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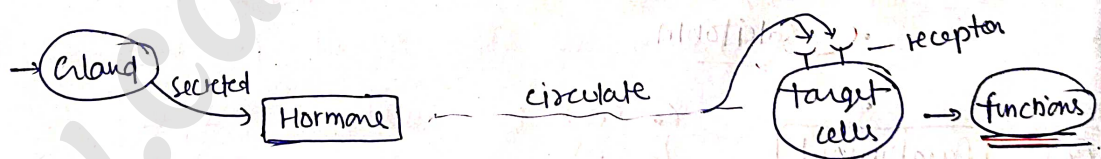
Unit-4

• Pharmacology of drugs acting on Endocrine system

a) Basic concept in endocrine pharmacology

• Endocrine system → It is the collection of glands that produces hormones that regulate many physiological function in body such as growth, metabolism, sexual function etc.

• Hormone → It is a substance of intense biological activity that is produced by specified cells in the body and is transported through circulation to act on its target cell.
— also called as signalling molecules.

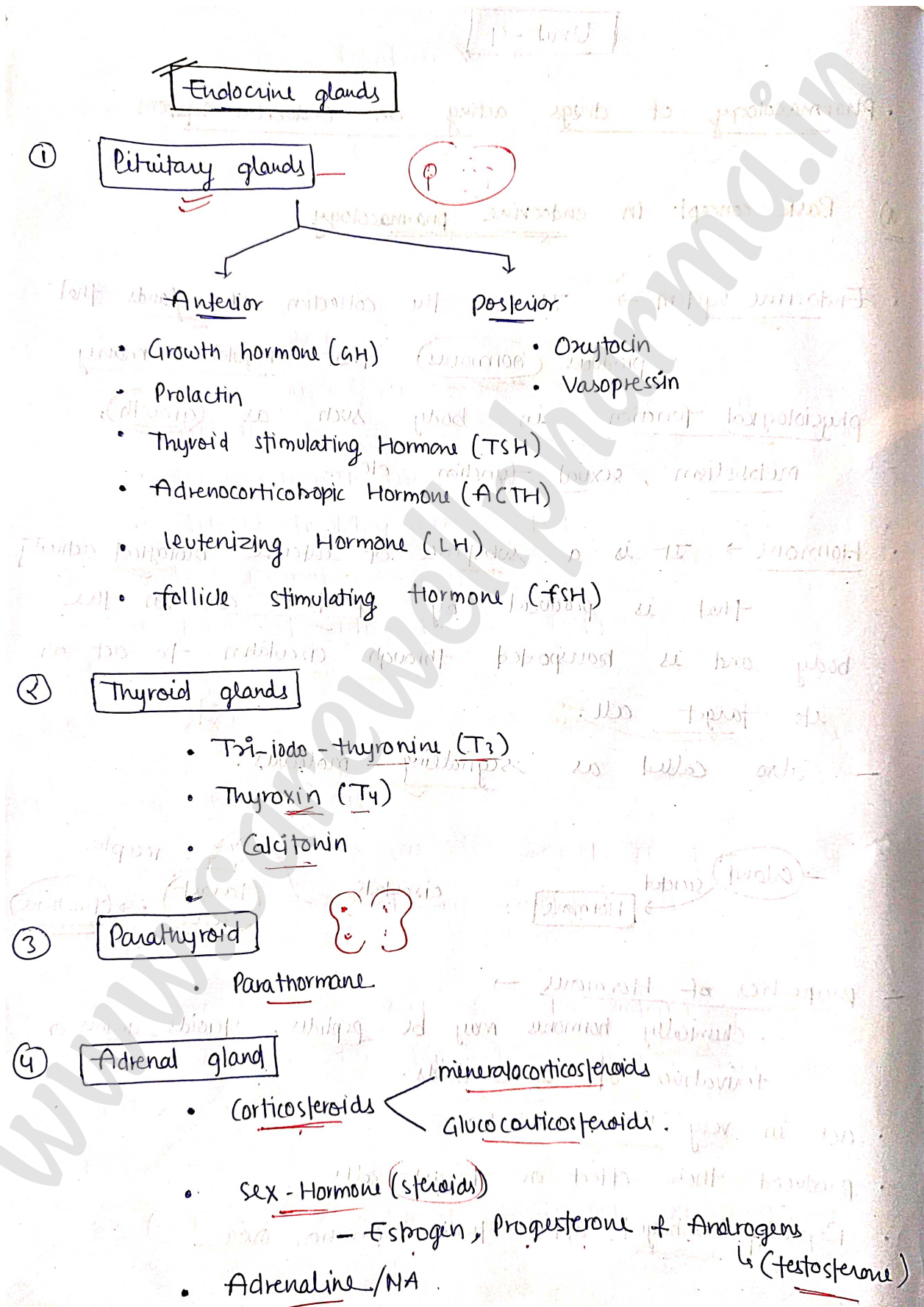


— Properties of Hormones →

- chemically hormones may be peptides, steroids, amines or derivatives of amino acids.
- act in very low concentration.
- produced their effect on target cells.
- Rapidly destroyed after their function over.

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- ⑤ Pancreas
- Glucagon
 - Insulin
 - Somatostatin

- ⑥ Testis
- Testosterone

- ⑦ Ovary
- Estrogen
 - Progesterone

- ⑧ Write a note on hormone secreted by pituitary glands.

[OR]

- b) Anterior Pituitary hormones - analogues and their inhibitors.

