



# DT431 Team



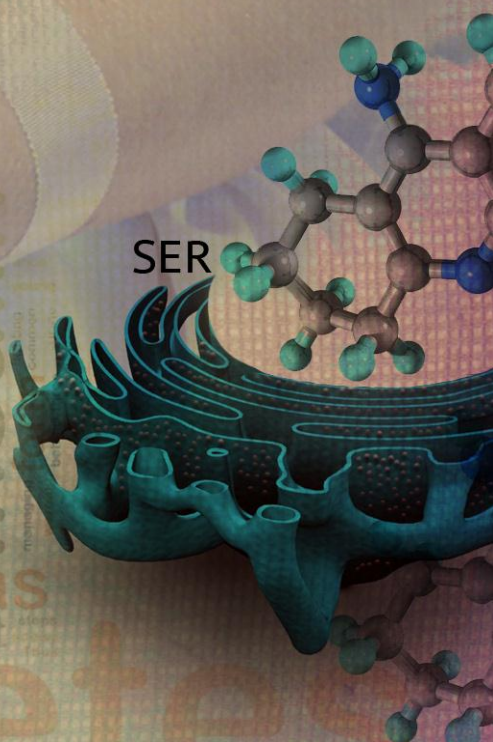
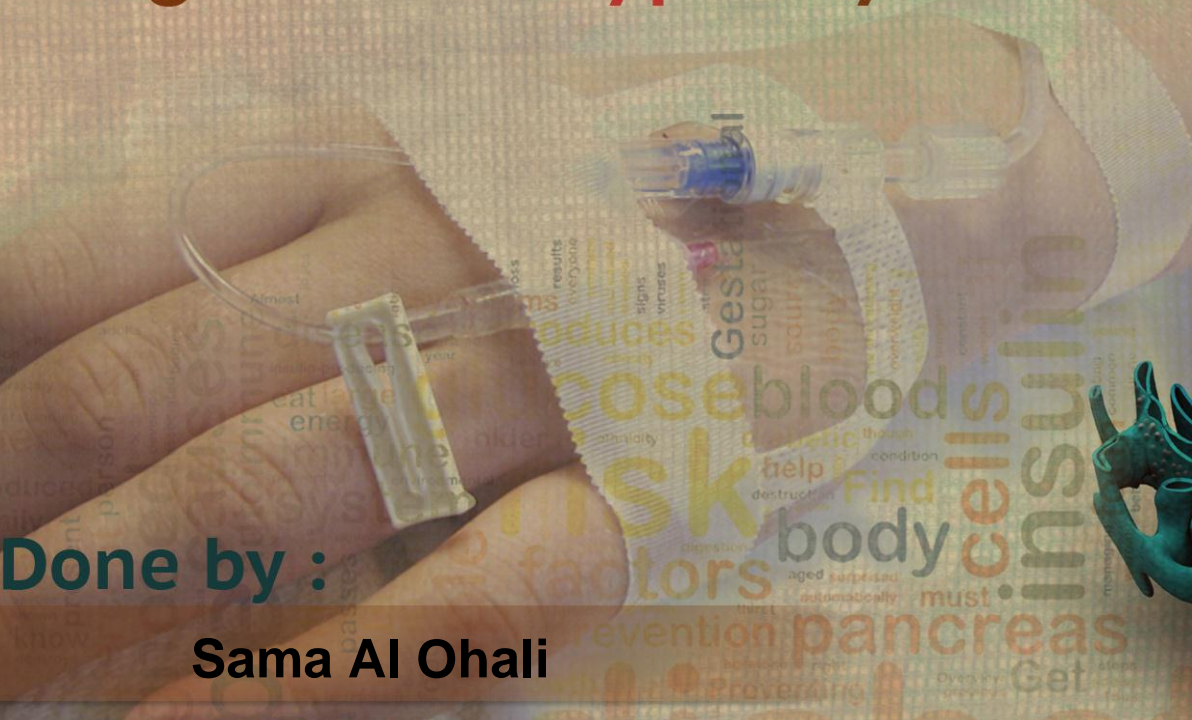
# Pharmacology

