School of Medical And Allied Sciences

Course Code: BPHT5002 Course Name: Industrial Pharmacy

MODULE 1: Preformulation Studies Lecture 4

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Chemical Stability

Hydrolysis: interact with water molecule to yield breakdown product.

- Susceptible to the hydrolytic process: esters, substituted amides, lactones, and lactams.
- Eg: Anestheics, antibiotics, vitamins and barbiturates
- 1. Ester hydrolysis:

Ester hydrolysed into Acid + Alcohol Acid or alkali catalysed hydrolysis

$$R^{1} - C - OR + H^{+} + OH^{-} \longrightarrow$$

$$ester$$

$$Q$$

$$R^{1} - C - OH + HOR$$

$$acid alcohol$$

Factors to be considered in Hydrolysis

- > pH
- > Type of solvent : solvent lower dielectric constant
 - Eg.: ethanol, glycols, mannitol etc.
- Complexation: steric or polar effects. Eg.: caffeine with benzocaine – electronic influence of complexing agent – alters affinity
- Surfactants: nonionic, cationic, anionic stabilizes drug against base catalysis. Eg: 5% SLS 18 folds increase in t1/2 of benzocaine
- Modification of chemical structure
- Salts and esters

Oxidation - reduction

Second most common way.

Eg.: vitamins, antibiotics etc

Mediated by free radicals or by molecular oxygen Sensitive towards trace metal and other impurities

Redox reactions involve either transfer of oxygen or hydrogen atoms or transfer of electrons

Oxidation - reduction

Oxidation – presence of oxygen generates free radicals

These radicals propagate the oxidation reaction, which proceeds until inhibitors destroy the radicals or until side reactions eventually break the chain

Eg. Dopamine

Photolysis

- Photochemical
- Photosensitizer
- UV- violet portions more active (shortet wavelength, more energy)

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Racemizationn

- Racemization compound changes optical activity without changing the chemical composition.
- Levo and dextro form
 - Eg: l-adrenaline is 15-20times more active than dextro form
 - Racemic mixture
- Effects: Stability and therapeutic activity

References

- Lachman L Lieberman H.A, Kanig J.L, The Theory and Practice of Industrial Pharmacy, 3rd edition
- Michael E.Aulton. Pharmaceutics, The science of Dosage form design.

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