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One-pot synthesis of oxindoles through C-H activation and evaluation of anticancer activity

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The oxindole skeleton has been recognized as a ubiquitous heterocycle found in bioactive natural products and synthetic compounds with medicinal applications. In particular, 3-substituted and spiro oxindole derivatives have been implicated in a wide spectrum of biological activities including serotonergic, anti-tumor, anti-Alzheimer's, anti-Parkinson disease, glycoprotein-mediated MDR inhibition, anti-bacterial and anti-inflammatory activities. Additionally, oxindoles serve as synthetic precursors to a range of other heterocyclic compounds including indoles and isatins. Therefore, the development of novel and highly efficient strategies for the formation of oxindole architectures is an area of great interest in organic synthesis. With recent advances in direct and catalytic C–H functionalization, a great deal of effort has been devoted to the formation of oxindoles via transition-metal-catalyzed or metal-free oxidative C–H functionalization events. Among reported examples, the tandem cyclization of acrylamides has attracted much attention for the synthesis of various functionalized oxindoles. Other routes rely on the Ir- or Cu-catalyzed intramolecular cyclization of β-keto amide derivatives. Moreover, the Ag- or Rh-catalyzed aromatic C–H functionalization of α-diazoamides is another effective way to construct C3-functionalized oxindoles. However, these methods require specifically functionalized starting materials and result in a special subclass of oxindoles. With a rational design based on C–H addition and subsequent cyclization process, we herein reported efficient access to the formation of oxindoles through Rh(III)-catalyzed site-selective alkylation of azobenzenes and internal olefins, such as maleimides, maleates and fumarates, followed by reductive intramolecular cyclization. Particularly noteworthy was the resulting 1-amino-indolic framework, which represents a biologically important scaffold found in various synthetic molecules. Thus, synthesized oxindoles were evaluated for cytotoxicity against human prostate adenocarcinoma cell lines (LNCaP), human breast cancer cell lines (MCF-7), human Ovarian Cancer Cell lines (SKOV3), human lung carcinoma cell lines (A459) and human renal adenocarcinoma cell lines (786-O).

Biography

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