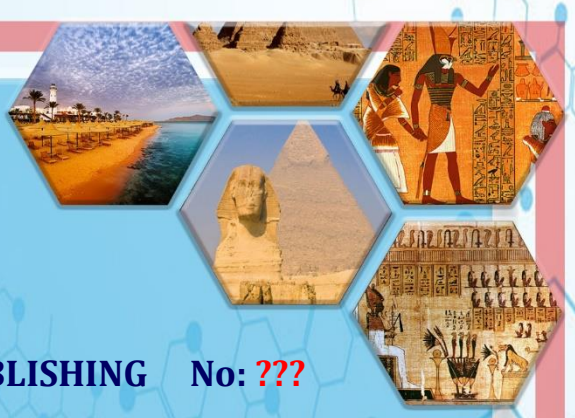

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Research of New Effective Analgesic and Anti-inflammatory Drugs

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Preparations with antiexudative action are used in the treatment of soft tissue edema after surgery and injuries, as well as inflammatory processes of various organs and tissues. These preparations include NSAIDs that are widely used for the treatment of inflammatory diseases of the musculoskeletal system, as well as.in cardiology, neurology, oncology, etc. Every patient suffering from rheumatic diseases takes these drugs, and every fifth patient has a pathological condition associated with pain and inflammation. More than thirty million people in the world take NSAIDs every day. They have serious side effects that are associated with the mechanism of their actions. Thus, even short-term use can cause side effects that occur in 25% of cases, and 5% have serious complications, especially in elderly people, accounting for more than 60% of NSAID users. It should also be noted that in many diseases there is a need for long-term use of NSAIDs.

Taking into account the above, the problem of reducing toxicity and increasing the safety of anti-inflammatory drugs is a topical solution. That is why, despite the wide range of anti-inflammatory drugs, the pharmacological regulation of inflammation processes and search for new drugs with minimal side effects is constantly being carried out.

Researchers devote a great care to this problem, especially the study of various heterocyclic compounds and their derivatives as potential non-steroidal anti-inflammatory drugs (NSAIDs). The prospective application of these compounds is based on their high reactivity, low toxicity, availability of reagents for synthesis, solubility in most solvents and broad spectrum of biological activity.

Ibuprophen derivatives were prepared according to the following method. Ibuprofen was dissolved in dioxane than carbonyldiimidazole (CDI) was added and stirred at 80°C for 2 hours prior to the formation of ibuprofen imidazolid. After the appropriate amine was added and stirred for 3-4 hours at 80°C until the reaction was complete. The reaction was monitored by TLC. Yield of target products is 37-88%.

The predicted anti-exudative action was studied on the white male rats using experimental models of form alin-induced edema, which was modeled using subplantar introduction of 0,1 ml of 2% formalin solution in hind paw of rat. Paw volume was measured with the digital plethysmometer (IITC Life Science, USA) before the introduction of new synthesized compounds and after 4 hours followed the formalin injection (maximum swelling). The investigated substances were administered orally in a form of suspension of 3% starch mucus 1 hour before the maximum development of edema at a dose of 1, 10 and 25 mg/kg. Diclofenac sodium was chosen as a reference drug.

Among the studied ibuprophen derivatives the following leaders' compounds were selected: (1-(4-(benzo[d][1,3]dioxol-5-ylmethyl)piperazin-1-yl)-2-(4-isobutylphenyl)propan-1-one); (2-(4-isobutylphenyl)-N-(4-(2-oxopyrrolidin-1-yl)benzyl)propanamide) and (N-(cyclohexyl-methyl)-2-(4-isobutylphenyl)propanamide). It was found that values of antiexudative activity (AeA) of these compounds exceed the AeA value of reference drug (44.0%) and comprises 44%, 50% and 57.4% correspondingly at a dose 10 mg/kg. These results indicate their prospects for further in-depth study as potential NSAIDs.