

Summary

Because trisubstituted pyrimidines, condensed pyrimidines and non-condensed ones have proved a great importance in pharmaceutical chemistry, medicinal chemistry and therapeutic applications, this work describes a facile synthesis of such compounds *via* the widely common method, **Pinner synthesis**. It involves the synthesis of 2-mercaptopyrimidine derivative **2** via the reaction of *N*-{4-[3-(4-chlorophenyl)prop-2-enoyl]phenyl}benzamide (**1**) with thiourea in ethanolic solution of sodium ethoxide.

The behavior of 2-mercaptopyrimidine derivative **2** towards some nitrogen nucleophiles (hydrazine hydrate, anthranilic acid, *o*-phenyldiamine and piperidine) and some electrophiles (acrylonitrile, chloroacetic acid, β -aroylacrylic acid and ethyl chloroacetate) has been investigated.

Thus, the reaction of pyrimidine-2-thione derivative **2** with hydrazine hydrate gave the corresponding hydrazinopyrimidine **3**. Pyrimido[2,1-*b*]-quinazolinone **4** was synthesized through the reaction of 2-mercaptopyrimidine derivative **2** with anthranilic acid. Also, pyrimidine-2-thione derivative **2** was reacted with *o*-phenyldiamine and afforded pyrimido-[1,2-*a*]benzimidazole **5**. The non-condensed 2-(piperidin-1-yl)pyrimidine derivative **6** was formed by the reaction of 2-mercaptopyrimidine **2** with piperidine.

On the other hand, the reaction of pyrimidine-2-thione **2** with acrylonitrile gave 2-[(β -cyanoethyl)thio]pyrimidine derivative **7**, While the reaction with chloroacetic acid furnished [(pyrimidin-2-yl)thio]acetic acid derivative **8**. Pyrimidine-2-thione **2** was reacted with 3-(4-bromobenzoyl)-acrylic acid in an addition reaction and gave 4-oxobutanoic acid derivative **9**.

However, the reaction of 2-mercaptopyrimidine **2** with ethyl chloroacetate afforded the corresponding ester **10**, which was reacted with hydrazine hydrate and gave the corresponding hydrazide **11**. The hydrazide **11** was reacted with active methylene group containing compounds namely; acetylacetone and ethyl acetoacetate and yielded pyrazolylpyrimidine derivatives **12** and **13** respectively. Also, the reaction of hydrazide **11** with *p*-toullic acid in POCl₃ furnished oxadiazolylpyrimidine derivative **14**.

2-Hydrazinopyrimidine **3** was used as a precursor for the synthesis of condensed and non-condensed pyrimidines. Thus, the reaction 2-hydrazinopyrimidine **3** with acetylacetone gave 2-(pyrazol-1-yl)pyrimidine derivative **15**. While, the reaction of 2-hydrazinopyrimidine **3** with aliphatic carboxylic acid namely; formic acid and acetic acid afforded the fused analogues, triazolo[4,3-*a*]pyrimidines **16a** and **16b** respectively. (Pyimidin-2-yl)-phthalazine-1,4-dione derivative **17** was synthesized by the reaction of 2-hydrazinopyrimidine **3** with phthalic anhydride. Also, 2-hydrazinopyrimidine **3** was reacted with carbon disulphide and gave triazolo[4,3-*a*]pyrimidine-3(2*H*)-thione derivative **18**. Finally, condensation of 2-hydrazinopyrimidine **3** with *p*-hydroxybenzaldehyde afforded the Schiff's base *p*-hydroxybenzylidene hydrazone derivative **19**.

Structures of all synthesized compounds were established by:

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| 1- Elemental analysis. | 2- I.R spectra. |
| 3- ¹ HNMR spectra. | 4- Mass spectra. |

Some of the synthesized compounds were screened for their antimicrobial activities against some selected bacteria and fungi, and it was found that, most of these compounds have remarkable biological activity.