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

Seiichi Takano*, Yujiro Suzuki, and Kunio Ogasawara
Pharmaceutical Institute, Tohoku University
Aobayama, Sendai 980, Japan

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 intermediate. 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.

Condensation of 2-benzyltryptamine (1) with ethyl ethoxymethyleneacetoacetate (2) gave the enamine (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 azide (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 hyellazole (10), mp 133-134 °C (lit. 2 mp 133-134 °C), in 10% yield.
References and Notes


6. All new compounds reported in this work gave satisfactory spectral(IR, NMR, MS) and analytical data(combustion and/ or high resolution MS).


8. Yield not optimized.

Received, 14th May, 1981