ABSTRACT

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Title of diploma thesis: Synthesis and antiinfective evaluation of substituted N-(pyrazine-2-

yl)benzenesulfonamide

Tuberculosis (TB) is among the ten leading causes of death, especially in developing countries. Even though it is an old disease with established treatment regimen, there has been an increased resistance to anti-TB drugs 1 . The anti-tubercular pyrazinamide has caught the attention of researchers as the different theories for its mechanism of action have made it an interesting entity for further investigation. Here we will discuss N-(pyrazine-2-yI)benzenesulfonamides (General structure is presented in the Figure below) as a new derivatization approach based on synergism methodology between pyrazinamide and sulfonamides. Sulfonamides exert their antimicrobial effect by competitive inhibition of folic acid synthesis and subsequent inhibition of bacterial growth and reproduction 18 . I have contributed to the synthesis and purification of 8 compounds in a series of total 22 N-pyrazinyIsulfonamides. Two of the prepared compounds showed activity against Mycobacterium kansasii [2a (MIC M. kansasii = 25 μ g/mL); 4b (MIC M. kansasii = 12.5 μ g/mL)]. Some compounds showed a moderate activity against Mycobacterium kansasii kansa

$$R^1 \underset{N}{\overset{H}{\underset{N-S-R^2}{\bigcup}}} R^2$$

R¹: H, CI; R²: Aromatic substituents