Synthesis of 2-((4-(1H-indol-2-yl)phenyl)amino)thiazol-4(5H)-oneas a potential pharmaceutical structure

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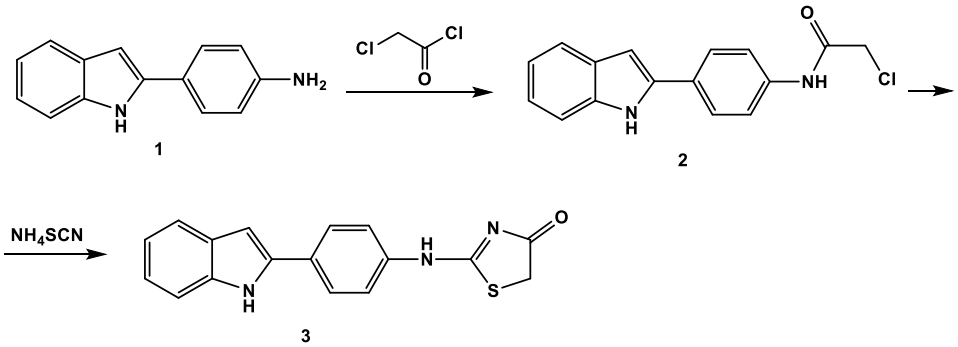
Annotation The indole ring system is one of the most prevalent subunits in natural product chemistry and has captured the interest of organic chemists for more than a century.

Particularly, 2-phenyl-substituted derivatives are of considerable interest, because of their biological and pharmacological activities. Generally, they display important psychotropic, sedative, analgesic, anti-depressive, anti-inflammatory and cytotoxic activities. Nonsteroidal compounds having estrogenic or anti-estrogenic activities are valuable for controlling estrogen-dependant cancers. Hence, it was reported that 2-phenylindole is a suitable scaffold for the development of new agents for the treatment of estrogen-responsive mammary tumors.

On the other hand, Thiazole structure is found in biologically active compounds (e.g. Vitamin B) and in medications (penicillines, sulphanidamide agents). Some therapeutic preparations that contain thiazole ring, are capable slow down or completely stop multiplication of cancer cells in the diseased organs. In this regard the compounds, which contain thiazole ring condensed with benzene ring and having inhibition capacity, are notable [1-6]. Consequently, condensation of indole ring with thiazole ring makes it possible obtaining a wide range of new heterocyclic compounds revealing feasible inhibitory and intercalation activity.

Acylation of an amino group in 2-p-aminophenylindole **1** and further cyclization of the acylated product were envisaged as an alternative approach to compound **3** (Scheme 1).

Scheme 1. Synthesis of aminothiazolone derivatives



Chloroacetyl chloride was used as an acylating agent. Boiling in DMF was found to be the best procedure for cyclization of the synthesized amide **8** with ammonium thiocianate

References

[1] a) R.K.Brown in "*Indoles*, Part one, Ed. W.J.Houlihan. Vol.25, (**1972**) ch.2;

[2] A.I.Scott. *Bioorganic Chem.*, (**1974**), 3, 398;

[3] J.A.Joule. Science of Synthesis, (**2000**), pp.261-652;

[4] G.W.Gribble, *J.Chem.* Soc.Perkin Trans.1, (**20000**, 1045.