



Name of Subject : Medicinal Chemistry
Subject Code : 838805
Name of Chapter : Thyroid gland and Antithyroid drugs
Name of Topic : Introduction, Classification, M/A, Synthesis.
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① The Thyroid hormones and Antithyroid drugs

i). Thyroxine (T_4), (Tetraiodothyronine)

ii). Triiodothyronine (T_3) and

iii). Calcitonin.

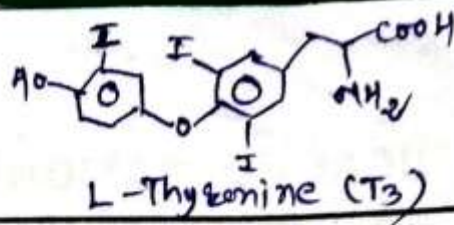
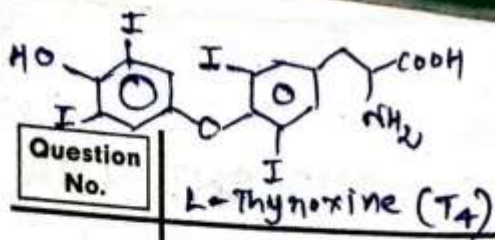
Amongst these three, Thyroxine (T_4) and Triiodothyronine (T_3) are thyroid hormones and produced by thyroid follicles and having similar biological activity.

Calcitonin is produced by interfollicular 'c' cells. It is chemically and biologically entirely different.

It is considered along with parathormone.

Calcitonin along with parathormone regulates calcium metabolism, and lowers serum calcium level.

② Although Thyroid gland is not essential for life, but poor secretion of thyroid hormone is known as hypothyroidism results in bradycardia, poor resistance to cold and mental and physical slowing in children. This can cause mental retardation and dwarfism. (low stature body size)



⊖ If excess of thyroid hormone is secreted, it is known as hyperthyroidism and results in Tachycardia and cardiac arrhythmias, body wasting, nervousness, tremors, and excess heat production can occur.

Uses →

1) ~~in~~ in cretinism (in failure of thyroid development)

2) Adult hypothyroidism

3) In myxoedema coma

4) In nontoxic goiter

5) In carcinoma of thyroid

6) Sometimes it may be used in:

Refractory anaemias, menstrual disorders, infertility, chronic ulcers

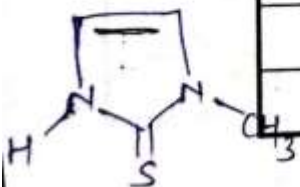
Antithyroid drugs →

→ The agents which are used to lower the functional capacity of the hyperactive thyroid gland are known as Antithyroid drugs

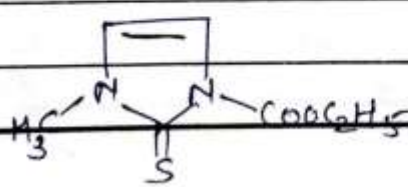
Classification →

1) Drugs that inhibit hormone synthesis →
(Antithyroid drugs) →

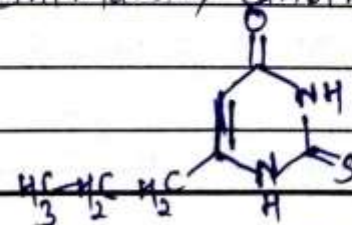
Propyl thiouracil, Methimazole, Carbimazole



