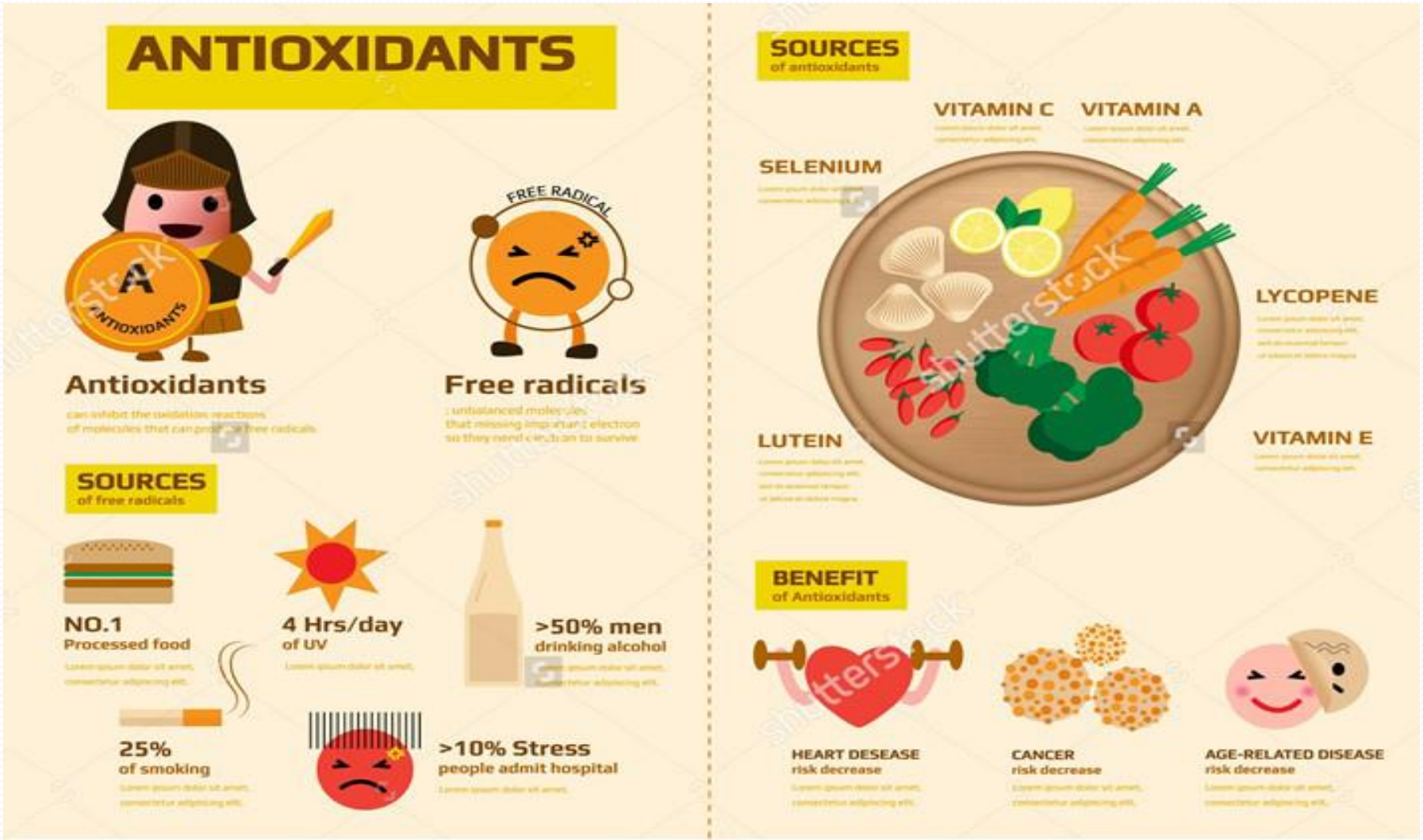
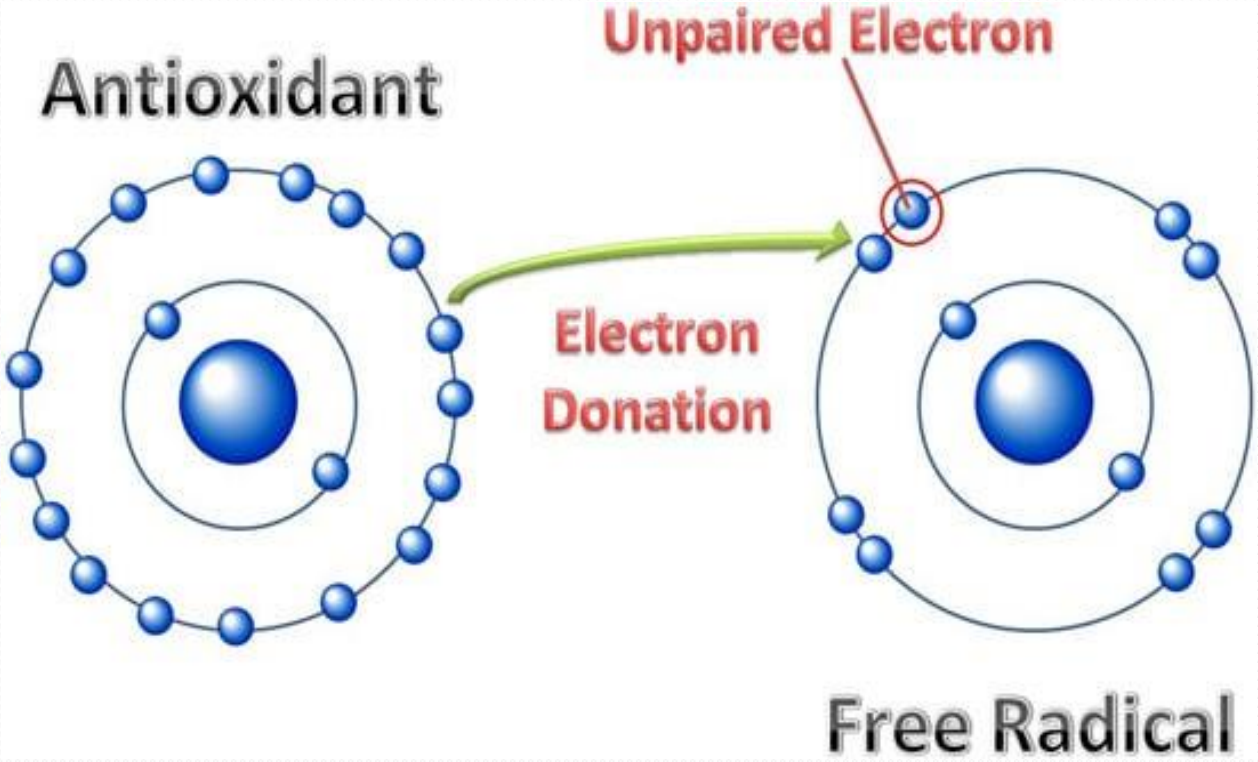
Antioxidants

