

Synthesis of 1,3,4-thiadiazoles containing isochroman moiety by cross-dehydrogenative coupling method and in vitro investigation of their anti-leishmanial effects.

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Background and Aims: Parasitic diseases such as leishmaniasis have significant impacts on the world. The treatment options for leishmaniasis involve the administration of five atomically active Antimonials such as Glucantime and Pentostam, but they have limited use for some reasons such as side effect, high price, long treatment and more important than others, development of drug resistance to their action. For this reason it is an emergent need to access to new anti-leishmaniasis medicines. In this study, effects of new antiparasitic derivations 5-nitrofur-1,3,4-thiadiazol against leishmania major parasite effect on promastigotes in medium and compare to Glucantime, has been studied.

Methods: In this study, new compounds were synthesized by cross-dehydrogenative coupling method (a novel strategy that has been used to construct a variety of new carbon-sulfur bonds through CDC reaction without using any metal catalyst), then leishmanicidal effects of compounds were studied in vitro.

Results: Calculated IC₅₀ for 1a, 1b respectively are equal to 23.95 µg/ml, 17.06 µg/ml. Calculated IC₅₀ for Glucantime are equal to 3104 mg/ml.

Conclusions: Found results of research show that synthesis derivations affected considerably on leishmania major and have stronger effects respect to control drug. It seems that we can use them as proper substitutes compounds in future studies.

Keywords: Leishmaniasis; 1,3,4-thiadiazol; Cross coupling