Antiprotozoal activity of 1-phenethyl-4-aminopiperidine derivatives

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A series of 44 4-aminopiperidine derivatives was screened in vitro against four protozoan parasites (Trypanosoma brucei rhodesiense, Trypanosoma cruzi, Leishmania donovani, and Plasmodium falciparum). This screening identified 29 molecules selectively active against bloodstream-form T. b. rhodesiense trypomastigotes, with 50% inhibitory concentrations (IC50) ranging from 0.12 to 10 microM, and 33 compounds active against the chloroquine- and pyrimethamine-resistant K1 strain of P. falciparum (IC50 range, 0.17 to 5 microM). In addition, seven compounds displayed activity against intracellular T. cruzi amastigotes in the same range as the reference drug benznidazole (IC50, 1.97 microM) but were also cytotoxic to L-6 cells, showing little selectivity for T. cruzi. None of the molecules tested showed interesting antileishmanial activity against axenic amastigotes of L. donovani. To our knowledge, this is the first report of the antitrypanosomal activity of molecules bearing the 4-aminopiperidine skeleton
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