

# SYNTHESIS AND BIOLOGICAL EVALUATION OF NOVEL SULFANILAMIDE DERIVATIVES

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Benzenesulfonamides are widely explored due to their wide range of potential pharmaceutical uses as anticancer, antibacterial and antifungal drugs [1]. As well as alkylated imidazoles which bear anticancer activity and ketoximes which garnered attention for their potent antibacterial properties [2, 3].

In this present study,  $\alpha$ -aminoketone **2** was obtained by reacting the primary amine **1** with bromoacetophenone in H<sub>2</sub>O and 1,4-dioxane mixture. 3,5-dichloro-4-((2-oxo-2-phenylethyl)amino)benzenesulfonamide (**3**) was synthesized by chlorination of compound **2** with HCl in a presence of hydrogen peroxyde. Ketoximes **4** and **5** were synthesized by treating  $\alpha$ -aminoketones **2,3** with hydroxylamine hydrochloride in methanol using sodium acetate as base. Oxoimidazole **6** was yielded by treating  $\alpha$ -aminoketone **2** with sodium cyanate in glacial acetic acid, and mercaptoimidazole **8** - by treating  $\alpha$ -aminoketone **2** with potassium thiocyanate in hydrochloric acid. The alkylation reactions of the synthesized imidazole derivatives **6** and **8** with ethyl iodide were investigated and it was found that by varying the reaction conditions, *N*-, *S*- and *N,S*-alkyl derivatives **7,9-11** could be synthesized. Molecular structures were confirmed via FT-IR, NMR spectra and elemental analysis.

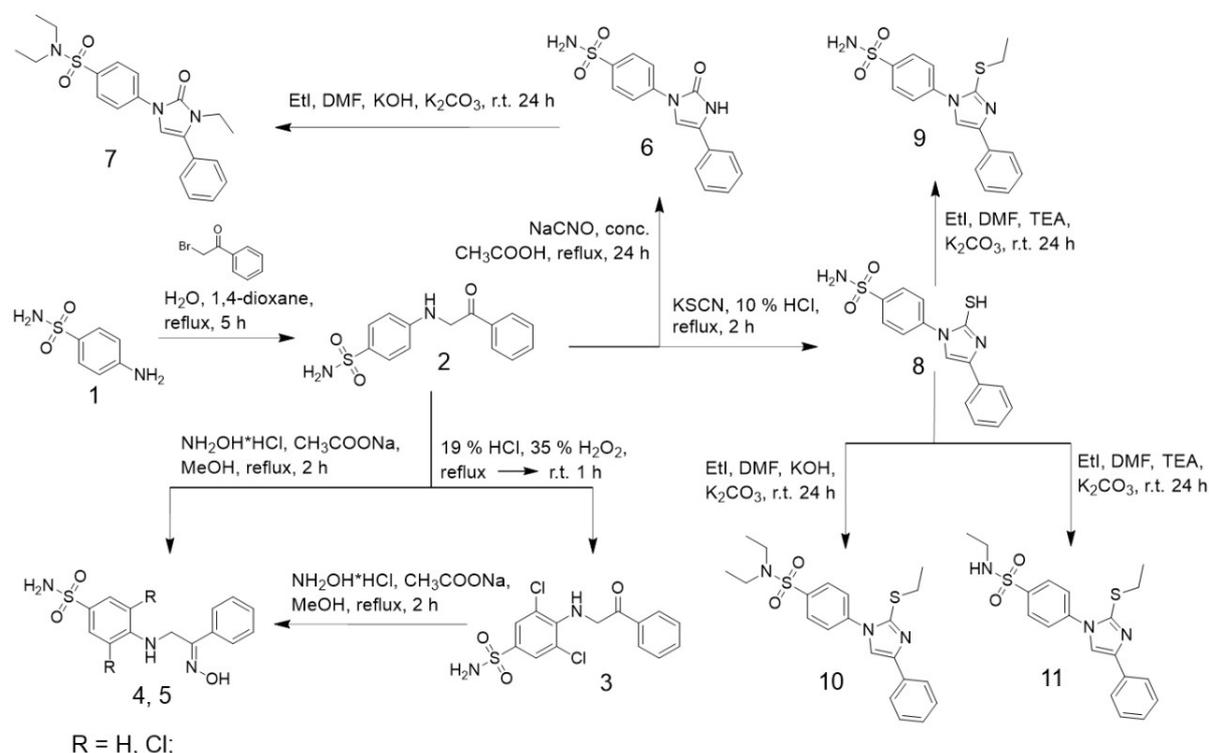


Fig. 1. Synthesis of compounds 2-11

Synthesized compounds were evaluated against H69 human lung carcinoma cells and *C. albicans*, *C. auris* cultures bearing promising results.

[1] M. T. M. Nembr, A. M. AboulMagd, H. M. Hassan, A. A. Hamed, M. I. A. Hamed, and M. T. Elsaadi, "Design, synthesis and mechanistic study of new benzenesulfonamide derivatives as anticancer and antimicrobial agents via carbonic anhydrase IX inhibition," RSC Advances, vol. 11, no. 42. Royal Society of Chemistry (RSC), pp. 26241–26257, 2021.

[2] B. Balandis, V. Mickevičius, and V. Petrikaitė, "Exploration of Benzenesulfonamide-Bearing Imidazole Derivatives Activity in Triple-Negative Breast Cancer and Melanoma 2D and 3D Cell Cultures," Pharmaceuticals, vol. 14, no. 11. MDPI AG, p. 1158, Nov. 13, 2021.

[3] P. Gayathri et al., "Bio-potent aryl ketoximes," Ovidius University Annals of Chemistry, vol. 35, no. 2. Walter de Gruyter GmbH, pp. 146–153, Jul. 01, 2024.