

HYDROXYINDALPINE DERIVATIVES FOR THE TREATMENT OF GI DISORDERS

Reference No. B72118

CHALLENGE

Gastrointestinal (GI) disorders can be caused by disturbances of the transit in the GI tract and can lead to serious health issues. Gut movements in the GI tract are based on the **peristaltic reflex** which is controlled by the enteric nervous system (ENS) located within the gut wall. ENS sensors detect the stretching of the gut wall and initiate muscle contractions proximal to the stimulus and relaxations distal to the stimulus, resulting in a peristaltic wave in the digestive tract. Gut movements are regulated by the neurotransmitter **serotonin** (5-hydroxytryptamine, 5-HT) which is released from enterochromaffin cells in the epithelium lining the lumen of the gut.

INNOVATION

The inventors synthesised new hydroxyindalpine derivatives (5-Benzoyloxyindalpine, **5-BOIP**) that act as **serotonin receptor 5-HT_{1P} agonists** and **stimulate** by this **nerve cells of the ENS**. 5-BOIP is **highly specific, extremely stable** against hydrolysis and oxidation and is much more convenient in production than other known agonists. In the proximal stomach, 5-BOIP evokes muscle relaxation, thereby improving the gastric accommodation reflex.

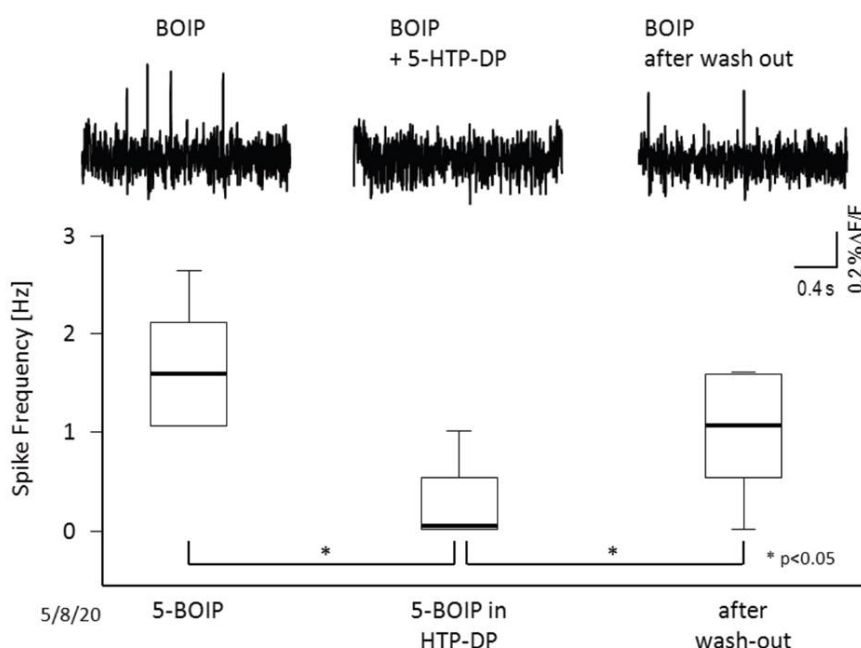


Figure: 5-BOIP activates nerve cells of the human enteric nerve systems. 5-BOIP induces action potentials (left curve) which is blocked in the presence of the 5-HT_{1P} antagonist 5-HTP-DP (middle curve).

COMMERCIAL OPPORTUNITIES

5 BOIP is useful as therapeutic agent for the treatment or prevention of GI diseases such as constipation and functional dyspepsia and, in particular, for relieving GI symptoms.

DEVELOPMENT STATUS

Proof of principle *in vitro*.



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