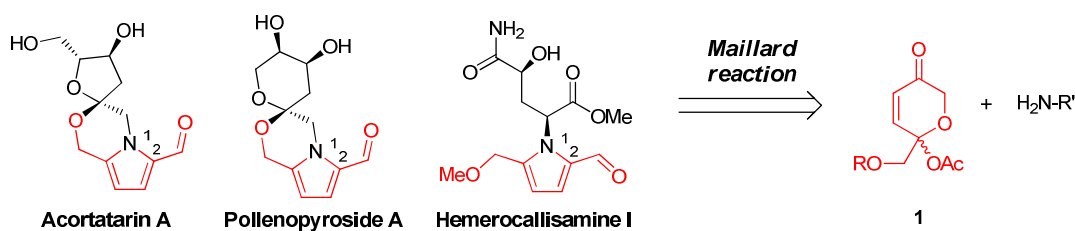


Synthesis of 2-formylpyrroles using a Maillard approach: Elucidation of the bioactive pharmacophore in traditional Chinese medicines

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2-Formylpyrrole compounds have been isolated from a variety of plants with traditional medicinal uses. Among these compounds are the acortatarin family of natural products, which inhibit the production of high-glucose-induced reactive oxygen species in renal mesangial cells. This bioactivity has application in the treatment of diabetic nephropathy; the most common cause of chronic kidney failure. This project aims to explore the activity of the 2-formylpyrrole pharmacophore via library synthesis and SAR studies.



Our group has developed a novel Maillard-type reaction to synthesise 2-formylpyrrole natural products and their analogues; this reaction allows for the divergent synthesis of 2-formylpyrrole compounds from a single dihydropyranone intermediate **1**. Complexity is derived from the amine coupling partners, which have been prepared from amino acids and other chiral pool compounds. Herein, we present details of the total syntheses and compound library work for SAR bioactivity assays.