NOVEL THIAZOLE CLUBBED TRIAZOLE DERIVATIVES AS ANTI-INFECTIVE, ANTIMALARIAL AND CYTOTOXIC AGENTS

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Abstract - Thiazole is the most potential pharmacophoric moiety in bioorganic chemistry and is major tool in drug design and discovery. The present work describes the synthesis of a series of N-{(1-(4-(4-bromophenyl) thiazol-2-yl)-3-substitutedphenyl-1H-pyrazol-4-yl) methylene}-1H-1, 2, 4-triazol-3-amine derivatives **(5a-5g)**. The structures of newly synthesized compounds were elucidated by suitable spectral methods such as IR and ^{1}H-NMR. These compounds were screened for their in vitro cytotoxic activity against human cervical cancer cell line (HeLa) and human breast cancer cell line (MCF-7). Antimicrobial activity was tested against the tested pathogens including bacterial strain such as E. coli, P. aeruginosa, S. aureus, S. pyogenus, fungal strains viz. A. niger, A. clavatus species and C. albicans and antimalarial activity against P. falciparum. Anti-infective and cytotoxic studies indicated that synthesized compounds display moderate to good activities. Antibacterial activity results showed that compound **5a**, **5b, 5c**, **5e**, **5g** were effective against S. aureus with MIC value in the range of 200-250 µg/mL and **5b**, **5d** exhibited good antibacterial activity against the strains E.coli whereas compounds **5b** and **5e** displayed potent antifungal activity with MIC value of 500 µg/mL. Compound **5b** was found to have potent cytotoxic activity with GI_{50} value of (1.2 µg/mL) against HeLa cell lines as compared to standard.

Keywords - Thiazole, Heterocycles, Anti-infective activity (Antibacterial, antifungal and antimalarial), Cytotoxic activity.