

Biomimetic Approach toward the Total Synthesis of *rac*-2-(Acylmethylene)pyrrolidine Alkaloids

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2-(Acylmethylene)pyrrolidine derivatives were synthesized *via* intermolecular decarbonylative Mannich reaction from various methyl ketones and 1-alkyl-1-pyrroliniums, generated *in situ* from 1-alkylprolines. This methodology features the advantages that direct formation of pyrrolinium intermediates from 1-alkylprolines and subsequent intermolecular Mannich reactions with methyl ketones could both be carried out under simple and mild conditions without the use of metal catalysts and other additives. This approach mimics the biosynthetic pathway and provides a direct access to a series of 2-(acylmethylene)-pyrrolidine alkaloids, including hygrine, *N*-methylruspolinone, dehydrodarlinine and ruspolinone. Meanwhile, the decarbonylative Mannich reaction was also applicable to π -electron-excess heteroarenes as the nucleophilic counterparts. A series of pyrrole and indole derivatives underwent the decarbonylative Mannich reaction with 1-alkylprolines under the same condition to give the corresponding 1-alkyl-2-heteroarylpyrrolidines in very good yields. The reactions took place exclusively at the C2-position of pyrroles and C3-position of indoles. Further application of this methodology would be amenable to the synthesis of versatile 2-substituted pyrrolidine derivatives.