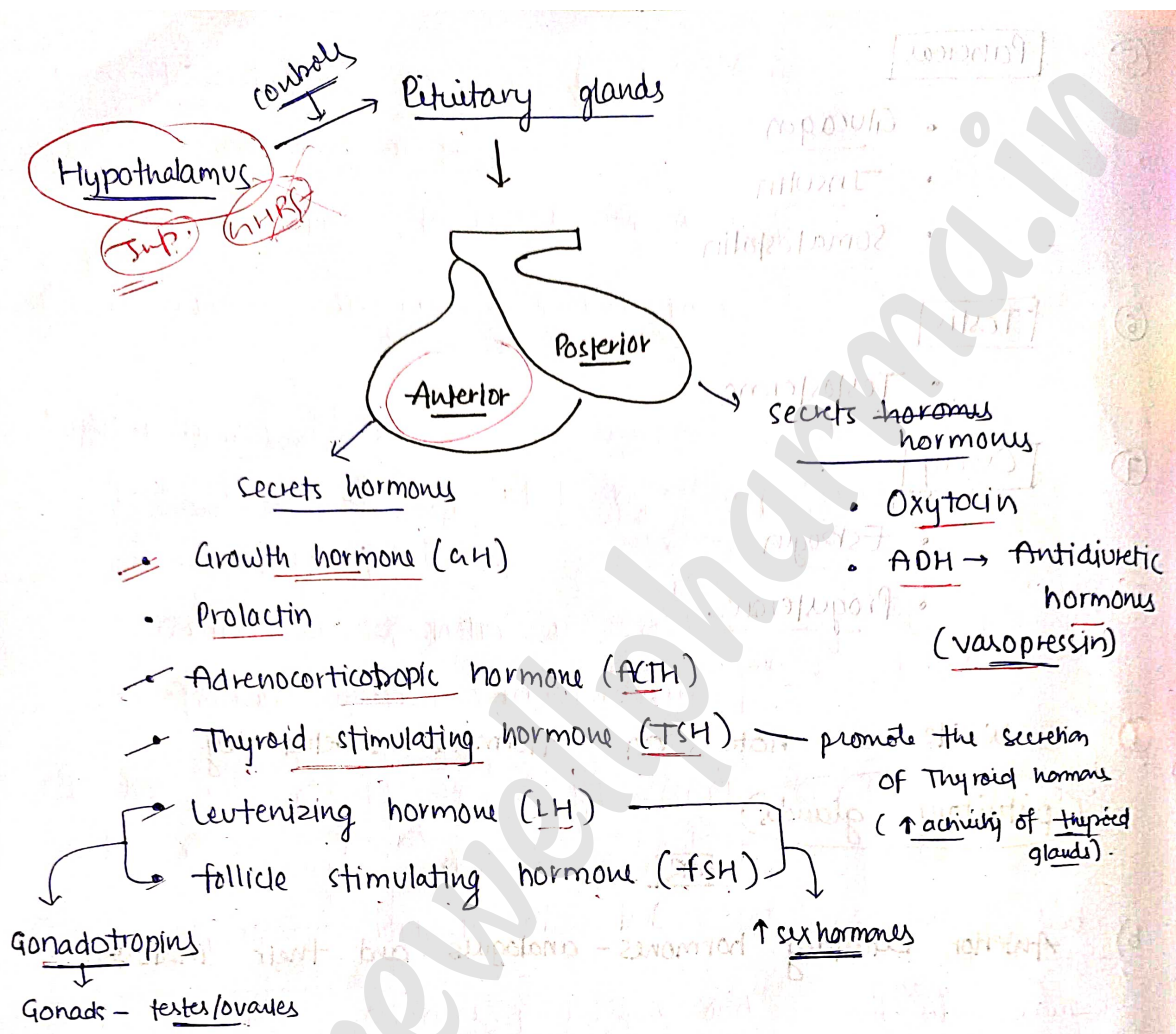
→ Pituitary gland → It is referred as the "Master gland" because it monitor and regulate many bodily function.

- It is the small organ at the base of the brain that produce substance (Hormones) that regulate growth and sexual development.

Hormones → These are those chemical substance which produced in our body through endocrine glands and are responsible for the many functions in our body: such as growth, sexual development etc.

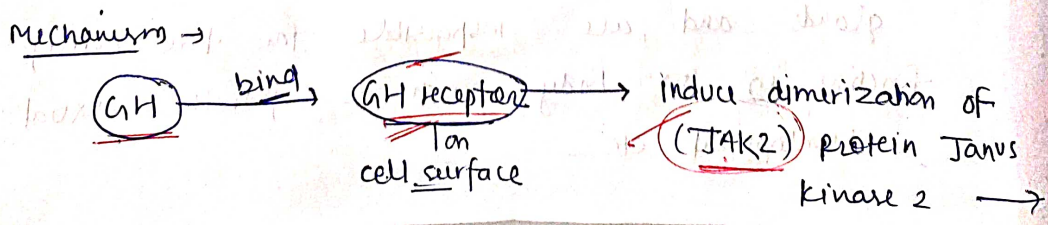
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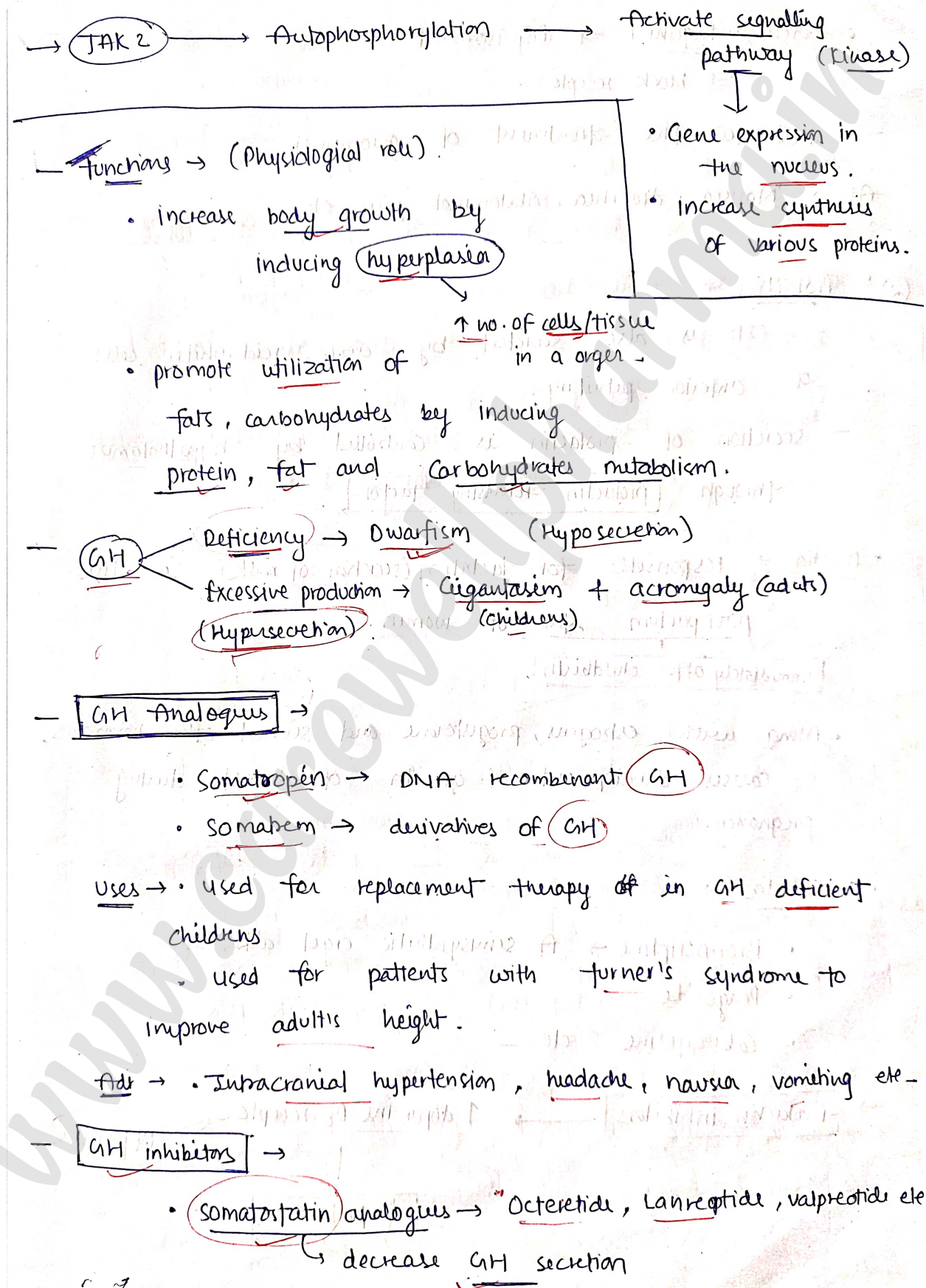
① Growth hormone (GH)
 Also known as ~~GH~~ Somatotropic hormone (STH)
 and it is secreted by acidophil cell of anterior pituitary gland.

