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Estimation of the novel antipyretic, anti-inflammatory, antinociceptive and antihyperlipidemic effects of silymarin in Albino rats and mice

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Objective: To evaluate the other pharmacological actions of silymarin in Albino rats and mice (antipyretic, anti-inflammatory, antinociceptive and antihyperlipidemic effects).

Methods: Rats were injected intramuscularly with pyrogenic dose of brewer's yeast for the antipyretic test of silymarin. Another group of rats injected with 0.1 mL of 1% carrageenan solution in saline at the subplanter area of the right hind paw for the anti-inflammatory test of silymarin. Another group of mice tested by hot plate method for determination of antinociceptive effect of silymarin. Hyperlipidemia was induced using high fat diet for 2 months to estimate the antihyperlipidemic activity of silymarin.

Results: Silymarin showed a significant antipyretic effect (50 and 100 mg/kg B.wt.) compared with control untreated group. Moreover, silymarin elucidated a significant anti-inflammatory effect of both doses reflected on the decrease of the rat paw edema every hour interval for 4 h after administration in comparison with control positive group. By the same taken, both doses of silymarin revealed a significant antinociceptive action in hot plate method at 30 and 60 min post administration. Besides, it lowered significantly the serum levels of prostaglandin E2, tumor necrosis factor alpha and interleukin 1 beta after 2 h of silymarin administration in carrageenan induced rat paw edema besides the significant decrease of total cholesterol, triglycerides, low density lipoprotein and significantly elevated high density lipoprotein after 2 weeks of silymarin administration.

Conclusion: These outcomes delivered a new vision into the possible pharmacological mechanisms by which silymarin advances antipyretic, anti-inflammatory, antinociceptive and antihyperlipidemic effects.

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Development of a new fluorine-containing benzothiazole as novel trypanocidal agent: Design, *in silico* study and their *in vitro* and *in vivo* activity evaluation

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Trypanosoma cruzi (*T. cruzi*) is the causal agent of Chagas disease. In the human host, trypomastigote phase depends on the route of glycolysis. Also, Triosephosphate Isomerase (TIM) is important enzyme for the optimal functioning of this metabolic pathway. In the present study, 207 benzazoles were designed and evaluated *in silico* as TcTIM inhibitors. Five molecules were synthesized and their trypanocidal activity was tested *in vitro*. The most active compound was evaluated *in vivo* in the short term, we calculate the LD50 and some biochemical parameters to estimate its hepatotoxicity. The docking results showed that all compounds have affinity to the aromatic cluster of the TcTIM interface, with important electrostatic, hydrophobic and π - π interactions. The benzothiazole derivatives showed better physicochemical attributes (including non toxicity) and the QSAR study indicates that the inhibition of TcTIM improves when the compounds are substituted with hyper-conjugated systems and when there is a sulfur atom in their structure like benzothiazole. The *in vitro* results showed that the agent, fluorine-containing benzothiazole, called BT3 is better than benzimidazole from 62.5 μ g/mL, treatment *in vivo* showed that is not enough a single oral administration to stop the parasitemia. Finally, the biochemical parameters suggest that the new synthesized compound does not produce liver damage in repeated doses for 21 days; so it is suggested to explore another route of administration and implement a long-term therapy to treat Chagas with this novel compound.

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