SYNTHESIS OF ACTIVE FRAGMENTS OF TELEOCIDINS AND LYNGBYATOXINS

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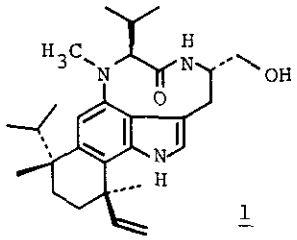
Dihydroteleocidin B, a catalytically hydrogenated compound of teleocidin B (1) which was isolated from the mycellia of *Streptomyces mediocidicus* is a strong tumor promoter. Lyngbyatoxin (2) has similar biological activities. We have been interested in the chemical structure of 1 and 2, and the nimimum structure required for appearance of their activities. So, we started the synthesis of 3,4,5,6,7,8-hexahydro-6-oxo[1,4]diazonino-[7,6,5-cd]indole skelton. The starting material 4-nitro-gramine (3) was converted to DL-4-nitrotryptophanol (4). The activated ester (5) was prepared from 4 by the standard procedure. Deprotection of the Boc group of 5 and following treatment with weak alkali gave a lactam. N-Methylation of the lactam gave 3,4,5,6,7,8-hexahydro-7-methylethyl-8-methyl-6-oxo[1,4]diazonino[7,6,5-cd]indole (6) which is provided with the function of teleocidin B except for the terpenoid hydrocarbon chain. The optically active 6 was also synthesized from optically active 4.



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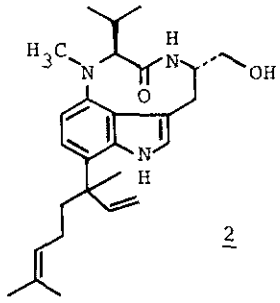

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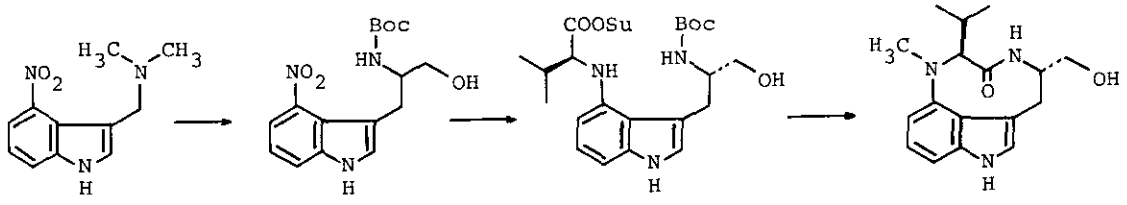

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