The secretion of GH from the anterior pituitary is controlled by hypothalamus through GH releasing factor.



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• GH antagonist → Pegvisomant

↳ block receptor

— used for the treatment of acromegaly.

Ad → Nausea, diarrhea, Abdominal pain etc.

② Prolactin →

It is also secreted by ~~exoph~~ acidophilic cells of anterior pituitary.

— secretion of prolactin is controlled by hypothalamus through prolactin releasing factor.

• function ⇒ responsible for lactation (secretion of milk) in the post partum state of women.

immediately after childbirth.

• Along with estrogens, progesterone and several other hormones, causes development of growth of breast during pregnancy.

• Inhibitors →

• Bromocriptine → A semisynthetic ergot alkaloids.

• Pergolide

• Cabergoline etc.

→ Prolactin inhibitors

→ ↑ dopamine D₂ receptor

← Dopamine

↓

↓ prolactin

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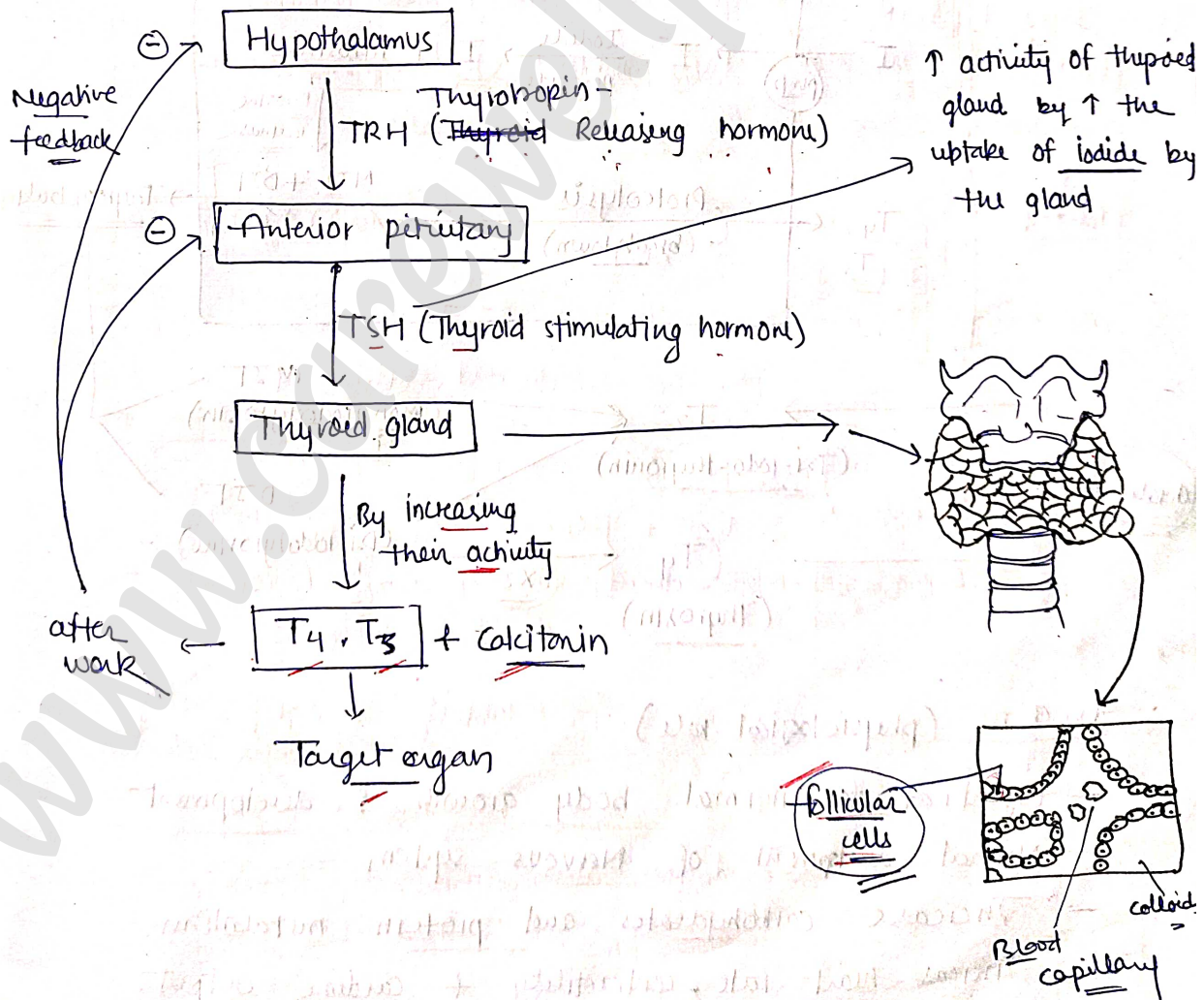
② Discuss in details about thyroid and Antithyroid drugs.

OR

c) Thyroid hormones - Analogues and their inhibitors.

- Thyroid hormones → Those hormones which are secreted from thyroid glands and are responsible for body's growth and work.

