SYNTHESIS OF 2-ACETYL-7-AMINO-3-METHYL-5-PHENYL-5H-THIAZOLO[3,2-a] PYRIMIDINE-6-CARBONITRILE

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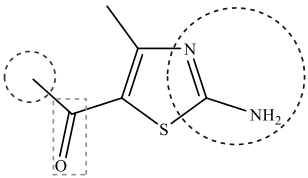
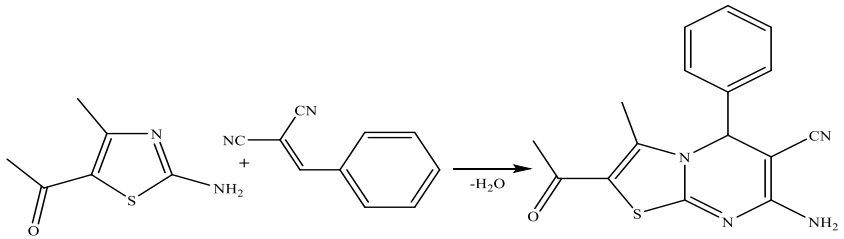
Compounds containing a thiazole ring are of considerable interest in organic synthesis due to their high reactivity and pronounced pharmacological activity. According to the literature, the thiazole heterocycle readily participates in various chemical transformations, including reactions involving oxidation of the nitrogen or sulfur atoms within the ring. However, from a pharmacological standpoint, synthetic approaches that preserve the integrity of the thiazole ring are of greater significance, as compounds obtained in this manner are widely applied as medicinal agents.

In this context, a series of synthetic transformations was carried out while maintaining the thiazole fragment of 1-(2-amino-4-methylthiazol-5-yl)ethan-1-one. This key intermediate was synthesized by a known method involving the condensation of 3-chloropentane-2,4-dione with thiocarbamide. Subsequent reactions were directed toward functional modification of the substituent groups while retaining the thiazole core.



As observed, during transformations targeting the ketone group, the α-methyl substituent, and the –N=C–NH₂ fragment, the thiazole moiety remains intact. Subsequent reaction of this compound with 2-benzylidenemalononitrile, following the reaction scheme depicted below, afforded 2-acetyl-7-amino-3-methyl-5-phenyl-5H-thiazolo[3,2-a]pyrimidine-6-carbonitrile.



1 mmol of 1-(2-amino-4-methylthiazol-5-yl)ethan-1-one in ethanol (100 ml) was added to 1 mmol of 2-benzylidenemalononitrile. Then 5 g of NaOH was added. The mixture was refluxed for 24 hours. After-ward, it was cooled to room temperature. After some time, yellowish crystals began separating out. The crystals were recrystallized from ethanol. The yield of 2-acetyl-7-amino-3-methyl-5-phenyl-5H-thiazolo[3,2-a]pyrimidine-6-carbonitrile was 72 %.