In vitro schistosomicidal activity of the indole-carbothioamide derivative

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In Brazil 6-8 million people have schistosomiasis, and the Praziquantel (PZQ) is the only drug used in the treatment of this infection. It is worrying the availability of only one drug for treat an infection in expansion with several reports of resistance and tolerance. This scenario motivates us to plan and develop new schistosomicides. Our group explores organic synthesis products from indol-2-N-phenylhydrazine-thiazolin-4-one (LqIT / LT) as promising schistosomicides. This study we evaluated the schistosomicide activity, *in vitro*, of indole-carbothioamide derivative (LqIT-LT55). Couples of adult worms were recovered from mice previously infected with 150 cercariae of *S. mansoni* (BH strain). The worms were distributed in 24-well culture plates containing RPMI-1640 medium supplemented then incubated (37°C and 5% CO2) in concentrations of 200, 100, 50 and 25 µM of LqIT-LT55. PZQ was used as positive control and RPMI-1640 as negative control. The worms were monitored every 24 hours during 120 hours for assessment of motor activity and mortality. The negative control group exhibited typical movements without mortality. PZQ caused paralysis and shortening of the worms after incubated and 100% of mortality in 24 hours. In the first 24 hours all concentrations were able to cause changes in motility and uncouple the worms. These findings were progressive over the assay period. The concentration of 200 µM caused 31.2% mortality after 48 hours and total mortality after 72h. At 72h the concentrations of 50 and 100 µM resulted in 68.7% and 100% mortality, respectively. At 120hs the concentration of 100 µM caused 100% of mortality and 25 µM caused 81.2%. Thiosemicarbazone is a potent antiparasitic, emphasizing its schistosomicide action. Indole is a quinoline ring of bioisosteric, structure present in trioxaquins and mefloquine effective against immature and adult stages of *S. mansoni*. In our study the LqIT-LT55 showed significant activity against *S. mansoni*.

Palavras-chave: schistosomiasis, therapeutic innovation, medicinal chemistry.

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