Thyroid gland → A butterfly shaped gland which present on neck in front of trachea



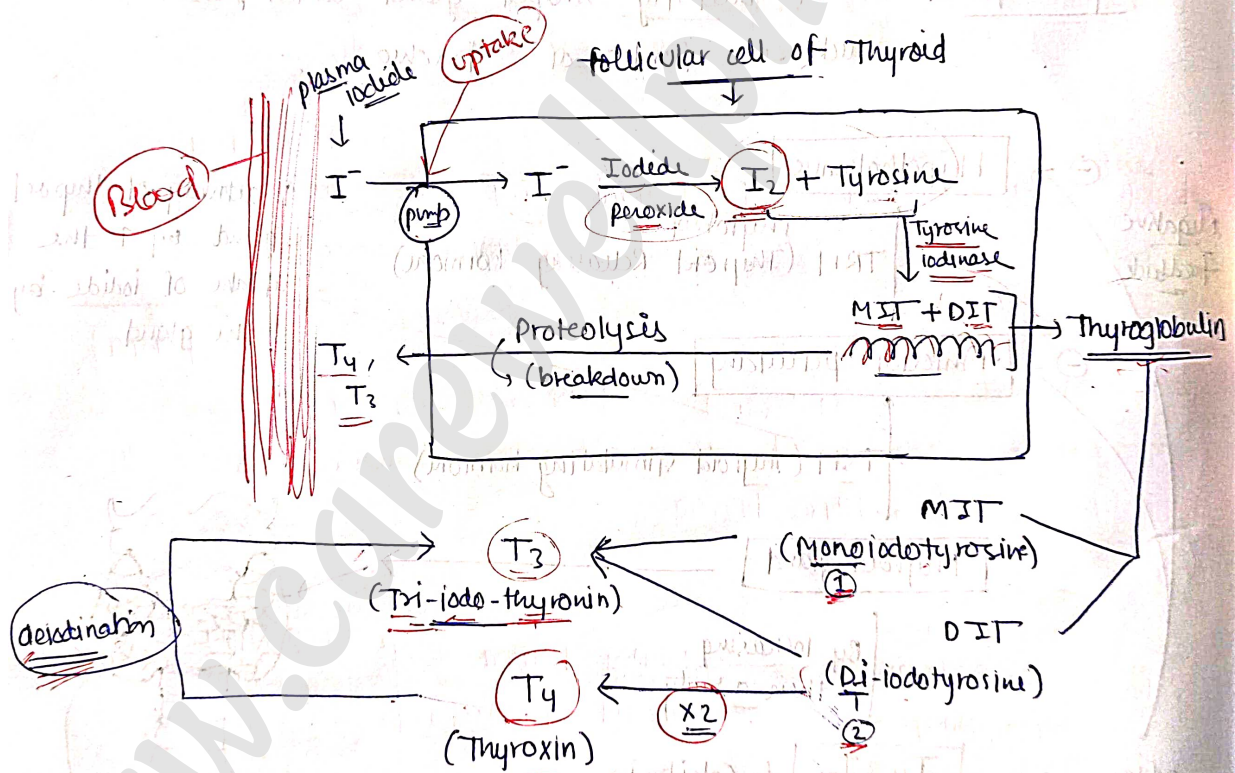
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- Synthesis and Release of thyroid hormone.
- Uptake of iodide
- Oxidation of iodide to free iodine & iodination of tyrosine
- Coupling of iodotyrosines.
- Proteolysis of thyroglobulin and release of T₄ & T₃
- Conversion of T₄ to T₃ by deiodination.



• Functions (physiological role)

- Essential for normal body growth & development
- Normal development of Nervous system
- Increase carbohydrates and protein metabolism
- Increase heart rate, contractility & cardiac output.

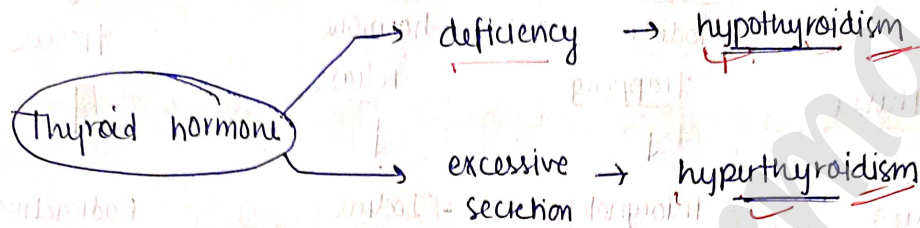
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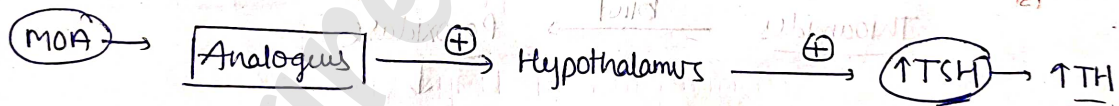
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- It also have hypolipidic hypolipidemic effect and
- also raise Basal metabolic rate (BMR)



⊙ Thyroid hormones analogues →

- L-thyroxine — levothyroxine [synthetic thyroxine (T₄)]
 - use for hypothyroidism
 - absorb from gut.
- L-thyronine — liothyronine [synthetic tri-iodothyronine (T₃)]
 - used in emergency condition of hypothyroidism
- liothrix [mixture of synthetic T₄ & T₃] → (4:1)



⊙ Thyroid hormone inhibitors (Antithyroid) :->

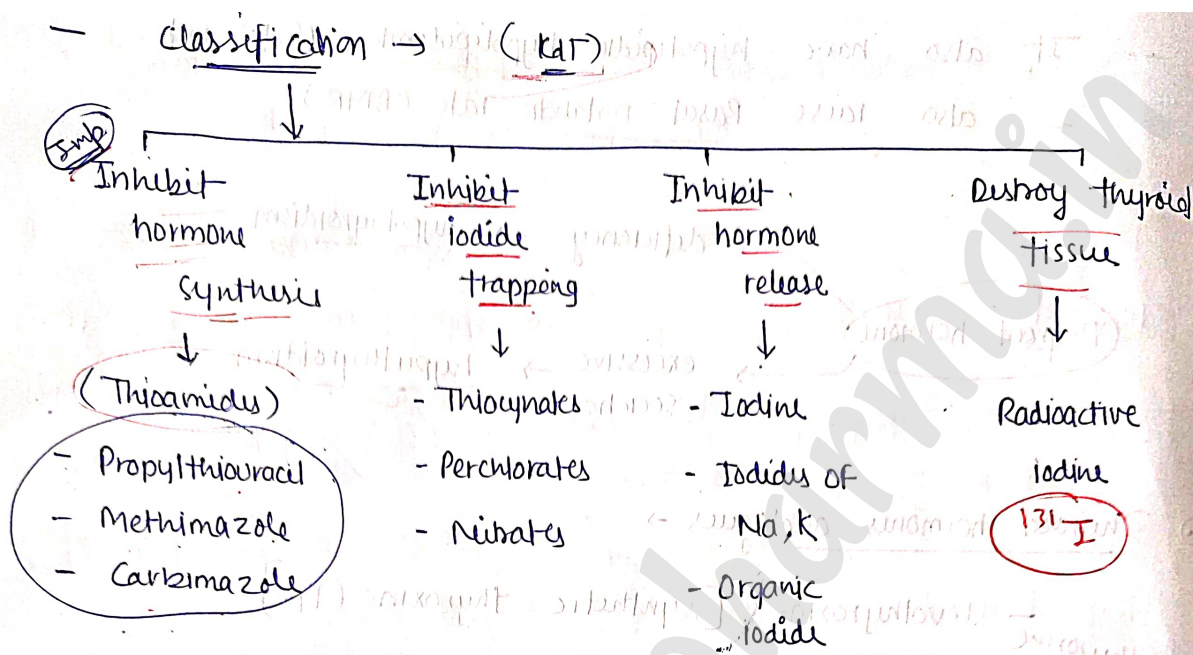
These are those drugs which inhibit the production & release of thyroid hormone. (used to treat hyperthyroidism).

