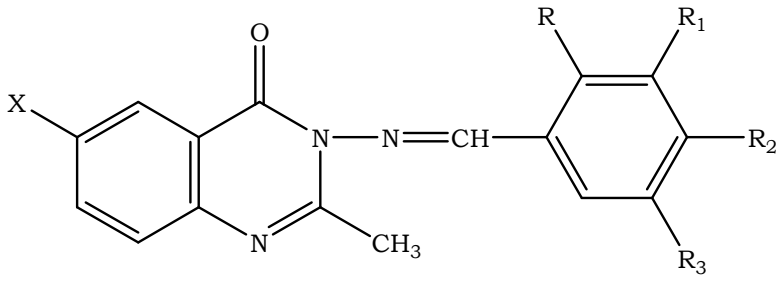
3. AIMS AND OBJECTIVES

Tuberculosis remains the most important communicable disease in the world,[110] caused by the bacteria called *M. tuberculosis*. Along with the recent increase in cases of tuberculosis, there is a progressive increase in multidrug resistant (MDR) tuberculosis. Some of the MDR isolates are resistant to as many as seven of the commonly employed antimycobacterial drugs.

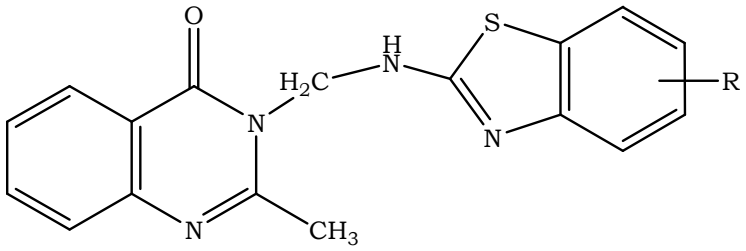
Quinazolines and condensed quinazolines received the attention of medicinal chemists due to their potential biological activities. Among the biological activities exhibited by quinazolines the antimicrobial activities of 2,3-substituted quinazolines are of our present interest.[112]

In the year 2006, synthesis of 6-bromo/iodo-3-substitutedamino-2-methyl quinazolin-4(3*H*)-ones **(49)** were reported by Sayyed[111] *et. al.,* These compounds exhibited a marked degree of activity against the various strains bacteria in comparison to tetracycline.



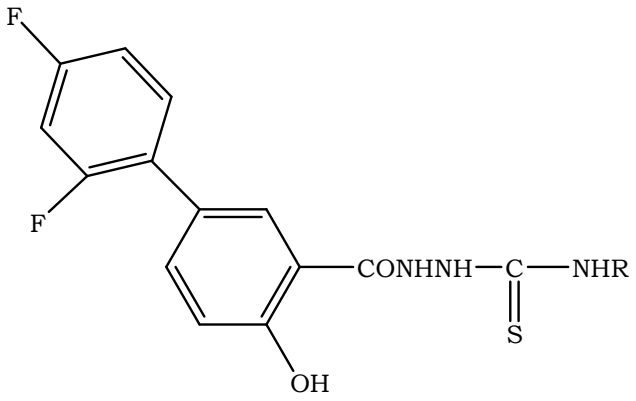
(49)

Literature survey indicates that the quinazoline nucleus substituted at 2,3-position showed significant antitubercular activity.[112-113] Synthesis and anti-tubercular activity of mannich bases of 2-methyl quinazolin-4(3*H*)-ones **(50)** was reported by P. Nandy and coworkers.[114-115]



(50)

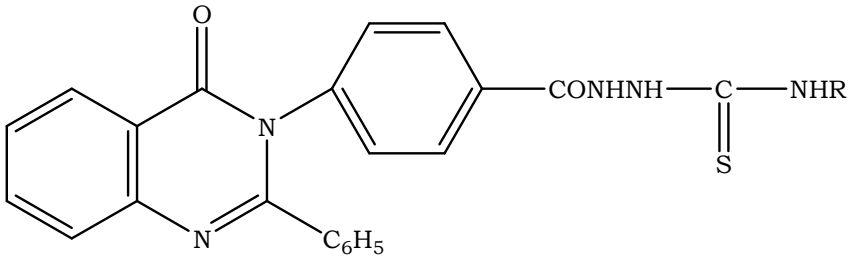
The presence of functional moiety like thiosemicarbazides **(51)** in different aromatic entity also found to exhibit the significant antitubercular activity.



(51)

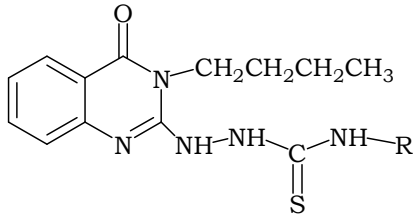
Hence the pharmacophore containing quinazoline ring attached with thiosemicarbazides[116] or thiosemicarbazones[119-121] are expected to possess more pronounce antitubercular and antimicrobial activities.[117-119]

Synthesis and antimicrobial activity of some quinazolinyl thiosemicarbazides **(52)** was studied against $H_{37}RV$ strain of *Mycobacterium tuberculosis.*[120] All the test compounds showed antimycobacterial activity at the concentration of 0.03 µg/ml.



(52)

Prompted by these reports, in the present study we have aimed at developing potent antitubercular and antimicrobial agents, by placing the thiosemicarbazide moiety at the C-2 position of quinazolines ring. These compounds will be evaluated for their antitubercular and other antimicrobial activity against different gram positive and negative bacteria.



AS1-AS10

Thus the aims and objectives are summarized as follows:

- To synthesize a series of 3-(^{n}butyl)-2-substituted quinazoline-4(3*H*)-ones (**AS1 to AS10**).
- To characterize the synthesized compounds by their spectral data.
- To evaluate the synthesized compounds for antitubercular activity.
- To evaluate the synthesized compounds for other antibacterial activity.
- To identify the active compounds for further exploitation

The title compounds **AS1 to AS10** are synthesized by the following route depicted in Scheme-1

Scheme 1: Synthesis of 1-(4-oxo-3-^{n}butyl-3*H*-quinazolin-2-yl)-4-(substituted) thiosemicarbazides (AS1-AS10)