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Novel dihalo-substituted thiocarbamides as standalone agents to combat deadly tuberculosis: Design synthesis and bioevaluation

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Studies carried out in the field of TB biochemistry have revealed that M. tuberculosis is unique among most bacteria, therefore, several drugs require activation *in situ* to produce the inhibitory effect. Impelled by the fact, it was envisaged to develop and screen newer dihalo-substituted thiocarbamide derivatives as an analog of ethionamide against strains of *Mycobacterium tuberculosis* (Mtb). The chemical modification approach was chosen in the hope that it may provide information in the identification of some new targets. There are several known targets which are involved in the synthesis of some specific protein or mycolic acid for which InhA is the key enzyme. Various thiocarbamide drugs (ETH, TAC, ISO and C26) act via different final targets upon metabolic activation by the EthA protein inhibiting the biosynthesis of mycolic acid. Some novel dihalo-substituted thiocarbamide derivatives were synthesized and structures of these derivatives were established on the basis of IR, 1H and 13C-NMR and mass spectral data. All the dihalo-substituted thiocarbamide derivatives were tested *in-vitro* for antimycobacterial activity against *Mycobacterium tuberculosis* (ATCC-25177) by well diffusion method and MIC by serial dilution method. Results of the antitubercular screening disclosed that some of the derivatives showed moderate to good antitubercular potential. Among all the tested derivatives, two viz., 1-(3,4-Dichlorophenyl)-1-(furan-2-ylmethyl)-3-phenylthiourea and 1-(3,4-Dichlorophenyl)-3-phenyl-1-(1-(thiophen-2-yl)ethyl)thiourea displayed MIC values of 25µg/mL and one compound 1-(3-Chloro-4-fluorophenyl)-3-phenyl-1-(1-(thiophen-2-yl)ethyl)thiourea exhibited MIC value of 12.5µg/ml against *Mycobacterium tuberculosis* (ATCC-25177).

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