~~Inhibitors of thyroxine synthesis~~

causal overproduction of thyroid hormone

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① Inhibit hormone synthesis

→ they inhibit the synthesis of thyroid hormones.

MOA →

Thioamides

bind

Peroxidase

prevent oxidation of

iodide

inhibit iodination of tyrosine

inhibit coupling of iodotyrosine

Inhibit $\text{T}_3 + \text{T}_4$ synthesis/release

uses →

to treat hypothyroidism hyper

P'okinetics →

absorbed orally, widely distributed in body
metabolized in liver
excreted - urine

Advs → Allergic rxns, agranulocytosis etc → Hypothyroidism

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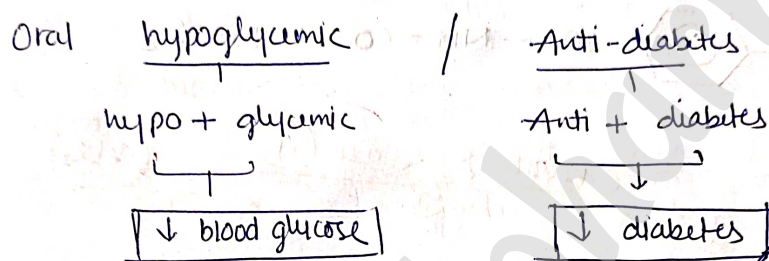
③

What are oral hypoglycemic agents (antidiabetes agents)
- classify them and write MOA of Sulphonyl ureas.

OR

d) Insulin, Oral hypoglycemic agents and glucagon.

→



- These are those drugs which lowers blood glucose levels in diabetes and are effective orally.
- mostly used to treat type-2 diabetes mellitus.

• Diabetes (diabetes mellitus) → A serious disease in which a person's body cannot control the level of sugar in the blood.

Type-I diabetes

- A chronic condition in which the pancreas produce little or no insulin.

Type-II diabetes

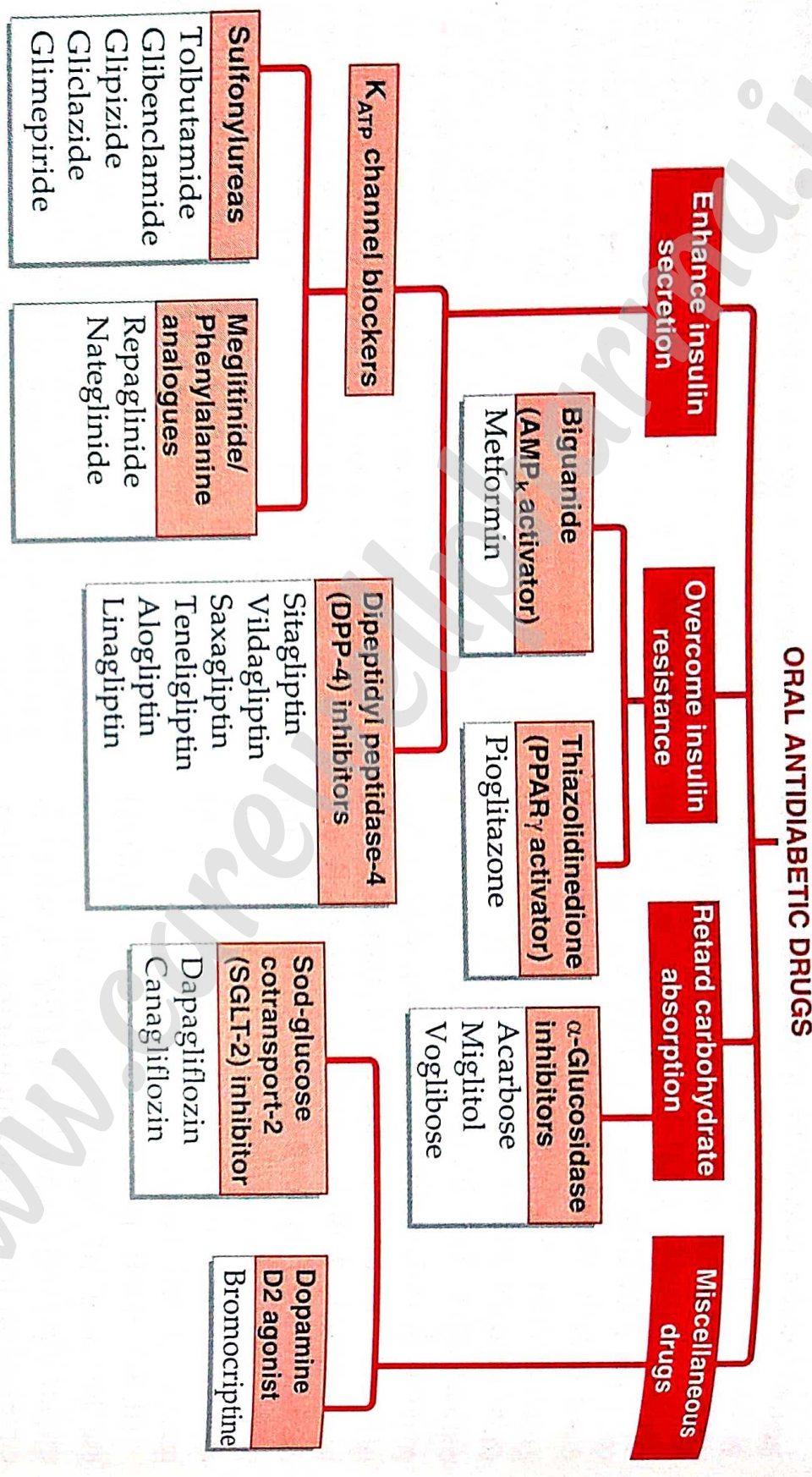
- A chronic condition that affects the way the body processes blood sugar (glucose).
↓
impairment in the way the body regulates and uses glucose as a fuel.

