

A SIMPLE SYNTHESIS OF THE BLUE-GREEN ALGA ALKALOID, HYELLAZOLE

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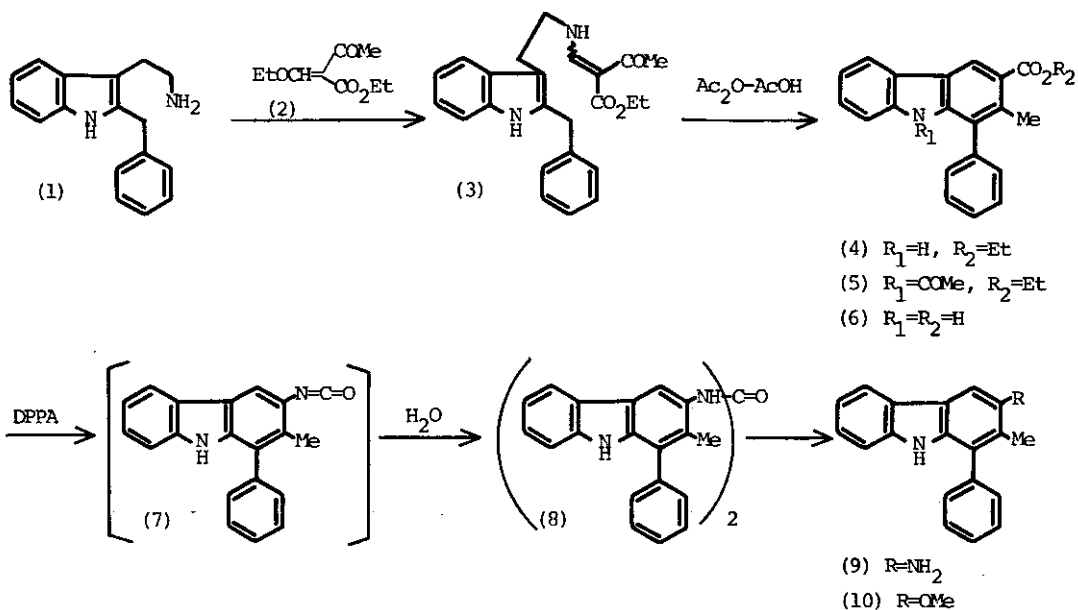
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Abstract: A simple total synthesis of hyellazole(10) isolated from the Hawaiian blue-green alga *Hyella caespitosa* has been achieved.

We have recently developed an efficient annelation reaction leading to carbazole frameworks through a Fischer base type intermediate¹. Exploiting this annelation reaction we now describe a synthesis of an unusual carbazole alkaloid, hyellazole(10), isolated from the Hawaiian blue-green alga *Hyella caespitosa*^{2,3}.

Condensation of 2-benzyltryptamine⁴(1) with ethyl ethoxymethyleneacetoacetate⁵(2) gave the enamine⁶(3) quantitatively. Upon reflux with acetic anhydride-acetic acid(3:2)(3) afforded a mixture of the carbazole(4) and the N-acetylcarbazole(5). The mixture, on hydrolysis(10% aq.NaOH, reflux), gave the carboxylic acid(6), mp 242~244 °C, (76 % from (3)). Treatment of (6) with diphenylphosphoryl azide⁷(DPPA)(CH₃CN, reflux) gave the crude isocyanate(7) which on reflux with water in the same flask afforded the urea(8), mp 287 °C, (94 % from (6)), in place of the expected amine(9). Hydrolysis of (8)(NaOH, ethylene glycol, reflux) gave the amine(9), mp 208~209 °C, in 77.5 % yield. Diazotization of (9) in methanol(NaNO₂, H₂SO₄, -15°C~reflux) furnished hyellazole³(10), mp 133~134 °C(lit.² mp 133~134 °C), in 10 % yield.⁸



References and Notes

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