Synthesis and Antiproliferative Activity of Amide-containing Anthraquinone, Xanthone and Carbazole Derivatives

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A serial of amide-containing anthraquinone, xanthone and carbazole derivatives were synthesized *via* alkylation of hydroxyl precursors followed by the reaction with NaN_3 (Schmidt reaction) and their anti-tumor proliferative activities were evaluated. From the preliminary results, several amide substitute compounds showed excellent antiproliferative activity towards human nasopharyngeal carcinoma (NPC-TW01), lung carcinoma (H661), and leukemia (Jurkat) cells. Among them, 2-(9,10-dioxo-9,10-dihydroanthracen-2-yloxy)-N-(naphthalen-2- yl)acetamide and N-(4-methoxyphenyl)-2-(9-oxo-9*H*-xanthen-3-yloxy)acetamide exhibit significant potent cytotoxicity against the growth of NPC-TW01 cell line with IC_{50} of 2.6 and 1.5 μM, respectively. The cell cycle analysis data showed that all the amide-containing anthraquinone derivatives caused NPC-TW01 cells significantly arrested at G1 phase with time-dependent manner. The detail antiproliferative mechanisms and structure-activity relationships are active investigated now.