

[Open Peer Review on Qeios](#)

Trimebutine 3-Thiocarbamoylbenzenesulfonate

National Cancer Institute

Source

National Cancer Institute. *Trimebutine 3-Thiocarbamoylbenzenesulfonate*. NCI Thesaurus. Code C111045.

A sulfonate-based salt form of a trimebutine derivative, an orally available, peripherally-acting opioid agonist and muscarinic antagonist, with potential visceral analgesic activity. Upon oral administration of GIC-1001, this agent may exert its therapeutic effects through the potential mechanisms of action for the trimebutine and sulfonate moieties: The trimebutine moiety can act as a motility enhancer in the gastrointestinal (GI) tract, as an antispasmodic agent to reduce colonic spasms, as an agonist of colonic mu and kappa opioid receptors, which could provide an analgesic effect, and blocks sodium channels and the release of a variety of GI peptides, which modulates the activity of visceral afferents. The sulfonate moiety releases hydrogen sulfide (H₂S), which is involved, through an as of yet not fully elucidated mechanism of action, in the modulation of visceral perception and pain, possibly through the activation of ATP-sensitive potassium (KATP) ion channels and mu opioid receptors. Altogether, administration of this agent may both facilitate the insertion of the colonoscope during a colonoscopy and reduce colonic spasms and pain.