Methimazole



Carbimazole



Propyl thiouracil

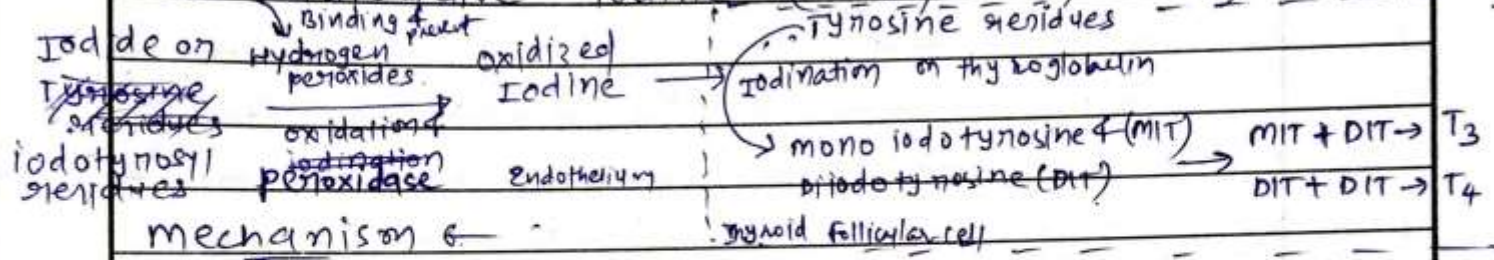
Thyroglobulin (protein that is the thyroid gland from which thyroid hormones are synthesized)

2) Drugs that inhibit iodide trapping & (ionic inhibitors) &
 Thiocyanates (-SCN), perchlorates (-ClO₄),
 Nitrate (-NO₃)

3) Drugs that inhibit hormone release &
 Iodine, Iodides of Na and K,
 organic iodide

Antithyroid drug

4) Drugs that destroy thyroid tissue &
 Radioactive iodine (¹³¹I, ¹²⁵I, ¹²³I)



Mechanism &

1) Antithyroid drugs & Antithyroid drugs bind to thyroid peroxidase and prevent oxidation of iodide on iodotyrosyl residues there by they inhibit iodination of tyrosine residues on thyroglobulin and they inhibit coupling of iodotyrosine residues to form T₃ and T₄.

2) Iodine and Iodides & Ionic inhibitors &
 → These monovalent anions inhibit iodine trapping by the thyroid because of similar hydrated ionic size & that's why they inhibit T₃ and T₄ synthesis

3) Iodine and Iodides

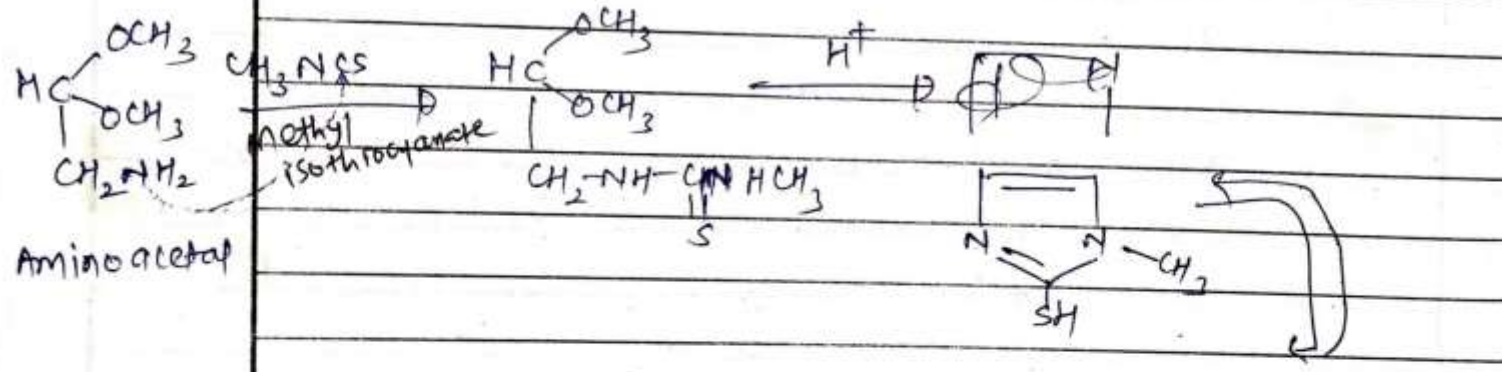
They have direct action on thyroid cells. Excess iodide inhibits its own transport in thyroid cells and may alter the redox potential of cells and interfere with iodination and reduce synthesis of T_3 and T_4 .

4) Radioactive iodine

^{131}I emits x-rays as well as β particles
 ^{123}I and ^{125}I are utilized for destructive effect on thyroid cells

Synthesis

Methimazole



Carbimazole

