The Synthesis of *N*-Aryl Tetrahydroindazolone Derivatives as Anticancer Agents

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Abstract: A series of *N*-1 and *N*-2 aryl tetrahydroindazolone derivatives was synthesized and evaluated anticancer activity. The yield of *N*-1 products was more than those of corresponding *N*-2 by microwave core-cyclization. Both of *N*-1 and *N*-2 aryl tetrahydroindazolone were subjected into biological assay against bone cancer, liver cancer, colon cancer and lung cancer. Structure-activity relationship was elucidated the *N*-1 aryl tetrahydroindazolone possessed more potential activity than those of corresponding *N*-2 derivatives. The introduction of oxime moiety at 4-position of *N*-1 aryl tetrahydroindazoles led to a loss of the cytotoxic activity. The *N*-1 aryl tetrahydroindazole was shown the dramatically shrank down tumor growth under *i.p.* treatment comparated with those of Cisplatin *in vivo* assay.