Synthesis of Novel 3-hydroxy-1-alkenylboronates and their Anti Cancer Activity

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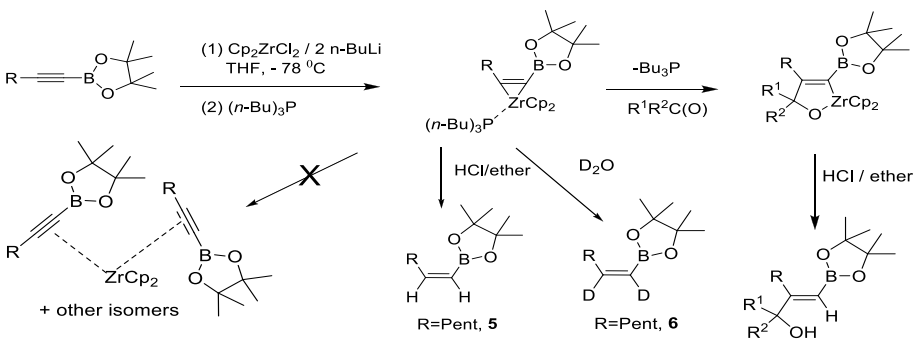
Abstract

A series of novel 3-hydroxy vinylboronates were obtained from zirconacyclopropenylboronates stabilized to dimerization by complexation with tributylphosphine; the phosphine stabilized zirconacycle boronates react with aliphatic and aromatic ketones and aldehydes at C2 of the triple bond to give the previously unknown 3-hydroxyvinylboronates in 61–80% isolated yields.

These 3-hydroxy vinylboronates which share structural similarities with sphingolipids were tested in vitro and in vivo as anticancer agents. The molecules reduced cancer cell survival in vitro by influencing their sphingolipid metabolism. In a cancer model in nude mice the lead compound prevented the development of tumor as long as the treatment period continued. Moreover, it delayed tumor growth after the treatment was finished.



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- Al Quntar et. al. Bioorg. Med. Chem. Lett., **2013**, 5007 – 5012.