

TOTAL SYNTHESIS AND SOME CHEMICAL REACTIONS OF BIKAVERIN

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Total synthesis and some chemical reactions of bikaverin (1b) are presented.

Total Synthesis of Bikaverin (1b)

The O-diacyloxynaphthalene derivative prepared from methyl curvulinate and everninyll chloride was converted to the C-acyl compound (6) by photochemical Fries rearrangement.

Treatment of 6 with alkali gave two isomeric cyclized products, the linear benzoxanthone (7) and the angular isomer (8). Compounds 7 and 8 were oxidized with potassium dichromate to give the para-quinone (9) and the ortho-quinone (10), respectively. By a novel rearrangement, 10 was transformed into 9 by treatment with silica gel. Treatment of 9 with MnO_2 in H_2SO_4 gave norbikaverin (1a), which was methylated with $MeI-Ag_2O$ to give bikaverin (1b).

Chemical Reactions of Bikaverin (1b)

Methylation of 1b with diazomethane afforded monomethylbikaverin (1c). Reductive acetylation of 1b, c with acetic anhydride and sodium acetate in the presence of zinc powder gave rise to benzoxanthene derivatives (11a, b), respectively. 1b was hydrolysed with aqueous sodium hydroxide to give everninic acid (2b) and orcinol. However, hydrolysis of 1c with alcoholic potassium hydroxide gave rise to cyclopentenoxanthone derivative (13). Ozonolysis of 1c gave xanthone derivative (14).