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Table 19.2: Important features of oral antidiabetics

Drug	Preparations	Plasma $t_{1/2}$ (hr)	Duration of action (hr)	Clearance route*	Daily dose	No. of doses per day	Remarks
SULFONYLUREAS							
1. Tolbutamide	RASTINON, 0.5 g tab.	6	6-8	L	0.5-3 g	2-3	Weaker, shorter acting, flexible dosage, safer in elderly and in those prone to hypoglycaemia.
2. Glibenclamide (Glyburide)	DAONIL, EUGLUCON, BETANASE 2.5, 5 mg tab.	2-4	24	L	2.5-15 mg	1-2	Potent but slow acting, higher incidence of hypoglycaemia, single daily dose despite short $t_{1/2}$ due to active metabolite and sequestration in β cells.
3. Glipizide	GLYNASE, GLIDE, MINIDIAB 5 mg tab	3-5	12	L	5-20 mg	1-2	Fast and shorter acting, higher daily dose to be divided, hypoglycaemia and weight gain less likely, preferable in elderly.
4. Gliclazide	DIAMICRON 80 mg tab, DIAZIDE 20, 80 mg tab, GLIZID 30, 40, 80 mg tab, AMARYL, GLYPRIDE	8-20	12-24	L	40-240 mg	1-2	Has antiplatelet action, generates only inactive metabolite, daily dose > 80 mg to be divided.
5. Glimperide	GLIMER 1, 2 mg tab	5-7	24	L	1-6 mg	1-2	Long acting, only inactive metabolite. Stronger extra-pancreatic action.
MEGLITINIDE / PHENYLALANINE ANALOGUES							
1. Repaglinide	EUREPA, RAPLIN, NOVONORM, REGAN 0.5, 1, 2 mg tab	≤ 1	3-5	L	1-8 mg	3-4	Given $\frac{1}{2}$ hr before each meal for limiting post-prandial hyperglycaemia.
2. Nateglinide	GLINATE, NATELIDE 60, 120 mg tab	1.5	2-3	L	180-480 mg	3-4	Stimulates 1st phase insulin secretion, less likely to cause delayed hypoglycaemia.
DPP-4 INHIBITORS							
1. Sitagliptin	JANUVIA 50, 100 mg tab.	~12	24	K	100 mg	1	Non-covalent binding to DPP-4; excreted unchanged in urine. Low risk of hypoglycaemia. Body weight neutral.
2. Vildagliptin	GALVUS, JALRA, ZOMELIS 50 mg cap	2-4	12-24	L, K	50-100 mg	1-2	Covalent binding to DPP-4; Metabolized in liver. Hepatotoxicity reported.
BIGUANIDE							
1. Metformin	GLYCIYPHAGE, GLYCOMET 0.5, 0.85 g tab, 0.5 g and 1.0 g SR tabs	1.5-3	6-8	K	0.5-2.5 g	1-2	No hypoglycaemia. Not metabolized. Lactic acidosis rare and only in kidney disease.
THIAZOLIDINEDIONE							
1. Pioglitazone	PIONORM, PIOREST, PIOZONE 15, 30 mg tab	3-5	24	L	15-45 mg	1	May improve lipid profile. Reverses insulin resistance. No hypoglycaemia, C/I in liver and heart disease.

* L—Metabolized in liver; K—Excreted unchanged by kidney

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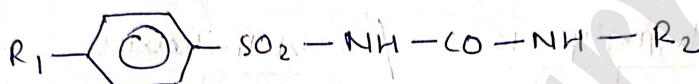
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Classification →

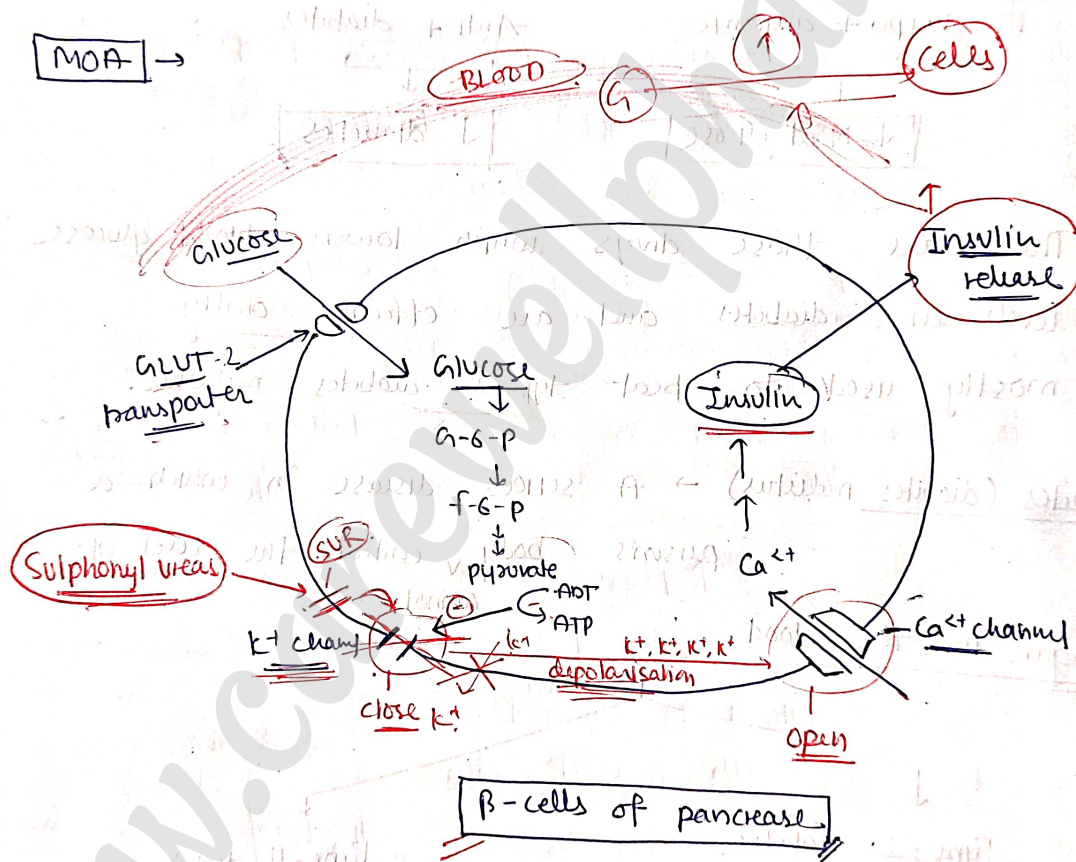
ICDT → pg. NO. 294 (latest edit)

① Sulphonylureas

- general formula



MOA →



• It blocks the ATP dependent K⁺ channel in the beta cells of pancreas which finally increase the secretion of insulin.

