Activity of diphenyl ether benzyl amines against Human African Trypanosomiasis

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Insect-borne parasite Trypanosoma brucei plagues humans and other animals, eliciting the disease Human African trypanosomiasis, also known as African sleeping sickness. This disease poses the biggest threat to the people in Sub-Saharan Africa. Given the high toxicity and difficulties with administration of currently available drugs, a novel treatment is needed. Building on known Human African trypanosomiasis structure-activity relationship (SAR), we now describe a number of functionally simple diphenyl ether analogs which give low micromolar activity (IC; 50; = 0.16-0.96 μM) against T. b. rhodesiense. The best compound shows favorable selectivity against the L6 cell line (SI = 750) and even greater selectivity (SI = 1200) against four human cell lines. The data herein provides direction for the ongoing optimization of antitrypanosomal diphenyl ethers.
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