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Synthesis and cytotoxic evaluation of 2, 3-disubstituted indoles derived from azobenzenes by using Rh(III)-catalysis

Hyunjung Oh

Sungkyunkwan University, South Korea

The azo-directed rhodium(III)-catalyzed C-H functionalization and intramolecular annulations between azobenzenes and internal olefins are described. This transformation provides efficient preparation of 2,3-disubstituted free-(NH)-indoles with excellent site-selectivity and functional group compatibility. The synthetic compounds were evaluated for *in vitro* anticancer activity against human endometrial adenocarcinoma cells (Ishikawa), triple negative human breast cancer cells (MDA-MB-231) and human renal cancer cells (Caki-1). Synthesized 2,3-disubstituted indoles were found to display potent cytotoxic effect competitive with anticancer agent doxorubicin. In sharp contrast, we herein reported the formation of 2,3-disubstituted indoles through the Rh(III)-catalyzed cross-coupling reaction of azobenzenes and internal olefins such as maleates and fumarates. Furthermore, synthesized 2,3-disubstituted indole derivatives have been evaluated for the cytotoxic effect against human endometrial adenocarcinoma cells (Ishikawa), triple negative human breast cancer cells (MDA-MB-231) and human renal cancer cells (Caki-1), and were found to have promising anticancer properties competitive with anticancer agent doxorubicin.

ohj712@naver.com