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Synthesis and Reactions of Phosphaisocoumarins

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This article introduces briefly our results on the synthesis of phosphaisocoumarins, their reactions, and their elementary bioactivities in the recent years.

Keywords Bioactivity; Phosphaisocoumarins; phosphorus heterocycle; synthesize

INTRODUCTION

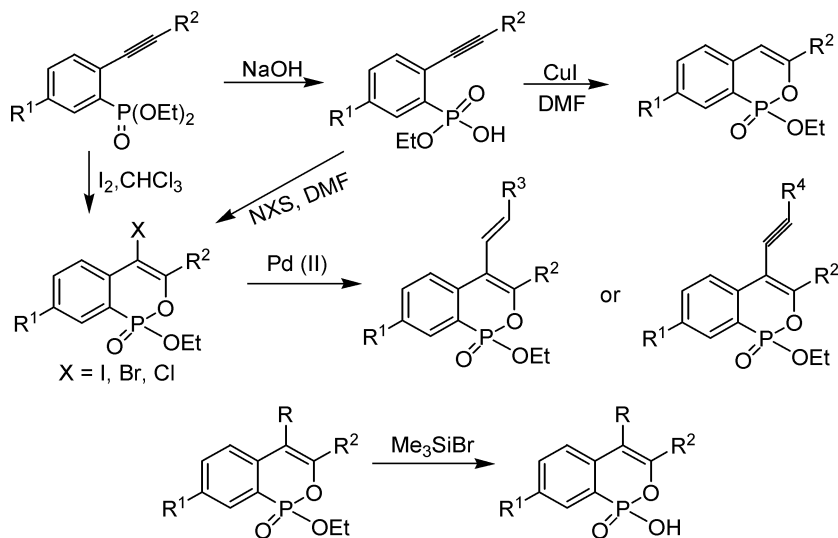
Isocoumarins are a class of naturally occurring lactones that display diverse bioactivities, some antitumor isocoumarins have especially gained considerable research interests.¹ In contrast, related reports about their analogues are rare. Since there is a remarkable similarity in bioactivities between the carbon species and their phosphorus counterparts,² one would anticipate that phosphorus isocoumarin analogs (i.e., phosphaisocoumarins) might have potential bioactivities similar to those of isocoumarins reported herein. However, there were no reports about the synthesis and applications of phosphaisocoumarins prior to our studies. Recently, we synthesized a series of phosphaisocoumarins and studied their elementary bioactivities.

RESULTS AND DISCUSSION

Our results on the synthesis of phosphaisocoumarins and their reactions with alkynes, alkenes and Me_3SiBr are summarized in Scheme 1.^{3–5}

Using the above synthetic routes, we not only synthesized a series of novel phosphaisocoumarins, but also realized successfully the intramolecular cyclization of phosphonates to alkynes for the first time.

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SCHEME 1

These novel synthetic phosphorus heterocycles have showed medium inhibitory activity to protein tyrosine phosphatase 1B (PTP1B) and good cytotoxicity against the human cancer cells HepG2 and BGC through the in vitro bioactivity experiments. The bioactivity data will be reported in the near future.

CONCLUSIONS

Phosphaisocoumarins are a new class of phosphorus heterocycles, which showed good bioactivities as expected. Further investigations of their preparations, reactions, and bioactivities are underway.

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