Antiprotozoal activity of bicyclic diamines with a N-methylpiperazinyl group at the bridgehead atom

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ω-Aminoacyl and -alkyl derivatives of 4-(4-methylpiperazin-1-yl)bicyclo[2.2.2]octan-2-amines and of 5-(4-methylpiperazin-1-yl)-2-azabicyclo[3.2.2]nonanes were prepared and their activities were examined in vitro against the multiresistant K1 strain of Plasmodium falciparum and against Trypanosoma brucei rhodesiense (STIB 900). Some of the newly synthesized compounds showed very promising antiprotozoal activity and selectivity. A few of the alkylamino-2-azabicyclo[3.2.2]nonanes exhibited high antiplasmodial activity, whereas a single bicyclo[2.2.2]octane derivative was the most potent antitrypanosomal compound. The results of the newly synthesized compounds were compared with the activities of already synthesized compounds and of drugs in use. Structure-activity relationships were discussed.

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