

Functionalization and Properties Investigations of Benzothiophene Derivatives

Arnas Kovševič¹, Indrė Jaglinskaitė¹, Vilija Kederienė¹

¹Department of Organic Chemistry, Faculty of Chemical Technology, Kaunas University of Technology
arnas.kovsevic@ktu.edu

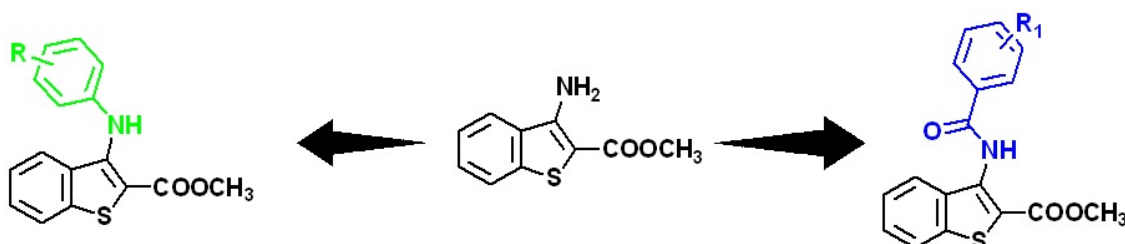
Due to their diverse biological properties, benzo[b]thiophenes are heterocyclic compounds widely used in pharmaceuticals. Benzo[b]thiophene derivatives have anti-allergic, antibacterial, and anti-inflammatory effects. They are receptor modulators and antioxidants. [1]

It has been established that benzo[b]thiophene derivatives can be potential drugs in cancer treatment, as the inhibition of protein tubulin polymerization and cell proliferation characterizes them. [2] The drugs Raloxifene, intended for the treatment of osteoporosis during postmenopause, and Zileuton, an antiasthmatic drug, are based on them. [1] In addition, benzo[b]thiophene compounds are used in solar cells and thin-film devices due to their fluorescent properties. [3]

N-substituted benzo[b]thiophene derivatives are also used in the pharmaceutical industry because of their biological properties, such as antifungal, antibacterial and anti-inflammatory properties. [4] In addition, the drug Encenicline was discovered, which is active against schizophrenia and Alzheimer's disease. [1]

Also, these compounds are not only biologically active substances but also have luminescent properties so that they can act as efficient emitters. [5]

For further synthesis, benzo[b]thiophene derivatives were chosen due to their wide application. After choosing the optimal conditions at the beginning of the study, the initial derivative of 3-aminobenzo[b]thiophene was synthesized. Various reaction methodologies were employed to investigate the reactivity of 3-aminobenzo[b]thiophene-2-methylcarboxylate with different substituents. A detailed analysis was conducted on the structure of the 3-aminobenzo[b]thiophene derivatives obtained.



-
- [1] Kesharwani, T. et al. Green synthesis of benzo[b]thiophenes via iron(III) mediated 5-endo-dig iodocyclization of 2-alkynylthioanisoles. *Tetrahedron Letters*, 2016, 57, 411-414.
- [2] Romagnoli, R. et al. Synthesis and Biological Evaluation of 2- and 3-Aminobenzo[b]thiophene Derivatives as Antimitotic Agents and Inhibitors of Tubulin Polymerization. *Journal of Medicinal Chemistry*, 2007, 50(9), 2273-2277.
- [3] Choi H. et al. Novel organic dyes containing bis-dimethylfluorenyl amino benzo[b]thiophene for highly efficient dye-sensitized solar cell. *Tetrahedron*, 2007, 63(15), 3115-3121.
- [4] Isloor, A. M., B. Kalluraya, K. S. Pai. Synthesis, characterization and biological activities of some new benzo[b]thiophene derivatives. *European Journal of Medicinal Chemistry*, 2010, 45(2), 825-830.
- [5] Fukuzumi, K., et al. Synthesis of Benzo[c]thiophenes by Rhodium(III)-Catalyzed Dehydrogenative Annulation. *The Journal of Organic Chemistry*, 2016, 81(6), 2474-2481.