Antitrypanosomal activity of 5-nitro-2-aminothiazole-based compounds

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A small series of 5-nitro-2-aminothiazole-based amides containing arylpiperazine-, biphenyl- or aryloxyphenyl groups in their core were synthesized and evaluated as antitrypanosomatid agents. All tested compounds were active or moderately active against Trypanosoma cruzi amastigotes in infected L6 cells and Trypanosoma brucei brucei, four of eleven compounds were moderately active against Leishmania donovani axenic parasites while none were deemed active against T.ăbrucei rhodesiense. For the most active/moderately active compounds a moderate selectivity against each parasite was observed. There was good correlation between lipophilicity (clogP value) and antileishmanial activity or toxicity against L6 cells. Similarly, good correlation existed between clogP values and IC50 values against T.ăcruzi in structurally related subgroups of compounds. Three compounds were more potent as antichagasic agents than benznidazole but were not activated by the type I nitrorectusase (NTR).
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