

Design and Facile Synthesis of New Amino Acid Derivatives with Anti-Tumor and Antimicrobial Activities

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Abstract : N-cyanoacetyl glycine is a reactive polyfunctional precursor for synthesis of new difficult accessible compounds including pyridones, thiazolopyridine and others. The key step of this protocol is the formation of different ylides which underwent Michael addition with carbon nucleophiles affording various heterocyclic compounds. Selected compounds underwent pharmacological evaluation, in vitro against two cell lines; breast cell line (MCF-7), and liver cell line (HEPG2). Compounds 14, 15a and 16 showed IC₅₀ values 8.93, 8.18 and 8.03 (μ/ml) respectively for breast cell line (MCF-7), while the standard drug (Tamoxifen) revealed IC₅₀ 8.31. With respect to the liver cell line (HEPG2), compounds 14 and 15a revealed IC₅₀ 18.4 and 13.6(μ/ml) respectively while the IC₅₀ of the standard drug (5-Fluorouracil) is 25(μ/ml). The antimicrobial activity was also screened and revealed that oxime 7 and ylide 9f showed a broad-spectrum activity.

Keywords : antitumor, cyanoacetyl glycine, heterocycles, pyridones

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