Thyroid And Anti-Thyroid Drugs

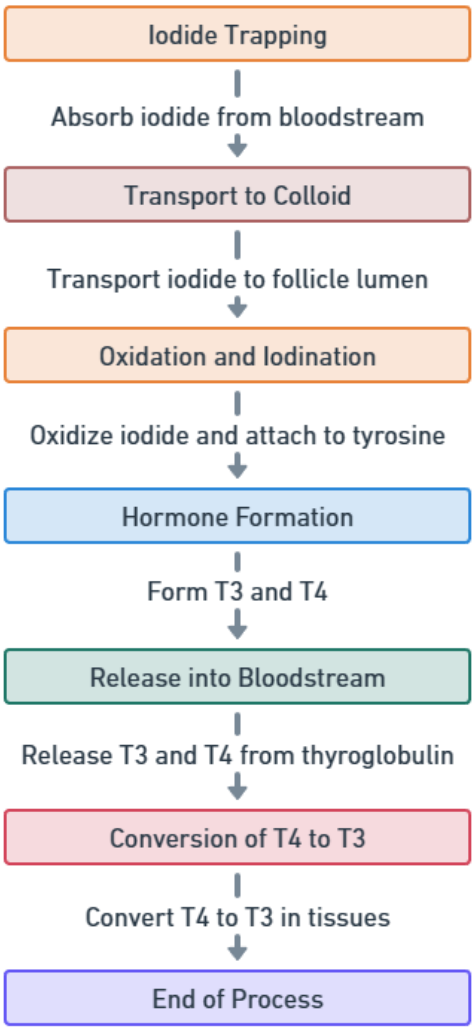
Thyroid Hormones and the Thyroid Gland

- The thyroid gland, a butterfly-shaped organ located in the front of the neck, plays a crucial role in the body's endocrine system.
- It produces thyroid hormones, primarily thyroxine (T4) and triiodothyronine (T3), which are essential in regulating the body's metabolism, growth, and function.

Synthesis of Thyroid Hormones:

- The synthesis of thyroid hormones involves several steps:

1. **Iodide Trapping:** Thyroid follicular cells absorb iodide from the bloodstream.
2. **Transport to the Colloid:** Iodide is transported to the lumen (colloid) of the thyroid follicle.
3. **Oxidation and Iodination:** The iodide is oxidized to iodine, which then attaches to tyrosine residues in the protein thyroglobulin, forming monoiodotyrosine (MIT) and diiodotyrosine (DIT).
4. **Hormone Formation:** Coupling of these iodinated tyrosines leads to the formation of T3 (one MIT plus one DIT) and T4 (two DIT molecules).
5. **Release into Bloodstream:** Thyroglobulin is taken back into the follicular cell, where T3 and T4 are released from the protein and then secreted into the bloodstream.
6. **Conversion of T4 to T3:** In peripheral tissues, T4 is often converted to the more active T3 through deiodination.



Functions of Thyroid Hormones

- Regulate **metabolism** and **energy**.
- Support **brain development**, **protein synthesis**, and **cell growth**.
- Influence **fat, protein, and carbohydrate metabolism**.
- Essential for **bone health** and **muscle control**.

Thyroid Disorders

1. **Hypothyroidism**: Low thyroid hormone production, causing fatigue, weight gain, and depression.

2. **Hyperthyroidism**: Excess hormone production, leading to weight loss, anxiety, and rapid heartbeat.

Proper thyroid function is crucial for overall health, and disorders require accurate diagnosis and management.

Thyroid and Antithyroid Drugs

Thyroid Gland and Hormones

Thyroid Gland:

- **Location:** Front of the neck.
- **Function:** Regulates metabolism, growth, and development through hormone production.

Primary Thyroid Hormones:

1. **Thyroxine (T4):**
   - **Function:** Increases metabolic rate, influences protein synthesis, and regulates growth.
2. **Triiodothyronine (T3):**
   - **Function:** More active than T4; plays a crucial role in metabolism and heart rate regulation.
3. **Calcitonin:**
   - **Function:** Regulates calcium levels in the blood by inhibiting bone resorption.

Antithyroid Drugs

Purpose:

- **Primary Use:** Treat hyperthyroidism (overactive thyroid), including Graves' disease.

Common Antithyroid Medications:

1. **Methimazole (Tapazole):**
   - **Mechanism:** Inhibits thyroid hormone synthesis by blocking iodine incorporation.
   - **Uses:** First-line treatment for hyperthyroidism in adults and children.
   - **Side Effects:** Rash, joint pain, liver dysfunction, agranulocytosis (rare).
2. **Propylthiouracil (PTU):**
   - **Mechanism:** Blocks thyroid hormone synthesis and peripheral conversion of T4 to T3.
   - **Uses:** Hyperthyroidism, especially in the first trimester of pregnancy.
   - **Side Effects:** Liver toxicity, rash, nausea, agranulocytosis (rare).