## Endocrine block



### Lecture 1

### Drugs used in hyperthyroidism



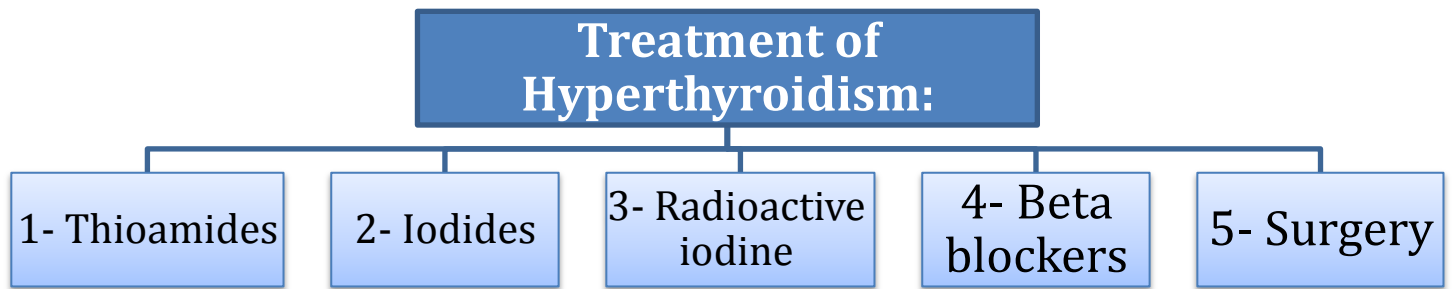
Done by :

Sama Al Ohali

Abdulrahman Alkthiry



**\*RED = IMPORTANT**



## 1- Thioamides:

- A) Methimazole
- B) Propylthiouracil

### MOA:

- Inhibit peroxidase enzyme → thus, inhibit thyroid hormone synthesis
- Inhibit the conversion of T4 to T3 (very little effect)

### Pharmacokinetics:

Propyl thiouracil highly binds to plasma proteins (90%) and the free active portion is of very little amount. (10%)

In contrast,

Most of Methimazole is free and active.

### Clinical Importance??

Because Propyl thiouracil is highly bound to plasma proteins, it crosses the placenta less readily than methimazole & very little amount can be secreted in milk while breast feeding

Therefore, the drug of choice in breast-feeding & pregnant hyperthyroid patients is Propylthiouracil

### Adverse effects:

- Any type of cutaneous reactions (urticaria , maculopapular rash,)
- Agranulocytosis → the **MOST DANGEROUS** side effect
- Hepatotoxicity → is a side effect of METHIMAZOLE MAINLY

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## 2- Iodides:

- A) Organic iodides
- B) Potassium iodides

### **MOA:**

- Inhibit synthesis and release of thyroid hormones → by Wolff–Chaikoff effect
- Inhibit the conversion of T4 to T3 (very little effect)
- Decrease size of thyroid gland
- Decrease vascularity of thyroid gland
- \*They produce a **temporary** remission of symptoms (less than 10 days).

**The Wolff–Chaikoff effect:**  
is a reduction in thyroid hormone levels caused by ingestion of a large amount of iodine

### **Clinical uses:**

Drug of choice prior to thyroid surgery → because it is the only drug that can decrease the size and vascularity of thyroid gland → to decrease the chances of bleeding...etc

- Should not be used alone
- Should not be used in pregnancy

### **Adverse effects:**

**Iodism** → acniform rash, swelling of salivary glands, mucous membrane ulceration, metallic taste bleeding disorders and rarely anaphylaxis

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## **3- Radioactive iodine**

B-rays <sup>131</sup>I isotope

### **MOA:**

Accumulates in the thyroid gland and destroys parenchymal cells, producing a long-term decrease in thyroid hormone levels.

Clinical improvement may take 2-3 months.



### **Advantages:**

Easy to administer, effective, painless and less expensive.  
Available as a solution or in capsules.

Used if the patient is thinking of getting pregnant to suppress hyper activity for long time  
(The other choice is subtotal thyrotectomy)

### **Disadvantages:**

**Delayed Hypothyroidism**

**Cytotoxic actions** → in large doses, necrosis of the follicular cells followed by fibrosis

**Genetic damage**

**Carcinogenic** → with chronic use may lead to leukemia and neoplasia

### **Clinical uses:**

- Prior to pregnancy
  - Hyperthyroidism mainly in old **hyperthyroid** patients (above 40)
  - Graves' disease
  - Patients with toxic nodular goiter
  - As a diagnostic
  - Should not be used in pregnancy
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## 4- Beta blockers

- A) Propranolol (Non-selective)
- B) Atenolol (Selective)
- C) Metoprolol (Selective)

### **Clinical uses:**

*Adjunctive therapy to relieve the adrenergic symptoms of hyperthyroidism such as tremor, palpitation, heat intolerance and nervousness. (NOT to treat the underlying cause which is hyper secretion of thyroid hormone)*

B-receptors & B-blockers :  
-Non selective → block both B1 & B2  
-Selective → block B1 only (=Cardioselective)

B1: Found in heart muscles. Its activation leads to contraction  
B2: Found in Smooth muscles of bronchi. Its activation leads to relaxation

\*Propranolol is contraindicated in asthmatic patients

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## 5- Surgery

-Sub-total thyroidectomy is the treatment of choice in very large gland or multinodular goiter.

