

Pharmacognesy II

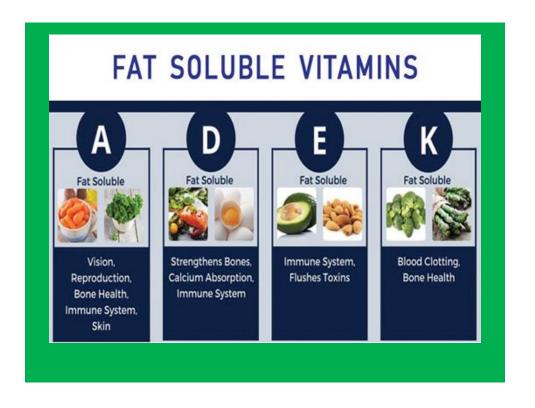
<u>Pharmacobiotechnology, 9th ed,</u> Robbers JE, Speedie MK, Tyler VE.)

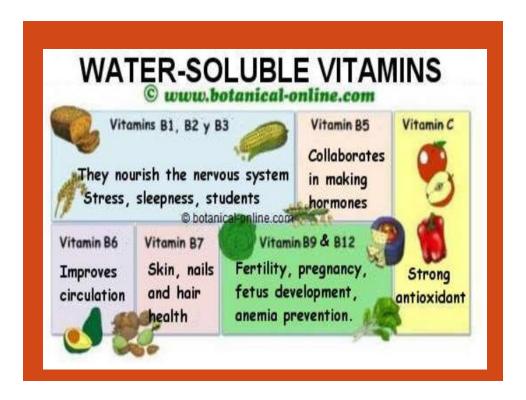
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(Vitamins and amino- acids)

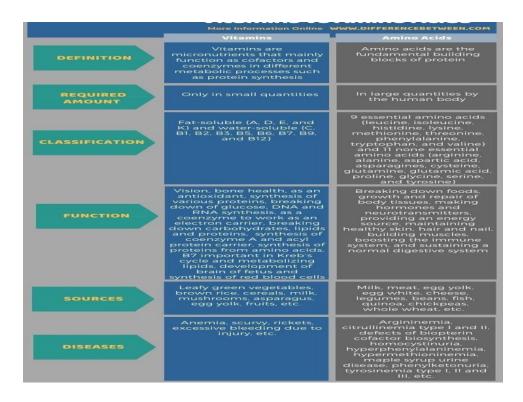
- <u>Vitamins:</u> are organic substances, not synthesized within the body, and are essential in small amounts for the maintenance of normal metabolic functions.
- The vitamins are diverse chemically, ranging from a simple molecule such as niacin to a complex molecule such as cyanocobalamin, not all vitamins are amines; vitamins A, C, D, E, K, and inositol lack a nitrogen function of any type.
- Biologic diversity is also noted with the vitamins, they
 may be used as either as a special dietary supplements
 in case of dietary insufficiency or as drugs where
 deficiency conditions are the classic therapeutic uses for
 vitamins.

1- Fat soluable vitamines: A, D, E, K 2- Water soluable vitamines: B complex, C





- Amino acids are the fundamental building blocks of proteins, which are one of the most important macronutrients in the human body. Amino acids are organic compounds that contain an amino group (NH3), carboxylic group (COOH), along with a side chain (R group) specific to each amino acid.
- Vitamins vs. amino acids:
- Vitamins and amino acids are two central components required to sustain human life. Vitamins are micronutrients that mainly function as cofactors and coenzymes in different metabolic processes such as protein synthesis. On the other hand, amino acids are the building blocks of proteins. There are 20 different amino acids found in the human body.



• Fat-soluble vitamins:

Vitamins A, D. E, and K are fat soluble. Their absorption from the intestinal tract is associated with that of lipids, and a deficiency state may be caused by conditions that impair fat absorption.

- Vitamin A (Retinone and its derivatives):
- Vitamin A is a term applied to all derivatives of retinone, other than the carotenoids, that possess the biologic activity of all-trans retinol, derivatives include: retinal, retinoic acid, and 3-dehydroretinol.

- Indications: Vitamin A is indicated specifically for the treatment of a deficiency of this vitamin, a situation rarely encountered in practice, when normal dietary intake is inadequate or when normal absorption is compromised.
- The usual US RDA (recommended daily allowance) of vitamin A for adults and children over 4 years of age is 5000 units.

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• Vitamin D:

- Vitamin D is a term that is used for several related steroids and their metabolites that are essential for the absorption and utilization of calcium.
- Cholecalciferol (vitamin D3), is the primary form of the vitamin D of animal source and is stored in a number of tissues, including the liver and skin.

Fish liver oils are a rich natural source of this material.

• Ergocalciferol (vitamin 12) ergosterol, a plant steroit vitamin normally used to the milk, bread, and care.

- Vitamin D has been called the sunshine vitamin since ultraviolet light is involved in the conversion of provitamin substances (7-Dehydrocholesterol and ergosterol) to vitamins D3 (Cholecalciferol) and D2 (Ergocalciferol) respectively,
- Which will undergo metabolic hydroxylations in the body to yield molecular forms with greater physiologic activity. The initial activation reaction occurs in the liver and involves formation of 25-hydroxyl derivatives, the second hydroxylation reaction occurs in the kidney and involves the 1-position; the resulting calcitriol (active D₃) and 1,25-dihydroxyergocalciferol (active D₂) are considered to be the most active molecular forms of this vitamin.

