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Approaches to Improve Solubility of Poorly Soluble Drugs

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Abstract

Oral route has been one of the important routes of drug delivery because of its ease of management, Patient compliance, least sterility constraints and flexibility of dosage form. For many decades treatment of an acute disease or chronic infection has basically performed by way of delivery of drugs to patients using traditional drug delivery system. Oral drug delivery system formulated to release the active ingredient after oral administration to achieve and therefore exhibits a rate limiting effect on drug bio availability. Various approaches used to improve solubility of poorly soluble drugs rapid and whole systemic drug absorption. For drugs which have very poor aqueous solubility, dissolution is frequently the slowest step

Keywords: ***Epoxy coating; Nano particles; Solubility; Dosage form; Absorption; GI tract***

Introduction

The solid dispersion method is one of the effective approaches to improve solubility of poorly soluble drug. Inert hydrophilic substances like poly vinyl pyrrolidone, crosspovidone, polyethylene glycol, L Hydroxy Propyl Cellulose and numerous hydrophilic polymers had been extensively investigated as carrier substances for solid dispersion for enhancement of solubility and dissolution [1-20].

Various approaches to improve the solubility or to increase the available surface area for dissolution

Physical modifications

a) Particle size [21-31]

- Micronization
- Nano suspension

b) Modifications of the crystal habit [32-45]

- Polymorph
- Pseudopolymorphs

c) Complexation/solubilization [46-50]

- Use of surfactants.

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- Use of cyclodextrins

d) Drug dispersion in carriers

Selection of a Carrier

Properties of carrier have an impact on dissolution of dispersed drug

A carrier needs to meet the following criteria to be suitable for increasing the dissolution rate of a drug.

a) Freely water soluble and rapid dissolution properties.

b) Nontoxic and pharmacologically inert.

c) Heat firm with a low melting point.

d) Capable of being dissolved in diverse of solvents and pass through a vitreous state upon solvent evaporation.

e) Increase the water solubility of the drug and

f) Chemically compatible with the drug and not strongly affirmed complex with the drug.

Materials used as Carrier for Solid Dispersions

Sugars: Dextrose, sucrose, Pvpk30, lactose.

Acids: Citric acid, succinic acid.

Polymeric Materials: Povidone, polyethylene glycol, hydroxypropyl methyl cellulose, cyclodextrins, hydroxypropyl cellulose, pectin [51-60].

Insoluble or Enteric Polymers: Hydroxy propyl methyl cellulose phthalate, Eudragit L-100, Eudragit-S 100, Eudragit RL and Eudragit RS. polyoxyethylene stearate,

Surfactants: Polyooxyethylene stearate.

Miscellaneous: Urea and Urethane.

Discussion

Physical modifications

a) Particle size

- Micronization
- Nano suspension

b) Modifications of the crystal habit [61-70]

- Polymorph
- Pseudopolymorphs

c) Complexation/solubilization [71-80]

- Use of surfactants.
- Use of cyclodextrins

d) Drug dispersion in carriers

Selection of a Carrier

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A carrier needs to meet the following criteria to be suitable for increasing the dissolution rate of a drug.

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Acids: Citric acid, succinic acid.

Polymeric Materials: Povidone, polyethylene glycol, hydroxypropyl methyl cellulose, cyclodextrins, hydroxypropyl cellulose, pectin [81-100].

Insoluble or Enteric Polymers: Hydroxy propyl methyl cellulose phthalate, Eudragit L-100, Eudragit-S 100, Eudragit RL and Eudragit RS. polyoxyethylene stearate,

Surfactants: Polyooxyethylene stearate.

Miscellaneous: Urea and Urethane.

Conclusion

Oral drug products are formulated to release the active principle immediately after oral administration to obtain rapid and complete systemic drug absorption. For drugs that have very poor aqueous solubility, the rate at which the drug dissolves (dissolution) is often the slowest step and therefore exhibits a rate limiting effect on drug bioavailability. Many methods are used to improve the solubility of the poor drugs which are mentioned above.

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