

PHARMAID

PRESENTS



PHARMACEUTICS LECTURES

LIPOSOMAL BASED PHARMACEUTICALS 2003-2004

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Liposome - Based Pharmaceuticals:-

Definition:-

- drug carriers formed of phospholipids bilayer of microscopic size (submicron range) in a spherical shape (vesicle)
- it can carry both hydrophobic & hydrophilic gps without the need of any chemical modification of the drug (i.e. lower costs & no regioselectivity created).
- they serve as:
 - drug targeting to the site of action
 - changing unfavourable drug property (pharmacokinetics, therapeutic index, formulation problem)

[niosomes: they are microscopic vesicles formed of SAA (we will not deal w/ it)]

- it can be a drug carrier & change some prop. of the drug

* In the past, liposome was used to estate any invisible fat globule in a tissue, very small in size

List of marketed liposomal drug products (parental) :-

① AmBisome®

(by NeXstar)

- character that changed: ~~less~~ reduced nephrotoxicity (wider therapeutic index)
- liposomal Amph. B injection

② Abelcet® (lyophilized powder)

(by liposome company)

- character changed: less toxic to kidney
- amph. B lipid complex injection

Conventional amph. B → Fungisone®

The formulation problem is that it has a v. large molecule w/ is a problem in solubility

③ Daunoxome® (by NeXstar)

- liposomal daunorubicin inject.

④ Evacet® (by liposome company)

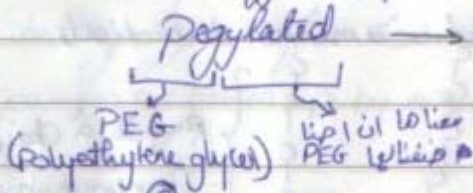
- liposomal doxorubicin inject.

specific for solid tumors (especially for HIV patients that suffer from Kaposi's sarcoma)

but there is a problem that their dose can't exceed a certain dose per life because it undergoes irreversible binding to the cardiac muscle producing cardiac toxicity

(& this max. allowed dose per life is increased by liposomal product.)

Doxil[®] pegylated liposomal doxorubicin



⑤ Lipronin[®] (by Endovax company)

- liposomal prostaglandin E₁ inject.
- reduce or prevent restenosis after angioplasty procedure.

↳ catheterization, ballooning, stenting

because it accumulates in wall of B.V.

where the stent is & is slowly released & prevent restenosis.

⑥ Mikasome[®] (Japanese)

liposomal amikacin (aminoglycoside antibiotic) less toxic than conventional

⑦ Nyctran[®]

- liposomal nystatin inj. for fungal infection in blood.
- no conventional injection exists for nystatin due to its toxicity

⑧ Depoyt[®]

• liposomal cytarabine injection
cytosine arabinoside

- taken intrathecally for carcinomatous meningitis
- the conventional cytarabine injection is taken IV, SC, intrathecally

↳ cytosar[®] (100mg, 500mg, 1000mg)

conventional: half life of IV = 20 min, half life of intrathecal is 3 hrs

liposomal: intrathecal is 100-260 hr

if can maintain its conc. in cerebral cortex upto 2 weeks
free from preservative

• Depoyt is in form of suspension (not solⁿ) or depot foam.