- Indications: Vitamin D is indicated specifically for the prevention and treatment of deficiency states encountered in patients with intestinal malabsorption of various etiologies, ex. vegetarians, renal impairment. Also treatment of familial hypophosphatemia and hypoparathyroidism and to supplement the diet in therapeutic regimens involving long-term use of cholestyramine or anticonvulsant drugs.
- The US RDA for vitamin D is 400 units per day; there is no variation for age and no other special considerations.

- Vitamin E (α- Tocopherol):
- Several structurally related to copherol analogs also occur in nature, including β -, and to copherols, but these substances possess only low levels of vitamin E activity.
- The vitamin is stored extensively in adipose tissues of the body; the stored vitamin E in normal situations represents up to 4 years' requirements. Thus, it is not surprising that no defined adult deficiency state is known.



- Indications of Vitamin E: prophylactic purposes to prevent the development of deficiency states in conditions in which reduced absorption is likely. Such conditions include diseases of the small intestine, pancreatic insufficiency, postgastrectomy states, and prolonged therapeutic regimens involving cholestyramine or mineral oil.
- The US RDA for vitamin E is 30 units for adults and children over 4 years of age.

• Vitamin K:

- Vitamin K is a term that refers to 2- methyl-1,4-naphthoquinone and derivatives of this compound that exhibit an anti- hemorrhagic activity.
- These include: Phytonadione (vitamin K_1) that occurs in green leafy vegetables; and Menadione or 2-methyl- 1,4-naphthoquinone (vitamin K_3), is a synthetic material with vitamin K activity.

- The intestinal microflora also provides a significant portion of the normal human supply of this vitamin.
- The latter factor presumably explains the infrequent occurrence of deficiency conditions; no recommended daily or dietary allowances are recognized for vitamin K.
- Role of Vitamin K: is necessary for normal clotting of blood. The vitamin promotes the hepatic formation of prothrombin (factor II), other essential clotting factors (VII, IX, X), and some proteins of unknown physiologic significance.

- Hemorrhage is the most common symptom in vitamin K deficiency, and this vitamin is used in coagulation disorders characterized by impaired formation of factors II, VII, IX, and X.
- Tablets (5 mg) are available for oral use. The usual dose is 2.5 to 10 mg and may be repeated after 12 to 48 hours if necessary.

- 2) Water-soluble vitamins:
- The water-soluble vitamins are dominated by the vitamin B complex, ascorbic acid (vitamin C), biotin, and such physiologically questionable substances as p- aminobenzoic acid, the bioflavonoids, choline, and inositol.
- The vitamin B complex include: thiame (B 1), riboflavin (B2), niacin (B3), pantothenic acid (B5), pyridoxine (B6), folic acid (B9), and cyanocohalamin (B 12).
- Liver and yeast are recognized as rich sources of the B vitamins.

• Vitamin C:

Vitamin C or L-ascorbic acid is a naturally occurring vitamin substance that has useful antioxidant properties.

- Role: Vitamin C likewise is involved in biologic oxidationreduction reactions (hydrogen and electron transport).
- Ascorbic acid is used to prevent or treat deficiency conditions and to enhance wound healing.
- High doses of the vitamin have been used as a urinary acidifier to enhance the effectiveness of methenamine, and it has been used with deferoxamine in iron toxicities to increase the excretion of the iron.
- A normal healthy adult has an ascorbate body pool in excess of 1500 mg. This pool must drop below 300 mg before clinical signs of scurvy appear, a depletion process that usually takes several months with a vitamin C—deficient diet.
- The US RDA for ascorbic acid is 60 mg for adults and children over 4 years of age.

- Multivitamin therapy:
- Inadequate vitamin intake can result not only from a poor diet but also from alcoholism, increased needs during pregnancy and lactation, prolonged broad spectrum antibiotic therapy, and the course of parenteral nutrition.
- Poor absorption of ingested vitamins occurs frequently in elderly persons, chronically ill persons, and others who suffer from infections reduced bile flow, intestinal disease, or diarrhea.
- For these reasons, the supplementation of diets with multivitamin preparations does have a rational basis in certain circumstances.

(Amino Acids)

- A- Glutamic acid:
- 1- In the food industry as a flavor enhancer.
- 2 -To aid in peptic ulcer healing
- 3- One of the leading roles of glutamic acid in pharmaceuticals is that of a neurotransmitter.
- 4- The blockage of NMDA receptors can greatly affect the memory and overall mental performance of an individual.

Glutamic acid and aspartic acid have the capability to combine with NMDA receptors thus increasing cation conductance, depolarizing the cell membrane, and deblocking the NMDA receptors.

• B- Lysine:

- 1- It is an important additive to animal feed for optimizing the growth of pigs and chickens.
- 2- In the food industry, L-lysine is used in a number of dietary or nutritional supplements that are popularly used by athletes, weight lifters, bodybuilders, and even some individuals to boost their energy level and protect their muscles from deterioration.
- 3- L-lysine is also recommended for the treatment of some viral infections, for example, herpes simplex, cold sores, shingles, and human papillomavirus infections such as genital warts and genital herpes

• C- Tryptophan:

- 1- Tryptophan has a wide range of applications in the feed and pharmaceutical industries.
- 2- As an essential amino acid with a unique indole side chain, which indicates its use as a precursor for a number of neurotransmitters in the brain.
- 3- Its application in the chemical synthesis of some antidepressant.

