Synthesis and Biological Evaluation of 6-(Methoxyphenyl)tetrahydroindazol-4-one Derivatives as Anticancer Agents

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6-(Methoxyphenyl)tetrahydroindazol-4-one derivatives were synthesized and evaluated for antiproliferative activity. Structure-activity relationship was elucidated with various substitutions on the *N*-1 aryl moiety of tetrahydroindazol-4-one. A novel *N*-2 aryl-substituted skeleton was established by microwave synthesis. The bioevaluative result was shown that the *N*-1 substituted tetrahydroindazol-4-one possessed more potential activity against human lung cancer cell (H226), leukemia (Jurkat cell), and nasopharyngeal carcinoma cell (NPC-TW01) *in vitro* than those of corresponding *N*-2 derivatives. The introduction of oxime moiety at 4-position of tetrahydroindazolones led to a loss of the cytotoxic activity.