time in consideration of the continued activity in the dihalocarbene area, particularly the interesting studies concerning the use of dihalocyclopropane compounds in the synthesis of allenes[9] and highly strained tricyclic systems.[10] Our studies, directed in particular at the preparation of other carbenes by the polyhalomethylmercurial route, are continuing, and details will be reported at a later date.

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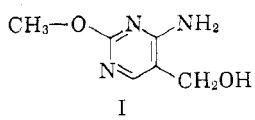
Synthesis of Bacimethrin[1]

Sir:

Tanaka and co-workers[2] have recently isolated a new antibiotic, bacimethrin, produced by *Bacillus megatherium* from a soil sample collected in Japan. This antibiotic is active against various yeasts and some bacteria.[2] Its biological activity is markedly decreased by the presence of vitamins B_1 and B_6.

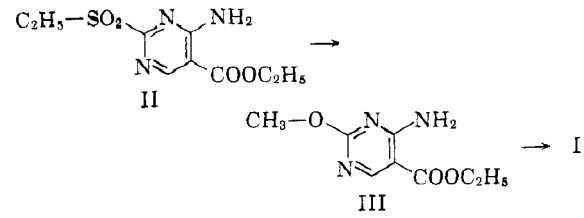
Based on degradation studies, the structure of this antibiotic has been proposed as 2-methoxy-4-amino-5-hydroxymethylpyrimidine (I).[2] This type



I

of 2-methoxypyrimidine has not been reported previously in the literature.

We now wish to report that, in connection with our current investigation on 2-methoxypyrimidines for antimetabolite and antivitamin studies, we have synthesized I *via* the following sequence of reactions: 2-Ethylsulfonyl-4-amino-5-carbethoxypyrimidine (II), prepared by the method of Sprague and Johnson,[3] was treated with sodium methoxide in absolute methanol at 5° to give 2-methoxy-4-amino-5-carbethoxypyrimidine (III), m.p. 151–153° (from benzene) in 76% yield (Calcd. for $C_8H_{11}N_3O_3$: C, 48.7; H, 5.6; N, 21.3. Found: C, 48.8; H, 5.8; N, 21.6). Compound III was then reduced by lithium aluminum hydride in anhydrous ether to give, after recrystallization from methanol, a 60% yield of 2-methoxy-4-amino-5-hydroxymethylpyrimidine (I) which melted between 173–174° to a yellow liquid (Calcd. for $C_6H_9N_3O_2$: C, 46.4; H, 5.9; N, 27.1. Found: C, 46.5; H, 6.2; N, 27.1).



II III

The synthetic product I exhibited the following ultraviolet absorption: $\lambda_{max}^{H_2O}$ 227 mμ (ε 7600), 271 mμ (ε 7300); $\lambda_{max}^{0.1\ N\ HCl}$ 229 mμ (ε 8400), 261 mμ (ε 9500); $\lambda_{max}^{0.1\ N\ NaOH}$ 231 mμ (ε 6200), 271 mμ (ε 7600). Its infrared absorption spectrum in Nujol possesses identical bands with that of the antibiotic reported in the literature.[2] Furthermore, the R_f values (at 25°, descending) of bacimethrin[4] and our synthetic compound in 3% ammonium chloride are 0.86 and 0.86, respectively; and in butanol (saturated with ammonia) are 0.62 and 0.61, respectively. Thus, we confirmed the previous assigned structure I for that antibiotic.

The striking structural similarity of bacimethrin to the known biologically active HMC (5-hydroxymethylcytosine),[5] toxopyrimidine (2-methyl-4-amino-5-hydroxymethylpyrimidine),[6,7] methioprim (2-methylthio-4-amino-5-hydroxymethylpyrimidine)[6d,7] as well as Bayer DG-428 (2-[*o*-chlorobenzylthio]-4-dimethylamino-5-methylpyrimidine)[8] suggests that compound I merits further study in various biological systems.

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