-Why subtotal? To avoid post-surgery hypoparathyroidism (surgeon must leave some parathyroid tissue)

-**Risks:** damage to nerves near the thyroid gland, and loss of voice.

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### **Thyroid storm (NOT IMPORTANT)**

- A sudden acute exacerbation of all of the symptoms of thyrotoxicosis, presenting as a life threatening syndrome.
- There is hyper metabolism, and excessive adrenergic activity, death may occur due to heart failure and shock.
- It is a medical emergency

**Treatment** → we can use same drugs but with different doses and route of administration. Also we can use cortisone to prevent shock. And if treatment fails, do peritoneal dialysis.

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### **Thyrotoxicosis and pregnancy:**

- Therapy with Radioactive iodine or subtotal thyroidectomy prior to pregnancy. Why? To avoid acute exacerbation during pregnancy or after delivery.
  - During pregnancy radioiodine is contraindicated.
  - Propyl thiouracil is the drug of choice during pregnancy.
- Hyperthyroid patient is on medication for hyperthyroidism (whatever the drug is) → patient then comes to you and say “doctor, I am planning to get pregnant” → now, what should you do? → You either perform surgery (BETTER CHOICE), or start radioactive iodine → why? → Patient needs a **long-term** management to prevent exacerbation during pregnancy. → **Once patient gets pregnant, give her propyl thiouracil.**
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## MCQs:

**1- Drug of choice for a hyperthyroid pregnant patient?**

- A) Methimazole
- B) Propylthiouracil
- A) Propranolol
- C) Metoprolol
- D) Radioactive Iodine
- E) Organic iodides
- F) Subtotal thyroidectomy

**2- Why Propylthiouracil is the drug of choice for a hyperthyroid pregnant patient?**

- A) Because it can not cross the placenta
- B) Because it can cross the placenta
- C) Because it is highly bound to plasma proteins
- D) None of the above

**3- what is the most dangerous side effect of Thioamides?**

- A) Hepatotoxicity
- B) Agranulocytosis
- C) Iodism
- D) Delayed hypothyroidism

**4- a patient came to your clinic with symptoms of (Heat intolerance, weight loss, goiter, tremors). You ordered Thyroid Function Tests and results were (High T4 levels, Low TSH levels). So you prescribed for the patient a drug to treat his/her problem. After a while the patient comes back to you with other symptoms of (bradycardia, tiredness, dry skin and hair) Which one of the following is most probably the drug causing the new symptoms?**

- A) Methimazole
- B) Propylthiouracil
- A) Propranolol
- C) Metoprolol
- D) Radioactive Iodine
- E) Organic iodides

**5- a patient with medical history of asthma and diabetes came to your clinic with symptoms of (Heat intolerance, weight loss, goiter, tremors) . which one of the following drugs is contraindicated in this case?**

- A) Methimazole
- B) Propylthiouracil
- A) Propranolol
- C) Metoprolol
- D) Radioactive Iodine
- E) Organic iodides

**6- which one of the following is the treatment of choice for multinodular goiter?**

- A) Methimazole
- B) Propylthiouracil
- A) Propranolol
- C) Metoprolol
- D) Radioactive Iodine
- E) Organic iodides
- F) Subtotal thyroidectomy

**7- which one of the following can be given to a patient prior to subtotal thyroidectomy in order to decrease the risks of the surgery?**

- A) Methimazole
- B) Propylthiouracil
- A) Propranolol
- C) Metoprolol
- D) Radioactive Iodine
- E) Organic iodides

**8- which one of the following is the mechanism of action of Radioactive Iodine?**

- A) It inhibits peroxidase enzyme
- B) Wolff–Chaikoff effect
- C) It destroys parenchymal cells of thyroid gland
- D) It inhibits iodine pump
- E) None of the above

1:B 2:C 3:B 4:D 5:A 6:F 7:E 8:C