BENZOFURAN DERIVATIVES AS AMPK ACTIVATORS

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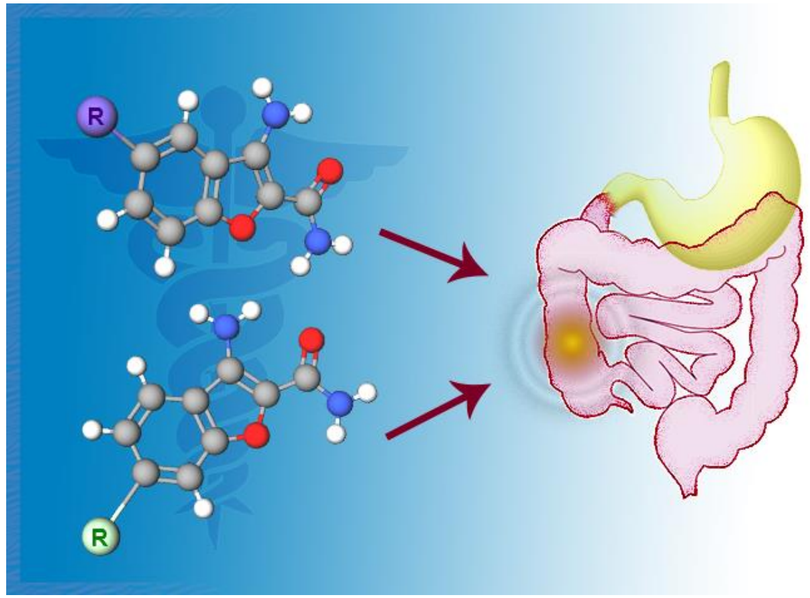
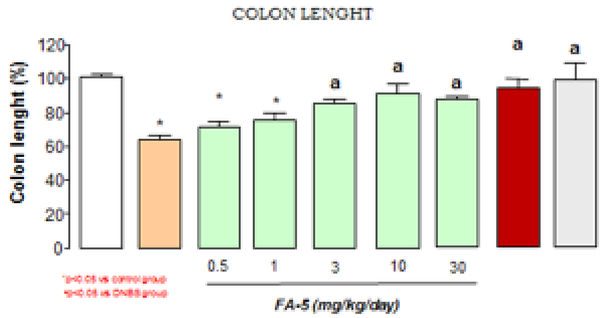
Invention

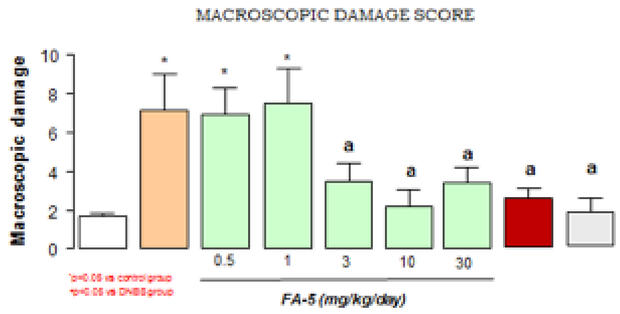
The present invention relates to the development of n**ovel benzofuran derivatives able to activate AMPK (Adenosine MonoPhosphate-activated protein Kinase)**, a key enzyme in the regulation of immune homeostasis. The new chemical entities thus represent effective pharmaceutical candidates for the prevention and treatment of immune-mediated inflammatory diseases such as **chronic inflammatory bowel disease (IBD)**.

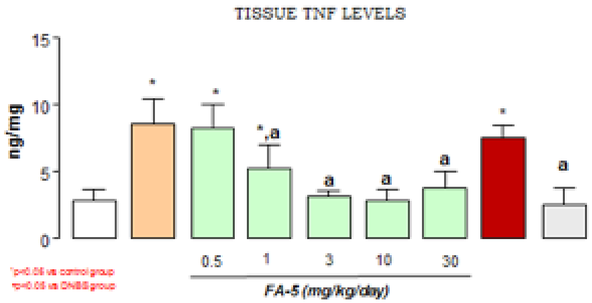
Chronic inflammatory bowel diseases (IBD) are immune-mediated disorders of the digestive tract characterized by inflammation and epithelial damage. AMPK, a protein involved in the regulation of immune homeostasis, is known to exert beneficial effects on gut health by suppressing the inflammatory condition and enhancing its barrier function. Therefore, its activation represents a valuable strategy for the treatment of this type of disorders.

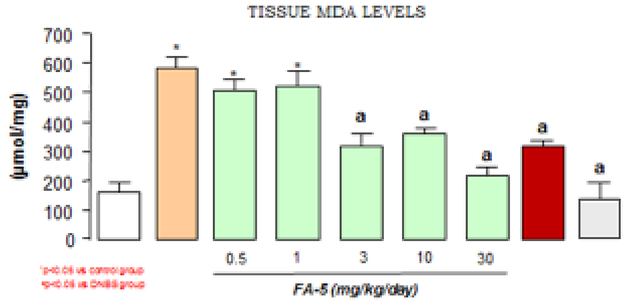
Drawings & pictures











Industrial applications

POSSIBLE APPLICATIONS

- Prophylaxis and therapy of chronic inflammatory bowel disorders;
- Prophylaxis and therapy of Crohn's disease;
- Prophylaxis and therapy of ulcerative colitis;
- Prophylaxis and therapy of immune-mediated inflammatory diseases.

ADVANTAGES

- Newly synthesized, stable and water-soluble original molecules;
- Simple chemical precursors and efficient, economical and sustainable synthetic processes;
- Compounds with good bioavailability and tolerability.

Possible developments

The novel patented benzofuran derivatives are designed to trigger the activation of AMPK, thus representing useful active ingredients for the **treatment of IBD**. In addition to activating the target protein *in vitro*, these compounds possess relevant anti-inflammatory efficacy *in vivo* in a mouse model of acute colitis, demonstrating to improve both systemic and tissue inflammatory parameters. Treatment with these compounds resulted in an improvement in all inflammatory parameters examined, such as body and spleen weight, colonic length, macroscopic epithelial damage, and TNFalpha and MDA levels.

The inventors are interested in future collaborations to increase the technological readiness levels of the invention and expand innovative drug opportunities, considering licensing or transferring the patented invention to interested companies.

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