Now, this insulin increase the uptake of glucose to the cells, tissue and liver (extra) & decrease glucose in blood.

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Drugs → Talbutamide, Tolzamide,
chlorpropamide, Glyburide etc.

- Absorbed from git.
- appeared in blood within 1-2 hrs & peak level are attained within 4-6 hrs.
- metabolized in liver.

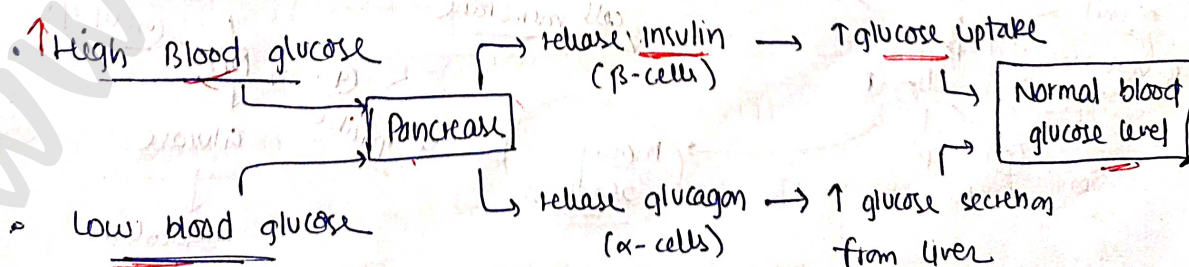
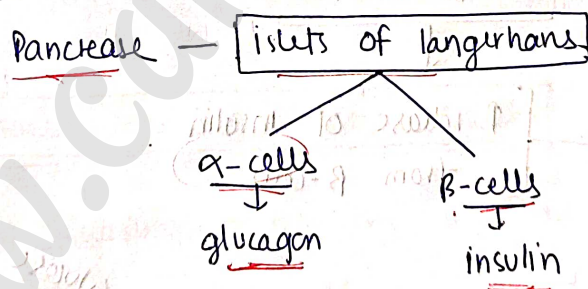
Uses → mainly for Type 2 diabetes

Adrs → Hypoglycemia, allergic skin rxn, bone marrow depression etc.

④ Write a short note on

- Insulin, Glucagon
- ACTH, Corticosteroids
- ⇒ Hormones regulating plasma levels

① Insulin

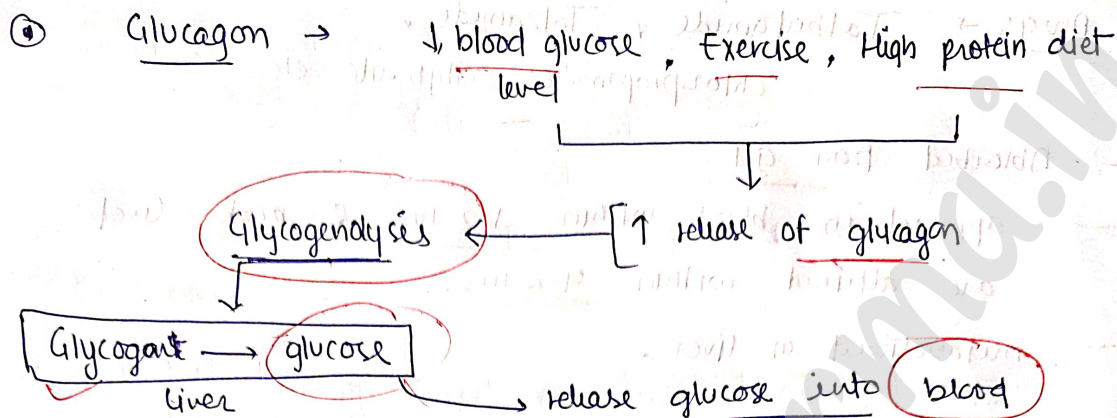


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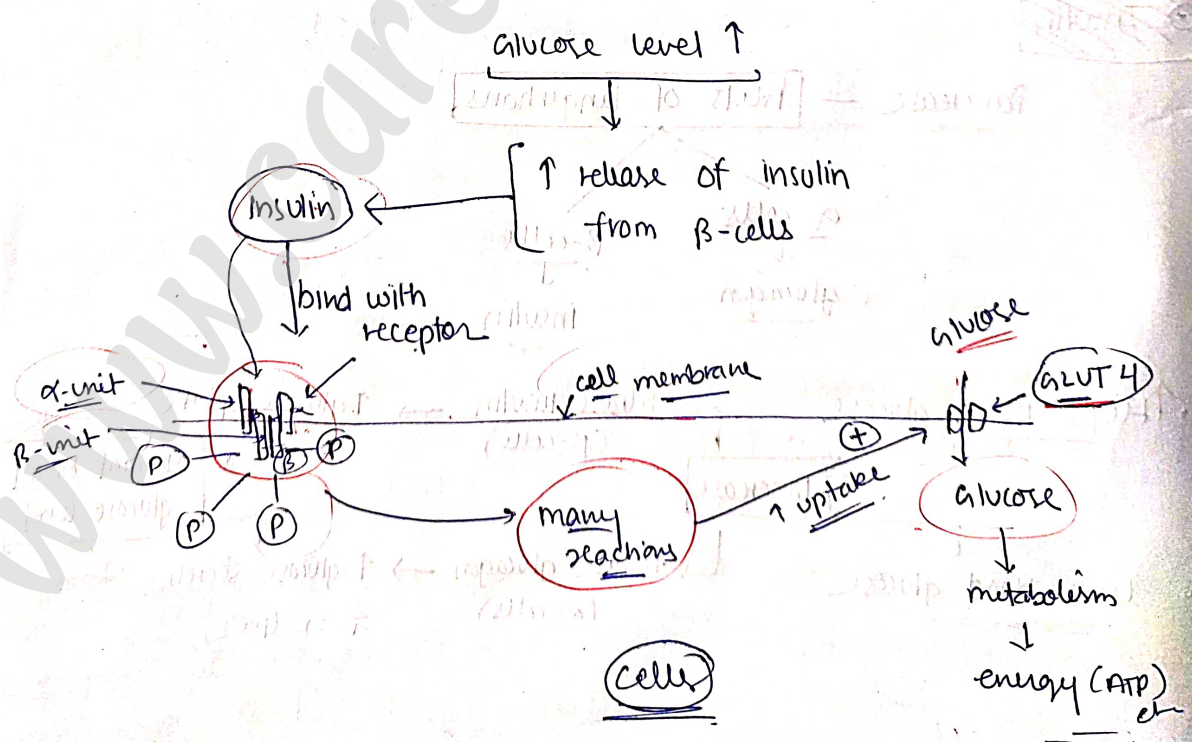


uses → to treat severe hypoglycaemia coma due to insulin in patients of type-1 diabetes.

insulin ↓ BGT ↓

② Insulin → ~~It is a polypeptide, composed of an A-chain (acidic) made up of 21 amino acids and B-chain~~

- The immediate precursor of insulin in beta cells is proinsulin.