Other Treatments for Hyperthyroidism:

- **Beta-Blockers:** Manage symptoms like rapid heart rate and tremors (e.g., propranolol).
- **Radioactive Iodine Therapy:** Destroys overactive thyroid cells.
- **Surgery:** Partial or complete thyroidectomy in severe cases.

Brand Names of Important Marketed Products

Regulate **thyroid hormone levels** in hypo- or hyperthyroidism.

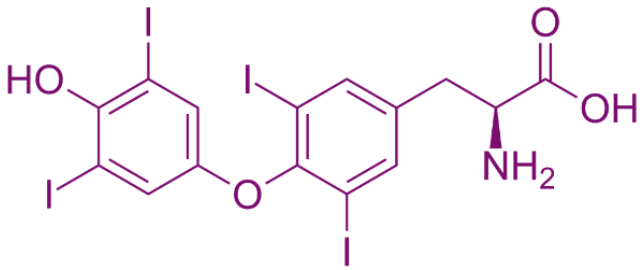
Type	Drug Name	Brand Name(s)	Use
Thyroid Hormone	Levothyroxine	*Eltroxin*, *Thyronorm*	Hypothyroidism
Antithyroid Agent	Carbimazole	*Neomercazole*, *Thyrocab*	Hyperthyroidism
Antithyroid Agent	Propylthiouracil (PTU)	*PTU*	Hyperthyroidism (pregnancy safe)

Various Thyroid and Antithyroid Drugs

1. L-Thyroxine

Structure

- L-Thyroxine, also known as levothyroxine, is a synthetic form of the thyroid hormone thyroxine (T4) with a tyrosine backbone and four iodine atoms.
- **Chemical Formula:** $C_{18}H_{19}I_4NO_4$



Mode of Action

- **Thyroid Hormone Replacement:** Mimics endogenous thyroxine, binding to thyroid hormone receptors in the nucleus of target cells.
- **Metabolic Regulation:** Enhances basal metabolic rate by increasing oxygen consumption and heat production.
- **Growth and Development:** Essential for normal growth, neurological development, and differentiation in various tissues.
- **Cardiovascular Effects:** Increases heart rate, cardiac contractility, and enhances cardiac output.

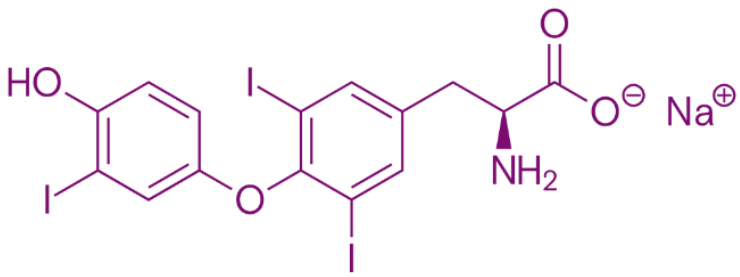
Uses

- **Hypothyroidism:** Replaces deficient thyroid hormones to restore normal metabolic function.
- **Myxedema Coma:** Emergency treatment of severe hypothyroidism with life-threatening symptoms.
- **Goiter:** Manages thyroid enlargement by providing negative feedback on thyroid-stimulating hormone (TSH) secretion.
- **Thyroid Cancer:** As part of adjuvant therapy to suppress TSH and inhibit tumor growth.
- **Scholarly Use:** Employed in studies investigating thyroid hormone physiology and metabolism.

2. L-Thyronine

Structure

- L-Thyronine, also known as triiodothyronine (T3), is a thyroid hormone with a tyrosine backbone and three iodine atoms.
- **Chemical Formula:** $C_{17}H_{15}I_3NO_4$



Mode of Action

- **Thyroid Hormone Replacement:** Acts similarly to endogenous T3, binding to thyroid hormone receptors and regulating gene transcription.
- **Metabolic Enhancement:** Increases basal metabolic rate, enhances lipolysis, and promotes thermogenesis.
- **Growth and Development:** Critical for normal growth, neurological development, and differentiation in tissues.
- **Cardiovascular Effects:** Increases heart rate, cardiac contractility, and enhances cardiac output.

Uses

- **Hypothyroidism:** Used when rapid thyroid hormone action is required or in cases where T4 conversion to T3 is impaired.
- **Myxedema Coma:** Emergency treatment of severe hypothyroidism alongside L-Thyroxine.
- **Goiter:** Manages thyroid enlargement by providing negative feedback on TSH secretion.

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