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New molecules with azaheterocycles skeleton of potential interest in leishmaniasis

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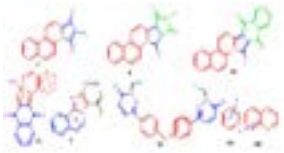
Over the past decades, azaheterocyclic derivatives were reported as valuable scaffolds in medicinal chemistry, showing variously biological activities such as anticancer, antibacterial, antituberculosis, anti-leishmania and other antimicrobials, etc. Leishmaniasis is a growing health problem worldwide. Current treatments for leishmaniasis are involving drugs such as imidazoquinolines, pentamidine, miltefosine, etc. Imidazoquinolines, especially imiquimod, resiquimod and gardiquimod, represent a class of drugs largely used in cutaneous leishmaniasis. Pentamidine is a second-line drug largely used in treatment against cutaneous leishmaniasis caused by Leishmania major infection, after miltefosine. Oxoisoaporphine class emerges as a new drug candidate for leishmaniasis, being from 2-4 times more active than Miltefosine (against ptomastigotes). However, their use is limited by their toxicity, side effects, relatively high cost, discomfort and the emergence of drug resistance. This is why new approaches are urgently needed. The emphasis of this work is on the design, synthesis and characterization of some new class of anti-leishmania derivatives with azaheterocycles skeleton, analogous with imidazoquinolines, pentamidine, miltefosine and oxoisoaporphine. In this respect several new classes of nitrogen heterocycles derivatives were designed, synthesized, characterized and tested *in vitro* for their antileishmania activity: polifused nitrogen heterocycles derived from benzo[f]quinoline, imidazo -isoquinolines and -phthalazine, PyrroloBenzoQuinone -pyridazine and -phthalazine (I-V), substituted- bis-pyridazinone VI, and amido-pyridil- and amido-quinolyl derivatives, VII, VIII. Some of the compounds were also tested for antimalarial activity. The structures of the compounds were proved by elemental and spectral analysis [IR, 1H-NMR, 13C-NMR, two-dimensional experiments 2D-COSY, HMQC, HMBC and MS]. The antileishmanial assay against Leishmania donovani intramacrophage amastigotes revealed a very good and promising activity, some of the compounds being at least 10 times more active comparative with the witness, miltefosine. The results against Plasmodium faliciparum are modest.



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Biography

Violeta Mangalagiu has completed her PhD at the University of Suceava (Romania), and Postdoctoral studies at the same university. Presently, she is a Senior Researcher at Alexandru Ioan Cuza University of Iasi and Lecturer at University of Suceava. She has published more than 25 papers in reputed journals and has been serving in the Editorial Board journals of repute.

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