PHARMACEUTICAL CHEMISTRY 1
UNIT II (A)


ANTIOXIDANT BENEFITS
Support Kidney Function
Improve Reproductive Function
Support Immune System & Improve Body Defences
Protection against Digestive Disorders
Improve Nervous System & Support Respiratory System
Reduce Obesity & Protect Liver
Anti-aging Effect & Maintain Healthy Vision
Improve Quality of Sleep


ANTIOXIDANTS
Antioxidants
can inhibit the oxidation reactions
of molecules that can produce free radicals
FREE RADICAL
Free radicals
unbalanced molecules
that missing important electron
so they need electron to survive
SOURCES
of free radicals
NO.1
Processed food
4 Hrs/day
of UV
>50% men
drinking alcohol
25%
of smoking
>10% Stress
people admit hospital
SOURCES
of antioxidants
VITAMIN C
VITAMIN A
SELENIUM
LYCOPENE
LUTEIN
VITAMIN E
BENEFIT
of Antioxidants
HEART DESEASE
risk decrease
CANCER
risk decrease
AGE-RELATED DISEASE
risk decrease


Unpaired Electron
Antioxidant
Electron
Donation
Free Radical

Antioxidants

- An agents which inhibit oxidation and are commonly used to prevent rancidity of oils and fats or deterioration of other materials through oxidative process.

  Ascorbic acid, Butylated hydroxyanisole (BHA) Butylated hydroxytoluene (BHT), α-tocopherol & ascorbyl palmitate

- An **antioxidant** is a molecule that inhibits the oxidation of other molecules.

- Oxidation is a chemical reaction that can produce free radicals, leading to chain reactions that may damage cells.

- **Antioxidants** such as thiols or ascorbic acid terminate these chain reactions.

Antioxidants

- Agents which prevents atmospheric oxidation. They have the capability of functioning chemically as reducing agents.
- Are added to pharmaceutical preparations containing easily oxidizable substances.
- To prevent oxidation and subsequent deterioration of the formulation.
- To prevent oxidative decomposition of pharmaceutically active components.
- Used in pharmaceutical preparations containing easily oxidized substances (e.g., iodide or Fe++) in order to maintain these substances in their reduced form.

