In the present thesis, syntheses of 3-aryl-2-methyl- and 3-aryl-2-mercaptoquinazol-4-one derivatives have been described. The thesis opens up with the General Introduction in which the general experimental investigations in the field of quinazolone chemistry have been briefly reviewed. Then it is divided into two parts, and the second part is further divided into four sections for sake of convenience.

PART I : SYNTHESIS OF 3-ARYL-2-METHYL-QUINAZOL-4-ONES

Although extensive work has been carried out on the quinazol-4-ones and many methods for their synthesis have been developed in the last fifty years, 6-methyl- and 8-methyl-quinazol-4-one derivatives have not been described.

(A) : 3-Methyl-N-acetylanthranilic acid obtained by the oxidation of 7-methyl isatin and N-acetylation, was condensed with different primary aromatic amines to obtain 2:3-dimethyl-3-aryl-quinazol-4-ones. Some of them also gave hydrochlorides.

(B) : Similarly, oxidation of 5-methyl isatin followed by N-acetylation gave 5-methyl-N-acetylanthranilic acid. It was condensed with primary aryl amines and 2:6-dimethyl-3-aryl-quinazol-4-ones were obtained. Their hydrochlorides were also prepared.
4-Thio-quinazolines are quite common and can be easily prepared: the methods of their preparation being similar to those of the oxygen analogues. They are more reactive. The 2-mercapto derivatives are comparatively less studied. A number of them are described in the following sections.

Section (i) : Synthesis of 6-Chloro-3-aryl-2-mercapto-quinazol-4-ones.

5-Chloroanthranilic acid required for this work was prepared by the oxidation of the anilide obtained from p-chlorotoluidine by acetylation and subsequent hydrolysis. The acid was condensed with mono-arylthioureas to obtain 6-chloro-3-aryl-2-mercapto-quinazol-4-ones. The same products were also obtained by employing aryl isothiocyanates instead of thioureas. Further, these quinazolones were oxidised to the corresponding quinazol-2,4-diones. Their structures were confirmed by direct synthesis from 5-chloroanthranilic acid and mono-arylureas.

Section (ii) : Synthesis of 7-Chloro-3-aryl-2-mercapto-quinazol-4-ones.

4-Chloroanthranilic acid was condensed with mono-arylthioureas and 7-chloro-3-aryl-2-mercapto-quinazol-4-ones were obtained, which were
also synthesized by the action of aryl isothiocyanates on 4-chloroanthranilic acid. These were oxidised to yield 7-chloro-3-arylquinazol-2:4-diones, which were also obtained by the condensation of 4-chloroanthranilic acid with mono-arylureas.

Section (iii): Synthesis of 6-Methyl-3-aryl-2-mercapto-quinazol-4-ones.

5-Methylanthranilic acid was condensed with mono-arylthioureas as well as aryl isothiocyanates and identical products were obtained in each case. These compounds were oxidised to the corresponding 2-mercapto free compounds, which were also obtained by the direct synthesis from 5-methylanthranilic acid and the corresponding mono-arylureas.

Section (iv): Synthesis of 8-Methyl-3-aryl-2-mercapto-quinazol-4-ones.

3-Methylanthranilic acid was condensed with mono-arylthioureas and 8-methyl-3-aryl-2-mercapto-quinazol-4-ones were obtained, which were also synthesized by the action of aryl isothiocyanates on this acid. These were also further oxidised to des-thio compounds, which were subsequently prepared from 3-methylanthranilic acid and mono-arylureas.

The mercapto derivatives obtained in this part were condensed with bromobenzene as well as benzoyl chloride, the hydrogen atom in the mercapto group (−SH) being replaced. Their conversion provided the proof that these compounds exist as 'thiols' (C−SH) and not as 'thiones' (C:S), so far this work is concerned.