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MICROWAVE SYNTHESIS OF 4-HYDROXYQUINAZOLINES

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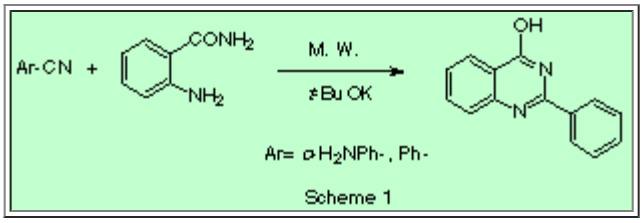
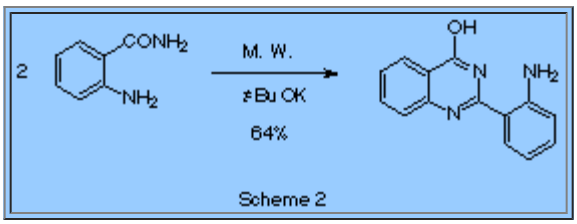
Recently, we have reported [1] the microwave enhanced synthesis of 4-aminoquinazolines from anthranilonitrile and several aromatic nitriles Scheme 1. In the absence of another nitrile, anthranilonitrile dimerized to gave the corresponding 4-amino-2-(2'-aminophenyl)quinazoline. There we reported a reduction in reaction times together with an improvement in yields regarding to the methods using conventional heating.



Scheme 1

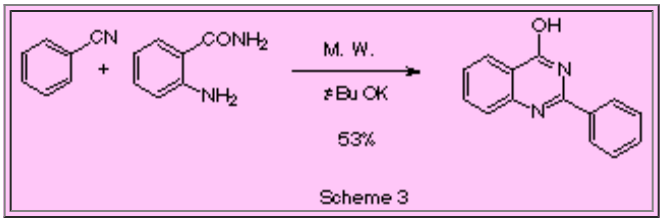
Here we report a similar behavior observed in anthranilamide, bu in this case instead 4-aminoquinazolines, 4-hydroxyquinazolines were formed. These 4-hydroxyquinazolines are too compounds with pharmacological interest [2]. We observed that when anthranilamide was heated with 10% molar ratio of potassium tert-butoxide, we obtained the corresponding 4-hydroxy-2-(2'-aminophenyl)quinazoline Scheme 2 in a 64% yield after two minutes of heating in a microwave oven. This a yield much higher than the one obtained dimerizing anthranilamide by the conventional method (17%) [3].



Scheme 2

We also heated in a domestic microwave oven a mixture 10:1:10 of anthranilamide:Kt-BuO:benzonitrile obtaining

4-hydroxy-2-phenylquinazoline Scheme 3 in a 53% yield after three minutes heating.



Although the yields obtained for 4-hydroxyquinazolines are about a 30% lower than those obtained for the analogous 4-amino quinazolines, we think it still constitutes a good alternative for the conventional methods, since yields are good, and reactions are easy to run, its has operational and environmental advantages due to the needless of solvent, and the reactions seems to be easily scaled up.

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3.- Pakrashi, S. C., *J. Org. Chem.*, 1971, **36**, 642-645.

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