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Editor
Komarytskyy M.L.
Ph.D. in Economics, Associate Professor

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PHARMACEUTICAL SCIENCES

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RESEARCH OF 2,3,4-TRISUBSTITUTED THIAZOLINE

Fatimazahra Elaouam
student
Yeromina Hanna,
candidate of pharmaceutical sciences, assistant
Romas Kateryna
candidate of pharmaceutical sciences, assistant professor
Ieromina Zinaida,
candidate of pharmaceutical sciences, assistant professor
Upyr Taras
candidate of pharmaceutical sciences, assistant
Perekhoda Lina,
doctor of pharmaceutical sciences, professor
National University of Pharmacy, Kharkiv, Ukraine

Abstract The new 2,3,4-trisubstituted thiazoline containing morpholine moiety was synthesized. For compound synthesized physicochemical methods of analysis, qualitative reactions and pharmaco-technological tests were conducted. According to the results of pharmacological screening for anti-inflammatory and antiexudative effects investigated substance had the moderate activity.

Key words: synthesis, thiazoline derivatives, pharmacological screening, anti-inflammatory activity.

It is known that inflammation is an evolutionarily conserved process of protection and a critical survival mechanism [1]. It is composed of complex sequential changes in the tissue to eliminate the initial cause of the cell injury, which may have been caused by infectious agents or substances from their metabolism (microorganisms and toxins), as well as by physical agents (radiation, burn, and

trauma), or chemicals (caustic substances) [2,3]. The signs of inflammation are local redness, swelling, pain, heat, and loss of function [4]. Many diseases is based on inflammation, so, search for new anti-inflammatory compound is actually nowadays [5].

Continuing the search for new biologically active substances in the series of 1,3-thiazoline derivatives, at the department of medicinal chemistry of National University of Pharmacy a new one was synthesized in the ethanol medium by interaction of of N-(morpholin-4-yl)-N'-(4-chlorphenyl)thiourea and α-bromo-4-chloroacetophenone according to Fig. 1:

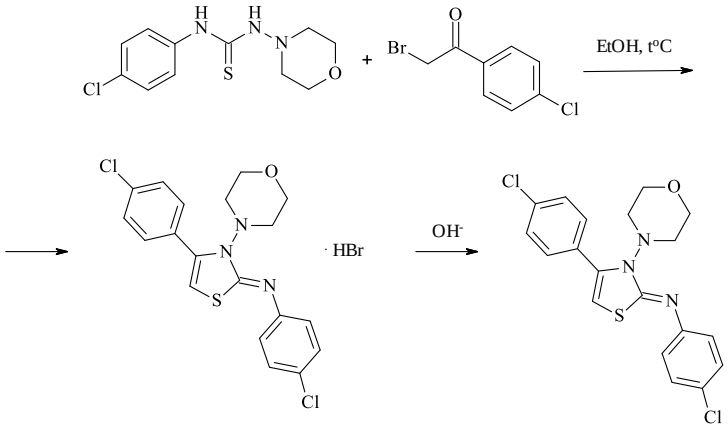

Fig. 1. Scheme of synthesis

The structure and individuality of the synthesized 2,3,4-trisubstituted thiazoline containing morpholine moiety was confirmed by ^{1}H NMR-spectroscopy, ^{13}C NMR-spectroscopy, qualitative reactions and pharmaco-technological tests were conducted.

Antiexudative activity of the compound synthesized were conducted on the model of carrageenan-induced edema in the experiments *in vivo*. An increase in the foot volume by 130.6% in white rats with induction of the inflammatory process was observed 4 hours after the subplantar introduction of carrageenan. According to the results of pharmacological screening investigated substance had the moderate antiexudative activity at the level of 21.4 % in comparison to the reference drugs Ibuprofen (35.8%) and Diclofenac (42.5%)

The results of *in vivo* studies demonstrated that the further search for anti-inflammatory and antiexudative agents in this series of thiazoline derivatives is prospective.

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