Azar Tahghighi, J Immunome Res 2017, 13:1 (Suppl)
http://dx.doi.org/10.4172/1745-7580.C1.011

Design and synthesis of new heteroaromatic derivatives with anti-parasitic activity

Azar Tahghighi
Pasteur Institute of Iran, Iran

Parasitic diseases are a major problem in tropical and subtropical regions of the world such as malaria and leishmaniasis. These diseases cause considerable mortality and morbidity annually. No vaccines are available to prevent infections. On the other hand, parasitic drug resistances have restricted the use of available drugs for the treatment of malaria and leishmaniasis. Actually, identification and development of new, cheap, efficient, and safe compounds as drug candidates for the prophylaxis and treatment of these diseases are imperative from pharmaceutical point of view. Therefore, a range of creative strategies are required to achieve new lead compounds. The first aim of our studies were to synthesize and assess antileishmanial activity of 5-(5-nitrohetero aryl-2-yl)-1,3,4-thiadiazoles with different substituents at the 2-position of thiadiazole ring. It was notable that the bioresponses and physicochemical properties of the molecules depended on the type of these substituents. In these studies, MLR and ANN models were used and predicted the antileishmanial activity of some thiadiazole derivatives. Also, molecular modeling and docking studies were conducted based on DNA topoisomerase as a target enzyme. The results suggested that hydrogen bonding and hydrophobic interactions of ligands with the active site of *Leishmania major* topoisomerase IB were responsible for their potent antileishmanial activity. The other aim of our study was to synthesize a series of 1,10-phenanthroline derivatives containing amino-alcohol and amino-ether substituents, and quinoline derivatives containing benzyl dialkyl amine and N-alkyl benzamidine substituents. Their anti-plasmodial activity was then evaluated intraperitoneally using the Peter's 4-day suppressive test against *Plasmodium berghei*-infected mice. Based on results, the synthetic compounds had about 90% suppression and also prolonged the mean survival time of treated mice in comparison with negative control groups.

Biography

Azar Tahghighi is an Assistant Professor at Pasteur Institute of Iran (PII). She received her PhD in Medicinal Chemistry and is experienced in synthesis of leishmanicidal compounds and evaluation of their biological activity against promastigote and amastigote forms of *L. major*. She is also experienced in QSAR studies and molecular docking of synthetic compounds with leishmanicidal effect. With emerging resistance to the first-line anti-malarial drugs, there is an urgent need for the development of new anti-malarial drugs to curb the disease. Currently, she is working in the Drug Discovery Lab of MVRG and her areas of interest are: design and synthesis of novel compounds with antimalarial activity, antimalarial drug design with molecular docking, synthesis of insecticides, isolation and identification of natural compounds and medicinal plants with antimalarial activity, and identification of plants with insecticide, larvicidal and repellent activity.

atahghighi2009@gmail.com

Notes: