

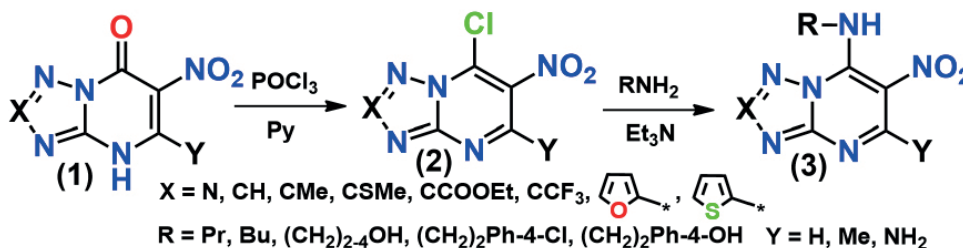
NITROAZOLOPYRIMIDINES – ATTRACTIVE STRUCTURES IN MEDICINAL CHEMISTRY

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It's known that nitrocompounds are often highly toxic hence their use as pharmaceuticals is limited. On the other hand, it was previously shown that a series of nitroazolotriazines have a pronounced useful biological activity coupled with an extremely low, uncharacteristic for this class of structures, toxicity^{1,2}. From this point of view the structural-related class of heterocycles - nitroazolo-pyrimidines is promising for the study of biological activity.

We have developed a scheme for the synthesis of a wide range of 6-nitro-7-alkylaminoazolo[1,5-a]pyrimidines (3) with the help of pyridine as the activating agent. Pyridine has sufficient basicity to activate the chlorooxygenation process, and is completely non-nucleophilic, thereby it was possible to perform amination in situ for the triazole derivatives, or isolate the corresponding 5-methyl-6-nitro-7-chlorotetrazolo[1,5-a]pyrimidine as individual compound and characterize it. Various substituted 6-nitro-7-alkylaminoderivatives (3) were synthesised in this manner with a satisfactory yields.



The obtained heterocycles can be considered as convenient precursors for the synthesis of abnormal nucleosides of the purine series, but also they have independent value as structural analogs of the adenosine receptors effectors and compounds with antiglycating activity. Biological studies have shown that some structures demonstrate activity both by *in vitro* and *in vivo* studies.

References

1. Rusinov V.L. et al. RF Patent №2612300
2. Rusinov V.L. et al. RF Patent №2536874.

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