Course Title: General pharmacology (LAB)

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What are the different mechanisms through which drug cross the cell membrane, discuss in detail

Explain the effects of adrenaline/epinephrine on human body in detail

(Question 1) The different mechanism through which drug cross the cell membrane,discuss in detail?

(Ans)

TrANSPORT OF DRUGS

CELL MEMBRAN

Molecules can be Transported by following PROCESSES

1.Passive DIFFUSION of Lipid soluble mols(Lipid Diffusion)

2.Passive DIFFUSION of water-soluble molecules

3.CARRIER MEDIATED TRANSPORT by Carrier proteins

ACTIE TRANSPORT,&

(e

b) FACILITATED DIFFUSION

4.PINOCYTOSIS(Endocytosis/Exocytosis)

5.Passive Filtration(as in Renal Glomeruli)

6.Passage via Gap Junctions.

TBANSPORT

ACROSS

MEMBRANES-Carrier medl

2.CARRIER-MEDIATED TRANSPORT:

Many cells possess specialized carrier
proteins for the transport specially of the
physiological mols e.g.glucose,steroids,
aminoacids,etc.(and many drugs as well)
Carrier Proteins bind with the mols at one end
of membrane.&then change conformation to
release the mols at other end of membrane.
In some cases,such systems operate purely passlvely,without any energy
source or consumptionfacllktate transmembrane equilibratlon of the
transported mols in the direction of lts concentration gradientcallod

FACILITATED DIFFUMON(FO)

Ex:Transport of ghucose across Sk.M.by Insulin-sensitive GLUT4 transporter,
Amlnoacids(L-dopa)into brain
FD is Specific.,Saturable.Faster than Simple diffuson&Not Energy-dependant.

TRANSPORT
OR
TRANSLOCATION
PROCESSES

3.Passive Diffusion of water-soluble mols
Passive diffusion of water-soluble molecules
depends on the mol-size
Aqueous channels of cell membrane measure only
8-10 A wide,which allow mols of 150-200 Da to go

These channels belong to a larger family of specific proteins Aquaporins,each consisting of 6 trans-membrane domains surrounding a central pore.

Most allow ONLY WATER to pass thru,but Aquaporin-3 also permit small water-soluble mols e.g.Urea&Glycerol to traverse

Few drugs also transported thru Aquaporins-

Examples(with mol.wt.):

* Lithium(-70)

Furosemide(-100)

Ephedrine(165)

Caffeine(194)

* Vitamin C(176)

H,O(34)

* Vit B.(Nicotinamide)(122)

(Question 2)Effect of adrenaline,epinephrine on the human body in detail?

(ANS)

Effects of adrenaline on organs and tissues in the body

ORGAN

EFFECT

RECEPTOR TYPE

Heart

B1

B1

Increase heart rate

Increased contractility

Vasoconstriction

Vasodilation

Blood vessels

al

B2

Lungs

Bronchodilation

B2

Uterus

Relaxation

B2

Actions of epinephring D

1- Cardiovascular:

The major actions of epinephrine are on the cardiovascular system.

Epinephrine strengthens the contractility of the myocardium (positive inotropic: B. action) and increases its rate of contraction (positive chronotropic: B, action). Cardiac output therefore increases.

• With these effects comes increased oxygen demands on the myocardium.

RESUSCITATION O

Adrenaline - DOC -cardiac arrest.

Main action - 1 vascular resistance via al vasoconstriction → improves perfusion pressure to the myocardium and brain.

Adrenaline-greatest effect when given i.v intraosseous route if i.v route not patent.

Metabolism

C

Epinephrine is rapidly inactivated in the body and is degraded by enzymes in the liver and other

tissues.

The larger portion of injected doses is excreted in the urine as inactivated compounds and the remainder either partly unchanged or conjugated. The drug becomes fixed in the tissues and is inactivated chiefly by enzymatic transformation to metanephrine or normetanephrine either of which is subsequently conjugated and excreted in the urine in the form of sulfates and glucuronides.

THANK.YOU