

ANTI-THYROID DRUGS

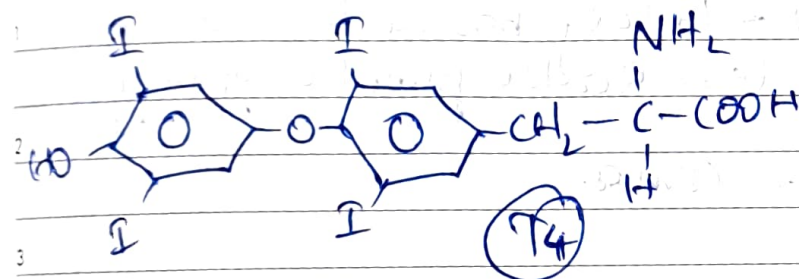
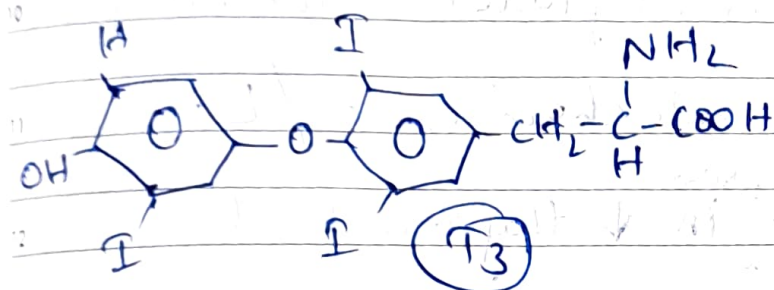
WK 03 (015-350)

15

T_3 — Triiodothyronine

T_4 — Thyroxine

T_3 is 3-5 times more metabolic than T_4 & shorter acting than T_4 .



— Transport of dietary I_2 stimulated by TSH.
 & it is inhibited by Thiocyanate & perchlorate ions
 SCN^- & ClO_4^-

— $I_2 \xrightarrow{(\odot)}$ Through membrane bound enzyme thyroid peroxidase.
 ↓ Iodination of

Tyrosyl residues of TG, Glycoprotein in lumen of thyroid follicle.

$P_1 \rightarrow$ L-3,5-diiodotyrosine

$P_2 \rightarrow$ L-3-iodotyrosine

16

(016-349) WK 03



2014 • DECEMBER						
M	T	W	T	F	S	S
1	2	3	4	5	6	7
8	9	10	11	12	13	14
15	16	17	18	19	20	21
22	23	24	25	26	27	28
29	30	31				

SAR: → 2 aromatic rings linked to each other due to large side effects of T_2 atoms at 3 & 5

→ (OH) phenol group is co-planar to the aromatic ring.

→ Configⁿ on α -C of side chain is S/L.

Levothyroxine - T_4 ^{used} in ↓ thyroid function

Liothyronine (T_3) - loosely bound to proteins
 ↓
 ∴ of less acidic phenolic hydroxyl group
 Used in myxedema coma.

AntiThyroid Drugs

Thioureylenes! — Carbimazole
 — Methimazole
 — propylthiouracil

In Hyperthyroidism.

Drugs inhibit incorporation of I_2 in T_3 & T_4 & interfere with peroxidase enzyme & prevents oxidation of I_2 .

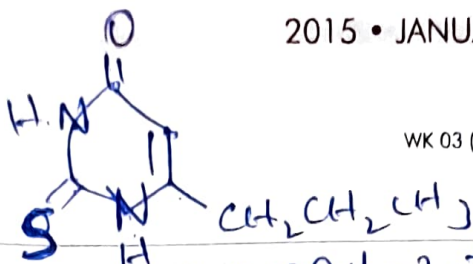
February • 2015

S	M	T	W	T	F	S	S
						1	
3	4	5	6	7	8		
10	11	12	13	14	15		
17	18	19	20	21	22		
24	25	26	27	28			

2015 • JANUARY • SATURDAY

WK 03 (017-348)

17



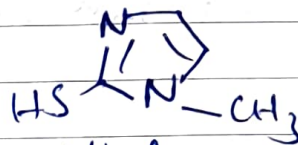
Propylthiouracil:

6-propyl-2-thioxo-2,3-dihydropyrimidine-4(1H)-one

4-keto-2-thiopyrimidine dwt

- Not interfere w already formed thyroid hormones
- Undergoes Tautomerism.
- Side effect - Agranulocytosis

Methimazole: \approx PTU



1-methyl-1H-imidazole-2-thiol

Carbimazole: After obs converts into methimazole in body

Ne.I₂) KI & Lugol's soln - Thyroid Constricting agents.

Inhibits release of T_3 & T_4 .

^{131}I - $t_{1/2} \Rightarrow$ 8 days.

Destruction of thyroid gland - X-rays & β -particles.

\rightarrow Propranolol & PTU \rightarrow Inhibits generation of T_3 & T_4 by inhibiting 5'-deiodinase enz.

Syn of PTU:

SUNDAY 18

By condensing ethyl-3-oxo-hexanoate w thiourea in trace of Na. ethoxide in Ethanol.