Shota Rustaveli National Science Foundation of Georgia
(SRNSFG)
Basic Research Grant Project
Summary

(Up to 250 words)

Project Title	New Derivatives of Adamantane Benzimidazoles and Imidazo[4,5-e]benzoxazoles: Synthesis and Study.
Host Institution	Ivane Javakhishvili Tbilisi State University

Abstract

The project goal: target synthesis of acyclic and heterocyclic adamantane new derivatives, in order to create drugs against viral, bacterial infections and other biological agents. Creation of new generation medications is one of the keen problems in the modern world. Adamantane line preparations simultaneously display antiviral, antimicrobial, cytotoxic, psychoneuro immunoregulatory and other actions. It is proved experimentally that including of adamantane fragment in a medication can fully change, or partially enhance its biological activity; often decreases toxicity. This can be explained by change of spatial organization of the preparation, hydrophobicity, and lipophilicity, as well as by creating favorable conditions for transportation through the biological membranes, effect of the preparation action prolongation, high immunotropicity etc. It is well-known that benzimidazoles are characterized with wide range of biological activities. Benzimidazole-2-carbamates, belong to the group of most effective preparations. On the other hand, a number of compounds of this group have revealed teratogenic, embriotoxity and other side effects. The scientific project participants believe that replacement of the carbamate group (-NHCOOR) by adamantane pharmacophore will eliminate above mentioned negative effects and improve biological characteristics of the molecule. The present project is aimed to solve the following problems: elaboration of the synthetic procedures for new derivatives of 5(6)-carboxy-2-(1-adamantyl)benzimidazole, 5(6)-substituted-2-[3-amino-(1-adaman-tyl)]benzimidazole, 5(6)-substituted-2-[3-aminophenyl-(1-adamantyl)]benzimidazoles, 7-(1-adamantyl)-2-aryl-8H-imidazo[4,5-e]benzoxazoles , 5(6)-substituted-2-(1-adamantyl)methyl-benzimidazoles, 2-sub-stituted-5(6)-[3-carboxy-(1-adamantyl)]benzimidazoles, determination of their structures, preparation of laboratory samples and bioscreening. Study of the relation between chemical structure and biological activity. In conclusion, the synthesis and studying of this field is perspective and actual.