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Synthesis and anticancer evaluation of 7-azaindoles under rhodium(III)-catalyzed C-amidation

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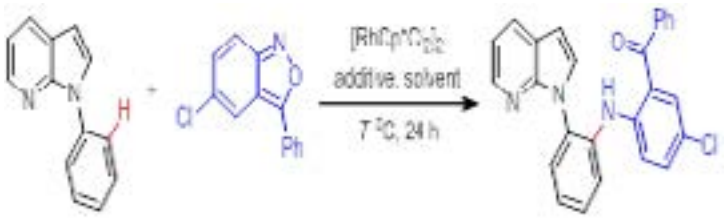
Azaindole scaffold is one of the most privileged structures as a bioisostere for indoles with a wide range of biological activities and has been found in a large number of pharmaceutical molecules and drug candidates. Due to its unique ability to act as both donor and acceptor of hydrogen bonds, 7-azaindoles have been known to exhibit diverse biological profiles, such as anti-tumor, anti-bacterial, and anti-inflammatory activities. With the development of catalytic C-H bond functionalization, C-N bond formation reactions have been of great interest in organic and medicinal chemistry because of the prevalence of bioactive N-containing heterocycles. We herein report the Rh(III)-catalyzed direct amination of 7-azaindoles with anthranils affording ortho-aminated azaindole derivatives as biologically interesting heterocycles. Moreover, synthetic compounds were tested against various cancer cell lines, such as human breast adenocarcinoma cells (MCF-7), human renal carcinoma cells (786-O), and human prostate adenocarcinoma cells (DU145). Interestingly, we observed promising anticancer properties of 7-azaindole containing the 2-formylaniline moiety, which was competitive with anticancer doxorubicin as a positive control.



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