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- the total insulin content of pancreas is about 200 units, normal man secretes about 50 units of insulin per day

functions →

- Carbohydrate metabolism
- Protein metabolism
- Lipid metabolism

Uses →

- used as the specific replacement therapy in diabetes mellitus B.S.P
- used in emergency treatment of diabetic ketoacidosis (diabetic coma).
- for emergency treatment of hyperkalaemia.

Adrs →

- Hypoglycemia, Allergic rxns, etc -

⊙ Hormone regulating plasma calcium

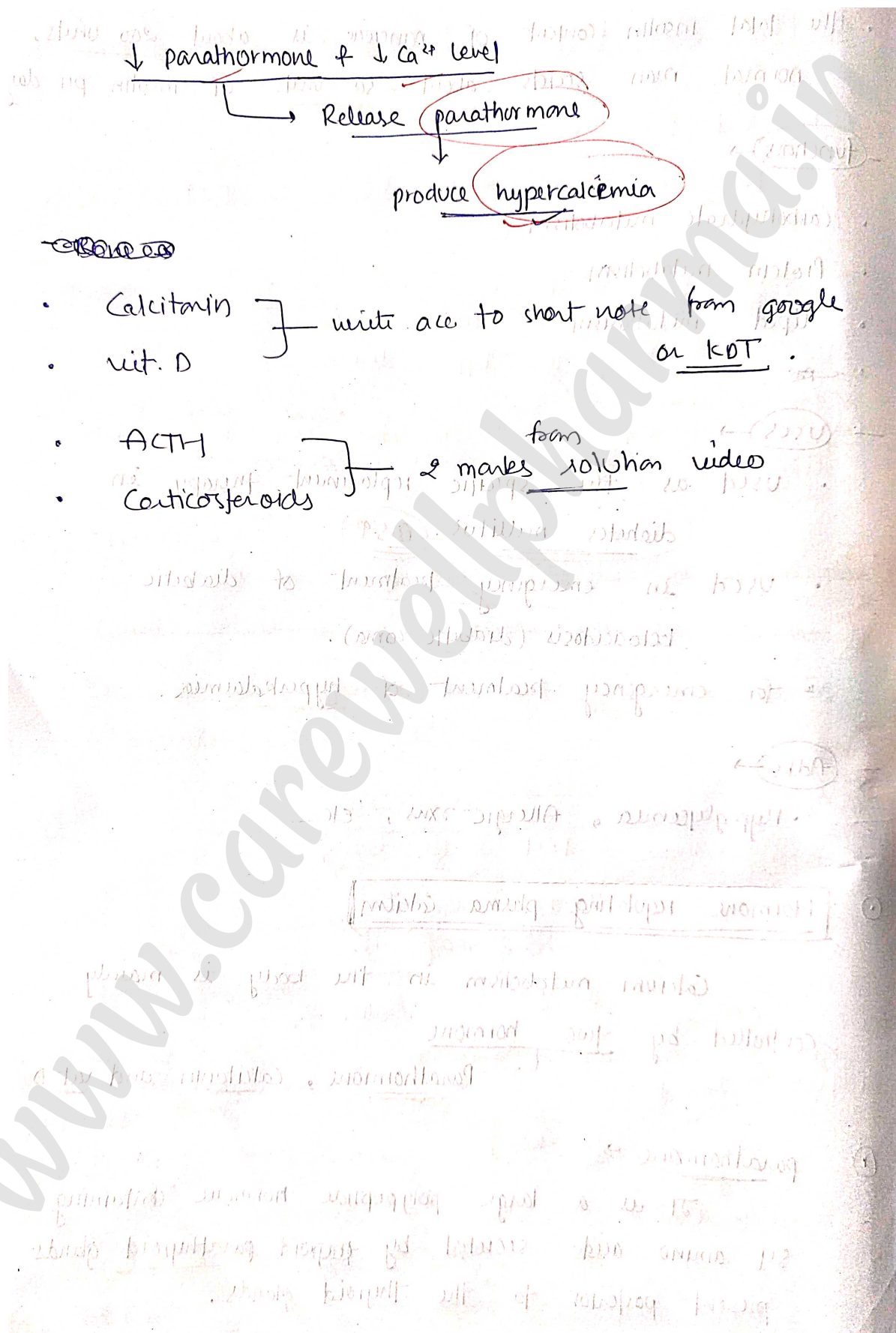
Calcium metabolism in the body is mainly controlled by two hormone → Parathormone, Calcitonin and vit. D

① parathormone →

It is a large polypeptide hormone containing 84 amino acids secreted by ~~pancreas~~ parathyroid glands present posterior to the thyroid glands.

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Q5 What is ACTH.

→ • ACTH → Adrenocorticotrophic Hormone, is the anterior pituitary secretion.

• It's main function is to stimulate the production and release of Cortisol from the cortex of adrenal glands.

Cortisol → the primary stress hormone, increases sugars (glucose) in the bloodstream, enhances your brain's use of glucose and increases the availability of substance that repair tissues.

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Q. Define Corticosteroids?

Corticosteroids are steroid hormones secreted by the adrenal cortex of adrenal gland.

→ The adrenal cortex of adrenal gland synthesizes numerous steroid hormones which are collectively called as corticosteroids or adrenocorticoids.

→ These hormones are essential for survival as they are concerned with the maintenance of water and electrolyte balance, cardiovascular and energy substrate balance.

Corticosteroids - 2 types

1. Glucocorticoids → It refers to steroidal hormones secreted by the adrenal cortex of adrenal gland.

These corticosteroids control carbohydrate, fat and protein metabolism. They show anti-corticosteroid control carbohydrate, fat and protein metabolism. They show anti-inflammatory action by inhibiting phospholipid release.

2. Mineralo corticoids → It refers to steroidal hormone secreted by the adrenal cortex of adrenal gland. These corticosteroids regulate the electrolyte and water levels by stimulating sodium retention in kidneys.

Example of Glucocorticoids.	Examples of mineralo corticoids
<ul style="list-style-type: none"> • Cortisone • Cortisone acetate • Dexamethasone etc. 	<ul style="list-style-type: none"> • Aldosterone • Deoxycorticosterone • Fludrocortisone