2-(Nitroaryl)-5-substituted-1,3,4-thiadiazole derivatives with antiprotozoal activities: in vitro and in vivo study

ID 4651742
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Year 2022
Title 2-(Nitroaryl)-5-substituted-1,3,4-thiadiazole derivatives with antiprotozoal activities: in vitro and in vivo study
Journal Molecules
Volume 27
Pages / **Article-Number** 5559
Nitro-containing compounds are a well-known class of anti-infective agents, especially in the field of anti-parasitic drug discovery. HAT or sleeping sickness is a neglected tropical disease caused by a protozoan parasite, Trypanosoma brucei. Following the approval of fexinidazole as the first oral treatment for both stages of T. b. gambiense HAT, there is an increased interest in developing new nitro-containing compounds against parasitic diseases. In our previous projects, we synthesized several megazole derivatives that presented high activity against Leishmania major promastigotes. Here, we screened and evaluated their trypanocidal activity. Most of the compounds showed submicromolar IC50 against the BSF form of T. b. rhodesiense (STIB 900). To the best of our knowledge, compound 18c is one of the most potent nitro-containing agents reported against HAT in vitro. Compound 18g revealed an acceptable cure rate in the acute mouse model of HAT, accompanied with noteworthy in vitro activity against T. brucei, T. cruzi, and L. donovani. Taken together, these results suggest that these compounds are promising candidates to evaluate their pharmacokinetic and biological profiles in the futur
ISSN/ISBN 1420-3049
URL https://doi.org/10.3390/molecules27175559

Digital Object Identifier DOI 10.3390/molecules27175559
PubMed ID http://www.ncbi.nlm.nih.gov/pubmed/36080325