ANTI-THYROID DRUGS

By Dr.Sidrah Ghafoor Pharmacology dept KGMC Endocrine – I Module Theme neck swelling with bulging eyes MBBS Year-2

Synthesis of thyroid hormones

Thyroid hormones

Triiodothyronine (T3)

Tetraiodothyronine (T4, thyroxine)

<u>Materials</u>

Iodine & Tyrosine

Synthesis of thyroid hormones Steps

- 1. Iodide is trapped by sodium-iodide symporter
- 2. Iodide is oxidized by thyroidal peroxidase to iodine
- Tyrosine in thyroglobulin is iodinated and forms
 MIT & DIT
- 4. Iodotyrosines condensation

MIT+DIT \rightarrow T3; DIT+DIT \rightarrow T4

Synthesis of thyroid hormones



Anti Thyroid Drugs

Class	Representative
Thioamides	Propylthiouracil
	Methylthiouracil
	Methimazole
	Carbimazole
Anion inhibitors	Perchlorate, Pertechnitate & Thiocyanate
Iodides	KI, NaI
Radioactive iodine	I ¹³¹
β-adrenoceptor blockers	Propranolol

THIOAMIDES

INHIBITION OF THE SYNTHESIS of T3 & T4

Mechanism

All thioamides inhibit <u>peroxidase</u>-catalyzing reactions

Iodine organification

Iodotyrosines condensation

First choice for thyroid crisis

inhibits coupling of iodotyrosines (Int & DIT)

Propylthiouracil also inhibit T4 converting to T



Thioamides (propylthiouracil)

Procarbizole

CORAL PART

50mg

E103032512010
E10302543.3

Each film coated tablet contains: Propylthiouracil50mg (USP Specs.)

100 Tablets

HECARE CAPOTHECARE CAPOTHECARE

Thioamides (carbimazole)



ANION INHIBITORS

Anoin inhibitors

- Perchlorate
- Pertechnitate
- Thiocyanate
- Blocks uptake of iodide by the gland through competitive inhibition
- Not used in clinical practice



IODIDES & IODINE

Mechanism of action

- Inhibits organification
- Inhibits hormone release
- Decrease size and vascularity of gland
- Improvement in thyrotoxic symptoms occurs rapidly within 2-7days

Clinical uses

• Used in thyroid storm & pre op preparation





RADIOACTIVE IODINE

Radioactive iodine

- ¹³¹I used for treatment of thyrotoxicosis
- Orally given
- Rapidly absorbed concentrated in thyroid gland
- Emits **B** rays & Effective Half life is 5days
- In few weeks destroys thyroid parenchyma



- Should not be given to pregnant and lactating mothers
- Crosses placenta or breast milk and destroy fetal thyroid gland



ß-BLOCKERS

Without intrinsic sympathomimetic activity (Propranolol, metoprolol, atenolol)

ß- blockers

- Do not alter thyroid hormone levels
- Improves symptoms rapidly, why?
- Propranolol at dose 160mg/day inhibits periphral conversion of T4 to T3.





