

Pharmaceuticals for eye/nose/ear

Eye drops

- ❑ most ocular medications are delivered topically - maximizes anterior segment concentrations and minimizes systemic toxicity
- ❑ drug gradient from tear reservoir to corneal and conjunctival epithelium forces passive absorption

Eye drops

Factors affecting absorption:

- drug concentration (limited by tonicity) and solubility (aqueous solution v's suspension)
- viscosity (increased residence time)

Eye drops

- Surfactants - preservatives used are surface-active agents that alter cell membranes in the cornea as well as bacteria, increasing drug permeability and preventing bacterial contamination

Eye drops

- Reflex tearing: ocular irritation and secondary tearing wash out of the drug reservoir in the tears and reduce contact time with cornea. This occurs when drops are not isotonic, have non-physiological pH or contain irritants

Eye ointment

- ❑ increases contact time of drug with ocular surface
- ❑ mixture of petrolatum and mineral oil
- ❑ water-soluble drugs are insolvent in the ointment and are present as microcrystals. The surface microcrystals dissolve in the tears, the rest are trapped until the ointment melts

Eye ointment

- only drugs with high lipid solubility and some water solubility will get into both tears and corneal epithelium eg. chloramphenicol and tetracycline both achieve higher aqueous levels as ointment rather than drops

Intraocular injektion

- ❑ allow instant drug delivery at therapeutic concentrations to target site
- ❑ intracameral eg. antibiotics, viscoelastics, miochol
- ❑ intravitreal eg. triamcinolone, avastin

Intranasal Drug Delivery System: Advantages

- ◆ Its easy and convenient
- ◆ Can be easily administered to the **unconscious patients**
- Compared to oral medications, intranasal medication delivery results in:
 - Faster delivery to the blood stream and higher blood levels
 - No destruction by stomach acid and intestinal enzymes
 - No destruction by **hepatic first pass metabolism**
- Compared to IV medications, intranasal medication delivery results in:
 - Comparable blood levels depending on the drug and dose.

Fundamental Factors Affecting Nasal Absorption

- Molecular weight: Absorption of drugs decreases as the molecular weight of drug molecules increases. Mc Martin et al reported a sharp decline in drug absorption having molecular weight **greater than 1000Dalton**
- Lipophilicity
- ◆ Drug concentration: Absorption increases as concentration of drug increases. **1-tyrosine** shows increased absorption at high concentration in rate..
- Particle size:
 - Particle size 10-50 microns adheres best to the nasal mucosa.

Ear Drops

- An ear infection (acute [otitis media](#)) is most often a bacterial or viral infection that affects the middle ear, the air-filled space behind the eardrum that contains the tiny vibrating bones of the ear. Children are more likely than adults to get ear infections. Ear infections frequently are painful because of inflammation and buildup of fluids in the middle ear.