ACETYLATION OF 3-AMINO-3,4-DIHYDRO-4-HYDROXY-4-PHENYLQUINAZOLINE AND ITS TRANSFORMATION INTO 4H-s-TRIAZOLYLBENZOPHENONE

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Acylation of the title quinazolines 1 in an aprotic solvents (DMF, HMPA) and transfomation of the resultant 2-substituted-3-acylamino-4-hydroxy-4-phenylquinazoline 2, 2-substituted-3-acylamino-4-phenylquinazolinium ylid 3, and 2-substituted-3-acylamino-4-hydroxy-4-phenyl quinazolinium cation 4 into 4H-s-triazolylbenzophenone 5 were described.

Acylation of 1 with acetyl chloride in DMF at 0~5° followed by neutralization with aq. Na_2CO_3 afforded the 3-acylaminoquinazoline 2, while treatment of 1 with chloroacetyl chloride in DMF at 0-5° followed by neutralization gave the quinazolinium N-ylid 3. On the other hand, acylation of 1 with chloroacetyl chloride in the same condition without neutralization yielded the quinazolinium cation 4. Transformation of 2 into 4H-s-triazolylbenzophenone 5 in several organic acids was accompanied by concomitant formation of the hydrazone derivative of aminobenzophenone depending upon the substituent of the quinazoline ring. Whereas, treatment of the quinazolinium N-ylid 3 in acetic acid and the cation 4 with sodium acetate in acetic acid produced 5 in high yield without concomitant formation of the hydrazone. However, the 3-aroylaminoquinazolinium N-ylid 3 and cation 4 failed to give 5 on treatment with acetic acid.

A mechanism of transformation of the acylquinazoline 2 into 4H-s-triazolylbenzophenone 5 was also discussed briefly.