**Abstract:** Several novel 6-aryl-5-cyano thiouracil derivatives were synthesized and

explored for their activities as antibacterial, antifungal and anticancer agents. The

antimicrobial evaluation revealed that compounds **7b** and **7c** possessed superior

antibacterial activity against the Gram positive bacteria *S. aureus* and *B. subtilis* compared to the reference drug amoxicillin. Moreover, compound **4i** was found to be a broad spectrum antimicrobial agent and it also exhibited the highest antifungal activity against *C. albicans*, even higher than the reference drug amphotericin B (MIC = 2.34, 3.00 μg/mL respectively). Selected compounds were tested for *in vitro* cytotoxicity at a single 10−5 M concentration in accordance to the NCI (USA) protocol. The preliminary screening results showed that most of the compounds had limited cytotoxic activity against renal cancer UO-31 and/or A498 cell lines. Nevertheless, compounds **6d** and **6i** displayed potent growth inhibitory effect toward non-small cell lung cancer HOP-92 and leukemia MOLT-4 cell lines, respectively.