Inorganic Pharmaceutical Chemistry:

Gastrointestinal agents: Protective & Adsorbent

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Introduction

❖A substance that protects the lining of GIT, used mainly for the treatment of mild diarrhea, Diarrhea is a symptom and not a disease. It results when some factor impairs digestion and/or absorption, thereby increasing the bulk of the intestinal tract. Diarrhea may be acute or chronic.

1. Acute diarrhea can be caused by bacterial toxins, chemical poisons, drugs, allergies, and disease. The effects of this range from tissue damage or irritation to that of causing electrolytes to flow from body fluids into the intestinal tract, thereby increasing the osmotic load of the intestinal tract.
2. Chronic diarrhea can result from gastrointestinal surgery, carcinoma, chronic inflammation conditions, and various absorptive defects.

- **Most products for the treatment of diarrhea will consist of:-**

1. **Adsorbent-protective:-** supposedly adsorb toxins, bacteria, and viruses along with providing a protective coating of the intestinal mucosa. They include bismuth salts and activated charcoal.

2. **Antibacterial agents:-** are only effective if there is an actual infection in the intestinal tract or during epidemics caused by a microorganism.

Bismuth–Containing Products

- **Bismuth salts** are used as antidiarrhea and are considered to be water-insoluble, a small amount does go into solution.
- The soluble cation supposedly exerts a mild astringent and antiseptic action. Intestinal hydrogen sulfide acts upon the bismuth salts to form bismuth sulfide; hence, the black stools result from the oral administration of bismuth.

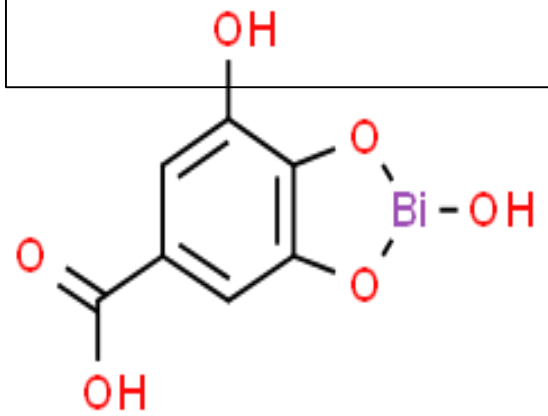
- containing preparation:
- Bismuth subnitrate $[Bi(OH)_2NO_3]_4.BiO(OH)$
- Bismuth subcarbonate $[(BiO)_2CO_3]_2.H_2O$

➢*Nonofficial bismuth compounds*

Bismuth Subgallate

(M.Wt = 394.09 g/mol, $C_7H_7BiO_7$)

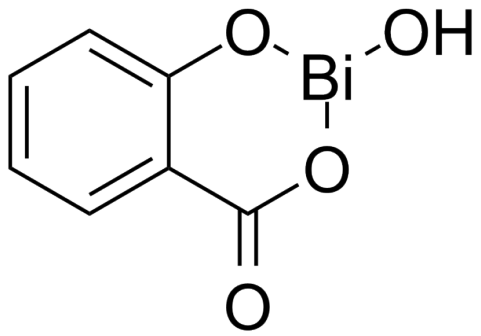
- Bismuth subgallate is a yellow-colored substance that presents as an odorless powder that undergoes discoloration when exposed to sunlight.
- It is used as a non-prescription internal deodorant product to deodorize flatulence and stools.



Bismuth Subsalicylate

(M.Wt: 362.093 g·mol^{-1}, **$C_7H_5BiO_4$**)

- It is a medication used to treat temporary discomfort of the stomach and gastrointestinal tracts, such as nausea, heartburn, indigestion, upset stomach, and diarrhea.
- Routes of administration: **Oral**



