Facile Synthesis of Indeno[1,2-*c*]isoquinolines by Rhodium(III)-catalyzed Cyclocondensation of Benzamides with Diazo compounds via Catalytic Cleavage of C-H Bond followed by Cyclization

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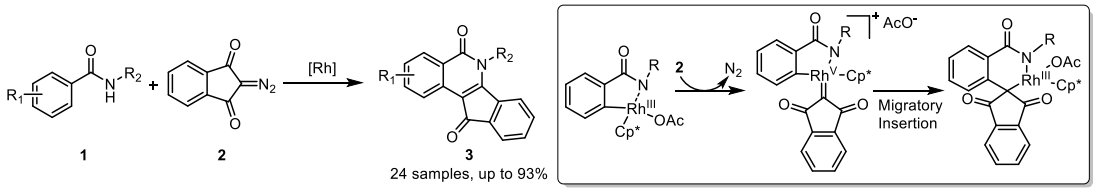
Recently, considerable interest has been focused on transition-metal complex-catalyzed direct activation of a C(sp^2)-H bond, and various methods for the construction of diverse bioactive heterocyclic compounds have been developed through catalytic cleavage of a C(sp^2)-H.[1] For example, Yu and coworkers succeeded in developing electrophilic rhodium(III) complex-catalyzed coupling reaction of arenes with α-diazomalonate, in which diazo compounds played an important role for generation of a carbenoid intermediates, under mild reaction conditions to give the desired α-aryl malonate together with an evolution of N_2.[2] After this report, a variety of diazo compounds have been employed for transition metal-catalyzed formation of a carbenoid intermediate which inserted directly into a C(sp^2)-H bond, leading to synthesis of various biologically active heterocyclic compounds. Several catalytic methods for synthesis of biologically active indeno[1,2-*c*]isoquinolines have also been reported in the past few decades. However, almost all methods reported so far have serious drawbacks including the severe reaction conditions, limitation of the substrates, and multistep synthesis.[3] Thus, the development of novel, facile, and practical methods for catalytic synthesis of indeno[1,2-*c*]isoquinolines are still desired.

In a continuation of our study on rhodium-catalyzed synthesis of heterocyclic compounds, we succeeded in developing novel rhodium(III)-catalyzed synthesis of indeno[1,2-*c*]isoquinolines (**3**), in which a (carbene)rhodium intermediate was formed by the reaction of an active rhodium species with 2-diazo-1*H*-indene-1,3(2*H*)-dione (**2**), and subsequent insertion of a (carbene)rhdium intermediate into a C(sp^2)-H bond of benzamides (**1**) may occur, followed by new C-C/C-N bond forming cyclocondensation to give the corresponding indeno[1,2-*c*]isoquinolines in high yield with high selectivity.



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