Antiprotozoal activity of (e)-cinnamic N-acylhydrazone derivatives

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A series of 14 (E)-cinnamic N-acylhydrazone derivatives, designed through molecular hybridization between the (E)-1-(benzo[d][1,3]dioxol-5-yl)-3-(4-bromophenyl)prop-2-en-1-one and (E)-3-hydroxy-N'-((2-hydroxynaphthalen-1-yl)methylene)-7-methoxy-2-naphthohydrazide, were tested for in vitro antiparasitic activity upon axenic amastigote forms of Leishmania donovani and bloodstream forms of Trypamosoma brucei rhodesiense. The derivative (2E)-3-(4-hydroxy-3-methoxy-5-nitrophenyl)-N'-[(1E)-phenylmethylene]acrylohydrazid showed moderate antileishmanial activity (IC50 = 6.27 ţM) when compared to miltefosine, the reference drug (IC50 = 0.348 ţM). However, the elected compound showed an excellent selectivity index; in one case it was not cytotoxic against mammalian L-6 cells. The most active antitrypanosomal compound, the derivative (E)-N'-(3,4-dihydroxybenzylidene)cinnamohydrazide (IC50 = 1.93 ţM), was cytotoxic against mammalian L-6 cells.

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