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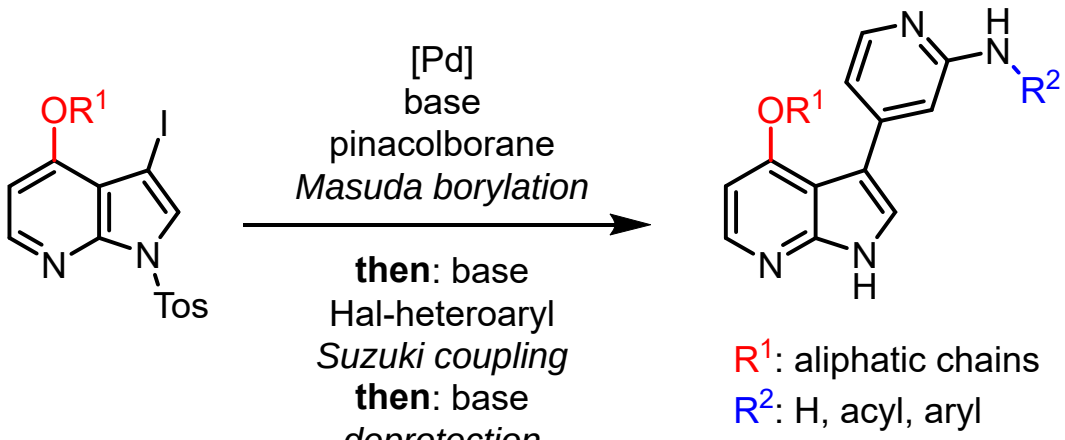
Diversity-oriented synthesis of novel Meriolins as apoptosis inducers for potential cancer treatment



Azaindole is a privileged structure that shows a wide range of biological activity. However, compared to the similar indoles, azaindoles occur much less frequently in natural compounds, appearing almost exclusively in marine organisms. A well-known class of azaindole-based natural products are *Meridianins*, which have shown antibacterial, antitumoral and cytotoxic properties. They act as kinase inhibitors and induce apoptosis in the organism. The natural substance analogues *Meriolins* were designed as a hybrid structure of *Meridianins* and *Variolines* and show similar biological properties but possess a significantly higher biological activity. *Meriolins* are effectively synthesized via *Masuda* borylation *Suzuki* coupling sequence in a one-pot procedure and a large scope of derivatives is accessible through prior functionalization of the azaindoles. Of these functionalized *Meriolins*, 4-alcoxy substitutions have proven to be particularly beneficial for the biological activity and could potentially be incorporated into antibody-drug conjugates for higher target selectivity of cancer cells.

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