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#### TITLE

**SYNTHESIS AND EVALUATION OF CATECHOLIC CHALCONES AS POTENTIAL AGENTS AGAINST SCHISTOSOMA MANSONI**

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#### ABSTRACT

Schistosomiasis, a neglected tropical parasitosis, is caused by trematode helminths of the genus *Schistosoma*. In Brazil, the most affected country by schistosomiasis on the continent, the only species present is *Schistosoma mansoni*. Praziquantel (PZQ) is the drug of choice, and the only one available, for the treatment of the parasitosis. However, long-term and repeated use of PZQ can induce drug resistance or reduce its susceptibility. In this context, the imminence of PZQ-resistant strains represent a serious public health problem. Thus, there is a need to find new compounds that can be developed into antiparasitic drugs. In this scenario, natural products are presented as a valuable alternative for the investigation of new compounds that have activity against *S. mansoni*. Chalcones, specialized plant metabolites, are characterized by two phenyl units (A and B rings) linked by a  $\alpha,\beta$ -unsaturated ketone bridge. They are privileged structures by medicinal chemistry because they have concise and versatile syntheses, and a wide spectrum of bioactivities. Within this spectrum, hydroxylated chalcones showed antiparasitic activities against species of *Leishmania*, *Trypanosoma* and *Plasmodium*, as well as schistosomicidal activity. In this work, through aldolic condensation in an acid medium, we synthesized and characterized a series of 18 catecholic chalcones with modifications on A ring. We evaluated the *in vitro* activity of these compounds at 5  $\mu\text{g}/\text{mL}$ , in a period of 72 h. The mortality and viability of the worms were evaluated, the latter parameter was analyzed on a scale of 0 to 3, where 3 do not present changes compared to the control and 0 are dead. Catecholic chalcones 6 and 7 caused 100% mortality of male worms in 72 h, and 100% of female mortality in 48 h and 24 h, respectively. Worms exposed to chalcone 6 displayed a reduced viability (<2) in 24 h. Catecholic chalcone 5 demonstrated 100% mortality of males and females in 48 h, and reduced viability of male worms in 6 h of exposure, while in females the reduced viability was observed after 24 h. These results evidenced the potential of catecholic chalcones against *S. mansoni* and consequently may contribute to the development of new therapeutic agents against schistosomiasis.

#### KEYWORDS

Schistosomiasis; Chalcones; Acid Aldolic Condensation; In Vitro Assay

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