Mechanism of antioxidant action

- Chemically they are reducing agents which prevent oxidation of other species by getting oxidized themselves in place of active component as they under go oxidation more readily than the active component

OR

- by **reducing the already oxidized active component back** to the normal oxidation state.

- Action is based upon **oxidation-reduction (Redox)** reaction in which the antioxidant itself gets oxidized.

- In redox reaction there is transfer of electrons from one compound to the other, therefore the loss or gain of electrons is used to balance the oxidation states on both sides of the half-reaction.

Selection Criteria of Antioxidants

1. Able to produce desired **redox reaction**, when used in pharmaceutical preparations.
2. physiologically and chemically **compatible**.
3. **Physiologically inert**.
4. **Non-toxic** both in the reduced and oxidized forms.
5. It should **not** create any solubility problem for various components of the formulation.
6. **Effective** in low concentration and should provide prolonged stability to the formulation.
7. **Safe** and **nontoxic**.

Official Inorganic Antioxidants

- Hypophosphorous acid
- Sulfur Dioxide (gaseous antioxidant)
- Sodium Bisulfite
- Sodium Metabisulfite
- Sodium Thiosulfate
- Sodium Nitrite
- Nitrogen

Sodium Metabisulfite
$Na_2S_2O_5$

- **Mol.Wt. 190.10**

- White crystal or white to yellowish crystalline powder having the odor of sulfur dioxide. It should contain an amount of sodium matabisulfite ($Na_2S_2O_5$) equivalent to not less than 66.0% and not more than 67.4% of SO_2.

- It is a strong reducing agent and like sulfur dioxide contains S in the +4 oxidation state.

- Exclusively used as an antioxidant in solutions of drugs that contain the **phenol or catechol nucleus** (e.g., phenylephrine hydrochloride and epinephrine hydrochloride solutions) to prevent oxidation of these compounds to **quinones or like substances**. It is also used as a reducing agent in **ascorbic acid injection.**

Hypophosphorous acid (HPH_2O_2; Mol.Wt. 66.00)

- It is a colorless or slightly yellow, odorless liquid containing not less than 30.0% and not more than32.0% HPH_2O_2.
- The oxidation state of the central phosphorous atom is +1, making the compound a very powerful reducing agent.
- It can function in dilute solution as a very effective reducing agent or antioxidant.

Uses:

- It serves to prevent the formation of free iodine in *Diluted Hydriodic Acid* and *Hydriodic Acid Syrup*.
- It is also present in *Ferrous Iodide Syrup* where it prevents the formation of both ferric ions and molecular iodine.
- Sodium hypophosphite is used as preservative in certain foods and ammonium hypophosphite is also found as preservatives in many preparations.
- The concentration ranges for hypophosphorous acid and its salts when used as antioxidants are never over 1%, and usually between 0.5 and 1%.

Sodium Bisulfite ($NaHSO_3$; Mol.Wt. 104.06)

- It is white or yellowish white crystals or agranular powder of sodium bisulfite ($NaHSO_3$) and sodium matabisulfite ($Na_2S_2O_5$) mixture equivalent to not less than 58.5% and not more than 67.4% SO_2.

- It is a strong reducing agent and like sulfur dioxide contains sulfur in the +4 oxidation state.

- It is exclusively used as an antioxidant in solutions of drugs that contain the phenol or catechol nucleus (e.g., phenylephrine hydrochloride and epinephrine hydrochloride solutions) to prevent oxidation of these compounds to quinones or like substances.

- It is also used as a reducing agent in ascorbic acid injection.

Sodium Nitrite ($NaNO_2$)

- **Sodium nitrite** is the inorganic compound with the chemical formula $NaNO_2$.
- It is a white to slightly yellowish crystalline powder that is very soluble in water and is hygroscopic.
- It is a useful precursor to a variety of organic compounds, such as pharmaceuticals, dyes, and pesticides.
- Not used as such as antioxidant but food preservative

Nitrogen

- N_2 Mol wt 28
- It is colourless, odourless, tasteless gas. Non flammable, non poisonous.
- It does not support life and combustion.
- Slightly soluble in water
- Slightly lighter than air.
- **Uses:** It's inert gas so can be used to protect chemical, drugs, p'cals e.g. Vit, oil (Cod liver, shark liver, castor and olive oil) from air oxidation by displacing air from container.
- Addition of such agents must be declared on label.
- Also used in assay of CO to retard it's oxidation
- **Storage:** Under compression in metal cylinder (Grey color with black nek and shoulder)