Bismuth–Containing Products

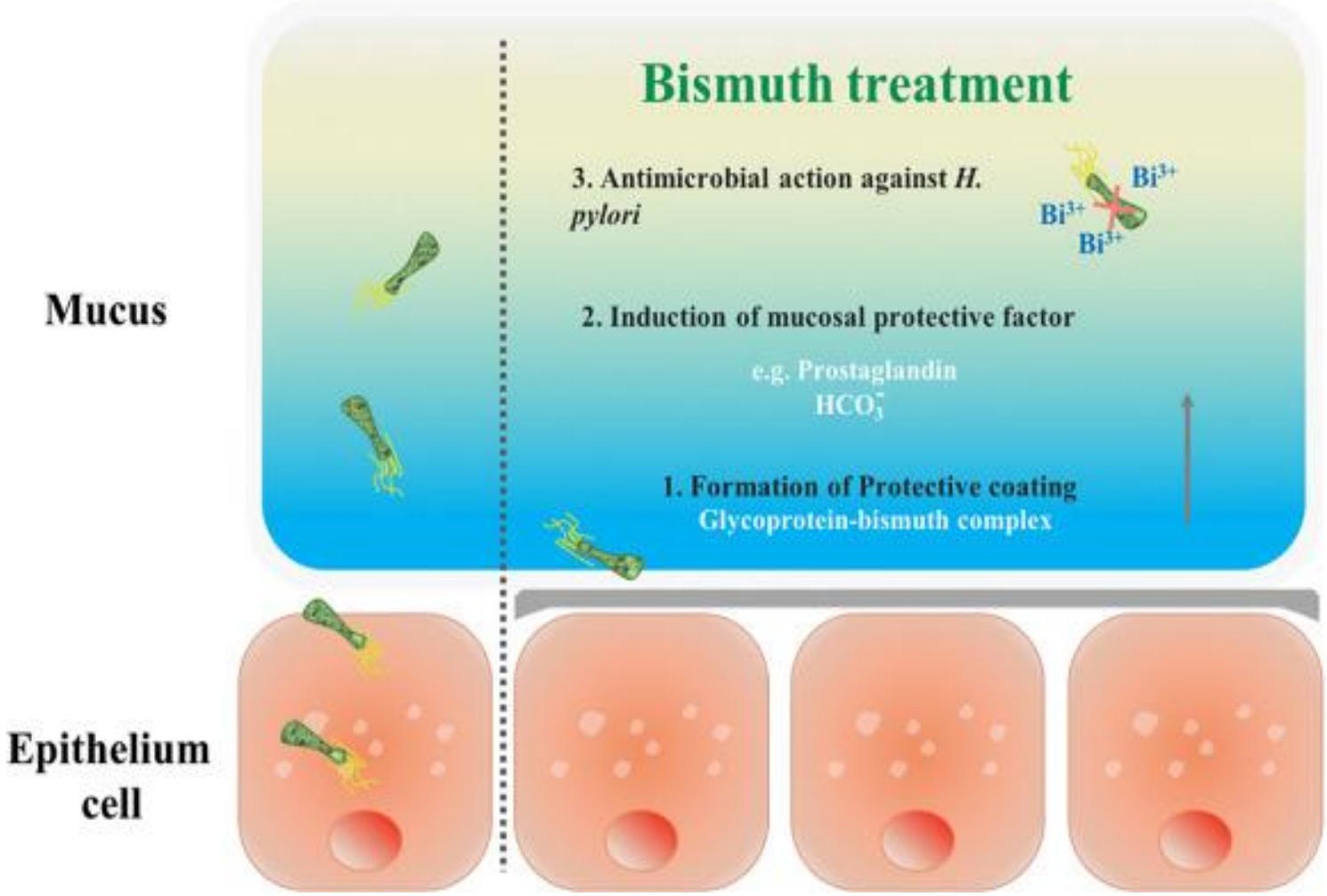
- Bismuth subsalicylate is an insoluble complex that constitutes salicylic acid and trivalent bismuth.
- Once orally administered, bismuth subsalicylate hydrolyzes in the stomach into bismuth oxychloride, which is minimally absorbed into the bloodstream, and salicylic acid, which is almost completely absorbed.
- Bismuth interacts with other anions and compounds, such as hydrochloric acid, bicarbonate, phosphate, and hydrogen sulfide, in the gastrointestinal tract to form bismuth salts such as bismuth oxychloride, bismuth subcarbonate, bismuth phosphate, and bismuth sulfide.

❑Bismuth salts possess bactericidal and antimicrobial activity, mainly by preventing bacteria from binding and growing on the mucosal cells of the stomach.

- By preventing bacteria from binding to mucosal cells, bismuth subsalicylate prevents intestinal secretion and fluid loss, promotes fluid and electrolyte reabsorption, reduces gastrointestinal inflammation, and promotes the healing of pre-existing ulcer in the stomach.

- Salicylic acid from dissociated bismuth subsalicylate adds to the anti-inflammatory actions of bismuth salts by inhibiting the cyclooxygenase enzyme and limiting the formation of prostaglandin, a pro-inflammatory mediator.
- Bismuth subsalicylate exhibits cytoprotective and demulcent activity, which makes it an effective drug in peptic ulcer disease:

✓It blocks the adhesion of H. pylori to the gastric epithelial cells and blocks the bacteria's enzyme activities, including phospholipase, protease, and urease.



- Other adsorbents: -They are used at least in mild diarrhea of short duration.

2. **Kaolin:-** it is usually found together with vegetable carbohydrates, and pectin and is used as an adsorbent.

3. **Attapulgite:** can alter the rate of absorption of orally administered drugs containing a tertiary amine.

4. **Activated charcoal:-** has been used as an adsorbent in the treatment of diarrhea. It is a recommended antidote for certain types of poisoning.