

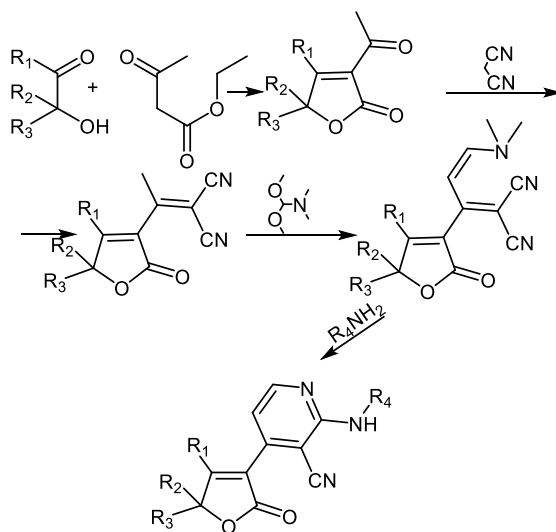
Synthesis of new thiophene substituted 2-aminopyridines

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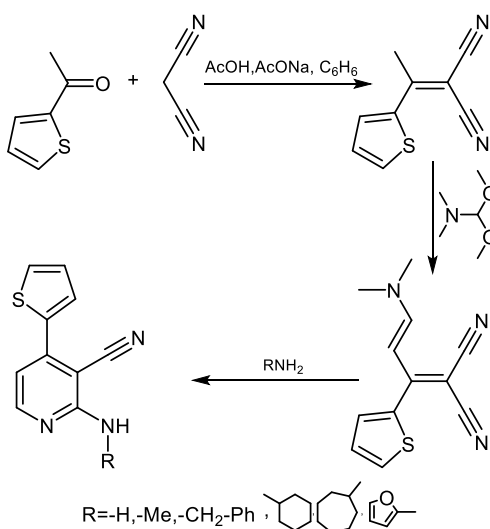
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Synthesis new analogues of substituted pyridines is of a certain interest in organic chemistry [1, 2]. Taken into account the high biological activity revealed by the analogous compounds we decided to obtain compounds, combining thiophene and pyridone cycles. Previously we have synthesized substituted 2-aminopyridines, containing γ -lactone ring in position-4 of the pyridine ring, by the following scheme [3]:



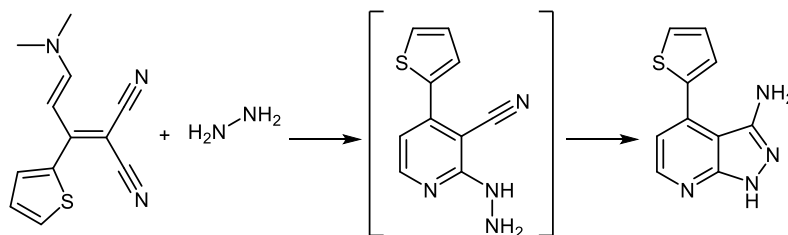
$R_1=R_2=R_3=\text{Me}$; $R_1=\text{Me}, R_2+R_3=-(\text{CH}_2)_5-$; $R_1=R_2=\text{Me}, R_3=\text{Et}$

We use this scheme and by changing the acetyl lactone with acetyl thiophene, we obtained new compounds by the following scheme:



The final cyclization occurs by cycloaddition of primary amines to the obtained conjugated system.

It is interesting that use of hydrazine, N_2H_4 , in the cyclization step, we obtained the product of in situ intramolecular cyclization of intermediate hydrazino compound, leading to corresponding pyrazolo[3,4-b]pyridine.



All synthesized compounds are identified and their biological investigations are being held in the university of Pierre and Marie Curie (Paris-6).

Thus we successfully synthesized 2-aminopyridines with different substituents, which would provide some basis for comparison of their structure and biological activity.

References

1. Hogenkamp, Derk J.; Ford-Hutchinson, Thomas A.; Li, Wen-Yen; Whittemore, Edward R.; Yoshimura, Ryan, F.; Tran, Minhtam B.; Johnstone, Timothy B. C.; Bascom, Gavin D.; Rollins, Hannah; Lu, Lena; Gee, Kelvin, W.; *Journal of Medicinal Chemistry*; vol. 56; nb. 21; (2013); p. 8352 – 8365
2. Villemin, Didier; Belhadj, Zahira; Cheikh, Nawel; Choukchou-Braham, Noureddine; Bar, Nathalie; Lohier, Jean-François; *Tetrahedron Letters*; vol. 54; nb. 13; (2013); p. 1664 – 1668
3. R.M. Hakobyan, S.S. Hayotsyan, H.S. Attaryan and G. S. Melikyan // *Synthesis of new 3-pyridine substituted furan-2(5H)-ones*// “Biotechnology. Science and Practice” 4-th International Scientific Conference of Young Researchers September 28-30 2017 Yerevan, Armenia