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SYNTHESIS, ANTIMYCOBACTERIAL EVALUATIONS AND THEORETICAL STUDIES OF NEW *NAH*-OXOQUINOLINE DERIVATIVES

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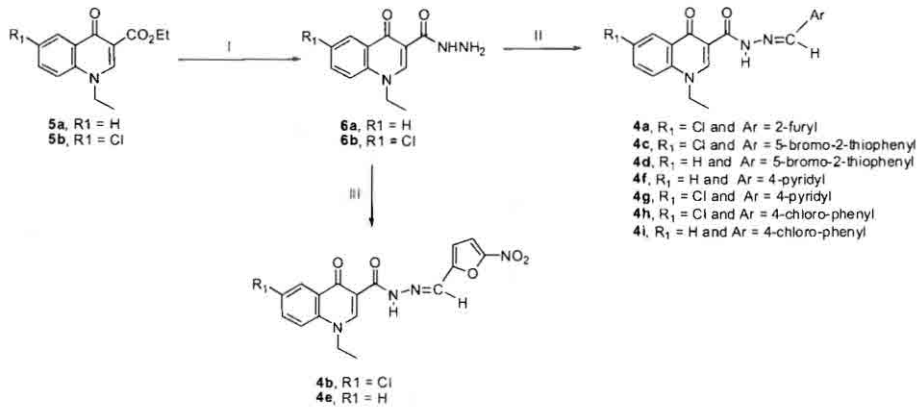
Tuberculosis is a contagious disease caused by *Mycobacterium tuberculosis* that remains a serious public health problem.[1] The initial treatment with first choice drugs can be used successfully in most of the cases and includes admininstration of oxoquinoline derivatives like ciprofloxacin, moxifloxacin and levofloxacin.[2] The emergence of resistant bacterial strains increased the search for new options for the treatment of tuberculosis.[1] *N*-Acylhydrazones have been reported to demonstrate anti-tubercular activity.[3] Studies have showed that the hydrazone group plays an important role for the antimycobacterial activity.[4] In this study we synthesized and tested the antimycobacterial activity of nine acylhydrazone oxoquinoline derivatives (**2a-2i**). The structure activity relationship analysis established the stereoelectronic properties important for the biological activity profile of the active compound **2e** in comparison to the others. These new lead compounds and the analysis of their molecular properties may be useful for designing new and more efficient antibacterial drugs.



Scheme 1: Route I: hydrazine monohydrate 80%, ethanol, reflux 2h; Route II: ArCHO, HCl (c. a.), Ethanol, 1h; Route III: (5-nitrofuran-2-yl)methylene diacetate, EtOH/H2SO4 50%, 1h.

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References

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